Available online at www.joac.info

ISSN: 2278-1862

Journal of Applicable Chemistry

2017, 6 (4): 543-558

(International Peer Reviewed Journal)

Preliminary Evaluation of Antimicrobial, Anthelmintic, Anti-Inflammatory Activities and In Silico Studies of Some Cinchoninic Acid Derivatives

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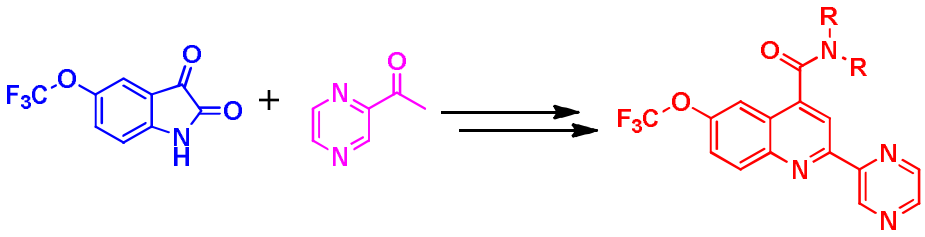
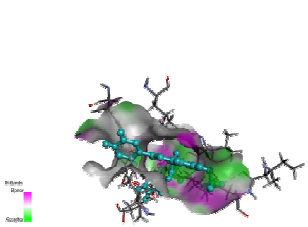
Accepted on 11th June 2017, Published online on 27th July 2017

ABSTRACT

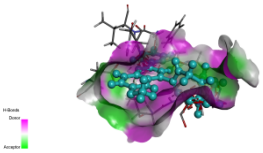
Synthesis of N-substituted-2-(pyrazin-2-yl)-6-(trifluoromethoxy)quinoline-4-carboxamide ***(5a-l)*** *Cinchoninic acid derivatives involved base catalyzed condensation of 5-(trifluoromethoxy)isatin* ***(1)*** *and enolizable 1-(pyrazin-2-yl)ethanone* ***(2)*** *commonly known as the Pfitzinger reaction gave 2-(pyrazin-2-yl)-6-(trifluoromethoxy)quinoline-4-carboxylic acid* ***(3)*** *Cinchoninic acid, which upon coupling with different 1^o and 2^o amines in presence of coupling agent 1,1'-Carbonyldiimidazole (CDI) gave the title compounds* ***(5a-l)***. *Newly synthesized compound structures were established by Infrared, ^1H and ^{13}Carbon Nuclear Magnetic Resonance, Mass spectroscopic and CHN elemental analysis evidence. In vitro antimicrobial activity against bacterial strains and fungal cultures, anti-helmintic activity on Pheretima posthuma (Earthworm) and anti-inflammatory activity by carrageenan induced paw edema method on albino wistar rats and theoretical binding mode were also studied by molecular modeling study to evaluate the potency of the synthesized molecules*

Graphical Abstract





5E



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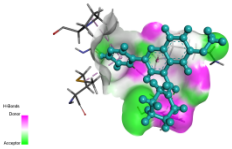

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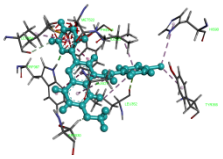

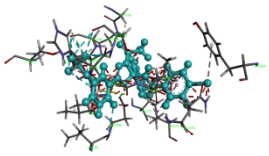

5C

Keywords: Cinchoninic acid, Pfitzinger Reaction, Quinoline, *Invitro* antimicrobial activity, Anti-helmintic, Anti-inflammatory and docking studies.