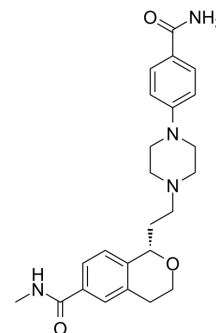


PNU-142633

Cat. No.:	HY-103131		
CAS No.:	187665-65-2		
Molecular Formula:	C ₂₄ H ₃₀ N ₄ O ₃		
Molecular Weight:	422.52		
Target:	5-HT Receptor		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description	PNU-142633 is a high affinity, selective and orally active 5-HT _{1D} receptor agonist with K _i s of 6 nM and > 18 000 nM for human 5-HT _{1D} receptor and human 5-HT _{1B} receptor, respectively. PNU-142633 has anti-migraine efficacy ^{[1][2]} .	
IC₅₀ & Target	5-HT _{1D} Receptor 6 nM (K _i)	
In Vitro	The intrinsic activity of PNU-142633 at the human 5-HT _{1D} receptor is determined to be 70% that of 5-HT in a cytosensor cell-based assay compared with 84% for that of Sumatriptan ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	PNU-142633 (0.03-1 mg/kg; intravenous injection; male Hartley guinea pigs) treatment blocks neurogenic plasma protein extravasation. And PNU-142633 fails to alter resistance in these vascular beds ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	Male Hartley guinea pigs (250-300 g) stimulated with bipolar electrode ^[1]
	Dosage:	0.03 mg/kg, 0.1 mg/kg, 0.3 mg/kg, 1 mg/kg
	Administration:	Intravenous injection
	Result:	Significantly reduced extravasation at the 0.1 and 0.3 mg/kg doses, but was without effect at the 0.03 and 1.0 mg/kg doses.

REFERENCES

[1]. McCall RB, et al. Preclinical studies characterizing the anti-migraine and cardiovascular effects of the selective 5-HT_{1D} receptor agonist PNU-142633. *Cephalalgia*. 2002 Dec;22(10):799-806.

[2]. Gomez-Mancilla B, et al. Safety and efficacy of PNU-142633, a selective 5-HT_{1D} agonist, in patients with acute migraine. *Cephalalgia*. 2001 Sep;21(7):727-32.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA