

Publication

An evaluation of minor groove binders as anti-fungal and anti-mycobacterial therapeutics

JournalArticle (Originalarbeit in einer wissenschaftlichen Zeitschrift)

ID 3850737

Author(s) Scott, Fraser J.; Nichol, Ryan J. O.; Khalaf, Abedawn I.; Giordani, Federica; Gillingwater, Kirsten; Ramu, Soumya; Elliott, Alysha; Zuegg, Johannes; Duffy, Paula; Rosslee, Michael-Jon; Hlaka, Lerato; Kumar, Santosh; Ozturk, Mumin; Brombacher, Frank; Barrett, Michael; Guler, Reto; Suckling, Colin J.

Author(s) at UniBasel [Gillingwater, Kirsten](#) ;

Year 2017

Title An evaluation of minor groove binders as anti-fungal and anti-mycobacterial therapeutics

Journal European Journal of Medicinal Chemistry

Volume 136

Pages / Article-Number 561-572

This study details the synthesis and biological evaluation of a collection of 19 structurally related Minor Groove Binders (MGBs), derived from the natural product distamycin, which were designed to probe antifungal and antimycobacterial activity. From this initial set, we report several MGBs that are worth more detailed investigation and optimisation. MGB-4, MGB-317 and MGB-325 have promising MIC₈₀s of 2, 4 and 0.25 µg/mL, respectively, against the fungus *C. neoformans*. MGB-353 and MGB-354 have MIC₉₉s of 3.1 µM against the mycobacterium *M. tuberculosis*. The selectivity and activity of these compounds is related to their physicochemical properties and the cell wall/membrane characteristics of the infective agents.

Publisher Elsevier

ISSN/ISBN 0223-5234 ; 1768-3254

edoc-URL <http://edoc.unibas.ch/55500/>

Full Text on edoc No;

Digital Object Identifier DOI 10.1016/j.ejmech.2017.05.039

PubMed ID <http://www.ncbi.nlm.nih.gov/pubmed/28544982>

ISI-Number WOS:000403993500048

Document type (ISI) Article