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Abstracts

Annual Congress on

Medicinal Chemistry, Pharmacology & toxicology

July 30-31, 2018 Amsterdam, Netherlands

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

Song Feng et al., J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

DISCOVERY OF METHYLSULFONYL INDAZOLES AS POTENT AND ORALLY ACTIVE RESPIRATORY SYNCYTIAL VIRUS (RSV) FUSION INHIBITORS

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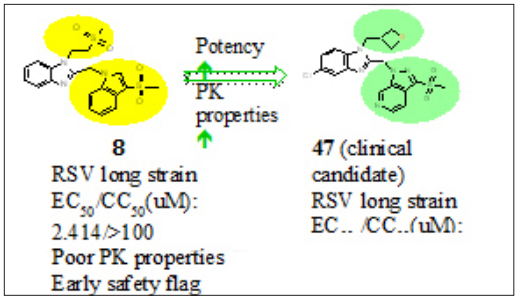
Recently we described a novel class of imidazopyridine compounds that showed exceptional anti-RSV potency in cell culture. However, unfavorable pharmacokinetic (PK) properties and glutathione (GSH) adduct liabilities impeded their further development. In a bid to address the PK and early safety concerns, a small compound library consisting of dozens of scaffold-hopping analogues was designed and synthesized for RSV CPE assay screening, which led to the identification of a new chemical starting point: methylsulfonyl indole compound 8. In this poster, we present the discovery and optimization of a series of methylsulfonyl indazoles as potent RSV fusion inhibitors. In particular, compound 47 was orally efficacious in a RSV mouse model, with 1.6 log unit viral load reduction at 25 mg/kg BID upon oral dosing. The results may have broad implications for the design of new RSV fusion inhibitors, and demonstrate the potential for developing novel therapies for RSV infection.

Image



Biography

Song Feng has obtained his PhD in 2004 from Shanghai Institue of Materia Medica(SIMM), Chinese Academy of Sciences(ACS), and completed his Postdoctoral studies in Arizonal Statie University and Ohio State Univerisity from 2004 to 2006. Now he is a Principal Scientist in Roche Inovation Center Shagnhai (RICS). He has published more than 25 papers in reputed journals and more than 10 patents in Cardiovascular and Metabolism (CVM) and infectious disease research area.

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Medicinal Chemistry, Pharmacology and toxicology

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Amsterdam, Netherlands

Seung Hyun Ko et al., J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

A FATAL CASE OF COLCHICINE POISONING OF A NON-SUICIDAL OVERDOSE

Seung Hyun Ko and Gun Woo Lee
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Colchicine is a drug widely used on a variety of illnesses for a long time. Colchicine, however, is a drug that has been reported to have adverse effects not only at apparent toxic dose but also at lower and therapeutically recommended dose. Typical therapeutic dose of colchicine is up to 2.4 mg daily, sometimes up to 8-10 mg daily. But in this case, we experienced a case in which the patient showed sudden deterioration and died due to unintentional colchicine poisoning of a relatively small dose. Therefore, when a colchicine poisoned patient visits the hospital, the physician should identify the patient's colchicine poisoning dose and the concomitant drugs. Also the patients should be monitored intensively for 24 or 72 hours and managed as various supportive treatment methods early and actively.

Biography

Seunghyun Ko has graduated from Catholic University of Daegu School of Medicine. After completing his internship, he completed the Residency program in 2013 and became an Emergency Medicine physician. Currently, he is an Assistant Professor at the Department of Emergency Medicine at the Catholic University of Daegu. He has published more than 3 papers in reputed journals and has been serving as an Editorial Board Member of repute.

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Anja Mikolic et al., J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

EFFECTS OF TRANSPLACENTAL AND TRANSLACTATIONAL EXPOSURE TO TEMBOTRIONE ON SEX HORMONE LEVELS IN RAT OFFSPRING UNTIL PUBERTY

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Tembotrione, a triketone herbicide used for post-emergence weed control in corn, as a potential endocrine disrupting chemical can disrupt reproductive and sexual development by affecting sex hormones. This could be especially detrimental during early development with adverse effects until adulthood. We evaluated the effects of tembotrione exposure during gestation and/or lactation on estradiol and testosterone levels in female and male offspring 24 hours after birth (newborns), at weaning (21-day-old pups) and at the beginning of puberty. Wistar rats were exposed orally to tembotrione doses relevant to real human exposure: 0.0004, 0.0007 and 4.0 mg/kg bw/day and ethinylestradiol as positive control (PC) during the entire gestation and/or lactation period. Hormone levels were measured in offspring serum by enzyme-linked immunosorbent assay. Oestradiol decreased at 4.0 mg/kg bw/day compared to negative control (NC) and PC, 0.0004 and 0.0007 mg/kg bw/day in newborns and increased at 4.0 mg/kg bw/day compared to 0.0004, 0.0007 mg/kg bw/day and PC in 21-day-old pups exposed only during lactation. Exposure during gestation and lactation increased oestradiol at 0.0007 mg/kg bw/day compared to PC and 0.0004 mg/kg bw/day in 21-day-old pups. In pubertal female offspring, oestradiol decreased at 0.0004 mg/kg bw/day compared to PC. Testosterone increased in newborns at 0.0004 mg/kg bw/day compared to NC, PC and 0.0007 mg/kg bw/day and in 21-day-old pups exposed only during lactation compared to PC and 0.0007 mg/kg bw/day. In 21-day-old pups exposed during gestation and lactation, testosterone decreased at 0.0007 mg/kg bw/day compared to PC and 4.0 mg/kg bw/day. Testosterone in pubertal male offspring decreased at 0.0004 mg/kg bw/day compared to NC and 0.0007 mg/kg bw/day. Our results suggest that exposure to tembotrione during gestation and lactation periods disturb sexual hormone levels both in female and male rat offspring.

Biography

Anja Mikolic has graduated at the Faculty of Food Technology and Biotechnology, University of Zagreb in 2005. She acquired PhD in Biomedicine and Health Sciences at the Faculty of Pharmacy and Biochemistry, University of Zagreb in 2015. She is employed at Institute for Medical Research and Occupational Health, Zagreb, Croatia since 2007. She has published as Co-author of 10 original scientific papers in the international peer-reviewed journals, participated on national and international scientific conferences and training courses and she is a member of few scientific associations.

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Eman A M A L Jawadi et al., J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

INDIRECT DETERMINATION OF URIC ACID BY CHEMICAL METHOD USING DPP TECHNIQUE IN THE HUMAN URINE COMPARING WITH SPECTROPHOTOMETRIC METHODS

Eman A M A L Jawadi and Haitham A A AL Wahab
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The study includes determination of uric acid in urine by electrochemical techniques and comparing the results with those obtained by the routine spectrophotometric technique. The methods of analysis can be summarized as follows: Indirect determination of uric acid by chemical method using differential pulse-width pairs (DPP) technique, it showed an obvious reduction current peak at potential (- 0.615 V) and the estimation of the products from using Folin-Denis reagent as indicator to measure uric acid in normal persons and patients with renal disease, renal failure and hypertention comparing with normal these disease cause obvious decrease in uric acid concentration in patients urine. The results obtained by DPP technique were compared with those estimated by spectrophotometric method routine used in clinical laboratories showed complete correspondence. But DPP technique gave better result comparing with spectrophotometric method in effort, time and cost.

Biography

Eman A M Al jawadi has completed his PhD from Mosul University cooperated with Ruhr University, Germany. She is the Head of Biophysics department/college of science / Mosul University. She has published different papers in reputed journals and has been serving as an Editorial Board Member of repute.

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Mahmoud A M Fakhri et al., J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

ISOLATION AND STUDY OF MYELOPEROXIDASE AND GLUTAMATE DEHYDROGENASE ENZYMES FROM TUMOUR PULMONARY TISSUE

Mahmoud A M Fakhri and Raged A Hamo
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The research included the isolation of myeloperoxidase and glutamate dehydrogenase from tumour lung tissue using different biological techniques. These included: ammonium sulphate precipitation, dialysis, gel filtration chromatography on and Sephadex G–200. The results predicted that specific activity and the number of fold of purification were (42.59 U/ml) and (36.3) respectively for partially purified myeloperoxidase, While it was (22.69 U/ml) and (44.1) respectively for partially purified glutamate dehydrogenase. Furthermore, the comparative molecular weight of the partially isolated myeloperoxidase and glutamate dehydrogenase was (150.3 kDa) and (332.3 kDa) respectively using gel filtration chromatography. The study showed that the optimum conditions of myeloperoxidase were obtained at the first minute using sodium citrate (0.1 M) as buffer at pH (5.5), at a temperature (45 ºC) and (14 mM) of o-Dianisidin as substrate. It was found that V_{max} and K_m have the values of (18.86 U/ml) and (2.69 mM) respectively. Finally, the optimum conditions of glutamate dehydrogenase were obtained using Tris-HCl (100 mM) as a buffer at pH (8.6), (40 C°) and (35 mM) of glutamate as a substrate. It was found that V_{max} and K_m have the values of (14.1 U/ml), (16.56 mM) respectively.

Biography

Mahmoud A. M. Fakhri has completed his PhD in Biochemistry (Clinical Chemistry) from Mosul University. He is a Lecturer in Biochemistry field (Biomolecules, Metabolism, Biotechniques, and Biostatistics) at Biophysics Department/ Science College/ Mosul University. He is the Supervisor of two Postgraduate students (Biochemistry and Clinical Chemistry field) in Science College / Mosul University. He has published more than 7 papers in reputed journals and has been serving as a Member in Iraqi Society of Nanotechnology.

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Muthanna J Mohammed, J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

SEPRATION AND IDENTIFICATION OF MANY NATURAL PRODUCTS OF IRAQI PLANT PLANTAGO LANCEOLATA AND STUDY OF ITS ANTIOXIDANT AND ANTICANCER THERAPY

Muthanna J Mohammed
University of Mosul, Iraq

Phytochemicals are well known that plant produce these chemicals to protect themselves but recently many researchers work to isolated, separation and identification many natural products which are very important to human. The phytochemical research is an effective approach of the bioactive and therapeutic assay. Natural products chemistry and research deals with chemical compounds found in nature that usually has a pharmacological or biological activity for use in pharmaceutical drug discovery and drug design. The plant under study is *Plantago lanceolata* (Pl) is grown in the Iraqi environment profusely and they are widely used in folk medicine. We carried out the extraction of chemicals of volatile oils from dried plant parts by using cleavanger apparatus, while other chemical separation have been acquired continuously by soxhlet, using different organic solvents (petroleum ether, chloroform, ethanol and also hot ethanol). We also obtained the fractions from the crude extracts by using column chromatography technique, and extracted fatty acids from the extracts of petroleum ether and it's parts of each plants after saponification process, while phenols and organic acids were obtained from the parts of the crude extracts (chloroform, ethanol, and hot ethanol) after acid hydrolysis process. The NMR spectroscopy technique was also used for identification of these isolated compounds from the obtained fractions using ^{1}HNMR and ^{13}C-NMR, then we obtained several pure compounds which are identified as followings; luteolin, pheophytin B, sitosterol, daucosterol, bezoic acid, coumarin, dihydrocoumarin. The experimental results of antioxidant and anticancer showed that luteolin compound has antioxidant activity of 72.68% at 8 µL concentration compared with standard sample which was 80.06% at the same concentration. On the other hand, the anticancer result of the same compound was 60% on the normal cells (PNT2a), while its activity on the cancer cells (A2780) was 80%.

