

# Genz-644282

Catalog No: tcsc1525



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**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

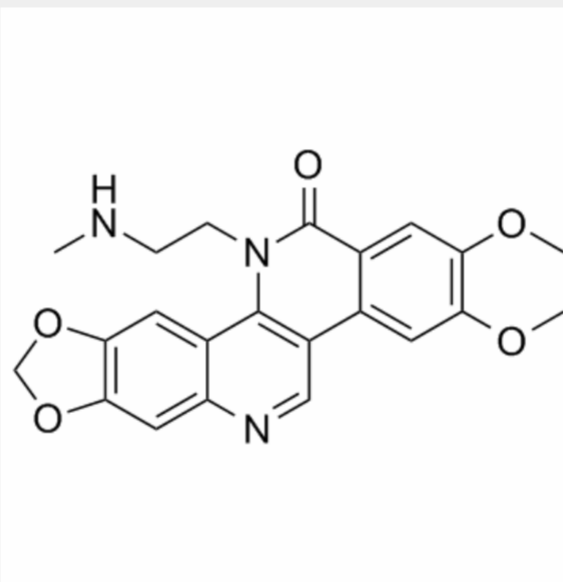
## Product Description

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

IC50 & Target: topoisomerase I<sup>[1]</sup>

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

**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<sup>[1]</sup>. 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!