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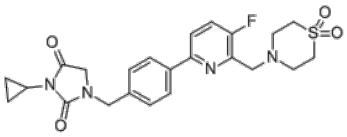
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LEI-101 free base
Cat. No.	:	PC-20747
CAS No.	:	1228660-00-1
Molecular Formula	:	$C_{23}H_{25}FN_4O_4S$
Molecular Weight	:	472.54
Target	:	Cannabinoid Receptor
Solubility	:	10 mM in DMSO



CAS: 1228660-00-1

Biological Activity

LEI-101 free base (LEI 101) is a potent, selective, orally available and peripherally restricted **cannabinoid CB2 receptor** agonist with binding pKi of 7.5 (hCB2R).
LEI-101 displays 100-fold selectivity for CB2 receptors over CB1 receptors in binding assays, does not interact with other proteins of the endocannabinoid system: human FAAH (hFAAH), MAGL, NAPE-PLD and DAGL.
LEI-101 behaves as a CB2 receptor partial agonist in the β-arrestin recruitment and GTPγS assays with pEC50 of 8.0 and 7.0, respectively.
LEI-101 (60 mg/kg, p.o.) does not induce cannabimimetic effects in vivo.
LEI-101 (3.0 or 10 mg/kg, p.o. and i.p.) attenuates biomarkers and histological damage of cisplatin-induced nephropathy in C57Bl6/J mice, prevents cisplatin-induced increases in serum creatinine and BUN levels.
LEI-101 attenuates cisplatin-induced renal 3-nitrotyrosine (3-NT) formation, attenuates cisplatin (Cis)-induced renal HNE adducts formation in wild type, but not in CB2R-/- mice.

References

Mukhopadhyay P, et al. ***Br J Pharmacol.*** 2016 Feb;173(3):446-58.

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