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

<b>生物活性:</b>				
<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 ( $F_{6,72}=6.344$ , $P<0.001$ ). 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> <b>DMSO : 50 mg/mL (59.38 mM; Need ultrasonic)</b>			
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	
		<b>Concentration</b>		
			<b>1 mg</b>	<b>5 mg</b>
				<b>10 mg</b>
		1 mM	1.1877 mL	5.9385 mL
		5 mM	0.2375 mL	1.1877 mL
		10 mM	0.1188 mL	0.5938 mL
				1.1877 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。			
	<b>In Vivo:</b> 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 (2.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.97 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: <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>
References	<p>[1]. Nordquist RE, et al. The tachykinin NK3 receptor agonist senktide induces locomotor activity in male Mongolian gerbils. Eur J Pharmacol. 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-sensitiveneurons in the rat substantia nigra pars compacta in vitro. Br J Pharmacol. 1992 Jan;105(1):3-5.</p>
实验参考:	
Cell Assay	<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>
Animal Administration	<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>
References	<p>[1]. Nordquist RE, et al. The tachykinin NK3 receptor agonist senktide induces locomotor activity in male Mongolian gerbils. Eur J Pharmacol. 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-sensitiveneurons in the rat substantia nigra pars compacta in vitro. Br J Pharmacol. 1992 Jan;105(1):3-5.</p>