49,120 compounds from ChemBridge DIVERSet-CL library were screened against *C. neoformans* at neutral and alkaline pH.

Two hits BHBM and D0 with MFC 4 µg/mL and 1.2 µg/mL were identified.

A library of ~300 compounds was synthesized and screened against *C. neoformans*.

45 compounds displayed MIC$_{80} < 1$ µg/mL.

20 unreported compounds were identified.

New derivatives were explored to explore SAR.

Cytotoxicity assay showed SI $> 1000$.

PK studies and *in vivo* studies were performed.

Fungal GlcCer