

الاستاذ المساعد مي محمد جواد المظفر

بحوث المرحلة الخامسة

بحث سما مطلوب 2009 /2010

The synthesis of new sulfonamide prodrugs

Abstract

Prodrug synthesis is a widely used strategy to improve the delivery of drugs to their site of therapeutic action. The most important application of the prodrug strategy is the increase of the oral bioavailability of poorly absorbed drugs .We have demonstrated here the conversion of in vivo inactive potent COX-2 inhibitors to potent anti-inflammatory drug candidates through a prodrug approach. The prodrugs N-propionyl sulfonamide sodium have been identified as potential anti-inflammatory agents for oral as well as parenteral administration. This chemical approach, leading to prodrugs, may be useful in similar situations during many drug discovery and development program.

بحث مروة هاشم 2011 /2012

Non-steroidal anti-inflammatory drugs, review

Abstract

Non-steroidal anti-inflammatory drugs (NSAIDs) commonly used for the treatment of chronic inflammatory diseases suffering from several undesired side effects, the most important being gastrointestinal(GI) irritation and ulceration. The prodrug designing is one of the several strategies used to overcome this drawback; prodrugs can be used to overcome some side effects of the parent drugs, particularly gastrointestinal irritation. In this review we are going to show that coupling different moieties (alcohols and amines) to NSAIDs led to new synthesized prodrugs. These prodrugs show less ulcerogenicity and improved pharmacological activity compared with parent drugs.

Design and Synthesis of Ibuprofen Prodrugs

Abstract:

Ibuprofen was the first member of Propionic acid derivatives introduced in 1969. It is a popular domestic and over the counter analgesic and antipyretic for adults and children. The rationale behind the prodrug concept is to achieve temporary blockade of the free carboxylic group present in the ibuprofen till its systemic absorption. In this research, a review on the concept of prodrugs designing of ibuprofen to improve its efficacy and reduce the toxicity is being presented.

Preparation and biological evaluation of Schiff base metal complex of some β -lactam antibiotics

Abstract

Metal ion plays important role in biology which has led to the development of huge number of metal complexes with diverse therapeutic activity. The advances in the field of chemistry provide better opportunities to use metal complexes as therapeutic agents. Cisplatin, carboplatin and oxaliplatin are the well-known metal-based drugs widely used in treatment of cancer. Besides these complexes other metal complexes have shown promising results in the treatment of diseases like diabetes, ulcer, rheumatoid arthritis, inflammatory and cardiovascular diseases etc.

5-Fluorouracil Prodrugs and New Concepts in Cancer Therapy

Abstract:

5-fluorouracil is an antimetabolite anticancer drug that was synthesized many years ago, it was and still used in many cancer treatment especially colorectal cancer but due to its wide spectrum of side effects and non-selectivity lead to its draw back, but since its antimetabolite and numerous derivatives were made to increase its selectivity and targeting directly to the affected organ or tissue by cancer such as capecitabine, but still required many modification. Nowadays the recent methods and technologies for anticancer treatment is focused on nanotechnology based type of anticancer to increase targeting also can be used for diagnosis of cancer early, this review will give us idea about 5-fluorouracil, prodrugs and their use as anticancer strategy, nanotechnology and the way it's used as anticancer with future perspective about 5-fluorouracil and anticancer drugs in general and conclusion about this review.

بحث أحمد سعد باقر 2015/2014

Synthesis and Evaluation of Ester and Amide Prodrugs of Diclofenac

Abstract:

Diclofenac is a phenyl acetic acid derivative, It was first introduced to the Japanese market in 1974, It was widely used as analgesic and as antipyretic for adults and children, and it is considered as an OTC(over the counter) medication. The prodrug concept was introduced to diclofenac to block the free carboxylic

group that present in diclofenac structure. In this research a review on the prodrug designing of diclofenac to improve its efficacy and reduce the toxicity of it, the synthesized prodrugs was evaluated for their analgesic, antipyretic, anti-inflammatory and also side effects, and the results indicate that these prodrugs was safer than the original drug, so in recent years considerable attention has been paid on the design of prodrugs, to overcome the serious side effects of diclofenac and other NSAIDs, which could be mild to life threatening side effects.

