



# SZABO SCANDIC

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## Produktinformation



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Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

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### Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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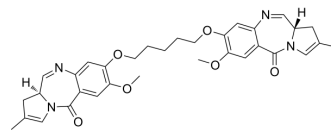
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## SG3199

<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>	-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

<b>In Vitro</b>	DMSO : 130 mg/mL (222.35 mM; Need ultrasonic)																					
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent</th> <th rowspan="2">Mass</th> <th colspan="3">Concentration</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td rowspan="3">Preparing Stock Solutions</td> <td>1 mM</td> <td>1.7104 mL</td> <td>8.5520 mL</td> <td>17.1040 mL</td> </tr> <tr> <td>5 mM</td> <td>0.3421 mL</td> <td>1.7104 mL</td> <td>3.4208 mL</td> </tr> <tr> <td>10 mM</td> <td>0.1710 mL</td> <td>0.8552 mL</td> <td>1.7104 mL</td> </tr> </tbody> </table>	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	Preparing Stock Solutions	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
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	Please refer to the solubility information to select the appropriate solvent.																					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 3.25 mg/mL (5.56 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 3.25 mg/mL (5.56 mM); Clear solution</li> </ol>																					

### BIOLOGICAL ACTIVITY

<b>Description</b>	SG3199 is a cytotoxic DNA minor groove interstrand crosslinking pyrrolobenzodiazepine (PBD) 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 is 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>

## In Vivo

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>.

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>.

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.

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

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