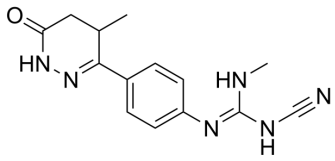
Siguazodan

Cat. No.:	HY-19026
CAS No.:	115344-47-3
Molecular Formula:	$C_{14}H_{16}N_6O$
Molecular Weight:	284.32
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 : 12.5 mg/mL (43.96 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5172 mL	17.5858 mL	35.1716 mL
5 mM	0.7034 mL	3.5172 mL	7.0343 mL
10 mM	0.3517 mL	1.7586 mL	3.5172 mL

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

BIOLOGICAL ACTIVITY

Description

Siguazodan (SKF 94836) is a potent, selective and orally active phosphodiesterase III (PDE-III) inhibitor with an IC_{50} of 117 nM. Siguazodan increases cAMP accumulation in intact platelets with an EC_{50} of 18.88 μM. Siguazodan also inhibits phenylephrine-induced 5-HT release with an IC_{50} value of 4.2 μM[1][2][3].

IC_{50} & Target

IC50: 117 nM (phosphodiesterase III)[1]

In Vitro

Siguazodan selectively inhibits the major cyclic AMP-hydrolysing PDE in human platelet supernatants. The inhibited enzyme has been variously termed cyclic GMP-inhibited PDE or PDE-III. In platelet-rich plasma (PRP), Siguazodan inhibits U46619-induced aggregation more potently than that induced by adenosine 5'-diphosphate (ADP), and collagen. Treatment of the PRP with Aspirin has no effect on the potency of Siguazodan. In washed platelets, Siguazodan increases cyclic AMP levels and reduces cytoplasmic free calcium. ADP decreases the ability of Siguazodan to raise cyclic AMP and this may explain its lower potency in inhibiting responses to ADP. Siguazodan has anti-platelet actions over the same concentration range that it is an inotrope and vasodilator[2].

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

In Vivo	Siguazodan is a potent, selective inhibitor of phosphodiesterase III that has positive inotropic and vasodilating actions in various laboratory animals and is orally active with a long duration of action in conscious dogs[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tang KM, et al. Photoaffinity labelling of cyclic GMP-inhibited phosphodiesterase (PDE III) in human and rat platelets and rat tissues: effects of phosphodiesterase inhibitors. Eur J Pharmacol. 1994 Jun 15;268(1):105-14.

[2]. Murray KJ, et al. The effects of siguazodan, a selective phosphodiesterase inhibitor, on human platelet function. Br J Pharmacol. 1990 Mar;99(3):612-6.

[3]. Freitag A, et al. Phosphodiesterase inhibitors suppress alpha2-adrenoceptor-mediated 5-hydroxytryptamine release from tracheae of newborn rabbits. Eur J Pharmacol. 1998 Jul 31;354(1):67-71.

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