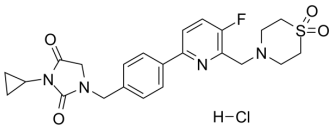
LEI-101

Cat. No.:	HY-124283A
CAS No.:	2250025-91-1
Molecular Formula:	$C_{23}H_{26}ClFN_4O_4S$
Molecular Weight:	508.99
Target:	Cannabinoid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LEI-101 is a potent, selective, and orally bioavailable cannabinoid CB2 receptor agonist, with a pEC_{50} of 8 for hCB2, and a pK_i of less than 4 for hERG. LEI-101 is ~100-fold more potent in binding to CB2 receptors than to CB1 receptors[1][2].

In Vivo

LEI-101 (2, 6, and 20 mg/kg, po) shows in vivo activity in a spinal nerve ligation model of neuropathic pain in rats[1].

LEI⊠101 (p.o. or i.p.) at 3 or 10 mg/kg dose-dependently prevents kidney dysfunction and/or morphological damage induced by cisplatin in mice[2].

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

Animal Model:	Neuropathy-induced mechanical allodynia in male wistar rats[1].
Dosage:	2, 6, and 20 mg/kg.
Administration:	PO, single dose.
Result:	Induced a dose-dependent antinociceptive effect after 2 h of dosing.

Animal Model:	Fed male Wistar rats[1].
Dosage:	1 mg/kg iv and 5 mg/kg po (Pharmacological Analysis).
Administration:	IV and PO.
Result:	Exhibited T1/2 of 1.7 h and 0.8 h for po and iv administration. Had a low clearance and 100% oral bioavailability.

REFERENCES

[1]. Mario van der Stelt, et al. Discovery and Optimization of 1-(4-(pyridin-2-yl)benzyl)imidazolidine-2,4-dione Derivatives as a Novel Class of Selective Cannabinoid CB2 Receptor Agonists. J Med Chem. 2011 Oct 27;54(20):7350-62.

[2]. Partha Mukhopadhyay, et al. The Novel, Orally Available and Peripherally Restricted Selective Cannabinoid CB2 Receptor Agonist LEI-101 Prevents Cisplatin-Induced Nephrotoxicity. Br J Pharmacol. 2016 Feb;173(3):446-58.

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

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