DSR-141562

Cat. No.: HY-136569

CAS No.: 2007975-22-4

Molecular Formula: $C_{19}H_{25}F_3N_4O_3$

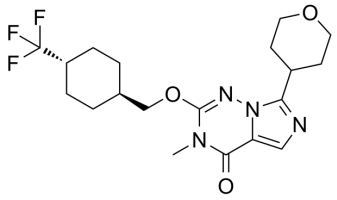
Molecular Weight: 414.42

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	Solvent / Mass / Concentration	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

IC50: 43.9 nM (human PDE1B)
IC50: 97.6 nM (human PDE1A)

	IC50: 431.8 nM (human PDE1C)
In Vivo	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]. 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]. 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 spontaneouslocomotor activity at 3 and 10 mg/kg[1]. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague Dawley 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 Sprague Dawley rats[1]
Dosage:	0.3 mg/kg, 1 mg/kg or 3 mg/kg
Administration:	Oral administration; single dose
Result:	Reversed social interaction.

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

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