

Product Data Sheet

Product Name: Ibutamoren Mesylate

Cat. No.: GC10287

Chemical Properties

Cas. No. 159752-10-0

Chemical Name 2-amino-2-methyl-N-[(2R)-1-(1-methylsulfonylspro[2H-indole-3,4'-piperidine]-1'-yl)-1-oxo-3-phenylmethoxypropan-2-yl]propanamide;methanesulfonic acid

SMILES CC(C)(C(=O)NC(COCC1=CC=CC=C1)C(=O)N2CCC3(CC2)CN(C4=CC=CC=C34)S(=O)(=O)C)N.CS(=O)(=O)O

Formula $C_{28}H_{40}N_4O_8S_2$ M.Wt 624.77

Solubility DMF: 25 mg/ml,DMSO: 25 mg/ml,Ethanol: 10 mg/ml,PBS (pH 7.2): 10 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

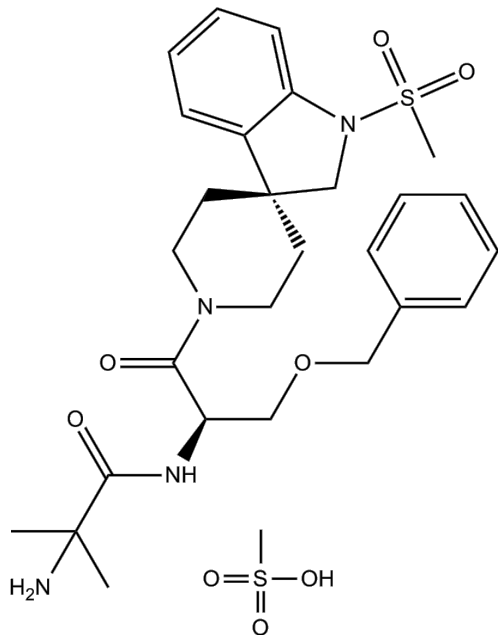
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:	
Cell lines	MCF7, T47D, and MDA-MB231 cells (human breast carcinoma cell lines)
Preparation Method	Cells were starved then treated with Ibutamoren Mesylate at concentrations from 1nM-2μM for 24 hours (20h for [³ H]-thymidine incorporation plus 4h labeling), or synchronized and treated with 1μmol/L Ibutamoren Mesylate for 96h with media changed every 48h, followed by [³ H]-thymidine incorporation assay or cell counting.
Reaction Conditions	1nM-2μM; 24-96h
Applications	Ibutamoren Mesylate inhibited serum-stimulated and estradiol-induced [³ H]-thymidine incorporation and reduced cell number in MCF7, T47D and MDA-MB231 cells.

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Animal experiment [2]:	
Animal models	Female Sprague-Dawley rats
Preparation Method	Rats were fasted for 8h then given Ibutamoren Mesylate 2 or 4mg/kg by stomach tube; in the 6-week study Ibutamoren Mesylate 4mg/kg was given by stomach tube daily between 08:00 and 10:00 for 6 weeks, with distilled water 4mL/kg as control.
Dosage form	4mg/kg; oral (stomach tube); daily for 6 weeks
Applications	Oral Ibutamoren Mesylate 4mg/kg increased peak serum GH concentration 1.8-fold at baseline but after 6 weeks did not increase body weight, body length, tibia growth plate width, or serum IGF-I, abolished the GH stimulatory response, increased hypothalamic SST mRNA and protein, and decreased pituitary SSTR-2 mRNA and protein.
References:[1] Cassoni P, Papotti M, Ghe C, et al. Identification, Characterization, and Biological Activity of Specific Receptors for Natural(Ghrelin) and Synthetic Growth Hormone Secretagogues and Analogs in Human Breast Carcinomas and Cell Lines. J Clin Endocrinol Metab. 2001 Apr;86(4):1738-45.[2] Lee J, Kwon A, Chae HW, et al. Effect of the Orally Active Growth Hormone Secretagogue MK-677 on Somatic Growth in Rats. Yonsei Med J. 2018 Dec;59(10):1174-80.	

