Product Data Sheet

Product Name: CI-949
Cat. No.: GC31994

Chemical Properties

Cas. No.	104961-19-5		
SMILES	O=C(C(N1C2=CC=CC=C2)=C(OC(C)C)C3=C1C=CC(OC)=C3)NC4=NN=NN4		
Formula	$C_{20}H_{20}N_6O_3$	M.Wt	392.41
Solubility	Soluble in DMSO	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	CI-949		

Protocol

Kinase experiment:

Inhibition by Cl-949 of LTC4/D4, TXB2, and histamine release from human leukoeytes challenged with anti-lgE. Cells are preincubated at 37°C with Cl-949 (0.1, 1, 10 and 100 μM) for 10 minutes before the addition of anti-lgE. The cells are then challenged with appropriate stimulus in a concentration to obtain histamine release from the ascending portion of the dose-response curve from each donor (or with buffer) and incubated for 50 minutes at 37°C. The reaction is stopped by centrifugation, and the resulting supernatant solutions are decanted and saved for quantitation of allergic mediators. Mediator release and inhibition of mediator release by CI-949 is comparable in ceils prepared by either method[1].

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Animal experiment: Guinea pigs[2]Male, Hartley Strain guinea-pigs, weighing 175-250 g are used in these experiments. Actively sensitized guinea-pigs are given i.p. doses of 30, 50, or 100 mg/kg of CI-949 between 20-120 min before aerosol challenge with antigen[2].

References:

[1]. 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.
[2]. 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.

Background

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CI-949 is an allergic mediator release inhibitor, which inhibits histamine, leukotriene C4/D4 (LTC4/LTD4), and thromboxane B2 (TXB2) release with IC50s of 11.4 μM, 0.5 μM and 0.1 μM, respectively.

CI-949 inhibits, in a dose-dependent manner, the release of histamine, leukotriene, and thromboxane from human basophilic leukocytes challenged with anti-IgE. The IC50 for inhibition of histamine release is 11.4 μM. Virtually complete inhibition of histamine release occurs at 100 μM, with negligible inhibition of release <3 μM. Both LTC4/LTD4 and TXB2 release are inhibited at lower concentrations (IC50, 0.5 and 0.1 μM, respectively). 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 release of all three mediators in response to this stimulus. The IC50s for inhibition of histamine, leukotriene, and thromboxane are 6.3, 2, and 0.1 μM for FMLP challenge[1]. CI-949 effectively inhibits the release of histamine and the synthesis or release of immunoreactive sulfidopeptide leukotrienes C4-D4 and thromboxane B2 from antigen-challenged lung fragments of of actively sensitized guinea-pigs. The IC50s are 26.7±2.8 μM for histamine, 2.7±2.4 μM for leukotriene, and 3.0±1.8 μM for thromboxane[2].

Actively sensitized guinea-pigs are given i .p. doses of 30, 50, or 100 mg/kg of CI-949 between 20-120 min before aerosol challenge with antigen. 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 I 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 challenge is more effective than a single dose at 2 h[2].

[1]. 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.
[2]. 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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