



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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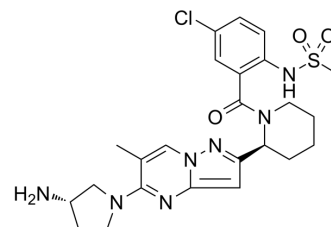
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## Presatovir

<b>Cat. No.:</b>	HY-16727		
<b>CAS No.:</b>	1353625-73-6		
<b>Molecular Formula:</b>	C <sub>24</sub> H <sub>30</sub> ClN <sub>7</sub> O <sub>3</sub> S		
<b>Molecular Weight:</b>	532.06		
<b>Target:</b>	RSV		
<b>Pathway:</b>	Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 6 mg/mL (11.28 mM; Need ultrasonic and warming)  
 H<sub>2</sub>O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8795 mL	9.3974 mL	18.7949 mL
	5 mM	0.3759 mL	1.8795 mL	3.7590 mL
	10 mM	0.1879 mL	0.9397 mL	1.8795 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 0.6 mg/mL (1.13 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 0.6 mg/mL (1.13 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 0.6 mg/mL (1.13 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 0.48 mg/mL (0.90 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 0.48 mg/mL (0.90 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Presatovir (GS-5806) is an orally bioavailable RSV fusion inhibitor with a mean EC<sub>50</sub> value of 0.43 nM<sup>[1]</sup>.

<b>IC<sub>50</sub> &amp; Target</b>	EC <sub>50</sub> : 0.43 nM (RSV) <sup>[1]</sup>
<b>In Vitro</b>	<p>Presatovir is a novel, orally bioavailable RSV fusion inhibitor discovered following a lead optimization campaign on a hit originated from a phenotypic RSV antiviral high-throughput screen. Presatovir exhibits potent activity against a wide range of RSV A and B clinical isolates with a mean EC<sub>50</sub> value of 0.43 nM<sup>[1]</sup>. GS-5806 inhibits pre to post triggered conformational changes of RSV F protein, suggesting a possible mechanism for antiviral activity<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>Presatovir demonstrates dose-dependent (0-30 mg/kg) antiviral efficacy in a cotton rat model of RSV infection. Oral bioavailability in preclinical species ranges from 46 to 100%, with penetration of the compound into the lung tissue demonstrated in Sprague-Dawley rats. Multidose oral treatment of Presatovir appears safe in adults, and in healthy human volunteers experimentally infected with RSV, a potent antiviral effect and reduction in disease severity is observed in the high dose group. A group treated with a lower dose of Presatovir allows for a PK-PD relationship to be established to help guide future dose selections<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

### Cell Assay <sup>[1]</sup>

GS-5806 are diluted in 100% DMSO. To conduct the cytopathic antiviral assay, 0.4 μL of 100×concentrated 3-fold serially diluted drug is added to 20 μL of cell culture medium in a 384-well plate. HEp-2 cells are then suspended in MEM plus 10% FBS at a density of 1×10<sup>5</sup> cells/mL, are infected in bulk with RSV A2 at a titer of approximately 1×10<sup>4.5</sup> tissue culture infectious doses/mL. Immediately following infection, 20 μL of RSV-infected cells are added to each well. The cells are then cultured for 4 days at 37 °C. Following this incubation the cells are allowed to equilibrate to 25°C. The RSV-induced cytopathic effect is determined by adding 40 μL of Cell-Titer Glo viability reagent. Following a 10 min incubation at 25 °C, cell viability is determined<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- J Enzyme Inhib Med Chem. 2022 Dec;37(1):2598-2604.
- J Virol. 2021 Aug 11;JVI0120521.
- J Antimicrob Chemother. 2018 Jul 1;73(7):1823-1829.
- Chemrxiv. 2024 Mar 22.

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## REFERENCES

[1]. Mackman RL, et al. Discovery of an oral respiratory syncytial virus (RSV) fusion inhibitor (GS-5806) and clinical proof of concept in a human RSV challenge study. J Med Chem. 2015 Feb 26;58(4):1630-1643.

[2]. Samuel D, et al. GS-5806 inhibits pre- to postfusion conformational changes of the respiratory syncytial virus fusion protein. Antimicrob Agents Chemother. 2015 Nov;59(11):7109-12.

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

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