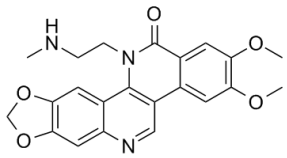
Product Name	:	Genz-644282
Synonyms	:	Genz644282
Cat No.	:	M20684
CAS Number	:	529488-28-6
Molecular Formula	:	C22H21N3O5
Formula Weight	:	407.42
Chemical Name	:	——
Description	:	Genz-644282 a novel non-camptothecin topoisomerase I inhibitor for cancer treatment.(In Vitro):Genz-644282 is a topoisomerase I inhibitor. Genz-644282 shows potent activities against 29 human tumor cell lines with IC50s ranging from 1.8 nM to 1.8 μM. Genz-644282 suppresses the PPTP cell lines, with IC50s of 0.2-21.9 nM, and the mean IC50 value is 1.2 nM. Genz-644282 is potent at trapping Top1-DNA covalent cleavage complexes. Genz-644282 (0.1 μM) induces γH2AX foci in human colon cancer HCT116 cells and breast cancer MCF7 cells. Genz-644282 is cytotoxic on the CPT-resistant human cancer cell lines.(In Vivo):Genz-644282 (1-4 mg/kg) is active when administered intravenously to the mice. Genz-644282 (2.7 mg/kg, i.v.) causes tumor growth delay (TGD) of 34 days in the human HCT-116 colon cancer xenograft, 27 days in the human HT-29 colon carcinoma xenograft and mice bearing the NCI-H460 human non-small cell lung carcinoma. Genz-644282 (2 mg/kg, i.v.) results in a TGD of 33 days in the human HCT-15 colon carcinoma xenograft, and 28 days in mice bearing LOX-IMVI melanoma. Moreover, Genz-644282 (1 mg/kg, i.v.) leads to 14 days of TGD in mice bearing the DLD-1 human colon carcinoma xenograft. Genz-644282 (1.7 mg/kg, i.v.) also produces a TGD of 23 days in mice bearing 786-O tumors and 33 days in NCI-H1299 human non-small cell lung carcinoma xenograft. Genz644282 at maximum tolerated dose (MTD, 4 mg/kg) results in maintained complete responses (MCR) in 6/6 evaluable solid tumor models. Genz644282 (2 mg/kg) induces CR or MCR in 3/3 tumor models and causes objective regressions in 7 of 17 (41%) models, but there are no objective responses at 1 mg/kg.
Pathway	:	Cell Cycle/DNA Damage
Target	:	Topoisomerase
Receptor	:	Topoisomerase
Solubility	:	DMSO:5 mg/mL (12.27 mM)
SMILES	:	CNCCn1c2c(cnc3cc4OCOc4cc23)c2cc(OC)c(OC)cc2c1=O
Storage	:	(-20℃)
Stability	:	≥ 2 years
Reference	:	



1.Kurtzberg L S Roth S Krumbholz R et al. Genz-644282 a Novel Non-Camptothecin Topoisomerase I Inhibitor for Cancer Treatment[J]. Clinical Cancer Research 2011 17(9):2777-2787.