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产品名称：氯丁胶乳
产品别名：Azeliragon；阿齐瑞格 ；TTP488; PF-04494700

生物活性：

Description	Azeliragon (TTP488) is an orally bioavailable inhibitor of the receptor for advanced glycation end products (RAGE) in development as a potential treatment to slow disease progression in patients with mild Alzheimer's disease (AD)[1]. Azeliragon also can cross the blood-brain barrier (BBB)[2].	
In Vitro	Azeliragon (4 nM; 16 hours; T cells) treatment inhibits of wild type mice (WT) but not the deletion of the receptor (RAGE-/- mice) T cells and significant reduction in the production of IFN-γ[3].	
	Cell Viability Assay[3]	
	Cell Line:	Purified T cells from RAGE-/- or WT B6 mice.
	Concentration:	4 nM
	Incubation Time:	16 hours
	Result:	Inhibited of WT but not RAGE-/- T cells, and significantly reduced the level of IFN-γ.
In Vivo	Azeliragon (100 mcg/d; intraperitoneal injection; every day) treatment reduces syngeneic islet graft and islet allograft in NOD and B6 mice (Islets were isolated from young prediabetic NOD/LtJ mice and transplanted into NOD mice with spontaneous diabetes; islets were isolated from WT BALB/c mice and transplanted into B6 mice with diabetes)[3].	
	Animal Model:	Prediabetic NOD/LtJ (6-7 week old) mice, NOD mice with spontaneous diabetes, WT BALB/c mice (8-10 week old) and B6 mice with diabetes [3].
	Dosage:	100 mcg/d
	Administration:	Intraperitoneal injection; every day
	Result:	Prolonged islet auto and allograft survival.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (93.96 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8793 mL	9.3964 mL	18.7928 mL
5 mM	0.3759 mL	1.8793 mL	3.7586 mL
10 mM	0.1879 mL	0.9396 mL	1.8793 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: ≥ 3 mg/mL (5.64 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (5.64 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 30.0 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。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 3 mg/mL (5.64 mM); Suspended solution; Need ultrasonic 此方案可获得 3 mg/mL (5.64 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 30.0 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。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 3 mg/mL (5.64 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (5.64 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 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Burstein AH, et al. Assessment of Azeliragon QTc Liability Through Integrated, Model-Based Concentration QTc Analysis. Clin Pharmacol Drug Dev. 2019 May;8(4):426-435. [2]. Bongarzone S, et al. Targeting the Receptor for Advanced Glycation Endproducts (RAGE): A Medicinal Chemistry Perspective. J Med Chem. 2017 Sep 14;60(17):7213-7232. [3]. Chen Y, et al. RAGE ligation affects T cell activation and controls T cell differentiation. J Immunol. 2008 Sep 15;181(6):4272-8.