

Data Sheet

Product Name: (+)-PD 128907 hydrochloride

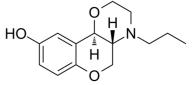
Molecular Weight: 285.77

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Solubility: H2O: 16.67 mg/mL (58.33 mM; Need ultrasonic); DMSO:

20.83 mg/mL (72.89 mM; Need ultrasonic)



HCI

BIOLOGICAL ACTIVITY:

(+)-PD 128907 hydrochloride is a selective dopamine D_2/D_3 receptor agonist, with K_i s of 1.7, 0.84 nM for human and rat D_3 receptors, 179, 770 n M for human and rat D_3 receptors, respectively. IC50 & Target: Ki: 1.7 nM (human D_3 receptor), 0.84 nM (rat D_3 receptor), 179 nM (human D_2 receptor), 770 nM (rat D_2 receptor) $^{[1][2]}$. In Vitro: (+)-PD 128907 displaced $^{[3}H$]spiperone binding from dopamine D_3 receptors (K_i human=1.7 nM and rat=0.84 nM) with >100-fold and 900-fold selectivity over the human (K_i =179 nM) and rat (K_i =770 nM) dopamine D_2 receptor $^{[1][2]}$. In Vivo: (+)-PD 128907 significantly decreases dialysate D_3 levels in D_3 knock out mice. The IC25 values are 61 nM and 1327 nM, respectively, for wild type and D_3 knock out mice. The ratio of the IC25 values shows that (+)-PD 128907 is 22 times more potent in decreasing dialysate D_3 levels in wild type as compared to mice lacking the D_3 receptor. The D_3 agonist evokes a dose related decrease in dialysate D_3 in wild type mice. Post-hoc analysis shows that all doses tested (0.03, 0.1 and 0.3 mg/kg) significantly inhibit dialysate D_3 . The IC25 values are 0.05 and 0.44 mg/kg for wild type and knock out mice, respectively, indicating that systemically administered (+)-PD 128907 is 9 times more potent in decreasing dialysate D_3 in the ventral striatum of wild type as compared to D_3 knock out mice. Doses of 1 mg/kg or higher of (+)-PD 128907 markedly inhibits dialysate D_3 in both wild type and D_3 knock out mice.

References:

- [1]. Collins GT, et al. Dopamine agonist-induced yawning in rats: a dopamine D3 receptor-mediated behavior. J Pharmacol Exp Ther. 2005 Jul;314(1):310-9.
- [2]. Bristow LJ, et al. The behavioural and neurochemical profile of the putative dopamine D3 receptor agonist, (+)-PD 128907, in the rat. Neuropharmacology. 1996 Mar;35(3):285-94.
- [3]. Zapata A, et al. Selective D3 receptor agonist effects of (+)-PD 128907 on dialysate dopamine at low doses. Neuropharmacology. 2001 Sep;41(3):351-9.

CAIndexNames:

2H,5H-[1]Benzopyrano[4,3-b]-1,4-oxazin-9-ol, 3,4,4a,10b-tetrahydro-4-propyl-, hydrochloride (1:1), (4aR,10bR)-

SMILES:

CCCN1[C@@]2([H])[C@@](OCC1)([H])C3=CC(O)=CC=C3OC2.CI

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

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