Biography

Muthanna Jasim Mohammed is an Assistant Professor of Natural Products in University of Mosul, College of Education for Pure Science, Biology Department. He completed his PhD in Natural Products at University of Mosul in 2013. He worked a part of his PhD research in Strathclyde University in Glasgow, UK. He completed his MSc in Plant Sciences at University of Mosul in 2000 and BSc in Biology at University of Mosul in 1997. He have many article publications in natural products isolation and identification of compounds which used in drug. He has published more than 20 papers in reputed journals.

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Thikra A Allwsh, J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

ASSOCIATION OF OSTEOCALCIN WITH SOME ANTIOXIDANT PARAMETERS IN DIABETES MELLITUS IN IRAQ

Thikra A Allwsh and Liqa'a S Abdulla
University of Mosul, Iraq

Osteocalcin, control the regulation of blood sugar and fat deposition through synergistic mechanisms, so osteocalcin directs the pancreas' beta cells to produce more insulin, at the same time, osteocalcin directs fat cells to release adiponectin, which improves insulin sensitivity.

Aims of the research: There was a suggestion to the research that estimates the concentration of osteocalcin and some antioxidant parameters in control and diabetic patients (Type I and Type II) and the coefficients between them.

Materials & Methods: This study included (70) healthy subjects (35 female, 35 male). Also, (75) patients (37 female, 38 male) with diabetes mellitus from al-waffa center for diabetic patients in Mosul city in Iraq, their ages ranging between (≤ 15 - ≥ 65) years old.

Results: The results demonstrated a significant decrease in the concentration of osteocalcin in serum of type I and type II diabetic patients compared with control and between type I diabetic patients compared with type II. The results also showed a significant increase of adiponectin concentration between type I diabetic patient compared with type II and control , while found a significant decrease in the concentration of thioredoxin in serum of diabetic patients (type I) compared with control and diabetic patients (type II), also a significant increase in the concentration of malondialdehyde (MDA), total lipids, total cholesterol, triglyceride, Very low density lipoprotein-cholesterol (VLDL-C)and Low density lipoprotein-cholesterol (LDL-C) in serum of diabetic patients(type I and II), and a significant decrease in the concentration of glutathione(GSH), High density lipoprotein-cholesterol (HDL-C), magnesium, and zinc in serum of diabetic patients (type I and II) compared with control. Correlation coefficients between osteocalcin and some antioxidant parameters of control and diabetic patients showed that osteocalcin concentration has a significant negative correlation with concentration of total cholesterol, triglycerides, VLDL and LDL-C. While a significant positive correlation with concentration of thioredoxin, GSH, HDL, and zinc in control and diabetic patients (type I and II). Also osteocalcin has a significant negative correlation with concentration of MDA and has a significant positive correlation with concentration of adiponectin in control and type II diabetic patients.

Conclusion: these results provide evidence of a major role for osteocalcin in diabetes mellitus .Also there are correlations between osteocalcin and Some Antioxidant parameters.

Biography

Thikra A Allwsh has completed her PhD from Mosul University. She has published more than 30 papers in reputed journals and has been serving as an Editorial Board Member of repute. She is serving as Professor at University of Mosul in the field of biochemistry. She is the head of the of Biochemistry and then supervised many Doctoral and Master's studies. She participated in the discussion of a large number of students of Master's and Doctorate and also participated in many conferences and seminars in the field of biochemistry as well as supervision of the projects of undergraduate students.

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Zena AM Aljawadi, J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

BIOCHEMICAL STUDY OF URINARY STONE IN OUTDOOR AND INDOOR WORKERS

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A biochemical study of 365 patients with urinary stones disease according to occupation and family history, and the occupation divided to tow subgroups (outdoor workers (n=183) and indoor workers (n=182)) and evaluated laboratory test was done for each patients included phosphorus, calcium, uric acid, urea and creatinine in serum of patients and control group. In addition, 24 hr urine was collected and estimation the level of the biochemical estimation included phosphorus, calcium and uric acid as they are the main components of urinary stones. The results showed a higher significant difference serum phosphorus, uric acid, urea and creatinine in patients outdoor workers compared with the patients indoor workers and control group at (P=0.001), and the higher significant difference more obvious in patients with family history, as a higher significant difference serum calcium in patients with family history outdoor workers compared with the patients indoor workers and control group at (P≤0.05). Also the results showed a higher significant difference in 24 hr urine of phosphorus, calcium and uric acid in patients outdoor workers compared with the patients indoor workers and control group at (P=0.001) and its more higher in calcium and uric acid of patients with family history compared with the patients without family history at (P=0.001). Finally, this study proved the strong relationship between occupation and urinary stones formation, the epidemiology of stones increase according to the type of occupation. In addition, the study proved that patient's outdoor workers have incidence more than patient's indoor workers and increase with family history.

Biography

Zena A M Aljawadi has completed her PhD, in from Mosul University. She is the Head of Chemistry Department, College of Science, Mosul University. She has published more than 21 papers in reputed journals.

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

PREDICTING INTESTINAL PERMEATION OF DRUGS THROUGH NEURAL NETWORK ANALYSIS BASED ON SOME MOLECULAR DESCRIPTORS

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Utilization of a neural network model was used as computer algorithm to predict intestinal absorption of drugs based on various molecular properties. In the search for new drugs, a major problem encountered is obtaining drug structures which, as well as being potent in vitro, possess favourable pharmacokinetic profiles which enable them to pass easily through the relevant body membranes, especially the gastrointestinal epithelia, to effect their action. Since most drugs are mostly absorbed passively, this work aimed at simplifying and improving the prediction of intestinal drug absorption through a generated model. The model was generated by neural network analysis of some molecular descriptors, obtained via molecular modelling, corresponding to the empirically determined caco-2 cell permeability coefficient of the molecule. Utilization of a neural network model is good way to find a nonlinear relationship between causal factors and their results. Most of the parameters were based on polar surface area (PSA) for predicting Caco-2 cell permeability and human intestinal absorption.

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

ORAL NALTREXONE AND EFFECTIVE VENTILATION IN ACUTE METHADONE OVERDOSE

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[2]University of Shahid-beheshti, Iran

Context & Aim: Respiratory depression or delayed and recurrent respiratory arrest is the major complication of methadone (MTD) toxicity. We aimed to evaluate the efficacy of naltrexone (NTX) in maintaining adequate ventilation and to prevention of delayed apnea.

Materials & Methods: In a double blind randomized clinical trail, a total of 60 non-opioid dependent patients with diagnosis of acute MTD toxicity at a poison center were evaluated. 30 patients in control group received placebo and 30 in intervention group received 50 mg NTX.

Results: Apnea or bradypnea (RR: 12/min) was detected in 9.3% and 11 20.4% of patients, respectively. All of these patients were belongs to patients in control. The incidence of respiratory depression in patients who received NTX significantly was lower than that did not (p=0.02). Respiratory depression occurred in 59.2% of patients in the placebo and at none of the patients in NTX group. The hospital stay in patients who received NTX was significantly lower than control group.

Conclusion: Administration of single 50 mg dose of NTX can prevent delayed or recurrent apnea in acute MTD toxicity, especially in children.

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Table: Comparison of patients' respiratory function during hospitalization

Outcome	Naltrexone n = 27	Placebo n = 27	p value
Bradypnea (RR<12)	0 (0.0%)	11 (40.7%)	<0.01*
Apnea	0 (0.0%)	5 (18.5%)	0.02*
Respiratory acidosis+	1 (3.7%)	7 (25.9%)	0.05**
Hypercapnia+	1 (3.7%)	7 (25.9%)	0.05**
Hypoxia+	1 (3.7%)	8 (29.6%)	0.02**
Need for refer to ICU	0 (0.0%)	14 (51.9%)	<0.01*
Hospital staying (hrs)	26 ± 17	38 ± 21	0.009 ‡
	20 (14 to 96)	32 (12 to 96)	

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DISCOVERY OF 2, 3-SECO PLEIOCARPAMINE TYPE MONOTERPENE INDOLE ALKALOID (MIA) FROM RHAZYA STRICTA

Abrar Ahmed
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Recently much attention has been paid to the drug resistance for antibiotics. Natural products are the productive resource for development of drug candidates. Medicinal plants of family Apocynaceae has proven a watershed for unique and active alkaloids like ajmalicine, yohimbine, camptothecin, ajmaline, quinine, and rhazinilam as a result of astonishing and interesting biosynthetic pathways. Recently, secopleiocarpamine A betokens a novel 2, 3-seco pleiocarpamine type monoterpene indole alkaloid (MIA) dominating a cyano group has been isolated from Rhazya stricta. Considering secopleiocarpamine A, demonstrating a novel 2, 3-seco pleiocarpamine type alkaloid possessing a cyano group, a plausible biosynthetic pathway was proposed from pleiocarpamine, which on [1,3]-hydride shift led to the formation of intermediate i. Intermediate ii was produced as a result of the oxidative cleavage of i, which on nucleophilically attack by a cyanide ion afforded iii. After subsequent dehydration and hydrogenation, the intermediate product iii has given 2, 3-seco pleiocarpamine. A distinctive anisotropic effect strongly suggested that the N-methine was directly linked with a triple bond (C C or C N). However, the characteristic IR absorption band of the cyano group in the region 2100–2300 cm^{-1} was absent, which may be due to the introduction of electronegative groups, particularly those containing oxygen, into the molecule resulting in the quenching effect of the nitrile stretching frequency. The relative configuration of 2, 3-seco pleiocarpamine was determined by the NOE correlations and $^{1}H-^{1}H$ coupling constant analysis. It was screened for biological activity against bacterial and fungal strains. However, IC_{50} was not found convincingly impressive. However, its unique structural arrangement has given a new touch stone to the cascade of monoterpene indole alkaloids from Rhazya stricta.

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

PRESENCE AND IMPACTS OF PERSISTENT PESTICIDES IN COASTAL AREAS OF THE GULF OF MÉXICO, MEXICO

Alfonso V Botello, Ponce-Velez Guadalupe, Villanueva F Susana and Velandia Laura

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Pesticides (mainly organochlorines) have been used for long time in coastal states from the Gulf of Mexico (Veracruz, Tabasco, Campeche and Yucatan) either for agricultural livestock activities, control of pests (malaria) and human health. Important quantities of them were dispersed in coastal environments (rivers, lagoons and estuaries) and deposited or accumulated in sediments,fishes,oysters,c1ams and crabs whose consumption poses in jeopardy the health of fishermen and coastal communities along the litorals. Analysis of biological samples and sediments reflect a wide variety of banned persistent pesticides (hexacWorocyc1ohrxane, lindane, aldrin, dieldrin, endrin, DDT, DDE, DDD and endosulfan) and becoming a risk to public health and costal environments. Moreover, the analysis conducted on mother's milk of these tropical areas, pointed out high concentrations of these pollutants and high risk for the children. Finally, some recent reports of analysis in nuc1ei of sediments show that these pesticides have been introduced in coastal lagoons environments from 1950 approximately.

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THE OVARY AS A TARGET ORGAN FOR EDCS A TOXICITY: ACTION OF EDCS PRESENT IN FOLLICULAR FLUIDS ON HUMAN GRANULOSA TUMORS BIOLOGY

Anna Ptak
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Endocrine disrupting chemicals (EDCs) are natural or synthetic chemicals that alter the functions of the endocrine system and thereby cause adverse health effects. The ovary is a hormone sensitive organ that produces steroid hormones. For this reason it is a target organ for EDCs toxicity. Several epidemiological studies indicated that, EDCs accumulates in reproductive organs and in the ovarian follicular fluid (FF). In the general human population, EDCs has been detected in FF at nanamolar concentrations (such as: perfluorooctanoate (PFOA), perfluorocta ne sulfonate (PFOS), hexachlorobenzene (HCB), dichlorodiphenyldichloroehylene (DDE), polychlorinated biphenyl (PCB153). For this reason, EDCs may act on ovarian tissue not only in an endocrine manner (via the serum), but also in a paracrine manner (via ovarian tissue deposits). Thus, these compounds may directly affect the function of granulosa cells within the ovary and may promote granulosa cell tumor (GCT) progression. GCTs exhibit many morphological, molecular and hormonal features of proliferating normal preovulatory granulosa cells. Importantly, our data suggest that persistent organic pollutants found in human FF act as autocrine mitogenic factors on ovarian cancer cells. However, the biological effects of mixtures cannot be predicted based on the activities of the individual components, so we further evaluated the activity of mixtures of these chemicals, and observed that the mixtures also possessed mitogenic properties. Moreover, a mixture of persistent organic pollutants present in FFs can alter granulosa cell function, activating GPR30 and IGF1R signaling. Therefore, questions about the roles of these chemicals in ovarian cancer are an important issue for public health.

