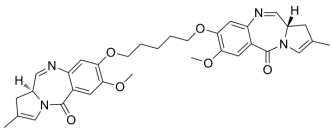
SG3199

Cat. No.:	HY-101161
CAS No.:	1595275-71-0
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, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 130 mg/mL (222.35 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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: ≥ 3.25 mg/mL (5.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (5.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SG3199 is a cytotoxic DNA minor groove interstrand crosslinking pyrrolobenzodiazepine (PBD) dimer. SG3199 is the released warhead component of the ADC payload Tesirine (SG3249)[1][2].

IC_{50} & Target

Pyrrolobenzodiazepines

In Vitro

SG3199 is potently cytotoxic against a panel of human solid tumour and haematological cancer cell lines with a mean GI_{50} of 151.5 pM. Cells defective in DNA repair protein ERCC1 or homologous recombination repair show increased sensitivity to SG3199 and the drug is only moderately susceptible to multidrug resistance mechanisms[1].
SG3199 is highly efficient at producing DNA interstrand cross-links in naked linear plasmid DNA and dose-dependent cross-linking is observed in cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	The in vitro binding of [^{3}H]-SG3199 to the plasma proteins of rat (Sprague Dawley), cynomolgus monkey and human at concentrations of 0.8, 5 and 50 ng/mL is determined. Plasma protein binding is high in all species; rat ⊠97%, cynomolgus monkey ⊠90% and human ⊠95%[1]. Following i.v. administration at 0.1 μg/kg, 0.5 μg/kg and 1 μg/kg, SG3199 shows a very rapid clearance in rats. In the 0.5 μg/kg and 1 μg/kg dose groups, the rapid clearance was between 1000 and 1500 mL/h/kg, with a $T_{1/2}$ between 8 and 42 minutes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Pharm. 2022 Dec 2.

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REFERENCES

[1]. John A Hartley, et al. Pre-clinical pharmacology and mechanism of action of SG3199, the pyrrolobenzodiazepine (PBD) dimer warhead component of antibody-drug conjugate (ADC) payload tesirine. Sci Rep. 2018 Jul 11;8(1):10479.

[2]. Francesca Zammarchi, et al. ADCT-402, a PBD dimer-containing antibody drug conjugate targeting CD19-expressing malignancies. Blood. 2018 Mar 8;131(10):1094-1105.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA