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产品名称：DZ2002
产品别名：DZ2002

生物活性：

Description

DZ2002 is a potent and reversible S-Adenosyl-L-homocysteine Hydrolase(SAHH; AdoHcy Hydrolase) inhibitor with Ki of 17.9 nM. IC50 value: 17.9 nM(Ki) [1] Target: AdoHcy Hydrolase inhibitor in vitro: The cytotoxicity of DZ2002 is significantly less than DHCaA with an IC50 of 100 to 600 μM compared with 6 to 14 μM and shows very little cytotoxicity up to 100 μM. DZ2002 had little effects on lymphocyte proliferation (0.1 μM = 150,604 ± 13,862, 1 μM = 159,894 ± 11,152, and 10 μM = 136,157 ± 21,943 cpm) versus untreated Con A-stimulated cells (168,725 ± 8025 cpm). Similarly, little effect was seen in regards to IL-2 production from DZ2002-treated cells (0.1 μM = 1,838 ± 88, 1 μM = 1,793 ± 58, and 10 μM = 1,731 ± 36 pg/ml) versus untreated Con A-stimulated cells (1,806 ± 43 pg/ml). Although DZ2002 had little effect when T cells were stimulated with Con A, DZ2002 suppressed the MLR by 24.5, 42.3, and 46.0% at dosages of 0.1, 1, and 10 μM, respectively [1]. DZ2002 (500 μmol/L) significantly suppressed TLR agonists-stimulated up-regulation in IL-6, IL-12p40, TNF-α, and IgG and IgM secretion as well as in HLA-DR and CD40 expression of dendritic cells among human PBMCs in vitro. DZ2002 (100 μmol/L) also significantly suppressed TLR agonists-stimulated up-regulation in IL-6 and IL-23p19 production in murine BMDCs, and prevented Th17 differentiation and suppressed IL-17 secretion by the T cells in a BMDC-T cell co-culture system [3]. in vivo: As compared with controls, consecutive 7-day i.p. injections of DZ2002 inhibited hemolysis by 24.5 and 18.4% at doses of 0.08 and 2 mg/kg, respectively, thus decreasing anti-SRBC antibody production in vivo [1]. Male C57BL/6 mice immunized with ovalbumin (OVA) were treated with DZ2002 (1, 5, and 25 mg/kg/day) after which lymphocyte proliferation, cytokine production, and IgG responses to OVA were monitored. Administration of DZ2002 dose dependently suppressed OVA-specific lymphocyte proliferation and anti-OVA IgG production compared with controls [2]. Treatment of the mice with DZ2002 significantly attenuated the progression of glomerulonephritis and improved the overall health. In ex vivo studies, treatment of the mice with DZ2002 suppressed the development of pathogenic Th17 cells, significantly decreased IL-17, TGF-β, IL-6, and IL-23p19 production and impeded activation of the STAT3 protein and JNK/NF-κB signaling in splenocytes [3].

In Vitro:

DMSO : ≥ 61 mg/mL (242.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9803 mL	19.9013 mL	39.8026 mL
	5 mM	0.7961 mL	3.9803 mL	7.9605 mL
	10 mM	0.3980 mL	1.9901 mL	3.9803 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

Solvent&Solubility	请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.95 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.95 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (9.95 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.95 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Wu QL, et al. Inhibition of S-adenosyl-L-homocysteine hydrolase induces immunosuppression. J Pharmacol Exp Ther. 2005 May;313(2):705-11. [2]. Fu YF, et al. S-adenosyl-L-homocysteine hydrolase inactivation curtails ovalbumin-induced immune responses. J Pharmacol Exp Ther. 2006 Mar;316(3):1229-37. [3]. He SJ, et al. Therapeutic effects of DZ2002, a reversible SAHH inhibitor, on lupus-prone NZB×NZW F1 mice via interference with TLR-mediated APC response. Acta Pharmacol Sin. 2014 Feb;35(2):219-29.