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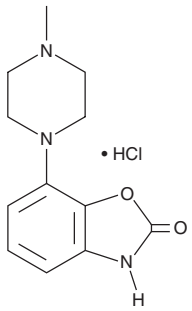
PRODUCT INFORMATION

Pardoprunox (hydrochloride)

Item No. 23456

CAS Registry No.:	269718-83-4
Formal Name:	7-(4-methyl-1-piperazinyl)-2(3H)-benzoxazolone, monohydrochloride
Synonyms:	DU-126891, SLV 308
MF:	$C_{12}H_{15}N_3O_2$ • HCl
FW:	269.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 219 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Pardoprunox (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the pardoprunox (hydrochloride) in the solvent of choice. Pardoprunox (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of pardoprunox (hydrochloride) in these solvents is approximately 0.1, 5, and 1 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of pardoprunox (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of pardoprunox (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Pardoprunox is a partial agonist of dopamine D_2 and D_3 receptors (EC_{50}s = 10 and 0.63 nM, respectively) and a full agonist of the serotonin (5-HT) receptor subtype 5-HT_{1A} (EC_{50} = 501 nM) in radioligand binding assays.[1] It is selective for dopamine D_2 and D_3 and 5-HT_{1A} receptors over a panel of neurotransmitter receptors (K_is = >1,000 nM). Pardoprunox reduces the accumulation of cAMP induced by forskolin (Item No. 11018) in a concentration-dependent manner and blocks quinpirole-induced inhibition of dopamine release (pA_2 = 8.5) in rat striatal slices. Pardoprunox increases contralateral turning behavior in a 6-OHDA rat model of Parkinson's disease (ED_{50} = 0.03 mg/kg).[2] It also reduces hyperlocomotion induced by amphetamine (Item Nos. 14204 | 15650 | ISO60188) and induces 5-HT_{1A}-mediated flat body posturing and lower lip retraction in rats. Pardoprunox (0.01-0.3 mg/kg) increases locomotor activity in a marmoset model of Parkinson's disease induced by MPTP in a dose-dependent manner. Formulations containing pardoprunox are under clinical investigation for the treatment of Parkinson's disease-associated motor fluctuations.[3]

References

1. Glennon, J.C., Van Scharrenburg, G., Ronken, E., *et al. Synapse* **60(8)**, 599-608 (2006).
2. Jones, C.A., Johnston, L.C., Jackson, M.J., *et al. Eur. Neuropsychopharmacol.* **20(8)**, 582-593 (2010).
3. Rascol, O., Brozova, J., Hauser, R.A., *et al. Parkinsonism Relat. Disord.* **18(4)**, 370-376 (2012).

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