



上海源叶生物科技有限公司
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产品名称: (R)-4-(2-(2-(2-甲基吡咯烷-1-基)乙基)苯并呋喃-5-基)苯甲腈
产品别名: ABT-239

生物活性:				
Description	ABT-239 is a novel, highly efficacious, non-imidazole class of H3R antagonist and a transient receptor potential vanilloid type 1 (TRPV1) antagonist.			
In Vitro	Perfusion of the TMN with ABT-239 (10 μ M) increases histamine release from the TMN, NBM, and cortex, but not from the striatum or NAcc. TMN perfusion with ABT-239 activates c-Fos selectively in the NBM and cortex[4].			
In Vivo	ABT-239 (3 mg/kg, i.p.) significantly delays onset of seizure, reduces behavioral seizures elicited by KA, and reduces in the incidence of head bobbing and forelimb clonus in mice. ABT-239 (1 mg/kg, i.p.) in combination with sub-therapeutic dose of SVP (150 mg/kg, i.p.), significantly decreases the number of immobility, head bobbing and forelimb clonus, where as a higher dose combination of ABT-239 (3 mg/kg, i.p.) causes enhanced reduction in all the stages. ABT-239 (3 mg/kg, i.p.) and TDZD-8 (10 mg/kg, i.p.) have more powerful reduction in the number of pyknotic neurons in mice hippocampi. The high dose combination of ABT-239 and TDZD-8 produces the most pronounced increase in Bcl-2 expression as well as decrease in the level of Bax[1]. ABT-239 (3 mg/kg, i.p.) administration transforms a short-term learning event into a long-term remembered experience in WT but not in histamine-depleted mice[2]. Concomitant administration of either ABT-239 (1 and 3 mg/kg, i.p.) and nicotine (0.035 mg/kg, i.p.), or ABT-239 (0.1 mg/kg, i.p.) and nicotine (0.0175 mg/kg, i.p.) further increases nicotine-induced improvement in both memory acquisition and consolidation[3].			
Solvent&Solubility	In Vitro: DMSO : \geq 100 mg/mL (302.65 mM) H₂O : < 0.1 mg/mL (insoluble) * " \geq " means soluble, but saturation unknown.			
		Solvent Concentration	Mass	
	Preparing	1 mM	3.0265 mL	15.1323 mL
	Stock Solutions	5 mM	0.6053 mL	3.0265 mL
		10 mM	0.3026 mL	1.5132 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			



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	<p>Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.57 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 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</p> <p>2. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: 2.5 mg/mL (7.57 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (7.57 mM) 的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</p> <p>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。</p> <p>3. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.57 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 25.0 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]. Bhowmik M, et al. Histamine H3 receptor antagonism by ABT-239 attenuates kainic acid induced excitotoxicity in mice. Brain Res. 2014 Sep 18;1581:129-40.</p> <p>[2]. Provensi G, et al. Donepezil, an acetylcholine esterase inhibitor, and ABT-239, a histamine H3 receptor antagonist/inverse agonist, require the integrity of brain histamine system to exert biochemical and procognitive effects in the mouse. Neuropharmacology. 2013 Jul;70:131-40.</p> <p>[3]. Kruk M, et al. Effects of the histamine H2 receptor antagonist ABT-239 on cognition and nicotine-induced memory enhancement in mice. Pharmacol Rep. 2012;64(6):1316-25.</p> <p>[4]. Munari L, et al. Selective brain region activation by histamine H2 receptor antagonist/inverse agonist ABT-239 enhances acetylcholine and histamine release and increases c-Fos expression. Neuropharmacology. 2013 Jul;70:131-40.</p>
实验参考:	
Animal Administration	<p>Solutions of KA, ABT-239 and SVP are prepared in pyrogen-free normal saline for injection except for TDZD-8, which is dissolved in 10% DMSO and are administered intraperitoneally in a volume not exceeding 10 mL/kg. The animals are divided into ten groups. The first group (CTRL) receive vehicle (0.9% sodium chloride) only whereas animals in the second group (VEH) are given vehicle followed by KA at a dose of 10 mg/kg, i.p. (pH 7.2\pm1), this being the dose that induces low-grade seizures (stage 0-4) in all the animals without any mortality in a range finding study. The KA dose employs to evoke SE in mice in various studies mostly varied from as low as 6-20 mg/kg to as high as 25-45 mg/kg. Animals in the next two groups are administered ABT-239 in increasing doses of 1 (AL) and 3 mg/kg (AH) 30 min before KA challenge. These doses ranging from 0.1 to 3 mg/kg of ABT-239 display disease modifying attributes in a mice model of Alzheimer's disease as well as improved cognitive functions. The fifth and sixth group receive graduated doses of 150 (SL) and 300 mg/kg (SH) of SVP 30 min prior to KA injection. The seventh and eight group receive combinations of subeffective dose (maximum possible dose at which there is no protection) of SVP at 150 mg/kg</p>



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	<p>with ABT-239 at 1 (SLAL) and 3 mg/kg (SLAH) respectively followed 30 min later by KA. The remaining two groups receive low dose combination at 1 and 5 mg/kg (ALTL) and a high dose combination at 3 and 10 mg/kg (AHTH) of ABT-239 and TDZD-8, respectively before KA exposure. The doses of TDZD-8 chosen are based on previous studies where doses ranging from 1 to 10 mg/kg reduced inflammation and tissue injury as well as improve psychiatric conditions.</p>
References	<p>[1]. Bhowmik M, et al. Histamine H3 receptor antagonism by ABT-239 attenuates kainic acid induced excitotoxicity in mice. Brain Res. 2014 Sep 18;1581:129-40.</p> <p>[2]. Provensi G, et al. Donepezil, an acetylcholine esterase inhibitor, and ABT-239, a histamine H3 receptor antagonist/inverse agonist, require the integrity of brain histamine system to exert biochemical and procognitive effects in the mouse. Neuropharmacology. 2012;64(6):1316-25.</p> <p>[3]. Kruk M, et al. Effects of the histamine H2 receptor antagonist ABT-239 on cognition and nicotine-induced memory enhancement in mice. Pharmacol Rep. 2012;64(6):1316-25.</p> <p>[4]. Munari L, et al. Selective brain region activation by histamine H2 receptor antagonist/inverse agonist ABT-239 enhances acetylcholine and histamine release and increases c-Fos expression. Neuropharmacology. 2013 Jul;70:131-40.</p>

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