

产品名称: **AZD3839 (free base)**

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生物活性:

Description

AZD3839 (free base) is a potent and selective BACE1 inhibitor with IC₅₀ of 23.6 uM, about 14-fold selectivity over BACE2, also a β -secretase enzyme inhibitor. target: BACE1, β -secretase enzyme [1] IC₅₀: 23.6 uM [1] AZD3839 dissolved in 0.33% dimethylsulfoxide In vitro: AZD3839 and its metabolites M1 and M2 inhibited CYP3A4 in a reversible and an irreversible manner, which could affect not only the metabolism of other CYP3A4 substrates but also the metabolism of AZD3839 itself. [1] In vivo: AZD3839 is dissolved in 0.3 M gluconic acid, adjusted to pH 3. Solutions of 0.75, 2.5, and 7.5 mg/ml are prepared and are administered orally by gavage at 2 ml/kg body weight at 1.5, 5, and 15 mg/kg (study 1) and 15 mg/kg (study 2). [1]AZD3839 effectively reduces the levels of A β in brain, CSF, and plasma in several preclinical species. [2]

In Vitro:

DMSO : 125 mg/mL (289.75 mM; Need ultrasonic)

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing	1 mM		2.3180 mL	11.5899 mL	23.1798 mL
Stock Solutions	5 mM		0.4636 mL	2.3180 mL	4.6360 mL
	10 mM		0.2318 mL	1.1590 mL	2.3180 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℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (4.82 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μ L 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中，混合均匀；向上述体系中加入 50 μ L Tween-80，混合均匀；然后继续加入 450 μ L 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (4.82 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μ L 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μ L 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution

	<p>此方案可获得 ≥ 2.08 mg/mL (4.82 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。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. Sparve E et al. Prediction and modeling of effects on the QTc interval for clinical safety margin assessment, based on single-ascending-dose study data with AZD3839. J Pharmacol Exp Ther. 2014 Aug;350(2):469-78.</p> <p>[2]. Jeppsson F et al. Discovery of AZD3839, a potent and selective BACE1 inhibitor clinical candidate for the treatment of Alzheimer disease. J Biol Chem. 2012 Nov 30;287(49):41245-57.</p>



源叶生物