

产品别名：伊布莫仑甲磺酸盐

Description	Ibutamoren (Mesylate) is a potent, non-peptide Growth hormone secretagogue receptor (GHSR) agonist.																	
In Vitro	Ibutamoren mesylate (5 mg/kg/day) results in a statistically significant increases body weight gain and increases serum IGF-1 and GH levels in dogs. Ibutamoren mesylate results in no significant increase in CSF IGF-1 or GH levels on days 7 or 15 of the study[1]. Pretreating mice with GH blocks activation of these neurons by Ibutamoren mesylate (50 µg, i.p.). In the knockout mice, both GH and octreotide fail to inhibit Ibutamoren mesylate activation of arcuate neurons[2]. Chronic oral administration of MK-0677 is associated with significant increases in GH and IGF-I levels that are maintained for the duration of the treatment. The GH profile following MK-0677 administration consists of episodic increases above control[3]. MK-0677 significantly increases peak GH concentrations after oral administration. MK-0677 is a potent GH secretagogue that induces an immediate, large, long lasting increase in GH levels when administered orally or i.v[4].																	
Solvent&Solubility	<p>In Vitro:</p> <p>H₂O : ≥ 50 mg/mL (80.03 mM)</p> <p>DMSO : 50 mg/mL (80.03 mM; Need ultrasonic)</p> <p>* "≥" means soluble, but saturation unknown.</p>																	
	<table> <tr> <th rowspan="2"></th><th data-bbox="620 990 772 1068"> <div>Solvent / Mass</div> <div>Concentration</div> </th><th data-bbox="772 990 960 1068">1 mg</th><th data-bbox="960 990 1173 1068">5 mg</th><th data-bbox="1173 990 1359 1068">10 mg</th></tr> <tr> <th data-bbox="620 1068 772 1111">Preparing</th><th data-bbox="772 1068 960 1111">1 mM</th><th data-bbox="960 1068 1173 1111">1.6006 mL</th><th data-bbox="1173 1068 1359 1111">8.0029 mL</th></tr> <tr> <th rowspan="5">Stock Solutions</th><th data-bbox="620 1111 772 1155">5 mM</th><th data-bbox="772 1111 960 1155">0.3201 mL</th><th data-bbox="960 1111 1173 1155">1.6006 mL</th><th data-bbox="1173 1111 1359 1155">3.2012 mL</th></tr> <tr> <th data-bbox="620 1155 772 1198">10 mM</th><th data-bbox="772 1155 960 1198">0.1601 mL</th><th data-bbox="960 1155 1173 1198">0.8003 mL</th><th data-bbox="1173 1155 1359 1198">1.6006 mL</th></tr> </table>		<div>Solvent / Mass</div> <div>Concentration</div>	1 mg	5 mg	10 mg	Preparing	1 mM	1.6006 mL	8.0029 mL	Stock Solutions	5 mM	0.3201 mL	1.6006 mL	3.2012 mL	10 mM	0.1601 mL	0.8003 mL
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	<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℃, 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。</p>																	
	<p>In Vivo:</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> <div> <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 (4.00 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.00 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> </div> <div> <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 (4.00 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.00 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</p> </div>																	

	<p>盐水水溶液中，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 (4.00 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.00 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]. Pralhada S, et al. Insulin-like growth factor-1 and growth hormone (GH) levels in canine cerebrospinal fluid are unaffected by GH or GH secretagogue (MK-0677) administration. Horm Metab Res. 1999 Feb-Mar;31(2-3):133-7.</p> <p>[2]. Zheng H, et al. Somatostatin receptor subtype 2 knockout mice are refractory to growth hormone-negative feedback on arcuate neurons. Mol Endocrinol. 1997 Oct;11(11):1709-17.</p> <p>[3]. Hickey GJ, et al. Repeat administration of the GH secretagogue MK-0677 increases and maintains elevated IGF-I levels in beagles. J Endocrinol. 1997 Feb;152(2):183-92.</p> <p>[4]. Jacks T, et al. MK-0677, a potent, novel, orally active growth hormone (GH) secretagogue: GH, insulin-like growth factor I, and other hormonal responses in beagles. Endocrinology. 1996 Dec;137(12):5284-9.</p>
实验参考：	
Animal Administration	<p>Compounds used are: lbutamoren mesylate (50 μg), octreotide (100 μg), and mGH (30 μg). Mice are give an initial ip injection (0.1 mL) of either saline, octreotide or mGH, followed 10 min later by an ip injection (0.1 mL) of either saline or lbutamoren mesylate. Thus, the first study comprised of the following groups: saline/saline, saline/lbutamoren mesylate, mGH/saline, mGH/lbutamoren mesylate saline/saline, saline/lbutamoren mesylate, mGH/saline, mGH/lbutamoren mesylate, and the second study of: saline/saline, saline/lbutamoren mesylate, octreotide/saline, octreotide/lbutamoren mesylate. Additionally, a number of mice are injected ip with hypertonic saline (0.2 mL, 1.5 M) to serve as positive controls for the immunocytochemistry. Ninety minutes after injection animals are terminally anesthetized with sodium pentobarbitone (60 mg/kg, ip) and perfused transcardially with heparinized saline followed by 4% paraformaldehyde in 0.1mol/Lphosphate buffer (PB, pH 7.4). Brains are then removed and placed in the same solution for 24 h before being stored at- 80°C until processing. Coronal sections of forebrain (40 μM) are cut on a freezing microtome and placed in 0.1mol/LPB containing Triton X-100 (PB-T, pH 7.4). Sections are incubated for 24 h at 4°C in Ab-2 Fos antibody (rabbit polyclonal; 1:1000 in 1% normal sheep serum). The antibody-antigen complex is localized with a 1-h incubation in biotinylated anti-rabbit Ig, followed by a 1-h incubation in avidin, biotinylated horseradish peroxidase. The reaction product is visualized using a glucose oxidase-diaminobenzidine-nickel method, and Fos-like immunoreactivity is visualized as a dense purple-black precipitate restricted to the nucleus. The number of c-fos positive nuclei in the arcuate and periventricular nuclei (six to eight sections per mouse) are counted double-blind and a group mean calculated (mean\pmsem). Statistical analysis is performed by a two-way ANOVA followed by an all pairwise multiple comparison of data with significance taken as $P < 0.05$. [2]</p>
	<p>[1]. Pralhada S, et al. Insulin-like growth factor-1 and growth hormone (GH) levels in canine cerebrospinal fluid are unaffected by GH or GH secretagogue (MK-0677) administration. Horm</p>

<p>References</p>	<p><u>Metab Res. 1999 Feb-Mar;31(2-3):133-7.</u></p> <p>[2]. <u>Zheng H, et al. Somatostatin receptor subtype 2 knockout mice are refractory to growth hormone-negative feedback on arcuate neurons. Mol Endocrinol. 1997 Oct;11(11):1709-17.</u></p> <p>[3]. <u>Hickey GJ, et al. Repeat administration of the GH secretagogue MK-0677 increases and maintains elevated IGF-I levels in beagles. J Endocrinol. 1997 Feb;152(2):183-92.</u></p> <p>[4]. <u>Jacks T, et al. MK-0677, a potent, novel, orally active growth hormone (GH) secretagogue: GH, insulin-like growth factor I, and other hormonal responses in beagles. Endocrinology. 1996 Dec;137(12):5284-9.</u></p>
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源叶生物