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产品名称: **SUCCINYL-ASP-PHE-ME-PHE-GLY-LEU-MET-NH2**  
 产品别名: **Senktide**

生物活性:					
<b>Description</b>	Senktide is a tachykinin NK <sub>3</sub> receptor agonist.				
<b>IC<sub>50</sub> &amp; Target</b>	NK3 receptor[1]				
<b>In Vitro</b>	The selective NK3 receptor agonist Senktide excites 24 of 31 dopaminergic neurons in the substantia nigra pars compacta in a concentration-dependent manner. The effective concentration range is between 3 to 3000 nm. The mean EC50 for Senktide is 41.2±9 nm (n=5)[2].				
<b>In Vivo</b>	I.c.v. injection of Senktide causes a dose-dependent increase in total distance traveled ( $F_{0.72}=6.344$ , $P<0.001$ ). This increase reaches statistical significance compare to the vehicle-treated group at 0.06 nmol and higher. The Senktide-induced increase in locomotor activity brought about by 0.1 nmol of Senktide is significantly and dose-dependently decreased by the tachykinin NK <sub>3</sub> receptor antagonists talnetant at 30 mg/kg and SB222200 at 30 mg/kg, but not by osanetant, when tested in parallel in a single experiment ( $F_{7.78}=10.32$ , $P<0.001$ ), although a non-significant reduction is observed. However, when tested using another vehicle (Vitamin E and glycofurol), osanetant does decrease activity significantly compare to Senktide-treated gerbils ( $F_{2.30}=10.10$ , $P<0.001$ )[1].				
<b>Solvent&amp;Solubility</b>	<b>In Vitro:</b> DMSO : 50 mg/mL (59.38 mM; Need ultrasonic)				
		Solvent Mass Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	1.1877 mL	5.9385 mL	11.8769 mL
	Stock Solutions	5 mM	0.2375 mL	1.1877 mL	2.3754 mL
		10 mM	0.1188 mL	0.5938 mL	1.1877 mL
<p>*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。</p> <p>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。</p> <p><b>In Vivo:</b></p> <p>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:</p> <p>——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</p> <p>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (2.97 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (2.97 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 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。</p> <p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p>					



	<p>Solubility: <math>\geq 2.5</math> mg/mL (2.97 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (2.97 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-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 <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq 2.5</math> mg/mL (2.97 mM); Clear solution</p> <p>此方案可获得 <math>\geq 2.5</math> mg/mL (2.97 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中, 混合均匀。</p>
<p><b>References</b></p>	<p>[1]. Nordquist RE, et al. The tachykinin NK3 receptor agonist senktide induces locomotor activity in male Mongolian gerbils. <i>Eur J Pharmacol.</i> 2008 Dec 14;600(1-3):87-92.</p> <p>[2]. Keegan KD, et al. The selective NK3 receptor agonist senktide excites a subpopulation of dopamine-sensitiveneurones in the rat substantia nigra pars compacta in vitro. <i>Br J Pharmacol.</i> 1992 Jan;105(1):3-5.</p>
<p><b>实验参考:</b></p>	
<p><b>Cell Assay</b></p>	<p>Experiments are performed on brain slices (300 <math>\mu</math>m thick) from 150 g male Wistar rats and extracellular recordings are made by conventional techniques. Drugs (including Senktide) are applied by bath perfusion and removal is achieved simply by returning to the control drug-free solution. Extracellular electrodes are filled with aCSF and have resistances of 5 to 14 M<math>\Omega</math>. For intracellular recordings, electrodes are filled with 1 M potassium acetate and have d.c. resistances of 70 to 110 M<math>\Omega</math>. Neurons are considered to be dopaminergic if they have a characteristic waveform, slow firing rate (~5 Hz) and inhibitory response to dopamine[2].</p>
<p><b>Animal Administration</b></p>	<p>For the Senktide dose-response curve, gerbils are first allowed to habituate to the test area for 30 min. Animals (n=10 to 12 per drug treatment group) are anesthetized with isoflurane, a small incision is made in the skin over bregma, and an injection of Senktide at 0.01, 0.03, 0.06, 0.1, 0.3 or 0.6 nmol in 5 <math>\mu</math>L of vehicle is placed i.c.v. using a syringe with a 4.5 mm long needle. Wounds are clipped shut, and animals allowed to awaken from anesthesia, then placed directly into the locomotor activity boxes and recording commenced. For testing of the NK<sub>1</sub> receptor antagonist aprepitant (1, 3 or 10 mg/kg p.o.), gerbils are first treated with aprepitant or vehicle (0.9% NaCl with 0.3% Tween80) and returned to the home cage for 90 min. Animals are then placed in the open field for 30 min of habituation. During the last 5 min of habituation, 0.03 nmol Senktide is injected i.c.v.[1].</p>
<p><b>References</b></p>	<p>[1]. Nordquist RE, et al. The tachykinin NK3 receptor agonist senktide induces locomotor activity in male Mongolian gerbils. <i>Eur J Pharmacol.</i> 2008 Dec 14;600(1-3):87-92.</p> <p>[2]. Keegan KD, et al. The selective NK3 receptor agonist senktide excites a subpopulation of dopamine-sensitiveneurones in the rat substantia nigra pars compacta in vitro. <i>Br J Pharmacol.</i> 1992 Jan;105(1):3-5.</p>