

CI-949

Chemical Properties

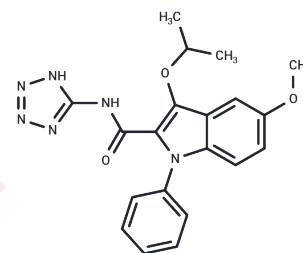
CAS No. : 104961-19-5

Formula: C₂₀H₂₀N₆O₃

Molecular Weight: 392.41

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	CI-949 is an allergic mediator release inhibitor that inhibits the release of leukotriene C ₄ /D ₄ (LTC ₄ /LTD ₄), histamine, and thromboxane B ₂ (TXB ₂) with IC ₅₀ values of 0.5 μM, 11.4 μM, and 0.1 μM, respectively.
Targets(IC ₅₀)	Others,Histamine Receptor,Leukotriene Receptor,Prostaglandin Receptor
In vitro	Complete inhibition of leukotriene and thromboxane synthesis/release is obtained with 10 and 1 μM of CI-949, respectively. CI-949 is an effective inhibitor of the release of all three mediators in response to this stimulus. The IC ₅₀ s for inhibition of histamine, leukotriene, and thromboxane are 6.3, 2, and 0.1 μM for the FMLP challenge [1]. CI-949 effectively inhibits the release of histamine and the synthesis or release of immunoreactive sulfidopeptide leukotrienes C ₄ -D ₄ and thromboxane B ₂ from antigen-challenged lung fragments of actively sensitized guinea-pigs. The IC ₅₀ s are 26.7±2.8 μM for histamine, 2.7±2.4 μM for leukotriene, and 3.0±1.8 μM for thromboxane [2].
In vivo	A dose of 50 mg/kg i.p. of CI-949 protects conscious, aerosol-allergen challenged guinea-pigs for at least 1 h and 100 mg/kg i.p. or per os protects for at least 2 h. The animals are protected from collapse for at least 1 h after 50 and 100 mg/kg, and 100 mg/kg afforded complete protection up to 2h. An oral dose of 100 mg/kg at 2 h, but not at 4 h before challenge also inhibits collapse. A dose of 100 mg/kg at 4 h and again at 2 h before the challenge is more effective than a single dose at 2 h [2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5484 mL	12.7418 mL	25.4836 mL
5 mM	0.5097 mL	2.5484 mL	5.0967 mL
10 mM	0.2548 mL	1.2742 mL	2.5484 mL
50 mM	0.051 mL	0.2548 mL	0.5097 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

Conroy MC, et al. Inhibition of histamine, leukotriene C4/D4, and thromboxane B2 release from human leukocytes and human chopped lung mast cells by the allergic mediator release inhibitor, CI-949. *J Allergy Clin Immunol.* 1990 Dec;86(6 Pt 1):902-8.

Adolphson RL, et al. CI-949: a new, potential antiallergy compound inhibits antigen-induced allergic reactions in guinea-pigs in vitro and in vivo. *Pulm Pharmacol.* 1990;3(4):203-8.

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