A-437203

Cat. No.: HY-U00185

CAS No.: 220519-06-2

Molecular Formula: $C_{20}H_{27}F_3N_6OS$

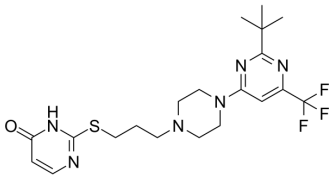
Molecular Weight: 456.53

Target: Dopamine 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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (273.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1904 mL	10.9522 mL	21.9044 mL
5 mM	0.4381 mL	2.1904 mL	4.3809 mL
10 mM	0.2190 mL	1.0952 mL	2.1904 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

A-437203 is a selective D_3 receptor antagonist with K_i of 71, 1.6, and 6220 nM for D_2, D_3, and D_4 receptors, respectively.

IC_{50} & Target

Ki :71 nM (D_2 receptor), 1.6 nM (D_3 receptor), 6220 nM (D_4 receptor)[1]

In Vitro

A-437203 is an antagonist with high affinity for D_3 receptors and relatively high selectivity compared to other dopamine receptor subtypes (44-fold selective for D_3 vs D_2)[1].

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

In Vivo

A-437203, a selective D_3 receptor antagonist, is initially tested alone in rat forced swim test (FST). Doses of A-437203 evaluated are 0.52, 1.75, 5.24, and 17.46 μmol/kg i.p. Doses are chosen based on the selectivity of A-437203 for D_3 vs D_2 dopamine receptors and reports indicating that the effects of A-437203 at doses of 17.46 μmol/kg (10 mg/kg) or lower are clearly mediated by D_3 but not D_2 receptors, since higher doses of the compound such as 174.6 μmol/kg (100 mg/kg) are necessary to bind and block D_2 receptor from the irreversible inactivation induced by the alkylating agent EEDG. ANOVA revealed no significant difference between the treatments for any of the behaviors analyzed ($F_{4, 45}$=1.12, p=0.359 for

immobility, $F_{4, 45}$=0.188, p=0.943 for climbing, and $F_{4, 45}$=1.634, p=0.182 for swimming). Based on these results, the dose of 17.46 μmol/kg i.p. of A-437203 is selected for further experiments[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

Male Sprague-Dawley rats weighing 250-350 g are used for these experiments. Haloperidol (0.27, 1.33, and 2.66 μmol/kg=0.1, 0.5, and 1.0 mg/kg i.p.), A-437203 (LU-201640) (0.52, 1.75, 5.24, and 17.46 μmol/kg=0.3, 1.0, 3.0, and 10.0 mg/kg i.p.), and L-745,870 (0.23, 1.15, 2.3, and 5.7 μmol/kg=0.1, 0.5, 1.0, and 2.5 mg/kg i.p.) are tested initially alone in order to determine effective dose ranges. In those experiments, haloperidol, A-437203, and L-745,870 are administered i.p. 24, 5, and 0.5 h before the test swim. In the subsequent antagonism experiments, Haloperidol (0.27 μmol/kg), A-437203 (17.46 μmol/kg) or L-745,870 (1.15 μmol/kg) are injected i.p. 15 min prior to each quinpirole injection (0.4 and 1.0 μmol/kg s.c.).

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

REFERENCES

[1]. Basso AM, et al. Antidepressant-like effect of D(2/3) receptor-, but not D(4) receptor-activation in the rat forced swim test. Neuropsychopharmacology. 2005 Jul;30(7):1257-68.

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