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Stereoselective synthesis, characterization & biological evaluation of novel geometrical isomers of imidazolones

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Synthesis and separation of geometrical isomers (E/Z) can provide greater selectivity for their biological targets, improved therapeutic indices and better pharmacokinetics than a mixture of diastereomers.Imidazolinones were reported to possess anti-microbial, analgesic, anti hyperlipidemic, anti-viral, anti-HIV, sedative-hypnotic, anti-convulsant, anti-inflammatory, anti-tumour, anti-oxidant, and anti-helmenthicactivites.

In this view phenylacrylamidesandimidazolonederivatives were synthesized from oxazolones. Structures of these compounds were confirmed by IR, NMR, MS and LC-MS. Imidazoloneswere obtained as a mixture of geometrical isomers, among them major isomers were separated. Both phenylacrylamide derivatives and imidazolones were evaluated for DNA binding, antioxidant and other biological studies and found to have good biological activities. As the single enantiomers and stereoisomers have overtaken racemic molecules in the percentage of approved drugs in the market, the separation and quantification of stereoisomers is therefore of great importance,especially in pharmaceutical industries.

Solid self-microemulsifying drug delivery system of poorly water soluble drug

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Development of new drug entities is posing real challenge to formulators, particularly due to their poor aqueous solubility which in turn is also a major factor responsible for their poor oral bioavailability. Self-microemulsifying drug delivery systems (SMEDDS) are among the methods used to improve the oral bioavailability of poorly soluble drugs by presenting and maintaining the drug in a dissolved state, in small droplets of oil, all over its transit through the gastrointestinal tract. In recent years, there is a growing trend to formulate solid microemulsion preconcentrates by adsorbing liquid microemulsion preconcentrates onto suitable solid carriers. Such solid microemulsion systems can be easily filled in capsules and on oral administration, they readily form microemulsion. The objective of the current study was to develop Solid-SMEDDS for the oral delivery of poorly soluble drugs to achieve a better dissolution rate which would further help in enhancing oral bioavailability.

Various solid carriers namely Fujicalin, Neusilin, Aerosil 200, microcrystalline cellulose and calcium carbonate in different ratios were evaluated in this study. Neusulin exhibited good adsorption capacity to yield free flowing solid SMEDDS. Drug release profile showed that the solid SMEDDS preserved the improvement of in vitro dissolution of liquid SMEDDS. Developed system was also found be stable in terms of system integrity. It can be concluded that solid self-emulsifying system may provide a useful oral solid dosage form for poorly water-soluble drug.

Needleless insulin for needful sugar diseased

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India the diabetes capital of the world, is posing an enormous health problem. For most patients with type 1 diabetes, the worst part of the disease is to tolerate needle after needle, both for glucose measurement and to deliver insulin. This concept of insulin therapy by multiple-dose injection has undergone a miraculous change. Needle-free insulin delivery appeared to be a wonderful approach, and its allure rested in being comfortable and safe. Insulin delivery by alternative route is a boon. Many such drug delivery systems have been developed for topical, oral, buccal and nasal route. Topically the drug can be dispersed through the skin in the form of fine mist in which the drug is introduced with the burst of air to cross the skin and enter blood. This in brief, the novel and emerging technologies that are in pipeline, including insulin inhalers, insulin spray, insulin pill, insulin analogues, insulin complement, islet cell transplant, implantable insulin pumps and guardian continuous glucose monitoring system.