

## 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

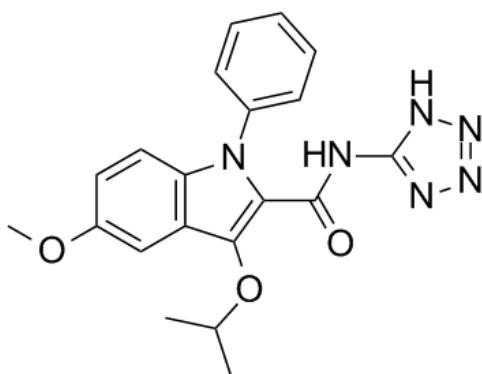
Formula C20H20N6O3 M.Wt 392.41

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



**Caution: Product has not been fully validated for medical applications. For research use only.**

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### Protocol

**Kinase  
experiment:**

Inhibition by CI-949 of LTC<sub>4</sub>/D<sub>4</sub>, TXB<sub>2</sub>, and histamine release from human leukocytes challenged with anti-IgE. Cells are preincubated at 37°C with CI-949 (0.1, 1, 10 and 100 µM) for 10 minutes before the addition of anti-IgE. 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 cells prepared by either method[1].

**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 C<sub>4</sub>/D<sub>4</sub>, and thromboxane B<sub>2</sub> 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

CI-949 is an allergic mediator release inhibitor, which inhibits histamine, leukotriene C<sub>4</sub>/D<sub>4</sub> (LTC<sub>4</sub>/LTD<sub>4</sub>), and thromboxane B<sub>2</sub> (TXB<sub>2</sub>) release with IC<sub>50</sub>s 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

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from human basophilic leukocytes challenged with anti-IgE. The IC<sub>50</sub> for inhibition of histamine release is 11.4  $\mu$ M. Virtually complete inhibition of histamine release occurs at 100  $\mu$ M, with negligible inhibition of release <3  $\mu$ M. Both LTC<sub>4</sub>/LTD<sub>4</sub> and TXB<sub>2</sub> release are inhibited at lower concentrations (IC<sub>50</sub>, 0.5 and 0.1  $\mu$ M, respectively). Complete inhibition of leukotriene and thromboxane synthesis/release is obtained with 10 and 1  $\mu$ M of CI-949, respectively. CI-949 is an effective inhibitor of release of all three mediators in response to this stimulus. The IC<sub>50</sub>s for inhibition of histamine, leukotriene, and thromboxane are 6.3, 2, and 0.1  $\mu$ M for FMLP challenge[1]. CI-949 effectively inhibits the release of histamine and the synthesis or release of immunoreactive sulfidopeptide leukotrienes C<sub>4</sub>-D<sub>4</sub> and thromboxane B<sub>2</sub> from antigen-challenged lung fragments of actively sensitized guinea-pigs. The IC<sub>50</sub>s are 26.7 $\pm$ 2.8  $\mu$ M for histamine, 2.7 $\pm$ 2.4  $\mu$ M for leukotriene, and 3.0 $\pm$ 1.8  $\mu$ M 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 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 challenge is more effective than a single dose at 2 h[2].

[1]. Conroy MC, et al. Inhibition of histamine, leukotriene C<sub>4</sub>/D<sub>4</sub>, and thromboxane B<sub>2</sub> 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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