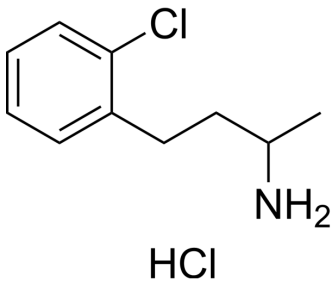
SK609 hydrochloride

Cat. No.:	HY-117059
CAS No.:	1092797-77-7
Molecular Formula:	$C_{10}H_{15}Cl_2N$
Molecular Weight:	220.14
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SK609 hydrochloride is a dopamine D3 receptor (D3R) selective agonist with an EC_{50} of 1109 nM. SK609 hydrochloride has the potential for parkinson research[1].
IC_{50} & Target	Dopamine D3 receptor 1109 nM (EC50)
In Vitro	In a Competitive inhibition affinity assay by SK609 to D3R, SK609 exhibits an EC_{50} value of 1109 ± 273 nM at D3R[1]. SK609 hydrochloride activates Gi/o proteins and downstream ERK1/2 signaling but have no effects on D2R/ERK1/2. SK609 exhibits EC_{50} values of 1.1 ± 0.2 μM, 50.2 ± 5.9 nM, and 14.4 ± 2.7 μM for [^{35}S]GTPγS binding, ERK1/2 phosphorylation, and β-Arrestin recruitment respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Safety toxicology studies of SK609 in rats have shown the maximum tolerated dose of SK609 is 30mg/kg and all the doses used in this study are well within the no-observed-adverse-effect level of SK609[1]. SK609 shows the maximum tolerated dose of SK609 is 30mg/kg in safety toxicology study within the no-observed-adverse-effect level of SK609[2]. In the cynomolgus macaques PK study, oral administration of SK609 (0.5, 2 and 4 mg/kg) is associated with mean C_{max} values of 13.7, 69.3 and 218 ng/ml respectively, occurring at (T_{max}) 4.1, 6.4 and 5.0 hours following administration and with corresponding $AUC_{(0-t)}$ values of 171, 904 and 2938 h.ng/ml respectively[3]. Following intravenous administration (2 mg/kg), SK609 had a mean terminal half-life of 7.9 h in plasma. SK609 (i.p.; 2, 4, 6, 8, 10, 20 mg/kg) significantly improves the performance of the impaired paw and also normalized the bilateral asymmetry associated with the hemiparkinson rat[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wei Xu, et al. Functional Characterization of a Novel Series of Biased Signaling Dopamine D3 Receptor Agonists. ACS Chem Neurosci. 2017 Mar 15;8(3):486-500.

[2]. Jay S Schneider, et al. A novel dopamine D3R agonist SK609 with norepinephrine transporter inhibition promotes improvement in cognitive task performance in rodent and non-human primate models of Parkinson's disease. Exp Neurol. 2021 Jan;335:113514

[3]. Sherise L Simms, et al. In vivo characterization of a novel dopamine D3 receptor agonist to treat motor symptoms of Parkinson's disease . Neuropharmacology. 2016

Jan;100:106-15.

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