Product Data Sheet

Product Name: BIM 189
Cat. No.: GC14279

Chemical Properties

Cas. No.	142062-55-3
Chemical Name	(S)-N1-((2S,5S,8S,14S,17S,20S)-8-((1H-imidazol-4-yl)methyl)-1-amino-2-(4-chlorobenzyl)-21-(1H-indol-3-yl)-5-isobutyl-14-isopropyl-17-methyl-1,4,7,10,13,16,19-heptaoxo-3,6,9,12,15,18-hexaazahenicosan-20-yl)-2-((R)-2-amino-3-phenylpropanamido)pentanediamide
SMILES	ClC1=CC=C(C=C1)C[C@@H](C(N)=O)NC([C@H](CC(C)C)NC([C@H](CC2=CNC=N2)NC(CNC([C@H](C(C)C)NC([C@H](C)NC([C@H](CC3=CNC4=CC=CC=C34)NC([C@H](CCC(N)=O)NC([C@@H](CC5=CC=CC=C5)N)=O)=O)=O)=O)=O)=O)=O)=O
Formula	$C_{56}H_{73}ClN_{14}O_{10}$
M.Wt	1137.73
Solubility	Soluble to 2 mg/ml in 20% acetonitrile
Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
Structure	BIM 189

Background

IC50: 10.4 nM for rat pancreas; 2.4 nM for Guinea pig pancreas

Bombesin has common effects on the gastrointestinal tract and feeding behavior. Bombesin acts on two types of receptors: one with high affinity for neuromedin B and another with high affinity for bombesin and gastrin-releasing peptide (GRP). BIM 189 is a a new peptide of bombesin antagonis, while BIM 187 is a bombesin agonist.

In vitro: BIM 189 was one of the most potent bombesin antagonists known in the guinea pig and 3T3 cell systems but has 40% partial agonist activity in the rat. Loss of agonism might be attributed to the Cl electron withdrawing effects rather than the size of the Cl

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since far larger Na114 substitutions did not effect agonist activity [2].

In vivo: BIM 187 at 4 μg/kg, significantly reduced food intake at 30 min in rat, but did not change the total 6-h food intake. BIM 189 (10 mg/kg), had no effect on food intake when administered alone, even at high doses (20 mg/kg). BIM 189 selectively reduced bombesin-induced satiety but had no effect on satiety induced by BIM 187[2].

Clinical trial: Up to now, BIM 189 is still in the preclinical development stage.

Reference:
[1] Coy D, Wang LH, Jiang NY, Jensen R. Short chain bombesin pseudopeptides with potent bombesin receptor antagonist activity in rat and guinea pig pancreatic acinar cells. Eur J Pharmacol. 1990 Nov 6;190(1-2):31-8.
[2] Laferrère B, Leroy F, Bonhomme G, Le Gall A, Basdevant A, Guy-Grand B. Effects of bombesin, of a new bombesin agonist (BIM 187) and a new antagonist (BIM 189) on food intake in rats, in relation to cholecystokinin. Eur J Pharmacol. 1992 Apr 29;215(1):23-8.