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产品名称: **Fevipirant**
产品别名: **QAW039; NVP-QAW039**

生物活性:

Description

Fevipirant (QAW039; NVP-QAW039) is a selective, potent, reversible competitive CRTh2 antagonist with an in vitro dissociation constant KD value of 1.1nM at the CRTh2 receptor and an IC50 value of 0.44 nM for inhibition of PGD2-induced eosinophil shape change in human whole blood. IC50:0.44 nM(PGD2-induced eosinophil shape change) Kd value:1.1nM(CRTh2 receptor)[1] In vitro: CRTh2-mediated shape change in eosinophils was used to profile QAW039 in whole blood and represents a physiologically relevant environment. The comparable IC50 values for QAW039 in the whole blood and isolated shape-change assays are consistent with its lower plasma-protein binding and its relatively slow dissociation kinetics that drive its increased potency .QAW039 is highly potent in whole-blood systems, with the IC50 value obtained consistent with the affinity values calculated from radioligand experiments. In a further disease-relevant cellular context, the potency of QAW039 in the isolated Th2 cell cytokine inhibition assay is consistent with its CRTh2 receptor affinity, and, as with eosinophil assay readouts, this represents an improved potency compared with QAV680[2].

In Vitro:

DMSO : ≥ 32 mg/mL (75.05 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	<div>Solvent</div> <div>Concentration</div>	<div>Mass</div> <div>1 mg</div>	<div>5 mg</div>	<div>10 mg</div>
	1 mM	2.3452 mL	11.7258 mL	23.4516 mL
	5 mM	0.4690 mL	2.3452 mL	4.6903 mL
	10 mM	0.2345 mL	1.1726 mL	2.3452 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 (5.86 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.86 mM, 饱和度未知) 的澄清溶液。

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。

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



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	<p>Solubility: ≥ 2.5 mg/mL (5.86 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.86 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 (5.86 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.86 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]. Erpenbeck, V. J. et al. Pharmacokinetics, Safety, and Tolerability of Fevipiprant (QAW039), a Novel CRTh2 Receptor Antagonist: Results From 2 Randomized, Phase 1, Placebo-Controlled Studies in Healthy Volunteers. Clinical pharmacology in drug development 5, 306-313, doi:10.1002/cpdd.244 (2016).</p> <p>[2]. Sykes, D. A. et al.Fevipiprant (QAW039), a Slowly Dissociating CRTh2 Antagonist with the Potential for Improved Clinical Efficacy. Molecular pharmacology 89, 593-605, doi:10.1124/mol.115.101832 (2016).</p>

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