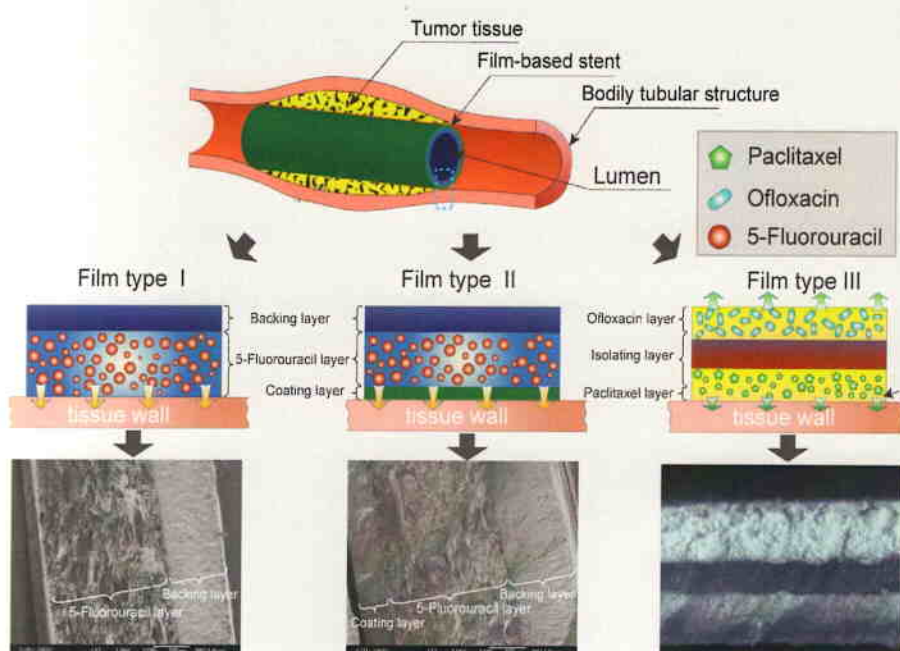


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**(Vol. 18, 36 issues) January 2011 - December 2011:**  
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Academic subscription, print or online: \$ 5490.00  
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metabolism of astrocytes, the brain cells responsible for the production and provision of lactate, as the primary metabolic fuel for neurons.

**Conclusion:** Remarkably different levels of plasma glycolytic parameters were recorded in Saudi autistic patients. This could be correlated to the impairment of energy metabolism glutathione depletion, and lead intoxication previously detected in the same investigated samples. The identification of biochemical markers related to autism would be advantageous for earlier clinical diagnosis and intervention.

**Keywords:** Autism, glycolysis, lactate, lactate oxidase, pyruvate kinase, hexokinase.

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**PO-46**

**ANTIMICROBIAL AND APHRODISIAC POTENTIALS OF SOME HAUSA PLANTS OF NORTHERN NIGERIA**

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Some Hausa aphrodisiac herbal medicines are claimed to be effective for the treatment of infectious diseases, suggesting their antimicrobial activity in addition to the aphrodisiac property. This study was carried out to determine the antimicrobial and aphrodisiac activities of two Hausa plants, namely *Gardenia erubescens* and *Fadogia agrestis*. The aphrodisiac activities of ethanolic extract of the plants were evaluated in male albino rats using the Lawler method. The rats were given oral doses of 50mg/kg, 100mg/kg and 200mg/kg of body weight of each of the extracts at three hour intervals respectively. Their mounting behaviours were evaluated at each interval. This was compared with that of Sildenafil Citrate 10mg. Phytochemical analysis was also conducted on the extracts to determine their chemical constituents and acute toxicity levels (LD50) using the Lorke method. Antibacterial activity of the ethanolic extract of the two plants was tested on four bacterial clinical isolates at a concentration of 500µg/disc using the agar diffusion method. Results show that *F. agrestis* extracts contains glycosides and carbohydrates, steroids, resins and flavonoids, while that of *G. arubescens* was found to contain steroids and resins. Ethanolic extract of *G. arubescens* had an LD50 of 316mg/kg while that of *F. agrestis* was not lethal at concentrations up to 5000mg/kg. Extracts of both plants resulted in significant increase in mount frequency. That of *F. agrestis* was found to have a similar activity with the control, Sildenafil Citrate, at all the concentrations tested. Results of the antimicrobial testing showed that extracts of *F. agrestis* have inhibitory effect on *Staphylococcus aureus* only. *G. arubescens* inhibited *Staphylococcus aureus* and *Escherichia coli*. Findings indicated that the diversity of chemical constituents of these plant extracts conferred on them a wide range of aphrodisiac, toxic and antimicrobial activities. The antimicrobial effects of the extracts suggest their possible use for the treatment of infections caused by the test organisms. However, further study is required on the pharmacokinetics of the extracts and the mode of administration.

