Latin American Journal of Pharmacy
(formerly *Acta Farmacéutica Bonaerense)*
Lat. Am. J. Pharm. **29** (6): 968-75 (2010)

Original Article

Received: June 16, 2009
Accepted: January 30, 2010

New 2-Chloro-7-Methylquinoline Amine Analogues as Possible Antimycotic Agents

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SUMMARY. A series of N-[(2-chloro-7-methylquinolin-3-yl)methyl]-(substituted)-aniline/butan-1-amine/cyclohexamine derivatives **(4a-n)** & *N*-benzyl-1-(2-chloro-7-methylquinolin-3-yl)methanamine (**4o**) was designed and synthesized based on the structural requirements essential for allylamine / benzylamine antimycotics. Compounds **(4a-o)** were synthesized by nucleophilic substitution reaction of 2-chloro-3-(chloromethyl)-7-methylquinoline with substituted aromatic/aliphatic primary amine in absolute ethanol in presence of triethylamine. The structures of all new products were confirmed by IR, ^{1}H & ^{13}C-NMR and mass spectral data. The newly synthesized compounds were screened *in-vitro* for their antifungal activity against *Aspergillus niger* MTCC 281, *Aspergillus flavus* MTCC 277, *Monascus purpureus* MTCC 369 and *Penicillium citrinum* NCIM 768 by cup plate method. Preliminary screening of compounds **(4a-o)** revealed that compounds *viz*. **4a, 4b, 4e, 4g, 4j** and **4l** showed excellent antifungal activity. Dihalogen and benzyl substituted compounds **4j, 4l** & **4o** exhibited potent antifungal activity. Replacement of phenyl ring with aliphatic groups like butyl or cyclohexyl causes substantial decrease in antifungal activity and activity decreases when phenyl ring is substituted with electron releasing groups.

KEY WORDS: Antifungal activity, 2-chloro-3-(chloromethyl)-7-methylquinoline, Nucleophilic substitution.

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ISSN 0326-2383