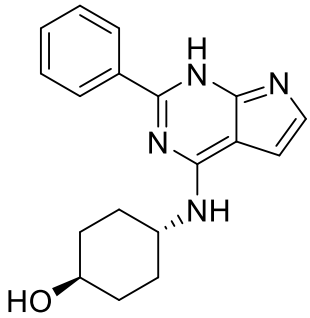
Product data sheet

MedKoo Cat#: 319809 Name: Derenofylline CAS#: 251945-92-3 Chemical Formula: $C_{18}H_{20}N_4O$ Exact Mass: 308.1637 Molecular Weight: 308.385	
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:

Derenofylline, also known as SLV320, is a selective and potent adenosine A(1) antagonist in vitro (Ki=1 nM) with a selectivity factor of at least 200 versus other adenosine receptor subtypes. SLV320 significantly decreased albuminuria by about 50%, but did not alter glomerular filtration rate (GFR). SLV320 prevented nephrectomy-dependent rise in plasma levels of creatinine kinase (CK), ALT and AST. SLV320 suppresses cardiac fibrosis and attenuates albuminuria without affecting blood pressure in rats with 5/6 nephrectomy.

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
DMSO	250.0	810.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.24 mL	16.21 mL	32.43 mL
5 mM	0.65 mL	3.24 mL	6.49 mL
10 mM	0.32 mL	1.62 mL	3.24 mL
50 mM	0.06 mL	0.32 mL	0.65 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. Sureechatchaiyan P, Hamacher A, Brockmann N, Stork B, Kassack MU. Adenosine enhances cisplatin sensitivity in human ovarian cancer cells. Purinergic Signal. 2018 Dec;14(4):395-408. doi: 10.1007/s11302-018-9622-7. Epub 2018 Aug 4. PMID: 30078088; PMCID: PMC6298929.

2. Kalk P, Eggert B, Relle K, Godes M, Heiden S, Sharkovska Y, Fischer Y, Ziegler D, Bielenberg GW, Hocher B. The adenosine A1 receptor antagonist SLV320 reduces myocardial fibrosis in rats with 5/6 nephrectomy without affecting blood pressure. Br J Pharmacol. 2007 Aug;151(7):1025-32. doi: 10.1038/sj.bjp.0707319. Epub 2007 Jun 11. PMID: 17558436; PMCID: PMC2042943.

In vivo study

1. Kalk P, Eggert B, Relle K, Godes M, Heiden S, Sharkovska Y, Fischer Y, Ziegler D, Bielenberg GW, Hocher B. The adenosine A1 receptor antagonist SLV320 reduces myocardial fibrosis in rats with 5/6 nephrectomy without affecting blood pressure. Br J Pharmacol. 2007 Aug;151(7):1025-32. doi: 10.1038/sj.bjp.0707319. Epub 2007 Jun 11. PMID: 17558436; PMCID: PMC2042943.

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7. Bioactivity

Biological target:

Derenofylline (SLV 320) is an adenosine A1 receptor antagonist, with Ki values of 1 nM, 200 nM and 398 nM for human A1, A3 and A2A receptors respectively. In addition, the receptor-binding affinities as well as enzyme inhibitory properties of SLV320 were evaluated in a series of 94 receptors and 6 phosphodiesterases (PDE1–PDE6).

In vitro activity

In receptor binding experiments using cloned human receptors, SLV320 (for chemical structure see Figure 1) behaved as a potent and selective A1 receptor ligand with selectivity factors of 200–4000 versus other adenosine receptor subtypes (see Table 1a). The selectivity factors are higher than those of the reference A1 antagonist DPCPX (8-cyclopentyl-1,3-dipropylxanthine; see Table 1b). A significant binding was measured only for the high-affinity rolipram-binding site on PDE4 (from mouse brain). SLV320 caused rolipram displacement from its binding site with a Ki of 79 nm, which was 79-fold less potent compared to its binding at the A1 receptor site.

Reference: Br J Pharmacol. 2007 Aug;151(7):1025-32. https://pubmed.ncbi.nlm.nih.gov/17558436/

In vivo activity

Therefore, the novel selective adenosine A(1) receptor antagonist SLV320 was investigated, focusing on its potential in preventing cardiomyopathy in rats with 5/6 nephrectomy. Male Sprague-Dawley rats were allocated to 4 groups of 12 rats each: 5/6 nephrectomy (5/6 NX), 5/6 NX plus SLV320 (10 mg kg(-1) d(-1) mixed with food), sham and sham plus SLV320. In rats with 5/6 NX SLV320 significantly decreased albuminuria by about 50%, but did not alter glomerular filtration rate (GFR). SLV320 normalized cardiac collagen I+III contents in 5/6 NX rats. SLV320 prevented nephrectomy-dependent rise in plasma levels of creatinine kinase (CK), ALT and AST. Blood pressure did not differ between study groups. SLV320 suppresses cardiac fibrosis and attenuates albuminuria without affecting blood pressure in rats with 5/6 nephrectomy, indicating that selective A(1) receptor antagonists may be beneficial in uraemic cardiomyopathy.

Reference: Br J Pharmacol. 2007 Aug;151(7):1025-32. https://pubmed.ncbi.nlm.nih.gov/17558436/