Lumateperone tosylate

Cat. No.: HY-19733

CAS No.: 1187020-80-9

Molecular Formula: $C_{31}H_{36}FN_3O_4S$

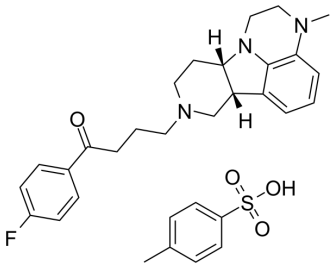
Molecular Weight: 565.7

Target: 5-HT Receptor; Dopamine 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 : 100 mg/mL (176.77 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 80°C) (insoluble)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.7677 mL	8.8386 mL	17.6772 mL
5 mM	0.3535 mL	1.7677 mL	3.5354 mL
10 mM	0.1768 mL	0.8839 mL	1.7677 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: ≥ 2.5 mg/mL (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lumateperone (ITI-007) tosylate is a 5-HT2A receptor antagonist (Ki = 0.54 nM), a partial agonist of presynaptic D2 receptors and an antagonist of postsynaptic D2 receptors (Ki = 32 nM), and a dopamine D1 receptor modulator. Lumateperone tosylate has anticancer activity and can also be used in studies of psychiatric disorders such as schizophrenia[1][2][3].

IC_{50} & Target

$5\text{-}HT_{2A}$ Receptor

0.54 nM (Ki)

In Vitro

Lumateperone (2-30 μM) tosylate has anti-tumor activity and can inhibit cell proliferation in a dose-dependent manner[1].

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

Cell Proliferation Assay[1]

Cell Line:	RPMI-8226 cells
Concentration:	2-30 μM
Incubation Time:	
Result:	Inhibited cell growth with the IC_{50} value of 17.30 μM.

In Vivo

Lumateperone (i.p., 1-10 mg/kg) tosylate promotes NMDA and AMPA-induced currents in a dopamine D 1 receptor-dependent manner and increases the release of dopamine and glutamate in rat mPFC slices[2].

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

Animal Model:	Adult male Sprague-Dawley rats[2]
Dosage:	1-10 mg/kg
Administration:	Intraperitoneal injection
Result:	Inhibited avoidance response at concentrations of 1, 3 and 10 mg/kg after 20 minutes. Promoted NMDA and AMPA-sensitive currents, also significantly increased dopamine and glutamate release at 10 mg/kg in mPFC cone cells of rat.

REFERENCES

[1]. Jinyuan Zhang, et al. Identification of Trovafloxacin, Ozanimod, and Ozenoxacin as Potent c-Myc G-quadruplex Stabilizers to Suppress c-Myc Transcription and Myeloma Growth. Mol Inform. 2022 Mar 30:e2200011.

[2]. J Titulaer, et al. Lumateperone-mediated effects on prefrontal glutamatergic receptor-mediated neurotransmission: A dopamine D1 receptor dependent mechanism. Eur Neuropsychopharmacol. 2022 Jul 22;62:22-35.

[3]. Lumateperone

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA