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Exploring novel colon targeting antihistaminic prodrug for colitis

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Ulcerative colitis (UC) causes inflammation and ulceration of mucosa of colon and rectum. Literature reports involvement of mast cell activation & increased histamine secretion in the pathogenesis of colitis. Due to this reason, antihistaminic H1 blocker fexofenadine is currently under investigation in the management of colitis. D-glucosamine, an anti-inflammatory nutraceutical aminosugar is involved in biosynthesis of glucosaminoglycan, a major component of intestinal mucus that maintains integrity of gut wall. Levels of N-acetyl glucosamine and glucosamine synthetase go down during the attacks of UC.

The present work was focused on design, kinetic studies & screening of colon-specific mutual prodrug of fexofenadine with D-glucosamine in TNBS-induced colitis. Fexofenadine and D-glucosamine were chemically linked through an amide linkage. Spectral analysis confirmed the structure of the prodrug (FG1).Highly hydrophilic nature of prodrug (log P: 0.046) enabled efficient delivery of fexofenadine to colon. FG1 was stable in stomach homogenates negligible release of fexofenadine in small intestinal homogenates. 82 % release of fexofenadine was observed in rat fecal matter at the end of 12 h (t 1/2: 260 min). The prodrug was twice as effective in lowering the quantifying parameters of colonic inflammation in TNBS- induced colitis than fexofenadine, D-glucosamine, their physical mixture and interestingly oral 5-amino salicylic acid while 2.7 times less effective than sulfasalazine. The prodrug restored the disrupted colonic architecture to normal and the results were comparable to sulfasalazine. Prodrug had no adverse effect on stomach, liver and pancreas. The results of the present work support the hypothesis of involvement of histamine in the pathogenesis of UC. This novel, dual acting colon- specific prodrug of fexofenadine could be used in combination with sulfasalazine as a maintenance therapy to counteract the relapse of UC.

Bioluminescence properties, DNA-binding of Ruthenium (II) polypyridyl mixed ligand complexes and cycling the light-switch on and off

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Hetero-aromatic rings are important constituents of drugs and bioactive molecule. They have also received attention because of their ability to function as effective ligands to couple with metal ion resulting in a metal complex that possess new and interesting properties. Hetero -aromatic nitrogen ligands have found wide applications on the new generation of molecules with extended applications in important research and technological fields, including bio active drugs, nonlinear optical materials and other applications in optoelectronic devices. The DNA intercalators represent one of the most important classes of anti cancer drugs in their overall utility in oncology. Metal complexes of dipyridophenazine etc. possessing aromatic planarity, interacts with DNA by intercalative mode and exhibits the "light switch" effect in the presence of DNA. In this lecture the synthesis of various heterocyclic ligands and their metal complexes and characterization by NMR, Mass Spectrometry will be discussed.

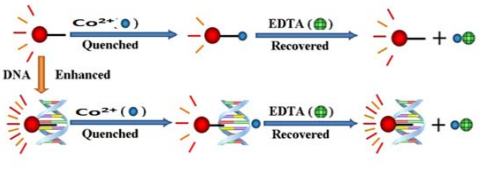

Fig. Luminescence-modulation routes of [Ru(phen)²dppn]2+ in the absence and presence of DNA by Co2+ ion and EDTA, respectively