Product Data Sheet

Product Name: A-437203 (Lu201640)
Cat. No.: GC31214

Chemical Properties

Cas. No.	220519-06-2		
SMILES	O=C1NC(SCCCN2CCN(C3=NC(C(C)(C)C)=NC(C(F)(F)F)=C3)CC2)=NC=C1		
Formula	$C_{20}H_{27}F_3N_6OS$	M.Wt	456.53
Solubility	DMSO : ≥ 125 mg/mL (273.80 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	A-437203 (Lu201640)		

Protocol

Animal experiment:

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.).

Caution: Product has not been fully validated for medical applications. For research use only.
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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.

Background

A-437203 is a selective D3 receptor antagonist with Ki of 71, 1.6, and 6220 nM for D2, D3, and D4 receptors, respectively.

A-437203 is an antagonist with high affinity for D3 receptors and relatively high selectivity compared to other dopamine receptor subtypes (44-fold selective for D3 vs D2)[1].

A-437203, a selective D3 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 D3 vs D2 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 D3 but not D2 receptors, since higher doses of the compound such as 174.6 μmol/kg (100 mg/kg) are necessary to bind and block D2 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].

[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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