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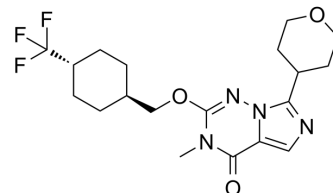
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DSR-141562

Cat. No.:	HY-136569		
CAS No.:	2007975-22-4		
Molecular Formula:	C ₁₉ H ₂₅ F ₃ N ₄ O ₃		
Molecular Weight:	414.42		
Target:	Phosphodiesterase (PDE)		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (60.33 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	<div><div>Solvent</div><div>Concentration</div></div>	Mass	1 mg	5 mg	10 mg
		1 mM		2.4130 mL	12.0651 mL	24.1301 mL
		5 mM		0.4826 mL	2.4130 mL	4.8260 mL
		10 mM		0.2413 mL	1.2065 mL	2.4130 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: ≥ 2.5 mg/mL (6.03 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	DSR-141562 is a novel, orally active, and selective brain-penetrant phosphodiesterase 1 (PDE1) inhibitor. DSR-141562 shows preferential selectivity for human PDE1B with an IC ₅₀ of 43.9 nM, and the IC ₅₀ values for human PDE1A and 1C are 97.6 and 431.8 nM, respectively. DSR-141562 can be used for the study of positive symptoms, negative symptoms and cognitive impairments associated with schizophrenia ^{[1][2]} .
IC ₅₀ & Target	IC ₅₀ : 43.9 nM (human PDE1B) IC ₅₀ : 97.6 nM (human PDE1A)

	IC50: 431.8 nM (human PDE1C)																
In Vivo	<p>DSR-141562 (oral administration; 30 mg/kg; single dose; plasma and brain exposures 0.5, 1, 2, and 3 hours after administration) exhibits good brain uptake, with the brain-to-blood concentration ratio of unbound drug being 0.99 in rats. DSR-141562 (oral administration; 10 mg/kg; single dose; 2 hours) slightly but significantly increases cGMP contents in the frontal cortex and striatum in rat^[1].</p> <p>DSR-141562 (oral administration; 30 mg/kg or 100 mg/kg; single dose; 2 hours) causes a significant increase in cGMP concentration in monkey CSF. The plasma concentrations of unbound this compound are above 43.9 nM (IC50s) for PDE1B in vitro (43.9 nM). DSR-141562 causes a significant increase in cGMP concentration in monkey CSF^[1].</p> <p>DSR-141562 (oral administration; 3 mg/kg, 10 mg/kg and 30 mg/kg; single dose) significantly reverses methamphetamine-induced locomotor hyperactivity, but has no effect on spontaneous locomotor activity at 3 and 10 mg/kg^[1].</p> <p>DSR-141562 (oral administration; 0.3 mg/kg, 1 mg/kg or 3 mg/kg) significantly reversed the phencyclidine-induced decrease of social interaction time in mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table> <tr> <td>Animal Model:</td><td>Male SpragueDawley rats^[1]</td></tr> <tr> <td>Dosage:</td><td>3 mg/kg, 10 mg/kg and 30 mg/kg</td></tr> <tr> <td>Administration:</td><td>Oral administration; single dose</td></tr> <tr> <td>Result:</td><td>Inhibited methamphetamine-induced locomotor hyperactivity in rats, while it had only minimal effects on the spontaneous locomotor activity.</td></tr> </table> <table> <tr> <td>Animal Model:</td><td>Male SpragueDawley rats^[1]</td></tr> <tr> <td>Dosage:</td><td>0.3 mg/kg, 1 mg/kg or 3 mg/kg</td></tr> <tr> <td>Administration:</td><td>Oral administration; single dose</td></tr> <tr> <td>Result:</td><td>Reversed social interaction.</td></tr> </table>	Animal Model:	Male SpragueDawley rats ^[1]	Dosage:	3 mg/kg, 10 mg/kg and 30 mg/kg	Administration:	Oral administration; single dose	Result:	Inhibited methamphetamine-induced locomotor hyperactivity in rats, while it had only minimal effects on the spontaneous locomotor activity.	Animal Model:	Male SpragueDawley rats ^[1]	Dosage:	0.3 mg/kg, 1 mg/kg or 3 mg/kg	Administration:	Oral administration; single dose	Result:	Reversed social interaction.
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REFERENCES

- [1]. Takeshi Enomoto, et al. A Novel Phosphodiesterase 1 Inhibitor DSR-141562 Exhibits Efficacies in Animal Models for Positive, Negative, and Cognitive Symptoms Associated With Schizophrenia. J Pharmacol Exp Ther
- [2]. Takeshi Enomoto, et al. The Preclinical Profile of DSR-141562: A Novel Phosphodiesterase 1 Inhibitor for the Treatment of Positive Symptoms, Negative Symptoms and Cognitive Impairments Associated with Schizophrenia. Proceedings for The 93rd Annual Meeting

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

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