

产品名称: **ALLOPURINOL RIBOSIDE**
 产品别名: 别嘌呤醇核糖苷; **Allopurinol riboside**

生物活性:	
Description	Allopurinol riboside, a metabolite of allopurinol, shows potent activities against parasites.
IC₅₀ & Target	Human Endogenous Metabolite
In Vitro	Allopurinol-riboside competitively inhibits the action of purine nucleoside phosphorylase on inosine with a K_i of 277 μ M. Lymphocyte blastogenesis 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-riboside on 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].
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].
References	<p>[1]. Nishida Y, et al. <u>Inhibition of purine nucleoside phosphorylase activity and of T-cell function with allopurinol-riboside</u>. Agents Actions. 1979 Dec;9(5-6):549-52.</p> <p>[2]. Pacher P, et al. <u>Therapeutic effects of xanthine oxidase inhibitors: renaissance half a century after the discovery of allopurinol</u>. Pharmacol Rev. 2006 Mar;58(1):87-114.</p> <p>[3]. Shapiro TA, et al. <u>Pharmacokinetics and metabolism of allopurinol riboside</u>. Clin Pharmacol Ther. 1991 May;49(5):506-14.</p> <p>[4]. Were JB, et al. <u>Effects of probenecid on the pharmacokinetics of allopurinol riboside</u>. Antimicrob Agents Chemother. 1993 May;37(5):1193-6.</p>

源叶生物