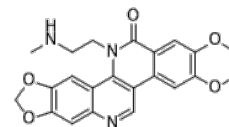


Product Name : Genz-644282
Cat. No. : PC-22288
CAS No. : 529488-28-6
Molecular Formula : C₂₂H₂₁N₃O₅
Molecular Weight : 407.43
Target : Topoisomerase
Solubility : 10 mM in DMSO



Biological Activity

Genz-644282 is a potent, non-camptothecin topoisomerase I (Top1) inhibitor, shows potent activities against a panel of human tumor cell lines with IC₅₀ of 1.8 nM-1.8 μM.

Genz-644282 suppresses the PPTP cell lines mean IC₅₀ value of 1.2 nM.

Genz-644282 (0.1 μM) induces γH2AX foci in human colon cancer HCT116 cells and breast cancer MCF7 cells.

Genz-644282 is not a substrate for the multidrug resistance gene 1 (MDR1) and breast cancer resistance protein (BCRP) efflux pumps, contrary to the camptothecins.

Administration of Genz-644282 (1.7 mg/kg) produced a TGD of 23 days in mice bearing 786-O tumors compared with a TGD of 16 days after administration of irinotecan (60 mg/kg), with maximum T/Cs of 8.7% and 20.7%, respectively.

References

Kurtzberg LS, et al. Clin Cancer Res. 2011 May 1;17(9):2777-87.

Sooryakumar D, et al. Mol Cancer Ther. 2011 Aug;10(8):1490-9.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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