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产品名称：LUF6000
产品别名：LUF6000

生物活性：

Description	LUF6000 is an allosteric modulator of the human A3 adenosine receptor (AR). IC50 value: Target: A3 adenosine receptor LUF6000 was found to be an allosteric enhancer of Emax of structurally diverse agonists at the A3 AR, being more effective for low-Emax agonists than for high-Emax agonists. LUF6000 exerted an Emax-enhancing effect at a concentration of 0.1 microM or higher, and was shown to increase the Emax of Cl-IB-MECA and other low-efficacy agonists to a larger extent than that of the high-efficacy agonist NECA. Interestingly, LUF6000 converted a nucleoside A3 AR antagonist MRS542, but not a non-nucleoside antagonist MRS1220, into an agonist.
Solvent&Solubility	***In Vitro:*** **DMSO : 14.29 mg/mL** (**34.74 mM;** Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4311 mL	12.1557 mL	24.3114 mL
	5 mM	0.4862 mL	2.4311 mL	4.8623 mL
	10 mM	0.2431 mL	1.2156 mL	2.4311 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:

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→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 1.43 mg/mL (3.48 mM); Clear solution

此方案可获得 ≥ 1.43 mg/mL (3.48 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 14.299999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: 1.43 mg/mL (3.48 mM); Suspended solution; Need ultrasonic

此方案可获得 1.43 mg/mL (3.48 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 14.299999 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。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 1.43 mg/mL (3.48 mM); Clear solution 此方案可获得 ≥ 1.43 mg/mL (3.48 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 14.299999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Gao ZG, Verzijl D, Zweemer A et al. Functionally biased modulation of A(3) adenosine receptor agonist efficacy and potency by imidazoquinolinamine allosteric enhancers. Biochem Pharmacol. 2011 Sep 15;82(6):658-68. doi: 10.1016/j.bcp.2011.06.017. [2]. Szentmiklósi AJ, Cseppento A, Harmati G, Nánási PP. Novel trends in the treatment of cardiovascular disorders: site- and event- selective adenosinergic drugs. Curr Med Chem. 2011;18(8):1164-87. [3]. Heitman LH, G?bly?s A, Zweemer AM, et al. A series of 2,4-disubstituted quinolines as a new class of allosteric enhancers of the adenosine A3 receptor. J Med Chem. 2009 Feb 26;52(4):926-31. [4]. Gao ZG, Ye K, G?bly?s A, et al. Flexible modulation of agonist efficacy at the human A3 adenosine receptor by the imidazoquinoline allosteric enhancer LUF6000. BMC Pharmacol. 2008 Dec 12;8:20. [5]. G?bly?s A, Gao ZG, Brussee J, et al. Structure-activity relationships of new 1H-imidazo[4,5-c]quinolin-4-amine derivatives as allosteric enhancers of the A3 adenosine receptor. J Med Chem. 2006 Jun 1;49(11):3354-61.