



上海源叶生物科技有限公司
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产品名称: (3E)-3-[(2,4-二甲氧基苯基)亚甲基]-3,4,5,6-四氢-2,3'-联吡啶二盐酸盐

产品别名: GTS-21 dihydrochloride; DMXB-A; DMBX-anabaseine

生物活性:

Description

GTS-21 dihydrochloride is a selective $\alpha 7$ nicotinic acetylcholine receptor agonist, has recently been established as a promising treatment for inflammation. Target: nAChR in vitro: GTS-21 is one of the most potent $\alpha 7$ nAChR agonists, has been reported to attenuate pro-inflammatory cytokine production, improve outcomes in sepsis models, pancreatitis, and ischemia-reperfusion injury, and inhibit the production of endotoxin-induced TNF in lung tissue. In addition, recent studies have demonstrated that GTS-21 inhibits the activities of endothelial cells and monocyte macrophages, as well as the secretion of pro-inflammatory cytokines in peripheral blood samples, by regulating the JAK2-STAT3 pathway. [1] in vivo: In septic animals, GTS-21 significantly ameliorated GI motility, lowered systemic and colonic levels of IL-6, decreased colonic permeability, and decreased the number of positive cultures obtained from blood and mesenteric lymph nodes. Splenectomy prevented animals from developing sepsis-induced ileus. Chrna7 mice displayed a more severe septic phenotype, whereas GTS-21 remarkably was also beneficial in these animals. [2]

In Vitro:

H₂O : 50 mg/mL (131.13 mM; Need ultrasonic)

DMSO : 16.5 mg/mL (43.27 mM; Need ultrasonic and warming)

	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Preparing		1 mM	2.6226 mL	13.1130 mL	26.2261 mL
Stock Solutions		5 mM	0.5245 mL	2.6226 mL	5.2452 mL
		10 mM	0.2623 mL	1.3113 mL	2.6226 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: ≥ 2.5 mg/mL (6.56 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.56 mM, 饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μ L 25.0 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。



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.56 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 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.56 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]. Yue Y, et al. GTS-21 attenuates lipopolysaccharide-induced inflammatory cytokine production in vitro by modulating the Akt and NF-κB signaling pathway through the α7 nicotinic acetylcholine receptor. Int Immunopharmacol. 2015 Dec;29(2):504-12.</p> <p>[2]. Nullens S, et al. EFFECT OF GTS-21, AN ALPHA7 NICOTINIC ACETYLCHOLINE RECEPTOR AGONIST, ON CLP-INDUCED INFLAMMATORY, GASTROINTESTINAL MOTILITY, AND COLONIC PERMEABILITY CHANGES IN MICE. Shock. 2016 Apr;45(4):450-9.</p>

源叶生物