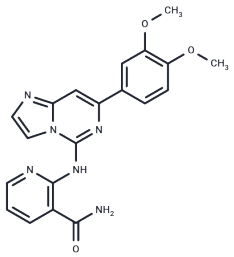
Data Sheet (Cat.No.T4263)

TargetMol

BAY 61-3606

Chemical Properties



CAS No. : 732983-37-8

Formula: C20H18N6O3

Molecular Weight: 390.4

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 (Syk inhibitor IV) is a potent, ATP-competitive, reversible, and highly selective inhibitor of Syk tyrosine kinase activity (Ki= 7.5 nM), exhibiting no inhibitory effect against Btk, Fyn, Itk, Lyn, and Src.
Targets(IC50)	Apoptosis,Syk
In vitro	BAY61-3606 as an inhibitor of proliferation in colorectal cancer cells expressing mutant forms of K-RAS, but not in isogenic cells expressing wild-type K-RAS. In addition to its anti-proliferative effects in mutant cells, BAY61-3606 exhibited a distinct biological property in wild-type cells in that it conferred sensitivity to inhibition of RAF. In this context, BAY61-3606 acted by inhibiting MAP4K2 (GCK), which normally activates NFκβ signaling in wild-type cells in response to inhibition of RAF. BAY 61-3606 inhibited not only degranulation (IC50 values between 5 and 46 nM) but also lipid mediator and cytokine synthesis in mast cells. BAY 61-3606 was highly efficacious in basophils obtained from healthy human subjects (IC50 = 10 nM) and seems to be at least as potent in basophils obtained from atopic (high serum IgE) subjects (IC50 = 8.1 nM). B cell receptor activation and receptors for Fc portion of IgG signaling in eosinophils and monocytes were also potently suppressed by BAY 61-3606.
In vivo	Oral administration of BAY 61-3606 to rats significantly suppressed antigen-induced passive cutaneous anaphylactic reaction, bronchoconstriction, and bronchial edema at 3 mg/kg. Furthermore, BAY 61-3606 attenuated antigen-induced airway inflammation in rats.

Solubility Information

Solubility	DMSO: 3.91 mg/mL (10.02 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5615 mL	12.8074 mL	25.6148 mL
5 mM	0.5123 mL	2.5615 mL	5.123 mL
10 mM	0.2561 mL	1.2807 mL	2.5615 mL
50 mM	0.0512 mL	0.2561 mL	0.5123 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. The orally available spleen tyrosine kinase inhibitor 2-[7-(3,4-dimethoxyphenyl)-imidazo[1,2-c]pyrimidin-5-ylamino]nicotinamide dihydrochloride (BAY 61-3606) blocks antigen-induced airway inflammation in rodents. J Pharmacol Exp Ther. 2003 Sep;306(3):1174-81

Lau KS, et al. BAY61-3606 affects the viability of colon cancer cells in a genotype-directed manner. PLoS One. 2012;7(7):e41343.

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