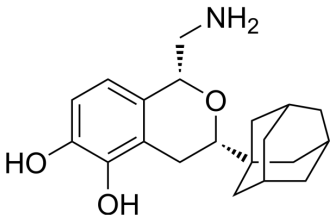
A-77636

Cat. No.:	HY-141496
CAS No.:	778546-51-3
Molecular Formula:	$C_{20}H_{27}NO_3$
Molecular Weight:	329.43
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

A-77636 is an orally active, potent, selective and long-acting dopamine D1 receptor agonist (pEC50 = 8.13; EC50 = 1.1 nM). A-77636 shows the highest affinity (pKi = 7.40 ± 0.09; Ki = 39.8 nM) for the dopamine D1 receptor. A-77636 shows antiparkinsonian activity[1].

IC_{50} & Target

Dopamine D1 receptor 1.1 nM (EC50)	Dopamine D1 receptor 39.8 nM (Ki)

In Vivo

A-77636 (0-3.2 μmol/kg, Subcutaneously) elicits rotational behavior in 6-OHDA-lesioned rats (ED_{50}=0.32 μmol/kg s.c.)[1].
A-77636 (1-10 mg/kg) attenuates addiction-induced locomotor activity in a dose-dependent manner[2].
A-77636 produce forelimb clonus in rats (ED_{50}=12.3 μmol/kg s.c.) and mice (ED_{50}=12.1 μmol/kg s.c.)[1].
In marmosets treated with MPTP to induce a parkinsonian-like state, A-77636 (0.5, 1.0 or 2.0 μmol/kg, p.o.) increases locomotor activity and decreases the severity of the parkinsonian-like symptoms: the compound is active after either subcutaneous or oral administration[1].

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

Animal Model:	Rats with unilateral 6-OHDA (6-hydroxydopamine) lesions of the nigrostriatal pathway (six/group)[1]
Dosage:	0.32, 1.0, 3.2 μmol/kg
Administration:	Subcutaneously
Result:	Elicited prolonged (> 20 h) contralateral turning, which was blocked by SCH 23390, a D1 receptor antagonist, but not by haloperidol at doses selective for the dopamine D2 receptor.

Animal Model:	Male Swiss Webster mice (18-25 g, five or six per cage)[2]
Dosage:	1, 3, 10 mg/kg
Administration:	
Result:	Attenuated addiction-induced locomotor activity in a dose-dependent manner. When

	administered alone, 1 and 3 mg/kg A-77636 produced little change in locomotor activity, whereas 10 mg/kg produced a significant and substantial decrease in locomotor activity.

REFERENCES

[1]. Kebabian JW, et al. A-77636: a potent and selective dopamine D1 receptor agonist with antiparkinsonian activity in marmosets. Eur J Pharmacol. 1992 Dec 15;229(2-3):203-9.

[2]. Chausmer AL, et al. Comparison of interactions of D1-like agonists, SKF 81297, SKF 82958 and A-77636, with cocaine: locomotor activity and drug discrimination studies in rodents. Psychopharmacology (Berl). 2002 Jan;159(2):145-53.

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