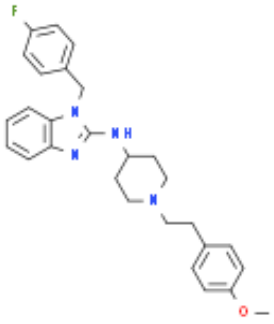
Product Data Sheet

Cas No.:	68844-77-9	Cat. No:	PC14619
Product Name:	Astemizole		
Product synonym:	阿司咪唑;1-(4-氟苄基)-2-(1-[4-甲氧基苯乙基]哌啶-4-基)氨基苯并咪唑;2-[[3-甲基-4-(2,2,2-三氟乙氧基)吡啶-2-基]甲基亚磺酰基]-1H-苯并咪唑;阿司咪唑 USP标准品;阿司咪唑杂质标准品;1-[(4-氟苯基)甲基]-N-[1-[2-(4-甲氧苯基)乙基]-4-哌啶基]-1H-苯并咪唑-2-胺		
Chemical name:	Astemizole		
MF:	C28H31N4OF	FW:	458.57034
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	COC1=CC=C(C=C1)CCN2CCC(CC2)N=C3NC4=CC=CC=C4N3CC5=CC=C(C=C5)F		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

抗组胺化合物，Astemizole 是一个长效的二代抗组胺药物，是常用的抗过敏药物，是组胺H1 受体 (histamine H1-receptor) 的拮抗剂，IC50 值为 4 nM。Astemizole 同时还具有hERG K+通道的阻断活性，IC50 值为0.9 nM。Astemizole 还具有抗胆碱能和止痒作用。

生物活性	Astemizole (R 43512), a second-generation antihistamine drug to diminish allergic symptoms with a long duration of action, is a **histamine H1-receptor** antagonist, with an **IC_{50}** of 4 nM. Astemizole also shows potent hERG K channel blocking activity with an IC_{50} of 0.9 nM. Astemizole has antipruritic effects.

体内研究(In Vivo)	Astemizole (p.o., 10 and 30 mg/kg) and (i.v., 1 and 3 mg/kg) has no effect on respiratory rate, heart rate and blood pressure, and even at high doses of 30 mg/kg and 3 mg/kg, also has no effect on body temperature and exercise capacity in male common marmosets. But Astemizole can prolong the QT interval and induce premature ventricular contractions at 30 mg/kg (po) and 1 mg/kg (iv). Astemizole (p.o., 3 and 30 mg/kg) shows that the pre-drug control values (C) of the idioventricular rate, QT interval and QTcF are 31 beats/min, 319 ms and 256 at dose of 3 mg/kg, while those are 31 beats/min, 331 ms and 270 at dose of 30 mg/kg, respectively in mice. Moreover, Astemizole at a dose of 30 mg/kg (po) may cause tip-twisting ventricular tachycardia by inhibiting hERG K channels. **Medlife has not independently confirmed the accuracy of these methods. They are for reference only.**
包装储存	Powder **-20°C** 3 years In solvent **-80°C** 6 months **-20°C** 1 month

溶解度数据

体外研究:

DMSO : 125 mg/mL (272.59 mM; Need ultrasonic)

配制储备溶液	溶剂体积 / 质量 / 浓度	1 mg	5 mg	10 mg
	1 mM	2.1807 mL	10.9035 mL	21.8069 mL
	5 mM	0.4361 mL	2.1807 mL	4.3614 mL
	10 mM	0.2181 mL	1.0903 mL	2.1807 mL

* 产品不同，其溶解度不同。建议根据产品选择合适的溶剂配制储备溶液；配成溶液后，**建议分装保存，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，建议在 6 个月内使用，-20°C 储存时，建议在 1 个月内使用。

体内研究:

建议根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都建议先按照 **体外研究** 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. 建议依照次序添加每种溶剂： 10% DMSO 40% PEG300 5% Tween-80 45% saline

   Solubility: ≥ 6.25 mg/mL (13.63 mM); Clear solution

   此方案可获得 ≥ 6.25 mg/mL (13.63 mM，饱和度未知) 的澄清溶液。

   以 1 mL 工作液为例，取 100 μL 62.5 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。

   将 0.9 g 氯化钠，完全溶解于 100 mL ddH?O 中，得到澄清透明的生理盐水溶液

2. 建议依照次序添加每种溶剂： 10% DMSO 90% corn oil

   Solubility: ≥ 6.25 mg/mL (13.63 mM); Clear solution

   此方案可获得 ≥ 6.25 mg/mL (13.63 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 62.5 mg/mL 的澄清 DMSO 储备液加到 900 μL玉米油中，混合均匀。

*