بحث نور خالد حسين 2016 /2015

Synthesis of mefenamic acid prodrugs and their biological evaluation

Abstract

Mefenamic acid (MA) is a non-steroidal anti-inflammatory drug (NSAID), a derivative of N-aryl anthranilic acid belonging to the class of fenamic acids. The main side effects of mefenamic acid (MA) include gastrointestinal tract (GIT) disturbance, peptic ulceration and gastric bleeding. These gastro enteropathies are generally believed to result from the direct contact effect, which can be attributed to the combination of local irritation produced by the free carboxylic group in the molecular structure and by local blockage of prostaglandin biosynthesis in the GI tract. Therefore, the development of new NSAIDs without these side effects has long been awaited. The use of prodrugs to provisionally hide the acidic group of NSAIDs has been proposed as an approach to reduce or suppress the GI toxicity due to the direct contact effect.

Synthesis of Some Chalcone Derivatives that have Anti-microbial Activity

Abstract

Chalcones are natural products which belong to flavonoid family. Traditionally, Chalcones can be synthesized by using conventional organic synthetic protocols known as Claisen- Schimdt reaction. Substituted chalcones are of particular interest for various studies because of their diverse range of biological activities such as antimicrobial, anti-inflammatory, analgesic, cytotoxic, antitumor, antimalarial, antitubercular, antiviral, antileishmanial, antioxidant, as well as a precursor in the synthesis of varied bioactive heterocyclic compounds.

Cyclooxygenase-Inhibiting Nitric Oxide Donators in Medicinal Chemistry

Abstract

Nonsteroidal anti-inflammatory drugs (NSAIDs) are among the most commonly used drugs worldwide. Nevertheless, their intake is frequently associated with gastrointestinal side effects, representing still an important medical and socio-economic problem. In recent years efforts focused on the development of highly selective COX-2 inhibitors with an improved gastric tolerability profile. However, severe cardiovascular adverse reactions challenged the initial enthusiasm in this new class of anti-inflammatory drugs. In addition, the market withdrawals of some coxibs led to a relative reluctance in prescribing COX-2 inhibitors in clinical

practice. As a consequence, the interest for alternative approaches to reduce gastrointestinal side effects associated with NSAIDs has re-emerged. Cyclooxygenase Inhibitor Nitric Oxide Donors (CINODs) are a new class of anti-inflammatory and analgesic drugs generated by adding a nitric oxide generating moiety to the parent NSAID via an ester, amide and imide linkage. The combination of balanced inhibition of the two main COX isoforms with release of NO confers to CINODs a reduced gastrointestinal toxicity and a potent anti-inflammatory activity.

بحث هاجر سمير 2017/ 2016

Schiff Bases as Antimicrobial Agent

Abstract:

The first preparation of imines (Schiff bases) was reported in the 19th century by Hugo Schiff (1864). They are condensation products of primary amines with carbonyl compounds. Schiff bases, especially heterocyclic amine family, are reported to show a wide range of pharmacological activities and are used as antimicrobial agents with the activities including antibacterial, anti-fungal, anti-malarial and anti-viral agents. Their Pharmacological activities attributed by Schiff bases are mainly due to characteristic C=N functionality.

بحث اية سمير 2017/ 2018

Amide Prodrugs of Most Common NSAIDs

Abstract:

Nonsteroidal anti-inflammatory drugs (NSAIDs) have been widely used for the management of inflammation, pain and nociception. Gastric intolerance caused by most of the NSAIDs used today restricts their use. Mostly the NSAID moieties are chemically composed of carboxylic functional groups and this could be a potential reason for the damage of mucosal lining. Moderate and chronic oral use of these NSAIDs leads to ulcerogenicity, abdominal cramps, intestinal bleeding, mucosal hemorrhage and gastritis. Therapeutic handling of above side-effects is becoming ever challenge for the researchers. Over the time, prodrug concept becomes big boom in the arena of inflammation and its clinical treatment. In last few decades, many researchers have been attempted to synthesize the NSAID prodrugs successively. Several prodrug approaches was proven to be effective and successful to modify the parent NSAIDs molecule in order to reduce their gastric toxicity. Most of these prodrugs were found to be less ulcerogenic than their parent drugs and showed better activity profile in terms of analgesic and anti-inflammatory activity as compared to their respective parent drugs.

