Product Data Sheet

Product Name: A-71623
Cat. No.: GC16913

Chemical Properties

Cas. No.	130408-77-4
Chemical Name	(6S,9S,12S)-6-((1H-indol-3-yl)methyl)-12-(((S)-1-amino-1-oxo-3-phenylpropan-2-yl)(methyl)carbamoyl)-2,2-dimethyl-4,7,10-trioxo-9-(4-(3-(o-tolyl)ureido)butyl)-3-oxa-5,8,11-triazatetradecan-14-oic acid
SMILES	O=C([C@H](CCCCNC(NC1=CC=CC=C1C)=O)NC([C@H](CC2=CNC3=CC=CC=C23)NC(OC(C)(C)C)=O)=O)N[C@@H](CC(O)=O)C(N(C)[C@H](C(N)=O)CC4=CC=CC=C4)=O
Formula	$C_{44}H_{56}N_8O_9$
M.Wt	840.97
Solubility	Soluble to 1 mg/ml in 20mM PBS buffer
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	A-71623

Background

A-71623 is a selective agonist of CCKA receptor with an IC50 value of 3.7 nM in guinea pig pancreas [1, 2].

CCKA receptors belong to a subtype of cholecystokinin (CCK) receptors in the brain. CCK is a type of neuropeptide present throughout the central nervous system. CCK can act as a neurotransmitter in both normal and abnormal brain. CCK receptors exist in two forms in the brain. Another subtype of CCK receptors is CCKB subtype [1].

In NCI-H345 cells possessing CCKB/gastrin receptors, A-71623 was weak and behaved as a partial agonist in calcium studies [2]. A-71623 had very low affinity to CCK binding sites in C6 cells with an IC50 value of 1236 ± 81 nM [3]. It is hard to find the CCKA response result of the application of A-71623 in cells.

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In radioligand binding assays, A-71623 showed IC50 values of 3.7 nM for CCKA in guinea pig pancreas and 4500 nM for CCKB in cerebral cortex. Data showed that A-71623 was an agonist in stimulating the release of pancreatic amylase, and this stimulatory effect was potently inhibited by L-364,718, a CCKA antagonist. Data showed that A-71623 acted as a full agonist in stimulating the breakdown of phosphoinositide in pancreas. In the ileum, A-71623 was also a potent agonist in stimulating CCKA receptors. In guinea pig gastric glands, the affinity of A-71623 for the CCK-B/gastrin receptor was 11 μM. This result demonstrated that A-71623 should be a potent and selective agonist at CCKA receptors [2].

References:

[1]. Gracey DJ, Bell R, King DJ. Differential effects of the CCKA receptor ligands PD-140,548 and A-71623 on latent inhibition in the rat. Progress in Neuro-Psychopharmacology and Biological Psychiatry, 2002, 26(3): 497-504.
[2]. Lin CW, Shiosaki K, Miller TR, et al. Characterization of two novel cholecystokinin tetrapeptide (30-33) analogues, A-71623 and A-70874, that exhibit high potency and selectivity for cholecystokinin-A receptors. Molecular pharmacology, 1991, 39(3): 346-351.
[3]. Kaufmann R, Lindschau C, Scho T, et al. Type B cholecystokinin receptors on rat glioma C6 cells. Binding studies and measurement of intracellular calcium mobilization. Brain research, 1994, 639(1): 109-114.

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