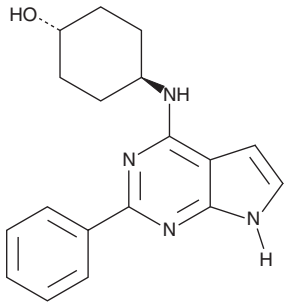
PRODUCT INFORMATION

Derenofylline

Item No. 27666

CAS Registry No.:	251945-92-3
Formal Name:	*trans*-4-[(2-phenyl-7H-pyrrolo[2,3-d] pyrimidin-4-yl)amino]-cyclohexanol
Synonym:	SLV 320
MF:	$C_{18}H_{20}N_4O$
FW:	308.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 249, 316 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Derenofylline is supplied as a solid. A stock solution may be made by dissolving the derenofylline in the solvent of choice, which should be purged with an inert gas. Derenofylline is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of derenofylline in these solvents is approximately 2, 5, and 3 mg/ml, respectively.

Derenofylline is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, derenofylline should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Derenofylline has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Derenofylline is an adenosine A_1 receptor antagonist (K_i = 1 nM).[1] It is selective for adenosine A_1 over A_{2A}, A_{2B}, and A_3 receptors (K_is = 398, 3,981, and 200 nM, respectively). It decreases adenosine A_1 receptor-mediated adenosine-induced bradycardia in rats (ED_{50} = 0.49 mg/kg) but reduces A_2 receptor-mediated adenosine-induced hypotension by only 44.6% when administered at an intravenous dose of 5 mg/kg. It prevents increases in heart levels of collagen I and III in nephrectomized rats when administered at a dose of 10 mg/kg per day. Derenofylline also reduces relative plaque counts in a Zika virus plaque-forming assay in A549 cells (IC_{50} = 58.6 nM) in an adenosine A_1 receptor-independent manner without inducing cytotoxicity when used at concentrations less than 10 µM.[2]

References

1. Kalk, P., Eggert, B., Relle, K., *et al.* The adenosine A_1 receptor antagonist SLV320 reduces myocardial fibrosis in rats with 5/6 nephrectomy without affecting blood pressure. *Br. J. Pharmacol.* **151(7)**, 1025-1032 (2007).
2. Micewicz, E.D., Khachatoorian, R., French, S.W., *et al.* Identification of novel small-molecule inhibitors of Zika virus infection. *Bioor. Med. Chem. Lett.* **28(3)**, 452-458 (2018).

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