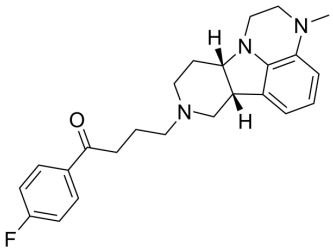
Lumateperone

Cat. No.:	HY-17637
CAS No.:	313368-91-1
Molecular Formula:	$C_{24}H_{28}FN_3O$
Molecular Weight:	393.5
Target:	Dopamine Receptor; 5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Lumateperone (ITI-007) 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 has anticancer activity and can also be used in studies of psychiatric disorders such as schizophrenia[1][2][3].

IC_{50} & Target	D_1 Receptor	D_2 Receptor

In Vitro

Lumateperone (2-30 μM) 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:	48 hours
Result:	Inhibited cell growth with the IC_{50} value of 17.30 μM.

In Vivo

Lumateperone (i.p., 1-10 mg/kg) promotes NMDA and AMPA-induced currents in a dopamine D1 receptor-dependent manner and increases the release of dopamine and glutamate in rat mPFC slices[2].

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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

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