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J Org Inorg Chem 2018, Volume 4
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INHIBITION OF MAMMALIAN ACETYLCHOLINESTERASE BY CARBOFURAN AND PROTECTION BY VITAMIN C AND CITRUS LIMON FRUIT EXTRACT

Sharma B, Jaiswal S K and Gupta V K
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Since the application of carbamates was found to be the best options as pesticides to control the pests thereby improving the crop productivity. However, the indiscriminate application of these chemicals in farm practices has caused serious adverse effects in many non-targets including mammalian systems. The present study has been undertaken to assess the carbofuran induced alterations in the activity of acetyl choline esterase (AChE) in brain and serum and the time dependent recovery in the presence of vitamin C or *C. limon* fruit extract. We have exposed the rats with two sub-lethal doses of carbofuran (20% and 40% of LD_{50} value i.e. 1.6 mg Kg^{-1} and 3.2 mg Kg^{-1} body weight) up to 72h at the interval of 24h. In another set, the carbofuran treated animals were allowed to recover for next 48h. Under these experimental conditions, the activity profiles of AChE, a key target of carbofuran, have been determined in brain and serum of rats. In control group, the level of AChE activity was 20 times higher in brain as compared to that of serum. The carbofuran exposure resulted in sharp decrease in AChE activity in all these organs of rats. The administration of vitamin C and *C. limon* fruit extract in carbofuran treated rats indicated significant recovery in enzyme activity in brain, and serum, suggesting the ameliorative effects of vitamin C and *C. limon* fruit extract. However, after next 48h from the carbofuran treatment, the activity profiles of AChE in rat's brain, and serum indicated a trend of self-recovery in all the experimental groups, albeit to varying extent. The results from present investigation reflected that the introduction of vitamin C and *C. limon* fruit extract may offer protection from carbofuran induced toxicity in mammalian systems. The withdrawal from the carbofuran exposure up to 48h may help in partial self recovery.

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DRUG RELATED PROBLEMS AND DETERMINANTS IN GERIATRICS: CLINICAL PHARMACIST INTERVENTIONS

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Background: Pharmacotherapy is becoming complex, and drug related problems (DRPs) might be associated with increased health care costs and hospital admissions. Prolonged hospital stays, reduced quality of life, and increased morbidity or mortality are another consequences of DRPs. Age related physiologic changes, pharmacokinetic and pharmacodynamics alteration in drug handling, and multi- morbidity associated with poly pharmacy put geriatric patients at high risk for DRPs. Furthermore, premarketing drug trials often exclude geriatric patients and approved doses may not be appropriate for older adults.

Objectives: To identify drug related problems and determinants among geriatric patients admitted to medical and surgical wards of Jimma University Medical Center (JUMC); and to describe clinical pharmacist intervention for treatment optimization.

Method & Materials: A four-month prospective interventional study was conducted among geriatric patients admitted to JUMC medical and surgical wards from April to July 2017. A clinical pharmacists reviewed drug therapy of patients in the respective clinical wards and identified DRPs. Intervention provided during rounds, morning sessions and through discussion with individual prescriber. Data analyzed by using statistical software package; SPSS version 20.0. Descriptive statistics performed to determine the proportion of DRPs by its category. Bivariate and multivariate logistic regressions analysis performed to identify the determinants of DRPs. A $p<0.05$ is considered as significant.

Results: We included 200 older adults (age ≥ 60) in our study. Mean age was 67.3 (SD7.5), and 67.5% of participants were male. Participants had, on average, 2.20 (SD1.2) clinical conditions and took 3.9 (SD2.1) medications per patient. 81.5% had at least one DRP. The most common DRP category was "treatment effectiveness" related (47.6 %), and the most common class of drugs involved in the problem were cardiovascular agents (38.1%), followed by antibiotics (21%). For 780 medications reviewed, 380 DRPs were recognized, 466 causes identified and 670 interventions discussed. Prescriber acceptance rate was 91.7%. Polypharmacy (OR=4.350, p = 0.020) and number of clinical conditions (OR=1.588, p = 0.037) were associated with DRPs.

Conclusion: Prevalence of DRPs was high among geriatric inpatients admitted to medical and surgical wards. Involvement of clinical pharmacist in routine clinical ward practice and medication review decreases medication related problems in geriatric inpatients.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

SYNTHETIC PROCESS, SPECTROSCOPIC CHARACTERIZATION AND ANTIMICROBIAL ACTIVITIES OF NITROIMIDAZOLES DERIVATIVES

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Nitroimidazoles are well recognized as antibacterial agents having a wide range of biological activities such as anticancer, antifungal, antibacterial, antitubercular etc. Nowadays, various drugs are available which belongs to the nitroimidazole class such as secnidazole (Flagentyl), metronidazole (Flagyl), ornidazole (Xynor), tinidazole (Fasigyn) and others. Our group has worked on Pro and Co-drug synthesis of Secnidazole which is an efficient drug in the treatment of protozoal infections along with regio-specific nitration process of substituted imidazole. A summary of our published work is as under: 1) Regio-specific synthesis of 1-methyl-4-nitro-1H-imidazole: crystal structure, spectroscopic properties and antimicrobial activities. 2) Esterification of secnidazole: simple low-cost and less toxic method. 3) Crystal formation and structure determination: a) 2-{[1-(2-methyl-5-nitro-1H-imidazol-1-yl) propan-2-yloxy] carbonyl} benzoic acid. b)1-(2-Methyl-5-nitro-1H-imidazol-1-yl) propan-2-yl acetate. Key synthetic process, spectroscopic characterization and antimicrobial activities performed in the above mentioned work will be presented in this important scientific event.

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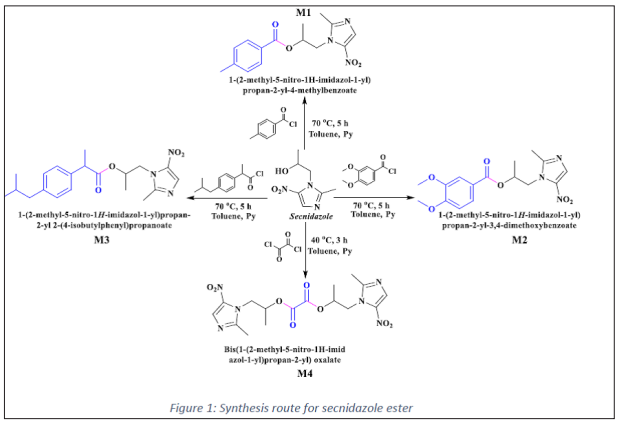

Figure 1: Synthesis route for secnidazole ester

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

PROMISING NATURAL COMPOUNDS FOR TREATMENT OF HEPATITIS C VIRUS AND ITS COMPLICATIONS

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As many as 200 million people worldwide are infected with hepatitis C virus (HCV) and more than 350,000 people die yearly from hepatitis C-related diseases (WHO, June 2011). There is no preventive vaccine available for HCV due to its highly mutable nature evidenced by the presence of more than 50 subtypes of HCV. In the present study, the water extract of the leaves of the wild Egyptian artichoke (WEA) (*Cynara cardunculus* L. var. sylvestris (Lam.) Fiori) showed improvement of HCV infection symptoms through the clinical investigation of WEA extract on some infected Egyptian patients. The results showed outstanding activity against HCV and its complications such as ascites and jaundice by measuring the PCR, and liver functions such as alanine transaminase (ALT), and aspartate transaminase (AST). The phytochemistry of the WEA extract and its subsequent evaluation of inhibition capacity *in vitro* using cell-culture derived HCV resulted in the identification of two potent sesquiterpene lactones showing *in vitro* activity against all genotypes. Their structural elucidation was done by extensive spectroscopic tools such as NMR and HR-MS spectroscopy. The absolute configuration was determined by TDDFT ECD calculations and comparison with the experimental CD spectra. Cynaropicrin and grosheimol showed EC50 at 1.03 μM, and 1.27 μM, by using a luciferase-carrying reporter virus. Time-of-addition experiments revealed that these compounds inhibited HCV virus at a time-point during entry. Finally, the results showed that compounds cynaropicrin and grosheimol inhibited HCV particles from genotypes 1a, 1b, 2b, 3a, 4a, 5a, 6a and 7a indicating that these compounds inhibit HCV cell entry independently of viral genotype or subtype. Most important is that compound cynaropicrin can inhibit HCV through many important mechanisms: cell-entry inhibitor, inhibition of cell to cell coinfection, antihyperlipedemic and antitumor activities3. There is a plenty of publications confirmed that cynaropicrin is a very promising drug as antitumor agent.

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Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

EASY SYNTHESIS AND FUNCTIONALIZATION OF SMALL UP-CONVERTING NANOPARTICLES TOWARDS ADVANCED BIOMEDICAL APPLICATIONS

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The last decade has seen a rapid increase of biomedical science discoveries, thanks, also to a stricter wedding between bio-compatible molecules and bright, luminescent inorganic nanoparticles, which have open new fields in theranostics (combined photodynamic therapy and bio-labelling). Up-converting (UC) nanomaterials are able to convert low-energy excitation (NIR) into high-energy emission (visible) and their application in biomedicine has been on the edge for the last 15 years, thanks to advantageous features such as low photo-bleaching, low-energy excitation (NIR), which accounts for low background fluorescence and higher penetration depth. The biggest challenge that the scientific community faces for their commercialization is to synthesize bright-emitting, phase-consistent and small-sized nanocrystals with easily scalable procedures. To date, Er^{3+}-Yb^{3+} co-doped β-NaYF4 is the most efficient UC material known. A key issue for its industrial scalability is to avoid extreme reaction conditions (around 350ºC, inert atmosphere, etc.) employed in the most widely spread laboratory procedures. Recently, microwave routes for the preparation of efficient UC nano-β-$NaYF_4$ materials have been explored; however, only cubic (α-$NaYF_4$) or mixed phase (α+ β) crystals were reported, leading to low efficient UC quantum yields. We report the formation of uniform-sized 15x60 nm lanthanide-doped β-$NaYF_4$ up-converting nanoparticles, under an easy and quick route that exploits homogeneous microwave heating. It permits bright emissions, easy post-synthesis functionalization and ease of scalability. Wavelengths modulation can be assessed through the incorporation of different actuators (Tb, Er, Tm). This discovery permits not only exploitation of the targeted bio-functionalization of the nanorods, but also the manufacture of small, portable biomedical devices.