Background

Ibutamoren Mesylate is a non-peptide growth hormone secretagogue. Ibutamoren Mesylate agonizes the ghrelin receptor GHS-R1a to promote pulsatile growth hormone GH release from the pituitary, elevate insulin-like growth factor IGF-1, enhance appetite via hypothalamic regulation, and improve body composition and bone turnover. Ibutamoren Mesylate can be used in studies on growth hormone deficiency, sarcopenia in the elderly, obesity-related abnormal body composition, bone metabolism, and sleep disorders^[1-4].

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In vitro, 1nM-2µM Ibutamoren Mesylate was applied to serum-stimulated and estradiol-induced breast cancer cell lines (MCF7, T47D, and MDA-MB231) for 24-96 hours. Ibutamoren Mesylate inhibited [³H]-thymidine incorporation and suppressed cell proliferation^[5]. 1µM Ibutamoren Mesylate was administered to IGF-II-stimulated CALU-1 cells for 96 hours. Ibutamoren Mesylate produced no antiproliferative effect on the cells [6].

In vivo, female Sprague-Dawley rats received 4mg/kg Ibutamoren Mesylate via gastric gavage once daily for 6 weeks. Ibutamoren Mesylate increased peak serum GH concentration at baseline, upregulated hypothalamic SST mRNA and protein expression, and downregulated pituitary SSTR-2 mRNA and protein expression^[7]. Mature male mice received a single intraperitoneal injection of 10µg Ibutamoren Mesylate. Ibutamoren Mesylate induced growth hormone release in wild-type mice but failed to induce growth hormone release in Ghrelin-deficient mice^[8]. Mice received an intraperitoneal injection of 50µg Ibutamoren Mesylate. Ibutamoren Mesylate induced Fos immunoreactivity in the arcuate nucleus^[9].

References:

- [1] Muccioli G, Broglio F, Valetto MR, et al. Growth hormone-releasing peptides and the cardiovascular system. *Ann Endocrinol (Paris)*. 2000 Feb;61(1):27-31.
- [2] Smith RG, Leonard R, Bailey AR, et al, Howard A. Growth hormone secretagogue receptor family members and ligands. *Endocrine*. 2001 Feb;14(1):9-14.
- [3] Schellekens H, McNamara O, Dinan TG, et al. Semagacestat, a γ-secretase inhibitor, activates the growth hormone secretagogue (GHS-R1a) receptor. *J Pharm Pharmacol*. 2013 Apr;65(4):528-38.
- [4] Smith RG, Griffin PR, Xu Y, et al. Adenosine: A partial agonist of the growth hormone secretagogue receptor. *Biochem Biophys Res Commun*. 2000 Oct 5;276(3):1306-13.
- [5] Cassoni P, Papotti M, Ghe C, et al. Identification, Characterization, and Biological Activity of Specific Receptors for Natural(Ghrelin) and Synthetic Growth Hormone Secretagogues and Analogs in Human Breast Carcinomas and Cell Lines. *J Clin Endocrinol Metab*. 2001 Apr;86(4):1738-45.
- [6] Ghè C, Cassoni P, Catapano F, et al. The Antiproliferative Effect of Synthetic Peptidyl GH Secretagogues in Human CALU-1 Lung Carcinoma Cells. *Endocrinology*. 2002 Feb;143(2):484-91.
- [7] Lee J, Kwon A, Chae HW, et al. Effect of the Orally Active Growth Hormone Secretagogue MK-677 on Somatic Growth in Rats. *Yonsei Med J*. 2018 Dec;59(10):1174-80.
- [8] Howard AD, Feighner SD, Cully DF, et al. A receptor in pituitary and hypothalamus that functions in growth hormone release. *Science*. 1996 Aug 16;273(5277):974-7.
- [9] Zheng H, Bailey A, Jiang M, et al. Somatostatin Receptor Subtype 2 Knockout Mice Are

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Refractory to Growth Hormone-Negative Feedback on Arcuate Neurons. Mol Endocrinol. 1997 Nov;11(11):1709-17.

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