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Zuschläge

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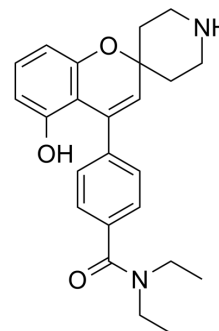
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ADL5859

Cat. No.:	HY-14356
CAS No.:	850305-06-5
Molecular Formula:	C ₂₄ H ₂₈ N ₂ O ₃
Molecular Weight:	392.49
Target:	Opioid Receptor; Potassium Channel; Cytochrome P450
Pathway:	GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ADL-5859 is a selective and orally active δ opioid receptor (DOR) agonist with an K_i and an EC_{50} value of 0.84 and 20 nM, respectively. ADL-5859 also shows inhibitory activity to hERG channel with an IC_{50} value of 78 μ M. ADL-5859 can be used for the research of pain ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : 78 μ M (hERG channel), 43 μ M (CYP2D6) ^{[1][2]}
In Vitro	ADL-5859 (0-10 μ M) shows activities to δ opioid receptor with an K_i and an EC_{50} value of 0.84 and 20 nM, and inhibits 32% and 37% activities to μ and κ opioid receptor, respectively ^[1] . ADL-5859 (0-100 μ M) exhibits inhibitory activity to hERG channel with an IC_{50} value of 78 μ M ^[1] . ADL-5859 (0-100 μ M) inhibits activity of the drug metabolizing enzyme cytochrome P450 2D6 (CYP2D6) in vitro with an IC_{50} value of 43 μ M ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Le Bourdonnec B, et al. Potent, orally bioavailable delta opioid receptor agonists for the treatment of pain: discovery of N,N-diethyl-4-(5-hydroxyspiro[chromene-2,4'-piperidine]-4-yl)benzamide (ADL5859). J Med Chem. 2008 Oct 9;51(19):5893-6.
- [2]. Le Bourdonnec B, et al. Spirocyclic delta opioid receptor agonists for the treatment of pain: discovery of N,N-diethyl-3-hydroxy-4-(spiro[chromene-2,4'-piperidine]-4-yl)benzamide (ADL5747). J Med Chem. 2009 Sep 24;52(18):5685-702.
- [3]. Potent, Orally Bioavailable Delta Opioid Receptor Agonists for the Treatment of Pain: Discovery of N,N-Diethyl-4-(5-hydroxyspiro[chromene-2,4'-piperidine]-4-yl)benzamide (ADL5859). J. Med. Chem., 2008, 51 (19), pp 5893-5896

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

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