Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Genz-644282

Catalog No: tcsc1525

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
529488-28-6

Formula:
$C_{22}H_{21}N_3O_5$

Pathway:
Cell Cycle/DNA Damage

Target:
Topoisomerase

Purity / Grade:
>98%

Solubility:
DMSO : 5 mg/mL (12.27 mM; Need ultrasonic and warming)

Observed Molecular Weight:
407.42

Product Description

Genz-644282 is a non-camptothecin **topoisomerase I** inhibitor, used for cancer research.

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IC50 & Target: topoisomerase I[1]

In Vitro: Genz-644282 is a topoisomerase I inhibitor. Genz-644282 shows potent activities against 29 human tumor cell lines with IC_{50}s ranging from 1.8 nM to 1.8 μM[1]. Genz-644282 suppresses the PPTP cell lines, with IC_{50}s of 0.2-21.9 nM, and the mean IC_{50} value is 1.2 nM[2]. 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[3].

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 [1]. 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[2].