Product Data Sheet

Product Name: BIBO 3304 trifluoroacetate
Cat. No.: GC14089

Chemical Properties

Cas. No.	191868-14-1,2310085-85-7		
Chemical Name	2,2,2-trifluoroacetic acid compound with (R,Z)-5-guanidino-N-(4-(((hydroxy(imino)methyl)amino)methyl)benzyl)-2-((Z)-(1-hydroxy-2,2-diphenylethylidene)amino)pentanimidic acid (2:1)		
SMILES	N=C(NCCC[C@](/N=C(O)/C(C1=CC=CC=C1)C2=CC=CC=C2)([H])/C(O)=N/CC3=CC=C(CNC(O)=N)C=C3)N.FC(F)(F)C(O)=O.FC(F)(F)C(O)=O		
Formula	$C_{29}H_{35}N_7O_3.2CF_3CO_2H$	M.Wt	757.69
Solubility	<75.77mg/ml in DMSO; <75.77mg/ml in ethanol	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	BIBO 3304 trifluoroacetate		

Background

BIBO 3304 is a high affinity NPY Y1 receptor antagonist with IC50 values of 0.72 and 0.38 nM at rat and human receptors respectively [1].
Neuropeptide Y is a 36 amino acid polypeptide that is expressed in the hypothalamus. It is an endocrine and neuronal messenger involved in many physiological processes such as elevates blood pressure and stimulates food intake [1].
BIBO 3304 displayed high affinity (IC50=0.69+0.16 nM) for the human Y1 receptor stably expressed in BHK cells and a higher affinity(IC50=0.38+0.06 nM) for SK-N-MC cells, a human neuroblastoma cell line endogenously expressing the Y1 receptor. BIBO 3304 exhibited selective binding to the Y1 receptor subtype and more than 1000 ± 10,000-fold lower affinity for the human Y2 receptor, the human and rat Y4 receptor as well as the human and rat Y5 receptor. In SK-N-MC cells, the NPY induced inhibition of

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cAMP synthesis was antagonized by 100 nM BIBO 3304 with a pKb of 9.1+0.4 [1].
In a rat model, BIBO 3304 inhibited feeding response mediated by 1mg NPY in a dose-dependent way. A dose of 30mg caused an approximately 50% inhibition (1.87+0.3 g, n=18). BIBO 3304 (30mg) had no effect on noradrenaline or galanin induced feeding. However, it can block the feeding response mediated by NPY (2 ± 36) (1mg), NPY (3 ± 36) (1mg) and [Leu31, Pro34]NPY (2mg) [1]. BIBO 3304 also antagonizes anxiolytic-like effects of NPY in the basolateral nucleus of the amygdala in rats [2].

References:

[1]. Wieland HA, Engel W, Eberlein W, et al. Subtype selectivity of the novel nonpeptide neuropeptide Y Y1 receptor antagonist BIBO 3304 and its effect on feeding in rodents. Br J Pharmacol, 1998, 125(3): 549-55.

[2]. Sajdyk TJ, Vandergriff MG, Gehlert DR. Amygdalar neuropeptide Y Y1 receptors mediate the anxiolytic-like actions of neuropeptide Y in the social interaction test. Eur J Pharmacol, 1999, 5;368(2-3): 143-147.

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