SYNTHESIS , BIOLOGICAL EVALUATION AND DOCKING STUDIES OF PYRAZOLYL QUINOLINONES AS COX-2 INHIBITORS.

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Abstract:

Pyrazole derivatives play an important role in medicinal and pesticidal chemistry [1]. A wide range of biological activities such as antimicrobial[2], antihyperglycemic[3], anticancer[4], anti-inflammatory,[5] sodium channel blocker,[6] antidepressant,[7] antipyretic,[8] antitubercular[9] and alzheimer's disease are exhibited by pyrazoles.[10] These compounds also exhibit antihypertensive activity[11] and properties such as cannabinoid hCB1, hCB2 receptor and CB1 receptor antagonists,[12] inhibitors of p38 Kinase1, have also been reported.[13] The pyrazole ring is a constituent of a variety of natural products such as (*S*)-3-pyrazolyl alanine (**1**), pyrazomycine (**2**), withasomin (**3**). Synthetic drugs such as celecoxib (**4**), lonazolac (**5**) (non-steroidal anti-inflamatory (NSAID)) contain pyrazole are available in the market. Celecoxib selectively inhibits the cyclo-oxygenase-2 (COX-2) and it also shows analgesic activity. Rimonabant (**6**) is an antiobesity drug, approved by European countries. Granisetron (**7**) is an antiemetic drug used to treat vomiting but doesn't have an effect on dopamine receptor. Betazole (**8**) and mepiprazole (**9**) are used for the maximal production of gastric secretion activity and treatment of anxiety neurosis, respectively. Hence in the present study the Invitro and docking studies were carried for these compounds . Among all the compounds at the end of 4th hour the compound **Vk (R=4-flurophenyl)** with percentage of inhibition of 91.37±0.18 was more potent than the standard diclofenac sodium with the percentage of inhibition of 69.70±0.15. of carrageenan induced edema.

Key words: Pyrazole,Invivo, docking, anti-inflammatory, carrageenan.

References:

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