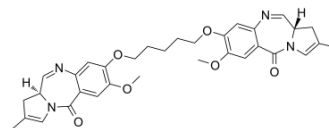


## SG3199

<b>Cat. No.:</b>	HY-101161
<b>CAS No.:</b>	1595275-71-0
<b>Molecular Formula:</b>	C <sub>33</sub> H <sub>36</sub> N <sub>4</sub> O <sub>6</sub>
<b>Molecular Weight:</b>	584.66
<b>Target:</b>	DNA Alkylator/Crosslinker; ADC Cytotoxin
<b>Pathway:</b>	Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	SG3199 is a cytotoxic DNA minor groove interstrand crosslinking pyrrolobenzodiazepine (PDB) dimer. SG3199 is the released warhead component of the ADC payload Tesirine (SG3249) <sup>[1][2]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	Pyrrolobenzodiazepines
<b>In Vitro</b>	<p>SG3199 was potently cytotoxic against a panel of human solid tumour and haematological cancer cell lines with a mean GI<sub>50</sub> 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<sup>[1]</sup>.</p> <p>SG3199 is highly efficient at producing DNA interstrand cross-links in naked linear plasmid DNA and dose-dependent cross-linking is observed in cells<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>The in vitro binding of [<sup>3</sup>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%<sup>[1]</sup>.</p> <p>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<sub>1/2</sub> between 8 and 42 minutes<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### 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.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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