A-381393

Cat. No.: HY-116941

CAS No.: 726174-00-1

Molecular Formula: $C_{20}H_{24}N_4$

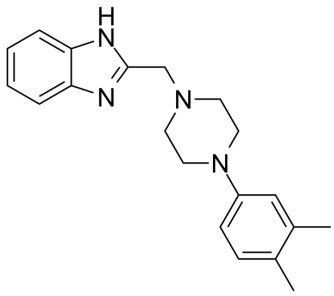
Molecular Weight: 320.43

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 51.67 mg/mL (161.25 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1208 mL	15.6040 mL	31.2081 mL
5 mM	0.6242 mL	3.1208 mL	6.2416 mL
10 mM	0.3121 mL	1.5604 mL	3.1208 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: ≥ 2.58 mg/mL (8.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.58 mg/mL (8.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.58 mg/mL (8.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-381393 is a potent, selective, brain penetrate dopamine D_4 receptor antagonist, with K_is of 1.5, 1.9 and 1.6 nM for human dopamine $D_{4.4}$, $D_{4.2}$, and $D_{4.7}$ receptor, respectively, >2700-fold selectivity over D_1, D_2, D_3 and D_5 dopamine receptors. A-381393 shows moderate affinity for 5-HT_{2A} (K_i, 370 nM)[1].

IC_{50} & Target

Ki: 1.5 nM ($D_{4.4}$ receptor), 1.9 nM ($D_{4.2}$ receptor), 1.6 nM ($D_{4.7}$ receptor)[1]

In Vitro

A-381393 exhibits weak affinity at 5-HT_{1A}, Sigma 2, Adenoceptor α_{1A}, Adenoceptor α_{2C}, Histamine H1, with K_is of 1365, 8600,

2044, 1912 and 2962 nM, respectively[1].

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

REFERENCES

[1]. Nakane M, et al. 2-[4-(3,4-Dimethylphenyl)piperazin-1-ylmethyl]-1H benzoimidazole (A-381393), a selective dopamine D4 receptor antagonist. Neuropharmacology. 2005 Jul;49(1):112-21.

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