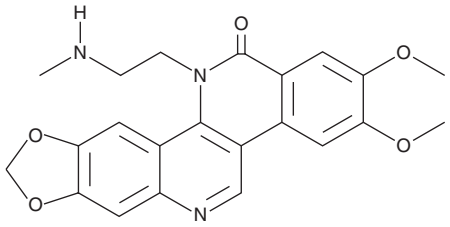
PRODUCT INFORMATION

Genz-644282

Item No. 24193

CAS Registry No.:	529488-28-6
Formal Name:	2,3-dimethoxy-12-[2-(methylamino) ethyl]-benzo[c][1,3]benzodioxolo[5,6-h] [1,6]naphthyridin-13(12H)-one
MF:	$C_{22}H_{21}N_3O_5$
FW:	407.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 288 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Genz-644282 is supplied as a crystalline solid. A stock solution may be made by dissolving the Genz-644282 in the solvent of choice, which should be purged with an inert gas. Genz-644282 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Genz-644282 is approximately 0.2 mg/ml in ethanol and approximately 0.5 mg/ml in DMSO and DMF.

Genz-644282 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Genz-644282 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Genz-644282 has a solubility of approximately 0.04 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Genz-644282 is a topoisomerase I (TOP-I) inhibitor that inhibits TOP-I-mediated DNA cleavage 10-fold more potently than the TOP-I inhibitor topotecan (Item No. 14129).[1] It inhibits the growth of and is cytotoxic to human and mouse bone marrow cells in a colony-forming unit assay (IC_{50}s = 0.4 and 2.3 nM, respectively; IC_{90}s = 1.2 and 8.4 nM, respectively).[2] It also inhibits the growth of and is cytotoxic to human tumor cell lines (IC_{50}s = 0.15-2 nM; IC_{90}s = 0.7-8.3 nM). Genz-644282 inhibits tumor growth in mouse xenograft models when administered at doses ranging from 1.36 to 2.7 mg/kg.

References

1. Ruchelman, A.L., Houghton, P.J., Zhou, N., *et al.* 5-(2-aminoethyl)dibenzo[c,h][1,6]naphthyridin-6-ones: Variation of N-alkyl substituents modulates sensitivity to efflux transporters associated with multidrug resistance. *J. Med. Chem.* **48(3)**, 792-804 (2005).
2. Kurtzberg, L.S., Roth, S., Krumbholz, R., *et al.* Genz-644282, a novel non-camptothecin topoisomerase I inhibitor for cancer treatment. *Clin. Cancer Res.* **17(9)**, 2777-2787 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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