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July 30-31, 2018
Amsterdam, Netherlands

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

REPRODUCTIVE AND DEVELOPMENTAL IMPAIRMENT BY ENVIRONMENTAL CONTAMINANTS

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A number of contaminants of emerging concern with hormone-like activities have been shown to disrupt normal reproductionby affecting components of brain-pituitary and gonadal axis. Our field studies demonstrated the presence of a number of pollutants in rivers located in Southern Alberta, Canada with hormone-like activity causing significant female bias. Significant changes were observed in fish caught down stream of certain municipalities along the Oldman River correlating with high levels of vitellogenin expression in male fish. These observations suggested severe endocrine disruption of gonadal development likely due to presence of compounds with estrogen-like activity. To investigate the effects of chemicals, we performed controlled laboratory experiments in which fish in aquaria were exposed to the same concentrations of a selected number of chemicals detected in the river system, individually and as mixtures. The main focus of the present study was to investigate the mechanisms by which these compounds disrupt reproduction, using cellular, molecular, transcriptomics and metabolomics approach. The results demonstrate significant dysregulation of metabolism following exposure to low concentrations of contaminants. Microarray analysis, identified new cellular response and biological endpoints, and provides information on mechanism-based cell and tissue response affecting energy cycle and reproduction. In addition, we observed changes in neurostem cell development associated with hyperactivity following exposure to low environmentally relevant concentration of contaminants. The results demonstrate that contaminants exert significantly different effects as a mixture, compared to individual compounds in the liver, ovary, testis and brain. Together with our previous field data, the present results provide a framework for better understanding of ecological consequences of exposure to contaminants, and resulting reproductive abnormalities seen in fish and other vertebrates.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

BOOSTING THE ANTI-CANCER POTENTIAL OF COOH-BEARING PAK1-BLOCKERS BY INCREASING THEIR CELL-PERMEABILITY VIA CLICK CHEMITRY

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PAK1 is the major oncogenic/ageing Ser/Thr kinase that is activated by p21 (RAC/CDC42) and several other signal transducers such as PIX, three distinct Tyr-kinases (ETK, FYN and JAK2) and CK2 (casein kinase 2) in cells. PAK1 is essential for robust growth of almost all solid tumors which require PAK1-dependent angiogenesis. Besides this, kinase is required for many other diseases/disorders such as NF (neurofibromatosis), AD (Alzheimer's disease), PD (Parkinson's disease), depression, epilepsy, autism, schizophrenia, a variety of infectious and inflammatory diseases, diabetes (type 2), obesity, and even hyperpigmentation. Thus, the potential maket value of PAK1-blockers is enourmous. However, so far only a few PAK1-blockers are available on the maket, such as FK228, Gleevec, and the old antibiotic called minocycline (MC) but with a very limited FDA approval for cancer therapy. Thus, for a last decade, we have taken a great effort for identifying PAK1-blockers among natural or old (generic) products as well as the robust potentiation of their anti-cancer/anti-PAK1 activity mainly by increasing their cell-permeability. Here in this lecture, we shall introduce a few successful examples including 1,2,3-triazolyl esters of natural or generic COOH-bearing PAK1-blockers such as UA (ursolic acid), ARC (artepillin C), CA (caffeic acid), Ketorolac and MPA (mycophenolic acid), in which esterization by a simple reaction called CC (Click Chemistry) boosts their anti-cancer potential by 100-5000 times, depending on target cancer cell lines and the final chemical products. One of them called "15K" (ketorolac ester) has been proven to be among the most potent PAK1-blockers, suppressing the embryonic angiogenesis *in ovo* (fertilized chicken eggs) IC_{50} around 1 nmol/egg, and extending significantly the healthy lifespan of *C. elegans* by 30% at 50 nM, strongly suggesting that they could cure most of solid tumors without any severe side effect.

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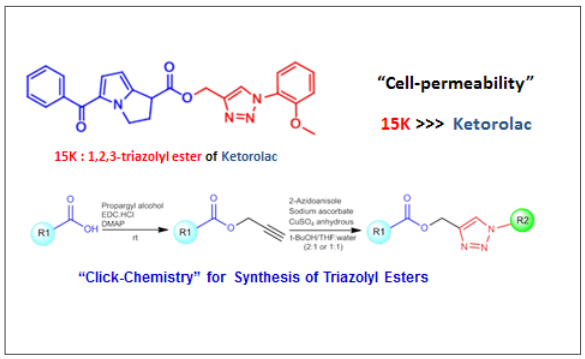

Figure: Click- Chemistry for Synthesis of Triazolyl Esters

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DOI: 10.21767/2472-1123-C3-009

NANO ANTI-CANCER DRUGS: NEED OF FUTURE

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Routine chemotherapy for cancer treatment has several side and toxic effects. Recently, a new approach of nano anti-cancer drug has been developed and only few drugs are available in the market today. The unique features of these drugs are targeted action on cancer cells only without any side effect and, hence, called magic drugs. The important molecules used for preparation of nano anti-cancer drugs are cisplatin, carboplatin, bleomycin, 5-fluorouracil, doxorubicin, dactinomycin, 6-mercaptopurine, paclitaxel, topotecan, vinblastin and etoposide etc. The most commonly used materials for preparing nano particles carriers are dendrimers, polymeric, liposomal, micelles inorganic, organic etc. The proposed lecture will comprise the-of-art of nano drugs in cancer chemo-therapy including preparation, types of drugs, mechanism, future perspectives etc.

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J Org Inorg Chem 2018, Volume 4
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MOLECULAR PH(F)ARMING: PRODUCTION OF PHARMACEUTICAL COMPOUNDS IN PLANTS

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Plants are the source of food for human life, as they provide energy and nutrition essential for growth and sustainability of the individual. The plants can also act as source of pharmaceutics which helps to overcome deficiency or disorders, when administered because of presence of active ingredients with medicinal value. Apart from natural occurrence of pharmaceutically important compounds in plants, the enhanced production can also be engineered for native or artificially designed compounds. The consumer can intake food material with enhanced quantity of pharma compound or the plant material can be processed to extract these compounds commercially, ultimately turning 'plants as factories' of producing pharmaceutical compounds. 'Molecular ph(f)arming' envisages production of proteins or other metabolites or compounds which are of value to medicine and industry in sufficient quantity through plants generally used in agricultural systems. The production can be through transformation of desired gene into the plants and assessing its expression and production of compounds. Many novel technologies are also available as and when candidate genes in plants were identified which are responsible for production of these compounds which can be altered through Genome Editing technologies like CRISPR-Cas9 (Clustered Regularly Interspaced Short Palindromic Repeats-CRISPR associated protein 9) or Base edition. This will help in enhanced production of desired compounds in plants with desired quantities. The engineered plants can be grown under controlled conditions such as glass house or vertical farming to obtain desired compounds in required quantities. This will also help in obtaining pharmaceutical and industrial compounds organically, which minimises harmful effects of factories under environmentally sustainable conditions. The plant based vaccines are being tested in potatoes, sweet potatoes, tomatoes, bananas and carrots. The plants can also produce large quantities of amylase or other enzymes to meet industrial requirement. The associated risks are also there as purity of the compound and processing technologies to isolate the compounds and quality testing, since these are being administered as medicine/ supplements to humans. The research work is on the way for identification of rice genotypes having high Fe and Zn content which is important from human nutrition, low glycemic index genotypes with slow starch hydrolysis which is relevant for diabetic patients along with GABA (Gamma Amino Butyric Acid) in germinated brown rice, which is important for enhancing immune system in humans. These are helpful in obtaining value added products useful to human health.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

INVESTIGATION OF EFFECT OF PROLYL 4-HYDROXYLASE INHIBITION ON DIABETIC NEPHROPATHY AND ASSOCIATED ENDOTHELIAL DYSFUNCTION IN UNINEPHRECTOMIZED DIABETIC RAT

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Hypoxia plays a critical role in diabetic nephropathy which is a progressive development of renal insufficiency in the setting of hyperglycemia and is the major single cause of chronic renal failure (CRF). Endothelial dysfunction also appears to be a consistent finding in diabetic nephropathy. We evaluated the efficacy of cobalt chloride, a prolyl 4-hydroxylase (PHD) inhibitor, in amelioration of renal injury and endothelial dysfunction, as well as its effect on hyperglycemia in uninephrectomized diabetic rat. The effect of cobalt chloride ($CoCl_2$,10 mg/kg, i.p. OD) treatment on various biochemical parameters like plasma urea, creatinine, uric acid, electrolytes sodium, potassium, chloride, as well as blood glucose levels were checked. Contractile responses to angiotensin II (10^{-10} to 10^{-6}M) in an aortic preparation of control rats and uninephrectomized diabetic rats along with measurement of the dry weight of contralateral kidney in different groups were recorded. Aortic endothelial nitric oxide synthase (eNOS), nitrate/nitrite (NOx), superoxide dismutase, catalase and reduced glutathione levels were checked in the different groups. Cobalt chloride treatment for seven continuous days, followed by intermittent dosing for 30 days resulted in significant fall in the plasma urea, creatinine and uric acid levels with restoration to partially normal values with a significant change in plasma electrolyte levels along with a reduction in the dry weight of kidney. A significant attenuation of the augmented responses to angiotensin II was observed with an increase in aortic eNOS and NOx levels as well as antioxidants levels. Chronic hypoxia augments angiotensin II responses in the thoracic aorta of uninephrectomized diabetic control rats. $CoCl_2$ attenuates these enhanced vascular responses with a significant decrease in blood glucose signifying stabilization of the hypoxia-inducible factor in the alleviation of endothelial dysfunction in diabetic nephropathy.

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Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

PYRIMIDIN-5-CARBALDEHYDES AS INTERMEDIATES IN THE SYNTHESIS OF NON-COMMON FUSED PYRIMIDINIC SYSTEMS

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It's well known the biological importance of pyrimidine nucleus in essential natural occurring products such nucleic acids; showing the related compounds a great diversity of pharmaceutical properties, i.e. antibiotic, antitumoral or antifungal agents. Some mimic pteridine derivatives have been prepared by different pathways using 4-Aminopyrimidine-5-carbaldehydes (I) as starting materials. The related compounds are 5,6-dihydropyrimido[4,5-d] pyrmidines (III), 5,6,7,8-tetrahydropyrimido[4,5-d] pyrmidines (IV) and pyrimido [4,5-e] diazepines (V) all obtained via 4-amino-(5-aminomethyl)pyrimidine intermediates (II). In addition, some 7-arylpyrido [2, 3-d] pyrimidines (VI) have been prepared by Friedländer type synthesis starting from the same carbaldehydes (II). The dihydro derivatives (III) were prepared means of a final cyclocondensation carried out with orthoesters, catalysed by acid and assisted by microwaves irradiation under solvent free conditions. The final cyclocondensation with carbonyl compounds forming the tetrahydro derivatives (IV) was done under mild conditions, in which stereochemical induction was carried out on the building of this skeleton, and stereochemistry assignments corroborated by theoretical calculations. Pyrimido [4,5-e] [1,4] diazepines (V) were obtained by a two-step acylation/cyclization sequence from key intermediates 6-amino-5-(amino) methylpyrimidines (II) have been carried out. The 7-arylpyrido [2, 3-d] pyrimidines derivatives (VI) have been synthesized by a Friedländer type reaction with acetophenones under solvent-free conditions and in the presence of BF_3-Et_2O. All these methodologies are straightforward and inspired in Diversity-Oriented Synthesis (DOS). The isolation of the desired products are simple and in good yields.

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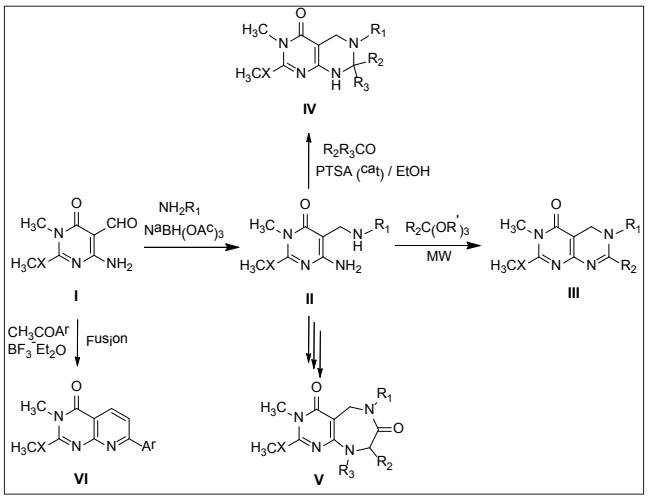

Figure: Diversity Oriented Synthesis of non-common fused pyrimidinic systems

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

DISCOVERY OF NOVEL UREASE INHIBITORS FOR TREATMENT OF PEPTIC ULCER

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Ulcer is a sore on the skin or a mucous membrane, accompanied by the disintegration of tissue that obstructs the normal function of an organ. No single cause has been found for ulcers. However, it is now clear that ulcer can be caused by an imbalance between digestive fluids in the stomach and duodenum and by a type of bacteria called *Helicobacter pylori (H. pylori)*. *Helicobacter pylori* is a Gram-negative, microaerophilic bacterium found in stomach, produce large amounts of urease enzyme which breaks down the urea present in the stomach to carbon dioxide and ammonia. Hyperactivity of enzyme urease is one of the major contributors in different pathologic conditions, like urolithiasis, urinary catheter encrustation, pyelonephritis, hepatic coma, ammonia and hepatic encephalopathy. Hyperactivity of urease brings out considerable economic and environmental tribulations by releasing abnormally large quantity of ammonia into the atmosphere in the process of urea fertilization. To date, only acetohydroxamic acid has been clinically used for the treatment of urinary tract infections by urease inhibition. In the current situation, the increasing resistance of bacterial pathogens to common antibiotics is the alarming situation for researchers working in this field. Therefore, it is foremost task to develop the novel classes of molecules that specifically target urease as enzyme inhibitors.

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Medicinal Chemistry, Pharmacology and toxicology

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Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

SIMULTANEOUS DETERMINATION OF FIVE ISOSTEROIDAL ALKALOIDS IN SIBERIAN FRITILLARY BULB IN RAT PLASMA AND ITS APPLICATION IN PHARMACOKINETIC STUDY BY HPLC-MS/MS

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In this study, a sensitive high-performance liquid chromatography with tandem mass spectrometry (HPLC-MS/MS) method established for simultaneously determining five main isosteroidal alkaloids (imperialine-3-β-Dglucoside (imperialine-G), imperialine, peimine, hupehenine and yibeinoside A) in Siberian fritillary bulb, was applied to pharmacokinetic studies in rat plasma. The plasma samples pretreated using liquid-liquid extraction with ethyl acetate were quantitated by multiple reaction monitoring (MRM) via positive electrospray ionization (ESI) mode. Chromatographic separation was performed on an Intersil ODS-2 column (5 µm, 4.6 ×150 mm) with a single fifteen minutes run using gradient elution. The mobile phrase consisted of (A) 10 mM ammonium acetate (containing 0.1% of formic acid) and (B) methanol. Method validation results showed that the developed method had good accuracy and precision over the corresponding linearity range for all the analytes. Besides, bench-top, autosampler, freeze-thaw circulation and long-term storage stabilities met the acceptable limit. This study examined a specific and robust method which was successfully applied to analyze rat plasma samples for pharmacokinetic study of five isosteroidal alkalosids.

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Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

PROTECTIVE EFFECT OF THE STANDARDIZED EXTRACT OF HOLMSKIOLDIA SANGUINEA ON TUMOR BEARING MICE

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Cancer has been considered to be very dreadful disease. *Holmskioldia sanguinea* is a large climbing shrub found in the Himalayas at an altitude of 5,000 ft and preliminary investigation showed the excellent yield of andrographolide and subjected for the anticancer activity. Protective effect of *Holmskioldia sanguinea* leaf ethanolic extract has been investigated against Ehrlich ascites carcinoma (EAC) and Dalton's ascites lymphoma (DAL) in Swiss albino mice and to evaluate the possible mechanism of action. The enzymatic antioxidant status was studied on tumor bearing mice, which shows the potential of the compound to possess significant free radical scavenging property and revealed significant tumour regression and prolonged survival time. The isolated bioactive molecule andrographolide from *Holmskioldia sanguinea* yields (2.5%) when subjected to HPTLC/HPLC analysis. The cellular defense system constituting the superoxide dismutase, catalyses was enhanced whereby the lipid peroxidation content was restricted to a larger extent. The *Holmskioldia sanguinea* is a new source of andrographolide and demonstrated the potency in treatment of cancer.

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

CHEMICAL ASPECTS OF AMNESIA: REMEDY OF CANCER, A PREVIEW OF CORRELATION

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Drug induced amnesia is necessary for patients who suffer from traumatic effects of surgery or a disease. Benzodiazepine and acetylcholine have been commonly used for this. It is a 'more-than-clear' indication of therapeutic effect of amnesia. It is true that in most of the cases, 'horrors of cancer' is more damaging than the disease itself as we come across many people who live a normal life accepting cancer as a condition and not a disease, and there are many who succumb to cancer loosing all hopes and dying early. Amnesiac drugs can induce coma or help reduce inter cranial pressure after head trauma. There is high possibility that this drug induced amnesia would initiate certain chemical reactions resulting in the formation of certain compounds (hormones, proteins) in the brain cells that would have a strong hindering effect on cell multiplication in case of malignancy. Whatever be the cause of malignancy; genetic or carcinogenic, uncontrolled replication of cells (cancerous/defective) is the sole effect of cancer. Then, why not certain chemicals would stop (at least partially) the multiplication of cancer cells when there are ample instances in practical life, of people living a cancer free life by just forgetting about the disease ? The role of brain chemicals like serotonin, dopamine, glutamate and norepinephrine are known. There is an open field of extensive research for making more effective amnesiacs of organic nature so as to introduce groups into them that would counteract and nullify the basic characteristics of cancer cells namely, their ability to divide without appropriate external signals; not exhibiting contact inhibition; ability to divide in the presence of genetic damage etc. Additionally, we should never forget mind-controlling practices like meditation, music, and physical exercise does have positive effects on physical as well as mental health.

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

AN INTEGRATED APPROACH TO TACKLE FABRY DISEASE

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Pharmacological therapy with small molecules is a transversal approach and can be applied when instability of a protein is the first cause of pathology. Small molecules can act by direct interaction with the affected protein, and in this case are defined pharmacological chaperones or stabilizing mutants indirectly. A large proportion of Fabry mutations destabilize lysosomal α-galactosidase (AGAL). A pharmacological chaperone, 1-deoxygalactonojirimycin, is already in clinical trial. In order to test the effects of drugs on different mutations, a cell based assay has been developed. Expression vectors encoding mutant AGAL are transiently transfected into mammalian cells and the residual activity of the enzyme is measured in the extracts of cells that had been treated or not treated with the drug. These data offer the unique possibility of associating a numerical value that correlates to the severity of the damage to hundreds of mutations. 1-deoxygalactonojirimycin is a promising drug, but, regrettably, it is an inhibitor of the enzyme. The therapy needs a precise regimen to balance the stabilizing effect of the drug, which is required, versus the inhibitory effect, which is detrimental. Allosteric ligands might act as pharmacological chaperones, and in this case they might prove to be more effective than reversible inhibitors, since they would play their stabilising action without competing with the natural substrate. A pilot study of our laboratory demonstrated that non inhibitory small molecules can be found for Fabry disease.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

MANGANESE-INDUCED NEUROTOXICITY: LESSONS FROM WORMS TO HUMAN NEONATES

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Manganese (Mn) is a trace metal required for normal physiological processes in humans. Mn levels are tightly regulated, as high levels of Mn results in accumulation in the brain and cause a neurological disease known as manganism. Manganism shares many similarities with Parkinson's disease (PD), both at the physiological level and the cellular level. Exposure to high Mn-containing environments increases the risk of developing manganism. Homozygous mutations in *SLC30A10* cause familial Parkinsonism associated with manganese (Mn) retention. We recently identified SLC30A10 to be a cell surface-localized Mn efflux transporter and demonstrated that Parkinsonism causing mutations block its intracellular trafficking and efflux function. In C. elegans, SLC30A10 over-expression protected against Mn-induced lethality and dopaminergic neurotoxicity, consistent with results in mammalian systems. SLC30A10 expression did not protect worms against $ZnSO_4$ toxicity, suggesting that SLC30A10 does not mediate Zn export in *C. elegans*.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

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Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

3D SRUCTURE-LIGAND BASED AND ADME PREDICTION OF α-MANGOSTIN AND ITS DERIVATIVES AGAINST ESTROGEN RECEPTOR α

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α-mangostin is the main active compound of *Garcinia mangostana* pericarp, which inhibits the proliferation of the MCF-7 cell line with an IC_{50} 20 μM. Molecular docking simulation and 3D structure-based pharmacophore models were employed to identify the molecular interactions of α-mangostin and its derivatives against estrogen receptor α (ERα). The results showed that the binding energy of α-mangostin and its best derivative (AMD10) were −9.05 kcal/mol and −11.89 kcal/mol, respectively. These compounds also interacted with Thr347, Asp351, Met388, Met528, Ile424, Arg394, and Glu353. The pharmacophore-fit scores of α-mangostin and AMD10 were 83.06% and 86.46%, respectively. In addition, the absorption, distribution, metabolism and excretion (ADME) properties were predicted. These results showed that α-mangostin and AMD10 are promising candidates of novel anti-breast-cancer agents with antagonistic activity to ERα.

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EuroSciCon

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

RECENT STRATEGIES FOR THE REMOVEL OF HEAVY METALS VIA BIOSORPTION

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With the passage of time, the humanity is going to enter very fastly in a prosperous and industrial era. Due to the enormous increases in our population, our needs and requirements are increased day by day. To facilitate the human needs new industries are developed like leather industry, heavy industry and polymer industry etc. The industries fulfill our needs in excellence way. But industries pollute and contaminate our green system and aqueous media very brutally, which is still a question mark and demand to think very seriously about this. How the industries are an environmental threat for our eco systems? The answer is that industries cause very toxic effluents and unfortunately there is no proper method to discharge and waste these toxic effluents. A very brief discussion regarding the toxic effluents has been enlightened in this work. Beside industries, human being himself pollutes this globe by their sewage discharge but it's a natural phenomenon it does not contaminate our environment like the heavy metals or industrial effluents. This review was aimed to further discuss and evaluate the modern biosorption techniques in a realistic way to decontaminate the heavy metals through naturally abundant sorbents, and men made sorbents like coconut husk, trees bark and wheat straws etc. In this work we will also try to explain properties, occurrence and decontamination of some most common heavy metals by using different sorbent, factors effecting the biosorption, kinetics and equilibrium studies of biosorption and quantum studies of bisorption phmenomenon.

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EuroSciCon

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

SYNTHETIC ROUTE OF ANETHOLE

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Anethole is colorless fragrant; it resembles herb. This compound is likely to be viscous not completely soluble in water, which makes it better suited for ethanol. Anethole is an unsaturated ether component, one aromatic benzene ring is connected with unsaturated aliphatic carbon chains; that is used for its flavoring properties. It is 13 times sweeter than sugar. Today it can be used in drinks to help make them more palatable and sweeter. It is also used in specific oral hygiene products, seasonings and frozen berry products. Not only does the compound add a specific taste to items, but it also has incredibly helpful elements. We have prepared this anethole from anisole reaction with propionyl chloride under Friedel Craft's reaction. Later, ketone form changed into hydroxyl in presence of NaBH4 and further dehydrogenated with KHSO4 to form isomer of anethole. This isomer was separated from freezing method. The obtained trans-anethole was 99.98% (GC).

