Web: www.taiclone.com
Tel: +886-2-2735-9682
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Pardoprunox (hydrochloride)

Catalog No: tcsc4869

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
269718-83-4

Formula:
$C_{12}H_{16}ClN_3O_2$

Pathway:
GPCR/G Protein;Neuronal Signaling;GPCR/G Protein;Neuronal Signaling;GPCR/G Protein

Target:
Dopamine Receptor;Dopamine Receptor;Adrenergic Receptor;5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
SLV-308 hydrochloride;DU-126891 hydrochloride

Observed Molecular Weight:
269.73

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Product Description

Pardoprunox hydrochloride is a novel partial dopamine D2 and D3 receptor agonist and serotonin 5-HT1A receptor agonist, D2 (pKi = 8.1) and D3 receptor (pKi = 8.6) partial agonist and 5-HT1A receptor (pKi = 8.5) full agonist.

IC50 value: 8.1/8.6/8.5 (pKi, for D2/ D3/5-HT1A receptor)

Target: dopamine D2 and D3 receptor, 5-HT1A receptor

in vitro: Pardoprunox also binds to D4 (pKi = 7.8), α1-adrenergic (pKi = 7.8), α2-adrenergic (pKi = 7.4), and 5-HT7 receptors (pKi = 7.2) with lower affinity. Pardoprunox acts as a potent but partial D(2) receptor agonist (pEC50 = 8.0 and pA2 = 8.4) with an efficacy of 50% on forskolin stimulated cAMP accumulation. At human recombinant dopamine D3 receptors, Pardoprunox acts as a partial agonist in the induction of [35S]GTPgammaS binding (intrinsic activity of 67%; pEC(50) = 9.2) and antagonized the dopamine induction of [35S]GTPgammaS binding (pA2 = 9.0). Pardoprunox acts as a full 5-HT1A receptor agonist on forskolin induced cAMP accumulation at cloned human 5-HT1A receptors but with low potency (pEC50 = 6.3) [1].

in vivo: Pardoprunox induces contralateral turning behaviour in rats with unilateral 6-hydroxydopamine-induced lesions of the substantia nigra pars compacta (SNpc) (MED=0.03mg/kg; po). In MPTP-treated common marmosets, Pardoprunox dose-dependently increases locomotor activity (MED=0.03mg/kg; po) and decreases motor disability (MED=0.03mg/kg; po). In contrast Pardoprunox attenuated novelty-induced locomotor activity (MED=0.01mg/kg; po), (+)-amphetamine-induced hyperlocomotion (MED=0.3mg/kg; po) and apomorphine-induced climbing (MED=0.6mg/kg; po) in rodents. Pardoprunox also induces 5-HT1A receptor-mediated behaviours, including flat body posture and lower lip retraction (MED=0.3mg/kg; po). Collectively, these findings demonstrate that Pardoprunox possesses dopamine D2/3 partial agonist effects, 5-HT1A agonist effects and reduces parkinsonism in animal models. functional D2 receptor partial agonist activity and is effective in experimental models predictive of efficacy in PD.[2]