

Product Data Sheet

Product Name: Proxyphylline

Cat. No.: GC10475

Chemical Properties

Cas. No. 603-00-9

Chemical Name 3,7-dihydro-7-(2-hydroxypropyl)-1,3-dimethyl-1H-purine-2,6-dione

SMILES CN(C(N1C=O)C2=C(N(CC(O)C)C=N2)C1=O

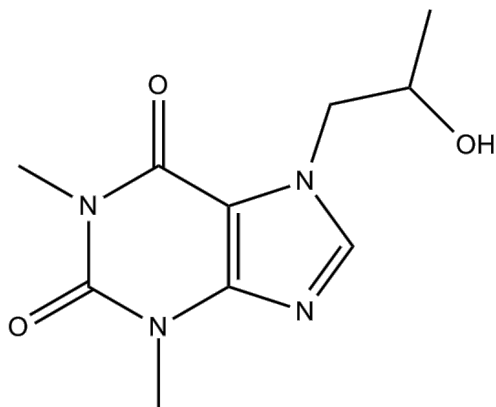
Formula $C_{10}H_{14}N_4O_3$ M.Wt 238.2

Solubility $\geq 10.1\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Ki: 82 nM for bovine brain A1 adenosine receptor

Proxyphylline is an A1 adenosine receptor antagonist.

The A1 adenosine receptor, the best characterized purinergic receptor family, can mediate responses via multiple pertussis toxin-sensitive GTP binding proteins to various different effectors.

Caution: Product has not been fully validated for medical applications. For research use only.

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In vitro: Previous study showed that proxiphylline could selectively antagonize A1 adenosine receptors versus A2 adenosine receptors ($K_i = 850 \mu\text{M}$ for platelets) [1].

In vivo: In a previous study, rats that were allodynic following the vincristine injections were randomly allocated into four groups. Theoesberiven F (a combination of proxiphylline and Melilotus extract) was administered to rats. Results showed that the decreased paw withdrawal threshold induced by vincristine injection was increased by theoesberiven F treatment and the increased withdrawal frequency to cold stimuli was also reduced by theoesberiven F treatment [2].

Clinical trial: The proxiphylline PK was measured in healthy adults after intravenous, single oral and multiple oral doses to produce steady state. The mean peak time after oral administration was 29 min. The apparent volume of distribution was 0.611/kg. The ranges of biological half-life were 8.1-12.1 h and 8.3-12.6 h calculated from serum and urine data, respectively. In addition, 24% of the dose was excreted in urine, which agreed with the relationship between the calculated total body clearance and the renal clearance of the drug [3].

References:

- [1] U. Schwabe, D. Ukena and M. J. Lohse. Xanthine derivatives as antagonists at A1 and A2 adenosine receptors. Naunyn-Schmiedeberg's Arch.Pharmacol. 330,212-221 (1985).
- [2] S. Bang, Y. S. Kim and S. R. Jeong. Anti-allodynic effect of theoesberiven F in a vincristine-induced neuropathy model. Exp. Ther. Med. 12(2), 799-803 (2016).
- [3] Selvig K. Pharmacokinetics of proxiphylline in adults after intravenous and oral administration. Eur J Clin Pharmacol. 1981 Jan;19(2):149-55.

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