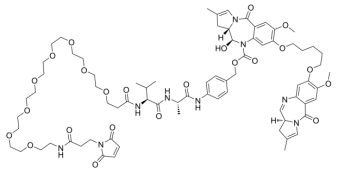
Tesirine

Cat. No.:	HY-128952
CAS No.:	1595275-62-9
Molecular Formula:	$C_{75}H_{101}N_9O_{23}$
Molecular Weight:	1496.65
Target:	Drug-Linker Conjugates for ADC; DNA Alkylator/Crosslinker
Pathway:	Antibody-drug Conjugate/ADC Related; Cell Cycle/DNA Damage
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 : 200 mg/mL (133.63 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.6682 mL	3.3408 mL	6.6816 mL
5 mM	0.1336 mL	0.6682 mL	1.3363 mL
10 mM	0.0668 mL	0.3341 mL	0.6682 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: ≥ 5 mg/mL (3.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (3.34 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (3.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tesirine (SG3249) is an antibody-drug conjugate (ADC) pyrrolobenzodiazepine (PBD) dimer payload. Tesirine combines potent antitumor activity with desirable physicochemical properties such as favorable hydrophobicity and improved conjugation characteristics. SG3199 (HY-101161) is the released warhead component of the ADC payload Tesirine. SG3199 retains picomolar activity in a panel of cancer cell lines. PBD dimers are highly efficient DNA minor groove cross-linking agents with potent cytotoxicity[1][2].

IC_{50} & Target

Pyrrolobenzodiazepines

In Vitro	Tesirine (SG3199) is the released warhead component of the ADC payload Tesirine. Tesirine inhibits K562, NCIN87, BT474, and SKBR3 cancer cells with IC_{50}s of 150 pM, 20 pM, 1 nM and 320 pM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tiberghien AC, et al. Design and Synthesis of Tesirine, a Clinical Antibody-Drug Conjugate Pyrrolobenzodiazepine Dimer Payload. ACS Med Chem Lett. 2016;7(11):983-987. Published 2016 May 24.

[2]. Hartley JA, 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;8(1):10479. Published 2018 Jul 11.

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