**Keywords:** Extracts, inhibition, aphrodisiac, toxicity, phytochemicals.

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**PO-72**

**SYNERGISM BETWEEN CISPLATIN AND BORTEZOMIB IN OVARIAN CANCER CELLS**

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Cisplatin is a widely used antitumour drug that is highly effective against various cancers, including testicular, ovarian and lung cancer. However, the drug has two major drawbacks: (1) limited spectrum of activity due to intrinsic and/or acquired resistance and (2) the toxic side-effects including nausea, vomiting, nephrotoxicity, ototoxicity, neurotoxicity, and peripheral neuropathy. Thus, many cisplatin analogues have been prepared by altering the nature of the leaving groups and carrier ligands with the aim of reducing the effects and altering the spectrum of activity. However, besides cisplatin only two of its analogues carboplatin and oxaliplatin are widely used in the clinic.



Being neutral cisplatin can cross the cell membrane by passive diffusion. Recent studies have shown that cisplatin actually enters the cell by both passive diffusion and carrier-mediated transport e.g. using copper transporter CTR1. However, cisplatin is found to trigger the down-regulation of CTR1 in the human ovarian cancer cells and also the proteasomal degradation of the transporter. Bortezomib, a proteasome inhibitor, has been reported to block cisplatin-induced down-regulation of CTR1. This means that in presence of bortezomib, the cellular uptake of cisplatin and consequently the level of platinum-DNA binding can be increased so that cisplatin and bortezomib in combination may act synergistically. In this project we are investigating synergism in activity from sequenced combination of cisplatin with bortezomib in the human ovarian tumour models. The results of the study including drug potency, synergism from sequenced combination of cisplatin and bortezomib will be presented in the poster.

**Keywords:** Cisplatin, resistance, bortezomib.

PO-173

### **SYNTHESIS AND EVALUATION OF CERTAIN FUSED THIOPHENES AS TOPOISOMERASE I AND II INHIBITORS**

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DNA topoisomerase are the targets of a number of antibacterial and anticancer chemotherapeutic agents. The topological state of DNA is regulated by topoisomerases through the action of breaking and releasing DNA strands. These topo I and II are classified based on their mode of cleaving DNA. Recently, interest has been focused on compounds with the ability to inhibit both topo I and topo II enzymes. Examples of these mixed inhibitors include the acridine-4-carboxamide DACA, the imidazoacridanone, and various tetracyclic chromophores. The present work exploits a structural motif that combines cycloheptano[b]thiophene skeleton with other heterocyclic rings and carrying different substituents to be evaluated as topoisomerase I and II inhibitors. The designed new compounds were synthesized starting with 2-Amino-cycloheptano[b]thiophene-3-carboxylate through different steps that will lead to formation diamino-key intermediate (1) which will undergo condensation cyclization reactions utilized different reagents to afford our target compounds. All of the newly synthesized compounds were subjected to structure elucidation using elemental analyses, IR, mass spectrometry and <sup>1</sup>H NMR. Topoisomerases Assay Measurement of the catalytic topoisomerases will be based on conversion of supercoiled DNA to relaxed DNA. The concentration of the test compounds that prevent 50% of the substrate from being converted to the product (IC<sub>50</sub>) will be calculated.

**Keywords:** DNA topoisomerase, antibacterial and anticancer chemotherapeutic agents.

PO-58

### **EVALUATION OF SUPERDISINTIGRANTS IN DIRECTLY COMPRESSIBLE ALBUTEROL TABLET**

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Disintegrants are agents added to tablet formulations to promote the break-up of the tablet into smaller fragments in an aqueous environment, thereby increasing the available surface area and promoting a more rapid release of the drug substance. In more recent years, several newer disintegrants have been developed, often called "super disintegrants". The objective of this study was to formulate and evaluate the superdisintegrants for and simultaneous delivery of anti-asthmatic drug Salbutamol sulphate which is often indicated for the management of asthma, their frequent dosing may reduce compliance, thus making prolonged release formulation necessary. The matrix tablets were prepared by direct compression method the three groups was classified according to the disintegrants added and each group was classified according to the concentration on disintegrants added. The three formulations are compactrol-starch, compactrol- crosspovidone and avicel- crosspovidone. The granules showed satisfactory flow properties and compressibility. All the 12 tablets formulations showed acceptable pharmacotechnical