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EuroSciCon

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

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Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

COMPARATIVE EFFICACY OF SALINOMYCIN SODIUM WITH HERBAL PRODUCT (ACOX) AS A FEED ADDITIVE ANTI-COCCIDIAL IN BROILERS

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Poultry meat consumption has increased all over the world of all meat consumption according to FAO. Coccidian among major parasites of poultry causes heavy economic losses. Synthetic feed additive anti-coccidials are being mix in feed for prevention and control but they have comparatively little shelf life and elevated price as well as prolong utilization is developing resistance in poultry for these chemical feed additives. It is very important that substitute inexpensive way to be searched out for safe as well as low cost coccidiostats. The present research trial was conducted to highlight the prophylactic efficacy of herb Acox. The research was conducted to compare the anticoccidial efficacy of ionophores- Salinomycin and an herbal product-ACox. Broiler chicks were reared. The chicks were then at random subjected into eight groups viz; A, B, C, D, E, F, G, and H. Group C was (salinomycin 12%) Kokcisan treated group. Group D, E, F, G and H were treated with five levels of Acox viz Acox1, Acox2, Acox3, Acox4 and Acox5 respectively. These levels were formulated according to the active ingredient level. Group-A was non-infected non-medicated. Group-B was given infection dose but non-medicated. All the groups except that of group-A were given challenge dose of infection of coccidiosis on 22nd day of experiment. The oocyte count was done on 5th, 6th and 7th day post infection. The feed consumption rate and average weight gain were recorded weekly. Record of mortality was maintained and postmortem of dead birds were also performed. After collection whole data was statistically analyzed using one way analysis of variance and least significant difference (LSD) test to detect the difference between treatments means. It was concluded that Acox3 ingredient level 150g/ton of feed had outstanding activity in with respect to weight gain, oocyst count, reduction in mortality with respect to all other levels of Acox and also especially to Kokcisan (salinomycin sodium 12%), while Kokcisan (salinomycin 12%) showed mortality and high oocyte count. Acox1 and Acox2 were also not as significant as anticoccidial. The results of this study will help to feed millers in choosing best and economical feed additive anticoccidial.

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EuroSciCon

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

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Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

THE ARYLCYANOMETHYLENEQUINONE INHIBITION OF GROWTH AND FORMATION OF HYPHAE IN CANDIDA ALBICANS

Oleg M Demchuk[1], Maciej Masłyk[2], Konrad Kubiński[2], Monika Janeczko[2], Hieronim Golczyk[2], Anna Sierosławska[2] and Anna Rymuszka[2]

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In humans, ten genera of fungi, i.e. Aspergillus, Candida, Cryptococcus, Blastomyces, Coccidioides, Histoplasma, Paracoccidioides, Penicillium, Pneumocystis, and Rhizopus have a high prevalence in infections, with more than 40% associated with Candida. Antifungal resistance is an increasing threat for the effective treatment of invasive mycoses, making their therapy difficult, expensive, or even impossible. Therefore, there is an urgent need for new compounds targeting different cellular processes, including phosphorylation, to deal with Candida infections. In this study, we applied various assays to find new activities of phenylcyanomethylenequinone oxime (4-AN) for potential anti-microbial applications. These assays determined (a) the antimicrobial effect on growth/cell multiplication in bacterial and fungal cultures; (b) the effect on *in vitro* activity of CK2, i.e. one of the most pleiotropic kinases, and the Rio1 kinase, which is crucial for ribosome maturation; (c) hemolytic activity towards human erythrocytes; and (d) toxicity against the Caco-2 cancer cell line. We demonstrated, for the first time, the activity of 4-AN against selected bacteria and against Candida. At 125-250 µg/ml of the minimum inhibitory concentration (MIC), the chemical significantly affected the bacterial strains. Interestingly, the MIC ranging from 3.9 µg/ml to 7.8 µg/ml showed effectiveness in inhibition of formation of hyphae and cell aggregation in Candida, which was demonstrated at the cytological level. Notably, 4-AN was found to inhibit the CK2 and Rio1 kinases with different potency. However, at low concentrations, it did not exert any evident toxic effects on human cells. The details of our studies, which describe the synthesis, activity, and proposition for the mechanism of an action of studied compounds will be presented.

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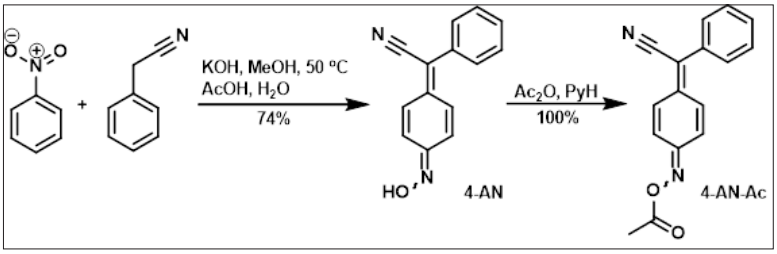

Figure 1 Synthesis of 4-AN and 4-AN-Ac

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July 30-31, 2018
Amsterdam, Netherlands

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DOI: 10.21767/2472-1123-C3-009

SYNTHESIS OF PHARMACEUTICALLY ACTIVE TETRAZOLOPYRIMIDINES CATALYSED BY NEW MAGNETIC NANOPARTICLES

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Substituted pyrimidines are very important biologically and pharmaceutically active agents in the medicinal chemistry and drug discovery processes. A multicomponent reaction (MCRs) is ideal synthetic strategy to construct diverse molecular scaffolds of tetrazolopyrimidines starting from a few simple materials or intermediates. In connection with our continuous interest in design of new efficient and green protocols of synthesis of new biologically active compounds, we developed sonochemical approach for the one-pot four-component synthesis of 5-methyl-7-aryl-4,7-dihydrotetrazolo[1,5-a]pyrimidine-6-carboxylic esters, obtained in the reaction of 2-cyano-guanidine, sodium azide, various aromatic aldehydes and methyl or ethyl acetoacetates in the presence of a catalytic amount of new functionalized hybrid organic-inorganic nanoparticle magnetic metal oxide core shell based catalyst Fe_2O_3@SiO_2-$(CH_2)_3NHC(O)(CH_2)_2PPh_2$. This is the first design, preparation, full characterization and application of the present nanomaterial and also the first ultrasound irradiated synthesis of the biologically and pharmaceutically important heterocyclic compounds in water used as a green solvent. The novel protocol offers several advantages such as high yields, short reaction times, mild reaction conditions and environment friendly reaction media, easily isolation of the products, simple preparation, and recoverability of the nanocatalyst by an external magnet and reusing several times without significant loss of activity. The details of our studies, which describe a scope and generality of the one-pot, simple and high atom economy strategy of synthesis of tetrazolopyrimidine derivatives with respect to various starting materials, will be presented.

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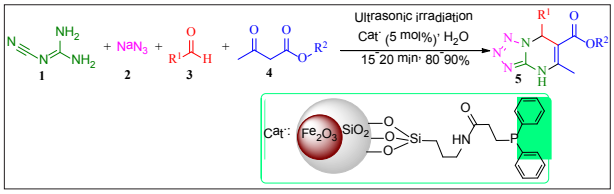

Figure. Synthesis of tetrazolo[1,5-a]pyrimidines

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Medicinal Chemistry, Pharmacology and toxicology

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NEW ASPECTS OF SYNTHESIS AND MICROBIOLOGICAL APPLICATIONS OF β-EWG FUNCTIONALIZED β-NITROSTYRENE

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Conjugated nitroalkenes are valuable precursors in organic synthesis they have significant affinity to nucleophilic reagents as diazocompounds, nitrones, ylides, carbodienes, vinyl ethers etc., additionally; nitro group may be easily converted to many other functional groups. Subsequently it was known, that nitro group conjugated with sp^2 carbon atom stimulate many forms of biological activity. Considering these facts we decided to check a series of (E)-2-aryl-1-cyano-1-nitroethenes as potential antibacterial and antifungal agents but without genotoxic properties. A homogenous series of β-EWG functionalyzed β-nitrostyrenes were synthesized and characterized by IR, UV, ^{1}H-NMR and ^{13}C-NMR spectra. Obtained compounds were screened *in vitro* against a panel of reference strains of bacteria and fungi and their cytotoxicity towards cultured human HepG2 and HaCaT cells was established. Antimicrobial results indicated that four of synthesized compounds exhibited significant antimicrobial activity against all tested reference bacteria and fungi belonging to yeasts with a specific and strong activity towards *B. subtilis* ATCC 6633. The details of our studies, which describe the synthesis, activity, and proposition for the mechanism of an action of studied compounds, will be presented.

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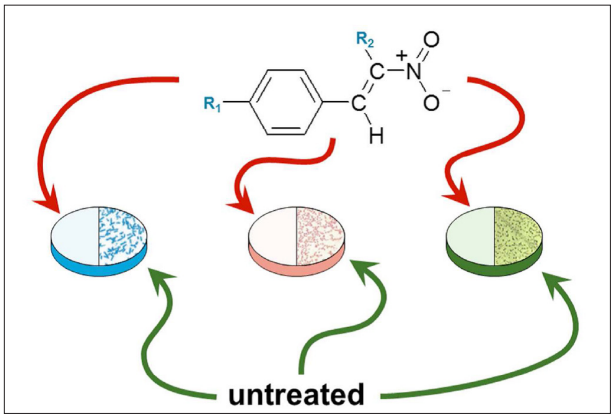

Figure. Synthesis of 4-AN and 4-AN-Ac

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

NEW 1, 4-NAPHTHOQUINONES: ANTIMICROBIAL AGENTS

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In the past decade, a problem of increasing number of bacterial pathogens presenting multidrug resistance to antibiotics has been observed. According to the World Health Organization multidrug-resistant bacteria are responsible for an estimated 25,000 deaths in Europe each year. The accumulation of antimicrobial agents in the environment and the exposure to these drugs provides the pressure for the diffuse of resistant pathogens. That is why the joint programming initiative on antimicrobial resistance supported by 18 European countries plus Canada recommended a promotion of research and development of novel antimicrobial strategies and antibacterial agents as the one of the key measures that should be adopted to fight the emergence and spread of antibiotic resistance worldwide. Regarding development of novel antimicrobial drugs, naphthoquinone derivatives are of wide interest because of their diverse functions and clinical applications. This system moiety is present in many natural compounds of wide biological action. The major objective of the present study was the synthesis and biological evaluation of a new series of 1, 4-naphthoquinone derivatives. The obtained compounds were tested against a panel of Gram-positive and Gram-negative bacteria strains as well as Candida strain. Additionally, we have verified the haemolytic properties of selected compounds against human erythrocytes. Each of examined naphthoquinone derivatives presented certain antimicrobial activity with predominant MIC values of 125-250 µg/mL. The most promising bacterial target of naphthoquinone derivatives presented the highest and selective potency towards *S.aureus* with MIC values between 7.8 and 62.5 µg/mL. The details of our studies, which describe the synthesis, antimicrobial activity, and proposition for the mechanism of an action of studied compounds, will be presented.

