# Tesirine

**Cat. No.:** HY-128952

**CAS No.:** 1595275-62-9

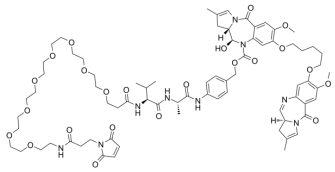
**Molecular Formula:** $C_{75}H_{101}N_9O_{23}$

**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 | Mass / Solvent / 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** | SG3199 (HY-101161) is the released warhead component of the ADC payload Tesirine. SG3199 inhibits K562, NCIN87, BT474, and SKBR3 cancer cells with $IC_{50}$s of 150 pM, 20 pM, 1 nM and 320 pM[1].<br>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.





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