

## **GLYCOSYL AMINO ACID DERIVATIVES AS INHIBITOR OF DNA TOPOISOMERASE I OF CANDIDA ALBICANS**

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DNA topoisomerases are complex unique enzymes which alter the topological state of DNA and play important role in DNA replication, transcription, recombination and chromosomal segregation. Topoisomerases have been reported as a target of many therapeutic agents including antibacterial (quinolones) and antineoplastic agents (camptothecin, etoposide etc.). We have evaluated the inhibitory effect of a series of novel glycosyl amino acid derivatives (synthesized in CDRI on a target-based synthesis against Topo-I) in a number of pathogenic fungi following NCCLS guidelines. Most of the derivatives showed a significant activity (MICs 3.12-25 ug/ml) against *Candida albicans*, a common nosocomial infection in immunocompromised patients. Further, the potential derivatives were tested in target-based assay for Topo-I using cell-free extracts of *C. albicans* which showed 60-70% inhibition (relaxation of supercoiled plasmid pBR322). Camptothecin, used as standard Topo-I inhibitor, exhibited 64% inhibition. A good correlation ( $r^2 = 0.902$ ) was obtained between in vitro MICs of glycosyl amino acid derivatives and their subsequent inhibitory effect on Topo-I catalysis. Further validation is warranted to confirm the antifungal activity of these derivatives.