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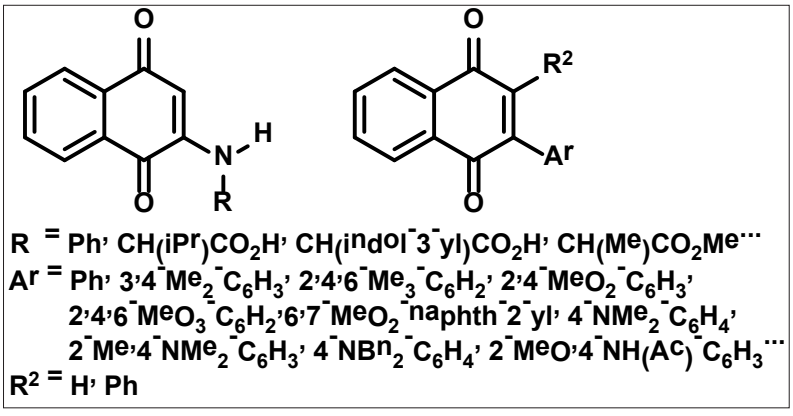

Figure. New 1, 4-naphthoquinone derivatives

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DOI: 10.21767/2472-1123-C3-009

CHEMICAL CONSTITUENTS AND BIOLOGICAL ACTIVITIES OF DIFFERENT SOLVENT EXTRACTS OF PROSOPIS FARCTA GROWING IN EGYPT

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Different solvent extracts from the aerial part of *Prosopis farcta* growing in Egypt have been biologically evaluated by studying their antimicrobial, anticancer and antioxidant activities. Furthermore, the chemical analysis using GC/MS has been performed for the promising extracts n-hexane and methylene chloride, and this analysis led to the identification of 26 and 32 compounds respectively from n-hexane and methylene chloride. The major compound identified in the n-hexane is (Z) 9.17- octadecadienal (10.60%) while for methylene chloride is tricosanoic acid (9.24%). In addition, chromatographic isolation of the ethyl acetate and n-butanol extracts resulted in the isolation of four compounds which were identified as; dihydrokaempferol-3-O-α-L-rhamnoside (1), apigenin (2), 4'- methoxyquercetin (tamarixetin) (3) and acacetin-7-O-α-L-rhamnoside (4). n-hexane and methylene chloride showed moderate antimicrobial activities against three microbes for each, that is, Shigella spp., *Escherichia coli and Proteus vulgaris* for n-hexane and *Erwinia spp., Escherichia coli and Staphylococcus epidermis* for methylene chloride. On the other hand, the ethyl acetate showed higher antimicrobial activities against *Shigella spp., Escherichia coli, and Candida albicans*. Likewise n-butanol extract showed higher activity against *Shigella spp., Erwinia spp., E. coli, P. vulgaris, S. epidermis and Candida albicans*. Moreover, the anticancer activities were evaluated against four human tumor cell lines namely; HepG-2, HeLa, PC3 and MCF-7. The n-butanol extract showed the highest activity against MCF-7 cell line with IC50 of 5.6 µg/ml compared to 5-fluorouracil with IC50 of 5.4 µg/ml, while the ethyl acetate showed the highest activity against Hela cell line with IC50 of 6.9 µg/ml compared to 5-fluorouracil with IC50 of 4.8 µg/ml. Also, the inhibition percentages (I%) of 2,2'-azino-bis(3-ethylbenzothiazoline-6-sulphonic acid (ABTS) radical were 83.1, 82.0, 87.2 and 87.0% respectively for the n-hexane, methylene chloride, ethyl acetate and n-butanol extracts, respectively, compared to ascorbic acid with 89.2%. In, conclusion the different extracts of P. farcta aerial part showed promising antimicrobial, anticancer and antioxidant activities, in which may be return to their identified bioactive secondary metabolites.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

MANAGEMENT OF H. PYLORI GASTRIC INFECTION VIA SURFACE-GRAFTED ANTIMICROBIAL PEPTIDES

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Helicobacter pylori **chronic infection is associated, among other severe gastric disorders, with intestinal-type gastric carcinogenesis, being this the fifth most common cancer and the third leading cause of cancer-related death worldwide. Classical *H. pylori* eradication treatment, combining two antibiotics and a proton pump inhibitor, reduces the risk for gastric carcinoma development, but treatment of *H. pylori* infection is challenged by a dramatic fall in eradication rates all over the world. Currently, this bacterium is listed among the 16 antibiotic-resistant bacteria that pose greatest threat to human health according to the World Health Organization. Antimicrobial peptides (AMPs) present an alternative to conventional antibiotic therapies, being their most striking feature the low tendency to induce bacterial resistance, since AMPs selectively damage the bacterial membranes through mechanisms that bacteria find difficult to evade. In an *in vivo* scenario, "unbound AMPs" can undergo proteolysis and peptide aggregation, leading to efficiency decrease. AMP grafting onto nanoparticles has been reported as a good strategy to protect peptides from aggregation and enzymatic degradation in vivo, therefore increasing long-term stability and avoiding cytotoxicity is associated with application of high AMP concentrations. In this study, we demonstrated that the AMP MSI-78A could be surface-grafted without compromising its activity. Moreover, MSI-78A-decorated surfaces were highly effective against *H. pylori*, killing bacteria by contact in a short time span, since after 2 hrs only, 2% of *H. pylori* remained viable in suspension. These results encourage the utilization of grafted MSI-78A on biocompatible nanoparticles as an alternative to the currently available therapy against H. pylori, opening new routes for gastric infection management.**

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
Amsterdam, Netherlands

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

THEORETICAL INVESTIGATIONS FOR SOME N-SUBSTITUTE BENZENE SULPHONAMIDES FOR ACTING AS ANTIMICROBIAL AND ANTICANCER THERAPY

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Indeed, investigations using quantitative structure activity relationships (QSAR) are quite essential for modern chemistry and biochemistry. For qualified scientists such investigations having additional advantages as giving the background interpretations for the fine effect of each predicted descriptor. Among these of very important applications is that the exploiting of QSAR in drug design and discovery. Recently, Kumar and his co-workers have addressed 32 derivatives of 4-(1-aryl-2-oxo-1,2-dihydro-indol-3-ylideneamino)-N-substituted benzene sulphonamides [Arabian Journal of Chemistry (2014) 7, 396-408]. It seems interesting to investigate theoretically the main factors that influence the activity of these synthesised compounds for antimicrobial and anticancer therapy. This could lead to have an important hint that may be quite helpful for predicting and developing new molecules that could be more effective in those issues. The results showed that there is a good correlation between topological properties of molecules with their antimicrobial activity. For example, the Balaban index that depending on the number of edges for molecules plays a major role which gives an individual correlation coefficient of +0.714. In a similar manner, the cluster count and polar surface area as also belonging to topological properties give a good correlation. On the other hand, the results indicate that there is no any significant correlation between all properties with anticancer activity. In other words, there is no connection between the parameters that affecting antimicrobial activity with that of anticancer. Therefore, extensive efforts must be paid in order to find the suitable parameters that could help for predicting new anticancer compounds.

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Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

July 30-31, 2018
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J Org Inorg Chem 2018, Volume 4
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ANTI-CANCER EFFECTS OF WILD MINT'S CRUDE EXTRACT IN ADRENOCORTICAL TUMOUR CELL LINES

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Background: Mint (*Mentha longifolia* L.) is an aromatic plant that belongs to Lamiaceae family. It is traditionally used as herbal tea in Europe, Australia and North Africa and shows numerous pharmacological effects, such as spasmolytic, antioxidant, antimicrobial and anti-hemolytic. Recently its antiproliferative role has been suggested in a small number of tumour cell models, but no data are available on adrenocortical carcinoma, a malignancy with a survival rate at 5 years of 20-30% which frequently metastasize.

Aim: To study the effects of *Mentha longifolia* L. crude extract on 2 adrenocortical tumour cell models (H295R and SW13 cells).

Results: Chemical composition of methanolic extract of wild mountain mint was assessed by gas-chromatography/mass spectrometry analysis. Cell viability and vitality were evaluated by MTT, SRB and trypan blue assays in H295R and SW13 cells. The anti-proliferative effects of mint were more evident in SW13 cells at 72h. Combination of the extract with mitotane (approved drug for adrenocortical carcinoma) reinforced the efficacy of the herb. As control, human fibroblasts were treated with mint, though no effect on cell viability was perceived. Brine shrimp lethality assay showed no alteration of mortality at lower mint doses. Wright staining demonstrated the presence of both necrotic and apoptotic cells, more evident with combined treatments (mint+mitotane). Other experiments are in progress to expand the possible effects of mint extract.

Conclusions: The crude extract of wild mint can decrease cell viability, vitality and survival of adrenocortical tumour cell models, in particular of SW13 cells. These data show the potential of mint extract, still more work is needed to corroborate these findings

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EuroSciCon

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

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J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

AMELIORATION OF RENAL INFLAMMATION, OXIDATIVE STRESS AND NECROSIS UNDERLIES THE PROTECTIVE EFFECT OF UNOPENED COCONUT INFLORESCENCE SAP POWDER IN GENTAMICIN-INDUCED NEPHROTOXICITY

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Fresh oyster white translucent sap obtained from the tender unopened inflorescence of coconut trees (*Cocos nucifera*) is identified to have great health benefits. Drug induced nephrotoxicity is one of the major causes of renal damage in present generation. As a therapeutic agent, gentamicin imparts direct toxicity to kidney, resulting in acute tubular necrosis, glomerular and tubulointerstitial injury, haemodynamically mediated damage and obstructive nephropathy. There exists an increasing demand for safe and natural agents for the treatment and/or prevention of chronic nephrotoxicity and pathogenesis of kidney diseases. Our study shows the nephro protective/curing effect of a novel powder formulation of micronutrient enriched, unfermented coconut flower sap (CSP). The study was performed on adult male Wistar rats. The animals were grouped into three and treated separately with vehicle, gentamicin and gentamicin+CSP for 16 days. Initially, gentamicin treatment significantly ($p<0.05$) reduced the levels of antioxidant enzymes (SOD, CAT, GPx) and GSH and increased ($p<0.05$) the levels of creatinine, uric acid, urea, inflammatory markers (nitrite, IL-6, TNF- α, iNOS) and lipid peroxidation. Supplementation of coconut flower sap powder showed significant ($p<0.05$) reversal of all these biochemical parameters indicating an effective inhibition of the pathogenesis of nephrotoxicity and kidney disease

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EuroSciCon

July 30-31, 2018
Amsterdam, Netherlands

Annual Congress on
Medicinal Chemistry, Pharmacology and toxicology

J Org Inorg Chem 2018, Volume 4
DOI: 10.21767/2472-1123-C3-009

DISCOVERY OF NOVEL ANTI-DIABETIC AGENTS

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TGR5 is a G protein-coupled receptor (GPCR), activation of which promotes secretion of glucagon-like peptide-1 (GLP-1) and modulates insulin secretion. The 2-thio-imidazole derivative 6g was identified as a novel, potent and selective TGR5 agonist (hTGR5 EC_{50} = 57 pM, mTGR5 = 62 pM) with a favourable pharmacokinetic profile. The compound 6g was found to have potent glucose lowering effects in vivo during an oral glucose tolerance test in DIO C57 mice with ED50 of 7.9 mg/kg and ED90 of 29.2 mg/kg. GPR40 / FFAR1 is another G protein-coupled receptors predominantly expressed in pancreatic β-cells and activated by long-chain free fatty acids, mediates enhancement of glucose-stimulated insulin secretion. A series of novel substituted 3-(4-aryloxyaryl) propanoic acid derivatives were prepared and evaluated for their activities as GPR40 agonists, leading to the identification of compound 5, which is highly potent in vitro assays and exhibits robust glucose lowering effects during an oral glucose tolerance test in nSTZ rats (ED50 = 0.8 mg/kg; ED90 = 3.1 mg/kg) with excellent pharmacokinetic profile, and devoid of cytochromes P450 isoforms inhibitory activity.

