Product Data Sheet

Product Name: NRA-0160
Cat. No.: GC31015

Chemical Properties

Cas. No.	204718-47-8		
SMILES	O=C(C1=NC(C2=CC=C(F)C=C2)=C(CCN3CC/C(CC3)=C\C4=CC=CC(F)=C4)S1)N		
Formula	$C_{24}H_{23}F_2N_3OS$	M.Wt	439.52
Solubility	Soluble in DMSO	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	NRA-0160		

Protocol

Animal experiment:

Methamphetamine (MAP, 1 mg/kg, iv) or apomorphine (APO, 40 μg/kg iv.) and incremental doses of NRA0160 or G745870 (the starting dose is 0.1 mg/kg with sequential doses of 0.2, 0.7 and 2 mg/kg) are administered every 2-3 min (drug-induced changes usually reached their plateaus in 2-3 min) via an i.v. catheter implanted in the femoral vein of rats. Drug-induced changes (after reaching plateau) in neuronal activities which are plotted as percent changes from the preinjection baseline rate, are recorded over a 5 min period and defined as 100%. The % inhibition is calculated and ED50 values are determined. The ED50 values are analyzed by fitting it to the four parametric logistic functions, using non-linear least square regression (-) Apomorphine hydrochloride, methamphetamine HCl and L 745870 3HCl are dissolved in 0.9% saline with the addition of 0.1% ascorbic acid for apomorphine. NRA0160 is dissolved in a minimal amount of 0.5N HCl and distilled water for injection, then titrated is with 0.5N NaOH to a final pH of 5.

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References:

[1]. Abekawa T, et al. Effects of NRA0045, a novel potent antagonist at dopamine D4, 5-HT2A, and alpha1 adrenaline receptors, and NRA0160, a selective D4 receptor antagonist, on phencyclidine-induced behavior and glutamate release in rats. Psychopharmacology (Berl). 2003 Sep;169(3-4):247-56. Epub 2003 Jul 31.
[2]. Kawashima N, et al. Effects of selective dopamine D4 receptor blockers, NRA0160 and L-745,870, on A9 and A10 dopamine neurons in rats. Life Sci. 1999;65(24):2561-71.

Background

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NRA-0160 is a selective dopamine D4 receptor antagonist, with a Ki value of 0.48 nM and with negligible affinity for dopamine D2 receptor (Ki: >10000 nM), D3 receptor (Ki: 39 nM), rat 5-HT2A receptor (Ki: 180 nM) and rat α1 adrenoceptor (Ki: 237 nM).

NRA0160 (0.1, 1, or 3 mg/kg, i.p.) has no effect on PCP-induced hyperlocomotion, stereotypy or ataxia in SD rats. NRA0160, at any dose, does not reduce cumulated counts of locomotion and cumulated scores of stereotypy emerging, and has no effect on extracellular glutamate levels and locomotor activity emerged after saline injection[1]. NRA0160 dose-dependently and significantly reverses the effects of MAP on both A9 and Al0 dopamine neurons. NRA0160 is slightly more potent in reversiig the effects of MAP on A10 (ED50 = 1.0 mg/kg) than on A9 dopamine neurons (ED50 = 1.3 mg/kg). NRA0160 reverses the effect of AP0 on both A9 and A10 dopamine neurons. ED50 values for the effects of NRA0160 on APO-induced inhibition of A9 and A10 dopamine neurons are 1.3 mg/kg and 0.5 mg/kg, respectively[2].

[1]. Abekawa T, et al. Effects of NRA0045, a novel potent antagonist at dopamine D4, 5-HT2A, and alpha1 adrenaline receptors, and NRA0160, a selective D4 receptor antagonist, on phencyclidine-induced behavior and glutamate release in rats. Psychopharmacology (Berl). 2003 Sep;169(3-4):247-56. Epub 2003 Jul 31. [2]. Kawashima N, et al. Effects of selective dopamine D4 receptor blockers, NRA0160 and L-745,870, on A9 and A10 dopamine neurons in rats. Life Sci. 1999;65(24):2561-71.

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