Proteins

Screening Libraries

Product Data Sheet

Allopurinol riboside

Cat. No.: HY-101397 CAS No.: 16220-07-8 Molecular Formula: $C_{10}H_{12}N_4O_5$ Molecular Weight: 268.23

Parasite; Drug Metabolite; Endogenous Metabolite Target:

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: Powder -20°C 3 years

In solvent

2 years -80°C 6 months

-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (372.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.7281 mL	18.6407 mL	37.2814 mL
	5 mM	0.7456 mL	3.7281 mL	7.4563 mL
	10 mM	0.3728 mL	1.8641 mL	3.7281 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (9.32 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.32 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (9.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Allopurinol riboside, a metabolite of allopurinol, shows potent activities against parasites.	
IC ₅₀ & Target	Leishmania	
In Vitro	Allopurinol-riboside competitively inhibits the action of purine nucleoside phosphorylase on inosine with a K_i of 277 μ M. Lymphocyte blastogensis induced by PHA and Con A is significantly suppressed by allopurinol-riboside in a concentration-dependent manner. When LPS is used as a mitogen, the inhibition of allopurinol-ribosideon lymphocyte proliferation is less	

marked. Humoral immunity is not suppressed by allopurinol-riboside^[1]. Allopurinol riboside is an experimental agent for the treatment of leishmaniasis and American trypanosomiasis. Allopurinol riboside is effective against parasites, because a series of enzymes (analogous to those that mediate purine salvage in humans) convert it into 4-aminopyrazolopyrimidine ribonucleoside triphosphate, a cytotoxic product. Allopurinol riboside is selectively toxic, because it is not metabolized by the corresponding enzymes in humans^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Allopurinol riboside peaks in plasma 1.6 hours after administration, has an elimination half-life of 3 hours, and steady-state concentrations in the therapeutic range $^{[3]}$. After oral administration, unexpectedly low levels of allopurinol riboside in plasma are attributable to incomplete absorption and rapid renal clearance. Probenecid reduces the renal clearance of allopurinol riboside, extends the half-life of allopurinol riboside in plasma, and triples the levels of allopurinol riboside in plasma $^{[4]}$.

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REFERENCES

- [1]. Nishida Y, et al. Inhibition of purine nucleoside phosphorylase activity and of T-cell function with allopurinol-riboside. Agents Actions. 1979 Dec;9(5-6):549-52.
- [2]. Pacher P, et al. Therapeutic effects of xanthine oxidase inhibitors: renaissance half a century after the discovery of allopurinol. Pharmacol Rev. 2006 Mar;58(1):87-114.
- [3]. Shapiro TA, et al. Pharmacokinetics and metabolism of allopurinol riboside. Clin Pharmacol Ther. 1991 May;49(5):506-14.
- [4]. Were JB, et al. Effects of probenecid on the pharmacokinetics of allopurinol riboside. Antimicrob Agents Chemother. 1993 May;37(5):1193-6.

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

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