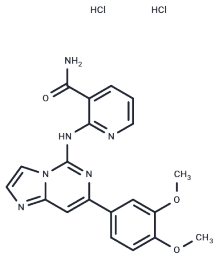
Data Sheet (Cat.No.T6776)

TargetMol

BAY 61-3606 dihydrochloride

Chemical Properties



CAS No. : 648903-57-5

Formula: C20H18N6O3·2HCl

Molecular Weight: 463.32

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	BAY 61-3606 dihydrochloride (BAY 61-3606) is a potent and selective inhibitor of Syk kinase (Ki = 7.5 nM).
Targets(IC50)	Apoptosis,Syk
In vitro	BAY 61-3606 is a highly selective inhibitor of Syk kinase. Other selected tyrosine kinases, Lyn, Fyn, Src, Itk, and Btk, are not inhibited by BAY 61-3606 in concentrations up to 4.7 μM. BAY 61-3606 is also found to inhibit B cell receptor (BCR)-mediated signaling[1]. Bay 61-3606 is a sensitizer of TRAIL-induced apoptosis. Mcl-1 downregulation by Bay 61-3606 are concentration- and time-dependent in MCF-7 cells. phosphorylation of Syk is reduced by Bay 61-3606 in MCF-7 and T47D cells. Downregulation of Mcl-1 by Bay 61-3606 is independent of Syk in breast cancer cells. Bay 61-3606 promotes the ubiquitin/proteasome-dependent degradation of the Mcl-1 protein in MCF-7 cells. Bay 61-3606 inhibits the phosphorylation of CDK9, RNA polymerase II, and Mcl-1 expression in MCF-7 cells. Bay 61-3606 inhibits CDK9 kinase activity with an in vitro IC50 of 37 nM[2].
In vivo	After 20 days of drug administration, the volume of the xenografted tumor was significantly (P <0.001) reduced the efficacy of Bay 61-3606 when administered in TRAIL combination[2]. Oral administration of BAY 61-3606 to rats significantly suppresses antigen-induced passive cutaneous anaphylactic reaction, bronchoconstriction, and bronchial edema at 3 mg/kg. Furthermore, BAY 61-3606 attenuates antigen-induced airway inflammation in rats[1].
Kinase Assay	GST-Syk (3.2?ng), 0.5?μg AL, 30?μM ATP, and testing compound in the presence of 0.25% Me2SO are mixed in 50?μL/well of kinase assay buffer in polypropylene U-bottom 96-well microtiter plates. The mixture is incubated for 1?h at room temperature, and the reaction is terminated by the addition of 120?μL of termination buffer. To capture AL, 120?μL of the terminated mixture is transferred to streptavidin-coated plates, followed by incubation at room temperature for more than 30?min. After three ishes with washing buffer, 100?μL of antibody buffer is added and incubated at room temperature for more than 30?min. After three more ishes, 100?μL of enhancement solution is added. Time-resolved fluorescence is measured by multilabel counter ARVO.
Cell Research	MCF-7 cells are exposed to TRAIL (indicated concentrations:0, 12.5, 25, 37.5 ng/ml) with or without Bay 61-3606 (2.5 μM) for 24 h; After exposure to the agents for 12 h, MCF-7 cells are subjected to immunocytochemistry using an active Bak antibody; Caspase activity is measured in MCF-7 cells exposed to Bay 61-3606 (5 μM) with or without TRAIL

Cell Research	(50 ng/ml) for 24 h.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 12 mg/mL (25.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 0.5 mg/mL (1.08 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1583 mL	10.7917 mL	21.5834 mL
5 mM	0.4317 mL	2.1583 mL	4.3167 mL
10 mM	0.2158 mL	1.0792 mL	2.1583 mL
50 mM	0.0432 mL	0.2158 mL	0.4317 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

Yamamoto N, et al. J Pharmacol Exp Ther. 2003, 306(3):1174-81.
Kim SY, et al. PLoS One. 2015, 10(12):e0146073.