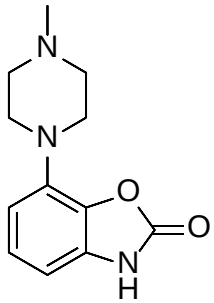
Product data sheet

MedKoo Cat#: 531230 Name: Pardoprunox free base CAS: 269718-84-5 (free base) Chemical Formula: $C_{12}H_{15}N_3O_2$ Exact Mass: 233.1164 Molecular Weight: 233.271	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Pardoprunox, also known as SLV-308; DU-126891; SME-308, is dopamine D2/5-HT1A receptor agonist potentially for the treatment of Parkinson's disease. It was also being investigated for the treatment of depression and anxiety but these indications appear to have been abandoned. Pardoprunox acts as a D2 (pKi = 8.1) and D3 receptor (pKi = 8.6) partial agonist (IA = 50% and 67%, respectively) and 5-HT1A receptor (pKi = 8.5) full agonist (IA = 100%). It 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.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	4.29 mL	21.43 mL	42.87 mL
5 mM	0.86 mL	4.29 mL	8.57 mL
10 mM	0.43 mL	2.14 mL	4.29 mL
50 mM	0.09 mL	0.43 mL	0.86 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Glennon JC, Van Scharrenburg G, Ronken E, Hesselink MB, Reinders JH, Van Der Neut M, Long SK, Feenstra RW, McCreary AC. In vitro characterization of SLV308 (7-[4-methyl-1-piperazinyl]-2(3H)-benzoxazolone, monohydrochloride): a novel partial dopamine D2 and D3 receptor agonist and serotonin 5-HT1A receptor agonist. Synapse. 2006 Dec 15;60(8):599-608. doi: 10.1002/syn.20330. PMID: 17001660.

In vivo study

1. Bétry C, Etiévant A, Lambás-Señas L, McCreary AC, Haddjeri N. In vivo effects of pardoprunox (SLV308), a partial D_2/D_3 receptor and 5-HT1A receptor agonist, on rat dopamine and serotonin neuronal activity. Synapse. 2011 Oct;65(10):1042-51. doi: 10.1002/syn.20936. Epub 2011 May 3. PMID: 21446003.

2. Tayarani-Binazir K, Jackson MJ, Rose S, McCreary AC, Jenner P. The partial dopamine agonist pardoprunox (SLV308) administered in combination with l-dopa improves efficacy and decreases dyskinesia in MPTP treated common marmosets. Exp Neurol. 2010 Dec;226(2):320-7. doi: 10.1016/j.expneurol.2010.09.007. Epub 2010 Sep 16. PMID: 20843474.

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7. Bioactivity

Biological target:

Pardoprunox (SLV-308) is a partial dopamine D2 and D3 receptor partial agonist and a serotonin 5-HT1A receptor agonist, with pEC50s of 8, 9.2, and 6.3, respectively.

In vitro activity

SLV308 binds to dopamine D(2), D(3), and D(4) receptors and 5-HT(1) (A) receptors and is a partial agonist at dopamine D(2) and D(3) receptors and a full agonist at serotonin 5-HT(1) (A) receptors. At cloned human dopamine D(2,L) receptors, SLV308 acted as a potent but partial D(2) receptor agonist (pEC(50) = 8.0 and pA(2) = 8.4) with an efficacy of 50% on forskolin stimulated cAMP accumulation. At human recombinant dopamine D(3) receptors, SLV308 acted as a partial agonist in the induction of [(35)S]GTPgammaS binding (intrinsic activity of 67%; pEC(50) = 9.2) and antagonized the dopamine induction of [(35)S]GTPgammaS binding (pA(2) = 9.0). SLV308 acted as a full 5-HT(1) (A) receptor agonist on forskolin induced cAMP accumulation at cloned human 5-HT(1) (A) receptors but with low potency (pEC(50) = 6.3). In rat striatal slices SLV308 concentration-dependently attenuated forskolin stimulated accumulation of cAMP, as expected for a dopamine D(2) and D(3) receptor agonist. SLV308 antagonized the inhibitory effect of quinpirole on K(+)-stimulated [(3)H]-dopamine release from rat striatal slices (pA(2) = 8.5). In the same paradigm, SLV308 had antagonist properties in the presence of quinpirole (pA(2) = 8.5), but the partial D(2) agonist terguride had much stronger antagonistic properties.

Reference: Synapse. 2006 Dec 15;60(8):599-608. https://pubmed.ncbi.nlm.nih.gov/17001660/

In vivo activity

This study used in vivo electrophysiological paradigm in the rat to assess the effects of pardoprunox on DA neuronal activity in ventral tegmental area (VTA) and substantia nigra pars compacta (SNc) as well as on 5-HT neuronal activity in dorsal raphe nucleus (DRN). In the VTA, pardoprunox (2-20 μg kg^{-1}, i.v.) decreased partially the firing activity of DA neurons. Surprisingly in the SNc, pardoprunox (10 μg kg^{-1}, i.v.) either partially or fully suppressed the firing activity in two separate populations of DA neurons. Finally, in the DRN, pardoprunox (5-40 μg kg^{-1}, i.v.) completely suppressed the firing activity of 5-HT neurons. Moreover, the selective 5-HT(1A) receptor antagonist WAY-100,635 prevented and reversed the effects of pardoprunox. The present study shows that pardoprunox acts in the VTA as a potent partial D_2-like receptor agonist reducing preferentially the burst activity linked to the phasic activity of DA neurons.

Reference: Synapse. 2011 Oct;65(10):1042-51. https://pubmed.ncbi.nlm.nih.gov/21446003/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.