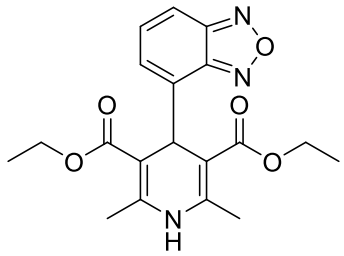
Product data sheet

MedKoo Cat#: 326891 Name: Darodipine CAS#: 72803-02-2 Chemical Formula: $C_{19}H_{21}N_3O_5$ Exact Mass: 371.1481 Molecular Weight: 371.393	
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:
Darodipine, also known as PY-108068, is a potent a calcium antagonist. Darodipine dose-depentently blocks I(Ca,L) in rat isolated cardiomyocytes. Darodipine exerts protective effects against free-radical-induced electrophysiological alterations independently of its calcium antagonistic properties; this effect is possibly due to trapping of specific radical species.

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
H20	0.258	0.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.69 mL	13.46 mL	26.93 mL
5 mM	0.54 mL	2.69 mL	5.39 mL
10 mM	0.27 mL	1.35 mL	2.69 mL
50 mM	0.05 mL	0.27 mL	0.54 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. Matucci R, Ottaviani MF, Barbieri M, Cerbai E, Mugelli A. Protective effect of darodipine, a calcium antagonist, on rat cardiomyocytes against oxygen radical-mediated injury. Br J Pharmacol. 1997 Dec;122(7):1353-60. doi: 10.1038/sj.bjp.0701525. PMID: 9421282; PMCID: PMC1565083.
2. Amenta F, Cavallotti D, Del Valle M, Mancini M, Naves FJ, Vega JA, Zeng YC. Age-related changes in brain microanatomy: sensitivity to treatment with the dihydropyridine calcium channel blocker darodipine (PY 108-068). Brain Res Bull. 1995;36(5):453-60. doi: 10.1016/0361-9230(94)00210-r. PMID: 7712207.

In vivo study

1. Gaggi R, D'Allolio R, Santangelo M, Roncada P. Interactions between darodipine or isradipine and the 5-HT1A receptor agonist 8-OHDPAT in rat brain. Pharmacol Biochem Behav. 1997 Oct;58(2):299-303. doi: 10.1016/s0091-3057(97)00238-4. PMID: 9300583.
2. Amenta F, Mancini M, Naves FJ, Vega JA, Zaccheo D. Effect of treatment with the dihydropyridine-type calcium antagonist darodipine (PY 108-068) on the expression of calbindin D-28K immunoreactivity in the cerebellar cortex of aged rats. Mech Ageing Dev. 1995 Jan 13;77(3):149-57. doi: 10.1016/0047-6374(94)01512-k. PMID: 7739263.

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7. Bioactivity

Biological target:

Darodipine (PY 108-068, PY-108068) is a potent calcium channel antagonist.

In vitro activity

Electrophysiological and electron spin resonance (e.s.r.) techniques were used to study the mechanism of the protective effect of darodipine on rat isolated cardiomyocytes exposed to an exogenous source of oxygen free radicals (OFR). ICa,L blockade by darodipine was concentration-dependent, peak current being reduced by 20% with 50 nm and by 58% with 100 nm darodipine. A 2 min pretreatment with 50 nm darodipine significantly reduced the incidence of these arrhythmogenic events following a 5 min exposure to OFR (36% of cells, n=14; $P<0.05$ vs nonpretreated cells). Pretreatment with darodipine also prevented APD prolongation caused by OFR (137±12 ms after DHF vs 117±6 ms before DHF n=14, not significant) but not the decrease of MDP (−63.4±2.5 mV after DHF vs −70.9±1.0 mV before DHF, $P<0.05$). The e.s.r. spectra obtained from the DHF-DMPO solution in the absence of darodipine demonstrated the presence of two components corresponding to two DMPO adducts. The addition of darodipine (50–500 nm) led to a concentration-dependent decrease in intensity of the signals, the intensity of the DMPO-COO.− adduct being decreased more than that of the DMPO-OH. adduct.

Reference: Br J Pharmacol. 1997 Dec; 122(7): 1353–1360. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC1565083/

In vivo activity

To investigate the mechanisms of the serotonergic pathways, the selective 5-HT1A receptor agonist 8-OHDPAT was injected S.C. to rats pretreated I.P. with darodipine (0.3-5.0 mg/kg). A low dose of darodipine (0.3 mg/kg) antagonized the presynaptic, but enhanced the postsynaptic effects of 8-OHDPAT, suggesting relief of the autoreceptor-mediated inhibition of the 5-HT release. Thus, the amine released could stimulate postsynaptic receptors, adding its action to that of 8-OHDPAT. A high dose of darodipine (5.0 mg/kg) left unchanged, or also enhanced, the signs of inhibition of serotonergic neurotransmission displayed by 8-OHDPAT, reducing but not suppressing the increase in the behavioral response to the stimulation of postsynaptic 5-HT1A receptors. It was speculated that the effects of darodipine on scrotonergic pathways of rat brain could be due to changes in the back-regulation of the neurotransmission, mediated by 5-HT1A autoreceptors.

Reference: Pharmacol Biochem Behav. 1997 Oct;58(2):299-303. https://pubmed.ncbi.nlm.nih.gov/9300583/

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.