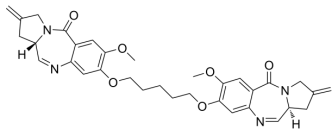
SG2057

Cat. No.:	HY-101160
CAS No.:	260417-62-7
Molecular Formula:	$C_{33}H_{36}N_4O_6$
Molecular Weight:	584.66
Target:	DNA Alkylator/Crosslinker; ADC Cytotoxin
Pathway:	Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (171.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7104 mL	8.5520 mL	17.1040 mL
	5 mM	0.3421 mL	1.7104 mL	3.4208 mL
	10 mM	0.1710 mL	0.8552 mL	1.7104 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SG2057 (DRG16) is a PBD dimer containing a pentyldioxy linkage which binds sequence selectively in the minor groove of DNA forming DNA interstrand and intrastrand cross-linked adducts. SG2057 is a highly active antitumor agent[1].

IC_{50} & Target

Pyrrolobenzodiazepines

In Vitro

SG2057 has multilog differential in vitro cytotoxicity against a panel of human tumour cell lines with a mean GI_{50} of 212 pM. SG2057 is highly efficient at producing DNA interstrand cross-links in cells which form rapidly and persist over a 48 h period [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SG2057 (5-60 μg/kg; i.v) exhibits dose-dependent antitumor activity in human tumor xenograft models[1].

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Animal Model:	Female NCr-nude mice injected with SKOV-3 cells[1]
Dosage:	5 μg/kg, 10 μg/kg, 20 μg/kg, 30 μg/kg, 40 μg/kg, 50 μg/kg, 60 μg/kg
Administration:	i.v.; daily, once a week, or once every four days
Result:	Showed significant antitumor activity.

REFERENCES

[1]. John A Hartley, et al. DNA interstrand cross-linking and in vivo antitumor activity of the extended pyrrolo[2,1-c][1,4]benzodiazepine dimer SG2057. Invest New Drugs. 2012 Jun;30(3):950-8.

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