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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
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L-745870

Cat. No.: HY-14325

CAS No.: 158985-00-3

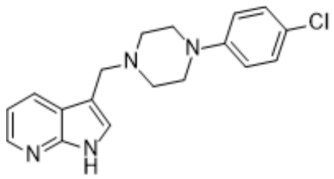
Molecular Formula: $C_{18}H_{19}ClN_4$

Molecular Weight: 326.82

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (76.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0598 mL	15.2989 mL	30.5979 mL
	5 mM	0.6120 mL	3.0598 mL	6.1196 mL
	10 mM	0.3060 mL	1.5299 mL	3.0598 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 (7.65 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.65 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-745870 is a potent, selective, brain-penetrant and orally active dopamine D_4 receptor antagonist with a K_i of 0.43 nM. L-745870 shows weaker affinity for D_2 (K_i of 960 nM) and D_3 (K_i of 2300 nM) receptors, and exhibits moderate affinity for 5-HT_2 receptors, sigma sites and α-adrenoceptors[1][2][3].

IC_{50} & Target

Human D_4 Receptor	D_2 Receptor	D_3 Receptor
4.3 nM (Ki)	960 nM (Ki)	2300 nM (Ki)

In Vitro

L-745870 is capable of antagonizing the ability of D_4 receptors to inhibit agonist-induced stimulation of [^{35}S]-GTPgS binding; blocking the inhibition of forskolin-stimulated adenylate cyclase activity in transfected human embryonic kidney (HEK293) and Chinese hamster ovary (CHO) cells; blocking dopamine-induced inhibition of Ca^{2+} currents in transfected GH4C1 pituitary cells; inhibiting D_4 activation of cloned G protein-coupled inwardly rectifying K^+ channels; and antagonizing

	dopamine-induced stimulation of extracellular acidification in transfected cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-745870 has good pharmacokinetic properties (20-60% oral bioavailability and plasma $t_{1/2}$ 2.1-2.8 hours) in both rat and monkey, and excellent brain penetration with high brain to plasma ratios in rat[2]. Following oral administration to squirrel monkeys, L745870 (10 mg/kg p.o.) induces mild sedation and extrapyramidal motor symptoms, notably bradykinesia, became apparent at 30 mg/kg. Lower doses of L-745870 has no observable behavioural effects in monkeys[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Psychopharmacology. 2022 Sep 15.

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REFERENCES

[1]. Bristow LJ, et al. Schizophrenia and L-745,870, a novel dopamine D4 receptor antagonist. Trends Pharmacol Sci. 1997 Jun;18(6):186-8.

[2]. Patel S, et al. Biological profile of L-745,870, a selective antagonist with high affinity for the dopamine D4 receptor. J Pharmacol Exp Ther. 1997 Nov;283(2):636-47.

[3]. Kulagowski JJ, et al. 3-((4-(4-Chlorophenyl)piperazin-1-yl)-methyl)-1H-pyrrolo-2,3-b-pyridine: an antagonist with high affinity and selectivity for the human dopamine D4 receptor. J Med Chem. 1996 May 10;39(10):1941-2.

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