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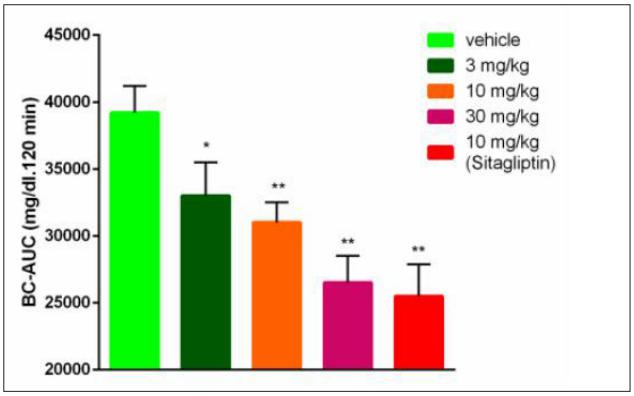
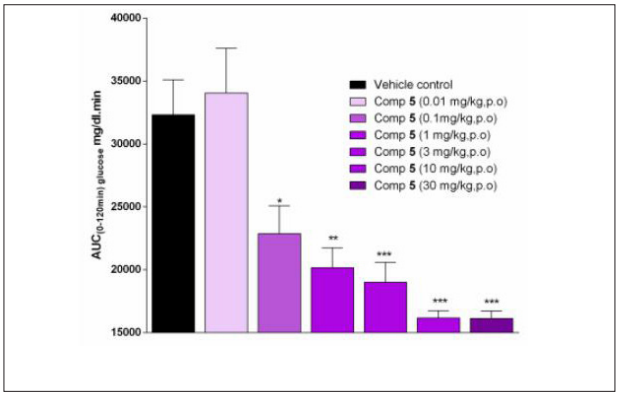


In vivo efficacy of 6g (TGR5 agonist) in DIO C57 mice



In vivo efficacy studies of 5 (GPR40 agonist) in nSTZ wistar rats

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GENETIC DIVERSITY STUDY OF ETHIOPIAN HOT PEPPER CULTIVARS (CAPSICUM SPECIES) USING INTER SIMPLE SEQUENCE REPEAT (ISSR) MARKER

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Background: Hot pepper (*Capsicum species*) is an economically important spice widely cultivated and consumed in Ethiopia. In spite of its wide importance, there is no information available on molecular genetic diversity of this crop. The importance of cultivars characterization is an important link between the conservation and utilization of plant genetic resources in various breeding programmes

Result: Using five ISSR primers, a total of 37 scorable bands were generated of which 35 (94.6%) were polymorphic. Within population diversity based on polymorphic bands ranged from 51.35% to 91.89 % with a mean of 66.6 %, Nei's genetic diversity of 0.19-0.30 with a mean of 0.28, and Shannon information index of 0.29-0.45 with a mean of 0.43. With all diversity parameters, the highest diversity was obtained from Amhara2 populations, whilst the lowest was from Oromia2. From Jaccard's pairwise similarity coefficient, Oromia1 and Oromia2 were most related populations exhibiting 0.956 similarity and Semn omo and Amhara 2 were the most distantly related populations with similarity of 0.827. Clustering was showed that there is strong correlation between geographic distance and genetic diversity of Ethiopian hot peppers cultivars because geographically closely related species have been clustered together.

Conclusion: Amhara 2 populations (from West Gojjam and North Gonder) exhibited the highest genetic diversity so that the populations should be considered as the primary sites in designing conservation areas for this crop. Further, it is suggested that molecular markers are valid tags for the assessment of genetic diversity in *Capsicum species* cultivars.

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EuroSciCon

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Medicinal Chemistry, Pharmacology and toxicology

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J Org Inorg Chem 2018, Volume 4
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PHYTOCHEMICAL AND ANTIMICROBIAL ACTIVITY OF BIOACTIVE COMPOUND EXTRACTED FROM EMBELIA SCHIMPERI VATKE AGAINST HUMAN PATHOGENS

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Background: *Embelia schimperi* is among medicinal Mvrsinaceae plants found in Ethiopia which find a wide range of application in ethno-pharmacology as antimicrobials and antihelmentic. It has been used for the treatment of intestinal parasites especially tapeworm infestations for centuries in Ethiopia. This study has therefore evaluated the efficacy and phytochemical analysis of *E. schimperi* thereby generating relevant preclinical information.

Methods: The fruit berries of *E. schimperi* were extracted with cold methanol, n-hexane, ethanol, petroleum ether. The antihelmentic activity was evaluated on the earthworm *Pheretima posthuma* collected due to its anatomical and physiological resemblance with the intestinal round worm parasites of human. Antibacterial activity was carried out with the pure compounds against *Staphylococcus aureus* and *Salmonella typhi*.

Results: The paralysis causing concentration within 30 minutes of the crude extracts and Albendazole were 50 mg/ml and 25 mg/ml respectively. The crude extracts showed nematicidal activity against the earth worms whereas the nematocidal concentration required within 30 minute for the crude extracts and Albendazole were 100 mg/ml and 25 mg/ml. The crude extracts showed nearly similar activities against all the tested bacteria in the study. From zone inhibition diameters of compounds *Embelia schimperi* methanol and ethanol extract were compared on S typhi and *S. aureus*, both compounds were showed activity on *S. aureus* nearly in similar way. These compounds were reasonably active against S typhi and *S. aureus* it makes an appropriate candidate for further investigation in control of these multi-drug resistant bacteria.

Conclusion: Antibacterial activities vary with the test organisms, plant material and the solvents used. Thus, the result ascertains the value of plant used in the study could be of considerable interest to the development of new antimicrobial drugs.

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DOI: 10.21767/2472-1123-C3-009

RETROSPECTIVE EVALUATION OF THE PATIENTS ADMITTED TO ICU WITH ACUTE POISONING

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Background: Information on the treatment and follow-up of patients admitted to intensive care due to the poisoning was investigated and statistically evaluated to improve the medical approach to these cases.

Material & Methods: Patients over 17 years admitted to intensive care unit due to the poisoning diagnosis between Jan' 2015 – Dec' 2017 were included in study. Age, gender, educational status, chronic diseases history, type of the exposed toxic material, the way of exposure, length of intensive care stay, mechanical ventilation requirement, Glasgow Coma Scale (GCS) score, Acute Physiology and Chronic Health Evaluation II (APACHE II) Score and the prognosis of the patients were recorded.

Results: The patients were of 61.16% males. 41.7% of patients have a substance abuse history. Multiple substance intakes were the most common type of poisoning (24.3%). The GCS was found to be 8 or less in 85.7% of exitus patients and this rate was 14.3% in the survivors and were found to be statistically significant different (p=0.00). There was statistically significant difference between the APACHE II scores of death and surviving patients (p<0.001). The mean duration of intensive care unit stay was 3.17 days and the mortality rate during the intensive care unit follow-up was determined as 7.3%. 100% of the patients with exitus were male patients.

Conclusion: Most of the cases of toxicities treated in ICU were male patients, although majority of suicide cases were female patients. New types of synthetic drugs are seriously affecting mortality because of the increased abuse and ease of acquisition. GCS and APACHE II scores at the time of arrival and the length of hospital stay are still important indicators of mortality. Planning the treatment according to the characteristics of the patients will be meaningful not only to increase the survival rates but also to decrease the treatment costs.

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J Org Inorg Chem 2018, Volume 4
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GREEN TECHNOLOGIES IN PHARMACEUTICAL PRODUCTION: OBTAINING OPTIMAL QUALITY OF PRODUCTS

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Using products on the basis of medicinal plants in prevention and/or therapy of certain diseases and medical conditions is becoming more and more widespread. For that reason, the necessity for further investigation in the field of obtaining natural products arises. However, traditional technologies mainly used in the production of natural products use organic solvents which are not safe for human health and the environment. In addition, classical methods of preparation of extracts quite often imply insufficiently used raw materials due to insufficient selectivity of solvents. This further results in low quality extracts in terms of yield and content of pharmacologically active substances. As a response to the need for production of natural preparations of optimal quality and ecological benefits, green innovative technologies have emerged which surmount the shortcomings of traditional methods for obtaining these products. These technologies include the possibility of procuring natural products of high quality, with a process which is environmentally safe and safe for human health. Depending on the type of material, chemical composition, desired pharmaceutical shape of the product, the appropriate technology of production is selected. Among the most common new technologies are extraction with fluids in supercritical and subcritical state, microwave, and ultrasound extraction. This study presents clear differences and principles of selecting the adequate technology for the production of preparations. Presented results of the research which include physical, chemical, and pharmacological characteristics of products obtained by using different green technologies, will be used to recognize the advantages and disadvantages of alternative technologies, and estimate the potential and validity of their implementation into the production of pharmaceutical products.

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MICROEMULSION FOR IMPROVED SKIN DELIVERY AND IN VIVO ANTI-INFLAMMATORY EFFECT

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We have designed a microemulsion (ME) containing Ketoprofen (KET) for anti-inflammatory effect evaluated using the rat paw edema model. The ME was prepared by adding propylene glycol (PG) loaded with 1% KET/water (3:1, w/w), to a mixture of sorbitan monooleate and polysorbate 80 (47.0%) at 3:1 (w/w) and canola oil (38.0%). The physicochemical characterization of KET-loaded ME involved particle size and zeta potential determination, entrapment efficiency, calorimetric analysis, and in vitro drug release. The in vivo anti-inflammatory study employed male Wistar rats. Measurement of the foot volume was performed using a caliper immediately before and 2, 4, and 6 h after injection of Aerosil. KET-loaded ME showed particle size around 20 nm, with zeta potential at -16 mV and entrapment efficiency at 70%. Moreover, KET was converted to the amorphous state when loaded in the formulation and it was shown that the drug was slowly released from the ME. Finally, the in vivo biological activity was similar to that of the commercial gel, but ME better controlled edema at 4 h. These results demonstrated that the ME formulation is an alternative strategy for improving KET skin permeation for anti-inflammatory effect. Furthermore, our findings are promising considering that the developed ME was loaded with only 1% KET, and the formulation was able to keep a similar release profile and in vivo effect compared to the commercial gel with 2.5% KET. Therefore, the KET-loaded developed herein ME is likely to have a decreased side effect compared with that of the commercial gel, but both presented the same efficacy.

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POTENTIALS FOR DRUG DISCOVERY IN THE HORN OF AFRICA: AN ETHNOBOTANICAL APPROACH TO STUDY OF MEDICINAL PLANTS IN SHEKA ZONE, SOUTHERN NATIONS, NATIONALITIES AND PEOPLES' REGIONAL STATE, ETHIOPIA

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A study on ethnobotany of medicinal plants was conducted in Sheka Zone, Ethiopia. The objective was to document and analyze the floristic composition and the associated ethnobotanical knowledge on medicinal plants. The study applied a combination of standard plant taxonomic, plant ecological and ethnobotanical methods. 95 plots of 30 m x 30 m for trees, 10 m x 10 m for shrubs and 5 m x 5 m for herbs were used to collect vegetation data. 414 (384 randomly sampled general and 30 purposively sampled key) informants were involved in the ethnobotanical data collection with application of semi-structured interviews and discussion with informants. Data were analyzed using R Statistical Software version 3.2.3 and analytical methods of ethnobotany. A total of 266 medicinal plants were recorded. Eight plant community types were identified. In addition to climatic (rainfall and temperature) variability, five environmental factors including altitude (r^2=0.722, p=0.001), slope (r^2=0.236, p=0.001), aspect (r^2=0.207, p=0.001), grazing (r^2=0.075, p=0.036), and disturbance (r^2=0.066, p=0.047) had significant contributions in determining plant community types. The medicinal plants are distributed within the eight plant communities constituting 46% to 72% of their species composition. These medicinal plants are used to treat 204 (77%) human, 10 (4%) livestock and 52 (19%) human and livestock ailments. There is significant (α= 0.05) positive correlation between respondents' average distance from health centers and medicinal plant use citation frequencies. Chemical profiling of potentially effective medicinal plants all against health problems such as jaundice is needed and will be used as an input for the preparation of local as well as national medicinal plant monographs for future use in drug research and development.

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