



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

产品名称: **Setmelanotide**  
产品别名: **RM-493; BIM-22493; IRC-022493**

生物活性:				
Description	Setmelanotide (RM-493; BIM-22493; IRC-022493) is a selective melanocortin 4 receptor (MC4R) agonist with EC50s of 0.27 nM and 0.28 nM for human and rat MC4R, respectively[1].			
IC <sub>50</sub> & Target	Ki:3.9 nM (hMC1R), 10 nM (hMC3R), 2.1 nM (hMC4R), 430 nM (hMC5R), 2.7 nM (rMC4R)[1] EC50: 5.8 nM (hMC1R), 5.3nM (hMC3R), 0.27 nM (hMC4R), 0.28 (rMC4R), 1600 nM (hMC5R)[1]			
In Vitro	Melanocortin receptor agonists act in the brain to regulate food intake and body weight and, independently of these actions, affect insulin sensitivity. Setmelanotide exhibits agonist activity to human and rat MC4R with Kis of 2.1 and 2.7 nM and EC50s of 0.27 and 0.28 nM, respectively[1].			
In Vivo	Inhibition of refeeding after an overnight fast by BIM-22493 is dependent on functional MC4R, and does not require MC3R. BIM-22493 acutely improves glucose homeostasis. <i>Lep<sup>ob</sup>/Lep<sup>ob</sup></i> mice treated with BIM-22493 exhibits significantly improved glucose clearance when compared to controls. Chronic BIM-22493 treatment was associated with significantly lower levels of serum insulin, glucose and HOMA-IR values, suggesting an improvement in insulin sensitivity[1]. Treatment with setmelanotide results in transient decreases in food intake (35%), with persistent weight loss over 8 weeks of treatment (13.5%) in a diet-induced obese nonhuman primate model[2].			
Solvent&Solubility	<b>In Vitro:</b> <b>H<sub>2</sub>O : 100 mg/mL (89.50 mM; Need ultrasonic)</b> <b>DMSO : 100 mg/mL (89.50 mM; Need ultrasonic)</b>			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	0.8950 mL	4.4750 mL
	Stock Solutions	5 mM	0.1790 mL	0.8950 mL
		10 mM	0.0895 mL	0.4475 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 (2.24 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.24 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。				



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: [shyysw@sina.com](mailto:shyysw@sina.com)

	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (2.24 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (2.24 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 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (2.24 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (2.24 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]. Kumar KG, et al. Analysis of the therapeutic functions of novel melanocortin receptor agonists in MC3R- and MC4R-deficient C57BL/6J mice. <i>Peptides</i>. 2009 Oct;30(10):1892-900.</p> <p>[2]. Kievit P, et al. Chronic treatment with a melanocortin-4 receptor agonist causes weight loss, reduces insulin resistance, and improves cardiovascular function in diet-induced obese rhesus macaques. <i>Diabetes</i>. 2013 Feb;62(2):490-7.</p>
实验参考:	
Animal Administration	<p>Mice: Mice are weighed. Baseline blood glucose is measured and 2 g/kg body weight of D-glucose injected by i.p. BIM-22493 is administered chronically at a dose of 300 nmol/kg/day for 14 days by sc. osmotic pump. Controls are administered with 0.9% saline during the same period. Blood glucose is measured at 15, 30, 60, and 120 minutes post injection[1].</p>
Kinase Assay	<p>Cell membranes are prepared from CHO-K1 cells stably expressing the human melanocortin receptor subtypes (MC1R, MC3R, MC4R and MC5R). They are incubated at 1-10 μg protein/well in 50 mM Tris-HCl, pH 7.4, containing 0.2% BSA, 5 mM MgCl<sub>2</sub>, 1 mM CaCl<sub>2</sub> and 0.1 mg/mL bacitracin, with increasing concentrations of setmelanotide and 0.1-0.3 nM [<sup>125</sup>I]-NDP-α-MSH for 90-120 min at 37°C, depending on the receptor subtype. Bound from free [<sup>125</sup>I]-NDP-α-MSH is separated by filtration through GF/C glass fiber filters presoaked with 0.1 % (w/v) PEI. Filters are washed three times with 50 mM Tris-HCl, pH 7.4, at 0-4°C and assayed for radioactivity using Perkin Elmer Topcount scintillation counter[1].</p>
References	<p>[1]. Kumar KG, et al. Analysis of the therapeutic functions of novel melanocortin receptor agonists in MC3R- and MC4R-deficient C57BL/6J mice. <i>Peptides</i>. 2009 Oct;30(10):1892-900.</p> <p>[2]. Kievit P, et al. Chronic treatment with a melanocortin-4 receptor agonist causes weight loss, reduces insulin resistance, and improves cardiovascular function in diet-induced obese rhesus macaques. <i>Diabetes</i>. 2013 Feb;62(2):490-7.</p>