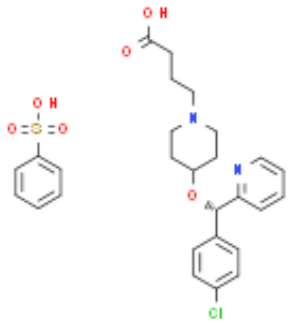
Product Data Sheet

Cas No.:	190786-44-8	Cat. No:	PC14215
Product Name:	Bepotastine Besilate		
Product synonym:	苯磺酸贝托司汀;N,N,N-三甲基-4-十二烷基苯甲基氯化铵;苯磺贝他斯汀;苯磺酸贝他斯汀;苯磺酸贝托斯汀;苯磺酸倍他司汀;苯磺酸贝他司汀;苯磺酸贝托斯汀及中间体;(+)-(S)-4-{4-[(4-氯苯基)(2-吡啶)甲氧基]哌啶}丁酸苯磺酸盐		
Chemical name:	Bepotastine Besilate		
MF:	C27H31CLN2O6S	FW:	547.0628
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	ClC1C([H])=C([H])C(=C([H])C=1[H])[C@@]([H])(C1=C([H])C([H])=C([H])C([H])=N1)OC1([H])C([H])([H])C([H])([H])N(C([H])([H])C([H])([H])C([H])([H])C(=O)O[H])C([H])([H])C1([H])[H].S(C1C([H])=C([H])C([H])=C([H])C=1[H])(=O)(=O)O[H]		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

非镇静，选择性组胺1（H1）受体拮抗剂，Bepotastine Beslilate (Bepreve)是组胺H1受体拮抗剂。

生物活性	Bepotastine besilate is a selective and orally active second-generation **histamine H1 receptor** antagonist, can suppress the expression of nerve growth factor (NGF). Bepotastine besilate has the potential for allergic rhinitis, allergic conjunctivitis and urticaria/pruritus research.
IC50 & Target[1][2]	Histamine H1 receptor.

<table>
<tr><td>体外研究(In Vitro)</td><td>
Bepotastine besilate (10, 100, 1000 μM; preincubates for 120 min) decreases the release of histamine induced by A23187 treatment, which reaches a statistically significant reduces level at 1000 μM.
Bepotastine besilate (50 μM; 1 h) suppresses the expression of NGF mRNA in NHEKs.

Medlife has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay
<table>
<tr><td>Cell Line:</td><td>NHEKs</td></tr>
<tr><td>Concentration:</td><td>50 μM (preincubation)</td></tr>
<tr><td>Incubation Time:</td><td>1 h</td></tr>
<tr><td>Result:</td><td>Suppressed the expression of NGF mRNA in NHEKs.</td></tr>
</table>
Western Blot Analysis
<table>
<tr><td>Cell Line:</td><td>RPMCs</td></tr>
<tr><td>Concentration:</td><td>10, 100, 1000 μM</td></tr>
<tr><td>Incubation Time:</td><td>120 min (preincubate)</td></tr>
<tr><td>Result:</td><td>Decreased the release of histamine.</td></tr>
</table>
</td></tr>
<tr><td>体内研究(In Vivo)</td><td>
Bepotastine besilate (10 g/L; eye drop; 3 times at intervals of 20 min in one eye) demonstrates significant inhibition of PAF-induced conjunctival eosinophil infiltration.
Bepotastine besilate (3 mg/kg; p.o.; once) suppresses scratching behavior to a frequency of 59.0 and a duration of 14.57 seconds, which are almost the same levels compares with the control.
Bepotastine besilate (10 mg/kg; p.o.; once) significantly suppresses serum LTB 4 levels to 711.3 pg/mL at 1 h and 858.8 pg/mL at 2 h in NC/Nga mice with a rash.

Medlife has not independently confirmed the accuracy of these methods. They are for reference only.
<table>
<tr><td>Animal Model:</td><td>Guinea pigs (6-week-old).</td></tr>
<tr><td>Dosage:</td><td>10 g/L (1.0% (w/v)) for 10 μL.</td></tr>
<tr><td>Administration:</td><td>Eye drop; 3 times at intervals of 20 min (in one eye).</td></tr>
<tr><td>Result:</td><td>Inhibited PAF-induced conjunctival eosinophil infiltration.</td></tr>
<tr><td>Animal Model:</td><td>Male BALB/c mice(12-week-old); NC/Nga mice.</td></tr>
<tr><td>Dosage:</td><td>3, 10 mg/kg</td></tr>
<tr><td>Administration:</td><td>Oral administration; once (1 h before induces scratching behavior of Male BALB/c mice).</td></tr>
<tr><td>Result:</td><td>Significantly inhibited histamine-mediated scratching behavior in male BALB/c mice.
Significantly suppressed serum LTB 4 levels in NC/Nga mice with a rash.</td></tr>
</table>
</td></tr>
<tr><td>包装储存</td><td>
Sealed and stored at 4℃，，away from moisture

*In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)
</td></tr>
<tr><td></td><td>
体外研究:

DMSO : ≥ 100 mg/mL (182.80 mM)

* "≥" means soluble, but saturation unknown.
</td></tr>
</table>

配制储备溶液	溶剂体积 质量 浓度	1 mg	5 mg	10 mg
	1 mM	1.8280 mL	9.1398 mL	18.2795 mL
	5 mM	0.3656 mL	1.8280 mL	3.6559 mL
	10 mM	0.1828 mL	0.9140 mL	1.8280 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 (sealed storage, away from moisture)。-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。

溶解度数据

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: ≥ 2.5 mg/mL (4.57 mM); Clear solution

   此方案可获得 ≥ 2.5 mg/mL (4.57 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。

   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% (20% SBE-β-CD in saline)

   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

   此方案可获得 ≥ 2.5 mg/mL (4.57 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

   将 2 g 磺丁基醚 β-环糊精加入 5 mL 生理盐水中，再用生理盐水定容至 10 mL，完全溶解，澄清透明

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

   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

   此方案可获得 ≥ 2.5 mg/mL (4.57 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。

   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。

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