Befiradol hydrochloride

Cat. No.: HY-14785A

CAS No.: 2436760-81-3

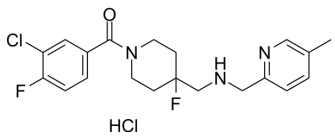
Molecular Formula: $C_{20}H_{23}Cl_2F_2N_3O$

Molecular Weight: 430.32

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (290.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3239 mL	11.6193 mL	23.2385 mL
	5 mM	0.4648 mL	2.3239 mL	4.6477 mL
	10 mM	0.2324 mL	1.1619 mL	2.3239 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: ≥ 2.08 mg/mL (4.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Befiradol hydrochloride (NLX-112 hydrochloride) is a selective 5-HT_{1A} receptor agonist.

IC_{50} & Target

5-HT_{1A} Receptor

In Vivo

Befiradol (F13640; NLX-112) reduces the activity of dorsal raphe serotonergic neurons at 0.2-18.2 μg/kg, i.v. (cumulative doses; ED_{50}=0.69 μg/kg, i.v.) and increases the discharge rate of 80% of mPFC pyramidal neurons in the same dose range (ED_{50}=0.62 μg/kg, i.v.). Both effects are reversed by the subsequent administration of the 5-HT_{1A} receptor antagonist (±)WAY100635. In microdialysis studies, Befiradol (F13640; NLX-112) (0.04-0.63 mg/kg, i.p.) dose-dependently decreases extracellular 5-HT in the hippocampus and mPFC. Likewise, Befiradol (F13640; NLX-112) (0.01-2.5 mg/kg, i.p.) dose-

dependently increases extracellular DA in mPFC, an effect dependent on the activation of postsynaptic 5-HT_{1A} receptors in mPFC. Local perfusion of Befiradol in mPFC (1-1,000 μM) also increases extracellular DA in a concentration-dependent manner. Both the systemic and local effects of Befiradol are prevented by prior (±)WAY100635 administration[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

Male albino Wistar rats (230-300 g) are used throughout the study. Rats are anaesthetized with chloral hydrate (400-500 mg/kg, i.p.) or isoflurane. For the experiment with systemic administration of the compounds, saline or (±)WAY100635 are injected s.c., followed, 40 min later, by i.p. administration of saline or Befiradol. For the experiments with local perfusion, saline is injected s.c. and 40 min later, Befiradol (F13640; NLX-112) is added to the perfusion medium for the concentration-response experiment. For the antagonism, (±)WAY100635 (or aCSF) is delivered through the dialysis probe and 40 min later, Befiradol is added to the perfusion medium. Samples are collected for 140 min after administration or beginning of the perfusion of the agonist[1].

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

REFERENCES

[1]. Lladó-Pelfort L, et al. In vivo electrophysiological and neurochemical effects of the selective 5-HT1A receptor agonist, F13640, at pre- and postsynaptic 5-HT1A receptors in the rat. Psychopharmacology (Berl). 2012 May;221(2):261-72.

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