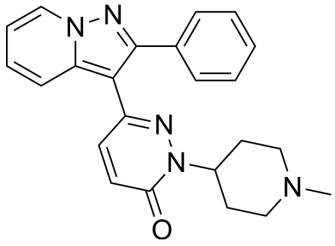
FR194921

Cat. No.:	HY-116800
CAS No.:	202646-80-8
Molecular Formula:	$C_{23}H_{23}N_5O$
Molecular Weight:	385.46
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

FR194921 is a potent, selective and orally active and cross the blood-brain barrier Adenosine A1 antagonist with K_i value of 6.6, 5400 nM for A1, A2A, respectively. FR194921 shows cognitive-enhancing and anxiolytic activity[1][2].

IC_{50} & Target

A1R	$A_{2A}R$
6.6 nM (Ki)	5400 nM (Ki)

In Vivo

FR194921 (32 mg/kg; p.o.) shows good oral bioavailability with AUC of 6.91 μg·h/mL, C_{max} of 2.13 μg/mL and T_{max} OF 0.63 h, BA of 60.6% in rats[1].

FR194921 (0.032, 0.1, 0.32 mg/kg; p.o.) dose-dependently attenuates the hypolocomotion induced by CPA (HY-103181)[2].

FR194921 (0.1-10 mg/kg; i.p.) significantly ameliorates scopolamine (HY-N0296)-induced memory deficits[2].

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

Animal Model:	SD rats[2]
Dosage:	0.032, 0.1, 0.32 mg/kg
Administration:	P.o.; administered orally 25 min prior to intraperitoneal administration of CPA (0.056 mg/kg; i.p.)
Result:	Dose-dependently attenuated the hypolocomotion induced by CPA with an ED50 value of 0.08 mg/kg and statistical significance at 0.32 mg/kg.

Animal Model:	SD rats[2]
Dosage:	0.1, 0.32, 1, 3.2, 10 mg/kg
Administration:	I.p.; Scopolamine (HY-N0296)(1 mg/kg, i.p.)
Result:	Significant cognitive enhanced following scopolamine-induced memory deficits in rats.

REFERENCES

[1]. Kuroda S, et al. Design, synthesis and biological evaluation of a novel series of potent, orally active adenosine A1 receptor antagonists with high blood-brain barrier permeability. Chem Pharm Bull (Tokyo). 2001 Aug;49(8):988-98.

[2]. Maemoto T, et al. Pharmacological characterization of FR194921, a new potent, selective, and orally active antagonist for central adenosine A1 receptors. J Pharmacol Sci. 2004 Sep;96(1):42-52.

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