Product Data Sheet

Product Name: BIM 23127
Cat. No.: GC11620

Chemical Properties

Cas. No.	160161-61-5
SMILES	CC([C@@]1([H])/C(O)=N/[C@@](/C(O)=N/[C@](C(O)=N)([H])CC2=CC3=CC=CC=C3C=C2)([H])CSSC[C@@](/N=C(O)/[C@@](N)([H])CC4=CC5=CC=CC=C5C=C4)([H])/C(O)=N/[C@@](/C(O)=N/[C@@](/C(O)=N/[C@@](/C(O)=N/1)([H])CCCN)([H])CC6=CNC7=CC=CC=C67)([H])CC8=CC=C(O)C=C8)C
Formula	$C_{62}H_{71}N_{11}O_9S_2$
M.Wt	1178.43
Solubility	Soluble to 2 mg/ml in 20% acetonitrile
Storage	Desiccate 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 23127

Protocol

Cell experiment [1]:

Cell lines	DAOY and D283 cells (human medulloblastoma cell lines)

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Preparation Method	Cells were maintained in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% fetal bovine serum (FBS). Cells were treated with the NMBR antagonist BIM 23127 (1nM–10μM), either alone or in combination with the anti-EGFR monoclonal antibody cetuximab (1 or 10μg/mL), for 48 hours.
Reaction Conditions	1nM–10μM; 48h
Applications	BIM 23127 alone did not significantly affect cell death/proliferation in either DAOY or D283 cells. However, BIM 23127 combined with a low, ineffective dose of cetuximab (1μg/mL) significantly reduced the number of DAOY cells.

Animal experiment [2]:

Animal models	Sprague-Dawley rats
Preparation Method	Rats were anesthetized with urethane (1.0-1.2g/kg; i.p.) and implanted with intracerebroventricular (i.c.v.) cannulas targeting the right lateral ventricle. BIM 23127 (3nmol/animal) or vehicle (5μl saline) was administered i.c.v. 30 minutes prior to bombesin (0.03nmol/animal; i.c.v.). Continuous cystometrograms (CMG) were recorded to assess bladder activity.
Dosage form	3nmol/animal; i.c.v.

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Applications	Pretreatment with BIM 23127 significantly attenuated bombesin-induced shortening of intercontraction intervals (ICI), indicating blockade of central neuromedin B receptors (BB1) reduced stress-related bladder overactivity without affecting basal voiding parameters.

Background

BIM 23127 is a highly selective agonist for somatostatin receptor subtype 2 (SSTR2) with a Ki value of 20.9nM[1]. By binding to SSTR2, BIM 23127 inhibits cAMP accumulation and modulates downstream effectors, thereby exerting its biological activities[2]. BIM 23127 is used to investigate the role of somatostatin in regulating hormone secretion, and cell proliferation[3]. BIM 23127 has also demonstrated potential in suppressing tumor and cancer cell proliferation[4].

In vitro, pretreatment of RAW-Blue macrophages, PANC-1 cells, and SW-620 cells with BIM 23127 (12.5μg/mL) for 1 hour, followed by stimulation with the CB1 receptor agonist AM-404 (2.57μg/mL), significantly inhibited Neu-1 sialidase activity and blocked CB1 receptor-mediated activation of the NF-κB signaling pathway[5]. In HTC-WT cells (rat hepatoma cells), pretreatment with BIM 23127 (333μg/mL) for 30 minutes, followed by stimulation with insulin (100nM) or bombesin, markedly suppressed insulin-induced Neu1 sialidase activity and inhibited neuromedin B receptor (NMBR)-mediated insulin receptor signaling pathway activation[6].

In vivo, intracerebroventricular pretreatment with BIM 23127 (3nmol/animal) 30 minutes prior to bombesin (0.03nmol/animal) administration significantly attenuated bombesin-induced shortening of the urinary interval in rats and blocked bombesin receptor subtype-1 (BB1)-mediated hyperreflexia of the mitcurition reflex[7]. Intraperitoneal pretreatment with BIM 23127 (16–64nmol/kg) 15 minutes prior to behavioral testing significantly impaired memory acquisition in a mouse inhibitory avoidance learning task, with the most pronounced effect observed at a dose of 32nmol/kg[8].

References:
[1] Ladenheim EE, Taylor JE, Coy DH, et al. Blockade of feeding inhibition by neuromedin B using a selective receptor antagonist. Eur J Pharmacol. 1994 Dec 12;271(1):R7-9.

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[3] Ladenheim EE, Taylor JE, Coy DH, et al. Caudal hindbrain neuromedin B-preferring receptors participate in the control of food intake. Am J Physiol. 1997 Jan;272(1 Pt 2):R433-7.
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[5] Bunsick DA, Matsukubo J, Aldbai R, et al. Functional Selectivity of Cannabinoid Type 1 G Protein-Coupled Receptor Agonists in Transactivating Glycosylated Receptors on Cancer Cells to Induce Epithelial-Mesenchymal Transition Metastatic Phenotype. Cells. 2024 Mar 8;13(6):480.
[6] Alghamdi F, Guo M, Abdulkhalek S, et al. A novel insulin receptor-signaling platform and its link to insulin resistance and type 2 diabetes. Cell Signal. 2014 Jun;26(6):1355-68.
[7] Shimizu T, Shimizu S, Higashi Y, et al. A Stress-Related Peptide Bombesin Centrally Induces Frequent Urination through Brain Bombesin Receptor Types 1 and 2 in the Rat. J Pharmacol Exp Ther. 2016 Mar;356(3):693-701.
[8] Santo-Yamada Y, Yamada K, Wada E, et al. Blockade of bombesin-like peptide receptors impairs inhibitory avoidance learning in mice. Neurosci Lett. 2003 Apr 3;340(1):65-8.

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