

GW791343 trihydrochloride

Chemical Properties

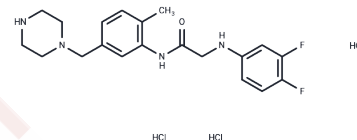
CAS No. : 309712-55-8

Formula: C₂₀H₂₄F₂N₄O·3HCl

Molecular Weight: 483.81

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GW791343 trihydrochloride (GW791343 3HCl) is aHCl salt form of GW791343, which is a non-competitive allosteric modulator of human P2X7 receptor inhibitor with pIC ₅₀ of 7.
Targets(IC ₅₀)	P2X Receptor
In vitro	Synephrine (0.1-30 μM) displays potent vasoconstrictive effects on isolated rat aorta in a dose dependent manner, which can be significantly inhibited by pretreatment with prazosin, BRL15572, and ketanserin but not by pretreatment with SB216641 and propranolol, indicating that Synephrine exerts the constrictive effects via adrenergic alpha(1)-receptors, serotonergic 5-HT(1D) receptors, and 5-HT(2A) receptors. [2] Although the K _i values of Synephrine, 1R,2S-norephedrine, and β-phenethylamine are same for all three subtypes, only Synephrine is a partial agonist of α1A-AR subtype stably expressed in HEK 293 cells with EC ₅₀ of 4 μM, giving a maximal response at 100 μM that is equal to 55.3 % of the L-phenylephrine maximum. Functional studies on the α2A- and α2C-AR subtypes stably expressed in CHO cells indicate that Synephrine may act as an antagonist rather than an agonist of the pre-synaptic α(2A)- and α(2C)-AR subtypes present in nerve terminals, although antagonist activity of synephrine is lower than its partial agonist potency. [3] Synephrine (~100 μM) treatment increases basal glucose consumption up to 50% over the control in a dose-dependent manner, without affecting the viability of L6 skeletal muscle cells. Synephrine significantly stimulates the basal- or insulin-stimulated lactic acid production as well as glucose consumption. Synephrine treatment stimulates the phosphorylation of AMPK but not Akt, and Synephrine-induced glucose consumption and the translocation of Glut4 from the cytoplasm to the plasma membrane are sensitive to the inhibition of AMPK but not to the inhibition of PI3 kinase. [4]

Solubility Information

Solubility	DMSO: 48.4 mg/mL (100.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0669 mL	10.3346 mL	20.6693 mL
5 mM	0.4134 mL	2.0669 mL	4.1339 mL
10 mM	0.2067 mL	1.0335 mL	2.0669 mL
50 mM	0.0413 mL	0.2067 mL	0.4134 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Michel AD, et al. Br J Pharmacol. 2009 Apr;156(8):1312-25.

Michel AD, et al. Br J Pharmacol. 2008 Nov;155(5):738-51.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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