بحث زينب مثنى 2017/2018

Isatin Derivatives with Anti-inflammatory and Analgesic Activity

Abstract:

Isatin, 1H-indole-2,3-dione, is a heterocyclic compound of significant importance in medicinal chemistry. It is a synthetically versatile molecule, a precursor for a large number of pharmacologically active compounds. Isatin and its derivatives have aroused great attention in recent years due to their wide variety of biological activities, relevant to application as insecticides and fungicides and in a broad range of drug therapies, including anticancer drugs, antibiotics and antidepressants. The purpose of this review is to provide an overview of the pharmacological

activities of isatin and its synthetic and natural derivatives and give more information for future molecular modifications leading to compounds with greater positive pharmacological properties may be derived.

بحث أسماء قيصر 2018 /2019

Chalcone Derivatives as Antimicrobial Agents

Abstract:

Chalcones and their analogs have been an area of great interest in recent years. Numerous research papers have been published, and chalcones continue to show promise for new drug investigations. Researchers have explored new approaches for the synthesis of chalcone derivatives, which have revealed an array of pharmacological and biological effects. These chalcone derivatives have shown important antimicrobial, antifungal, anti-mycobacterial, antimalarial, antiviral, anti-inflammatory, antioxidant, antileishmanial, anti-tumor and anticancer properties. This review highlights the antimicrobial activities of chalcone derivatives.

بحث أمينة غازي 2018 /2019

Nitrogen and/ or Sulfur containing heterocyclic five membered compounds with their antimicrobial activity

Abstract:

At present, heterocyclic compounds and their derived forms have become strong reflection in medicinal research field because of their positive pharmacological and biological properties. Heterocycles are prosperous in nature

and have expanded additional importance because their structural subunits are established in many natural products such as antibiotics, vitamins, and hormones. Among heterocyclic compounds five-membered heterocycles constitute a wide and differentiated group with broad spectrum of biological activity. The presented article focuses on the medicinal chemistry of some five-membered ring heterocyclic compounds with antibacterial and antifungal activity.

بحث أمانة مثنى 2018 /2019

The Application of Mannich Bases in Medicinal Chemistry

Abstract:

The biological activity of Mannich bases, a structurally heterogeneous class of chemical compounds that are generated from various substrates through the introduction of an aminomethyl function by means of the Mannich reaction, is surveyed, with emphasis on the relationship between structure and biological activity. The review covers extensively the literature reports that have disclosed Mannich bases as anticancer and cytotoxic agents, or compounds with potential antibacterial and antifungal activity in the last decade. The most relevant studies on the activity of Mannich bases as antimycobacterial agents, antimalarials, or antiviral candidates have been included as well. The review contains also a thorough coverage of anticonvulsant, anti-inflammatory, analgesic and antioxidant activities of Mannich bases. In addition, several minor biological activities of Mannich bases, such as their ability to regulate blood pressure or inhibit platelet aggregation, their antiparasitic and anti-ulcer effects, as well as their use as agents for the treatment of mental disorders have been presented. The review gives in the end a brief overview of the potential of Mannich bases as inhibitors of various enzymes or ligands for several receptors.

Azo dyes and their biological activities

Abstract

Azo dyes are important class of organic colorants consists of at least a conjugated chromophore azo (-N=N-) group and the largest and most versatile class of dyes. Aromatic azo compounds can be synthesized by using an azo coupling reaction, which entails an electrophilic substitution reaction where a aryl diazonium cation attacks another aryl ring, especially those substituted with electron-releasing groups. Recently, azo compounds show anti-inflammatory, antimicrobial, or antiparasitic activity, antiulcer drug, antioxidant, antifungal, antibacterial, antitubercular activities.