Product Data Sheet

Product Name: BAY 61-3606
Cat. No.: GC16389

Chemical Properties

Cas. No.	732983-37-8		
Chemical Name	2-[[7-(3,4-dimethoxyphenyl)imidazo[1,2-c]pyrimidin-5-yl]amino]pyridine-3-carboxamide		
SMILES	COC1=C(C=C(C=C1)C2=CC3=NC=CN3C(=N2)NC4=C(C=CC=N4)C(=O)N)OC.Cl		
Formula	$C_{20}H_{18}N_6O_3$	M.Wt	390.4
Solubility	DMSO: 30 mg/mL	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	BAY 61-3606		

Background

BAY 61-3606 is a selective and orally available inhibitor of spleen tyrosine kinase (syk) with IC50 value of 10nM [1].
The spleen tyrosine kinase plays important roles in various inflammation pathways through affecting both the FcεRI-mediated signaling in mast cells and basophils and the FcγR-mediated signaling in macrophages and neutrophils. Therefor syk is thought to be an attractive target for the treatment of related respiratory diseases such as asthma and allergy. As a potent and selective inhibitor of syk, BAY 61-3606 dose-dependently inhibited syk activity with IC50 value of 10 nM and competed against ATP with Ki value of 7.5 nM. It showed no significant effects on other tyrosine kinases including Src, Fyn, Lyn, Btk and Itk at concentration up to 4.7 μM. BAY 61-3606 inhibited the inflammation-related cell functions in various inflammatory cells. It also showed potent anti-allergic and anti-asthmatic activities in animal models [1].
In the ratbasophilic leukemia cell line, RBL-2H3 cells, treatment of BAY 61-3606 inhibited the FcεRI-mediated hexosaminidase release with IC50 value of 46 nM. In rat peritoneal mast cells, BAY 61-3606 inhibited FcεRI-mediated Serotonin release with IC50 value of 17

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Address: 10292 Central Ave. #205, Montclair, CA, USA

nM. In HCMC cells, BAY 61-3606 inhibited the release of tryptase and histamine with IC50 values of 5.5 and 5.1 nM, respectively. BAY 61-3606 also suppressed BCR-induced increases of intracellular calcium concentration with IC50 value of 81 nM. Besides that, BAY 61-3606 was reported to inhibit the FcγR-mediated superoxide production in U937 cells with IC50 value of 52 nM [1].

In rats with type-I allergic reactions, oral administration of BAY 61-3606inhibited the PCA reaction dose-dependently with ED50 value of 8 mg/kg. In an asthmatic model, administration of BAY 61-3606 at dose of 3 mg/kg significantly inhibited the increase of pulmonary pressure stimulated by DNP-BSA. In addition, administration of BAY 61-3606 at dose of 30 mg/kg resulted in the inhibition of eosinophil accumulation in BAL fluid [1].

References:

[1] Yamamoto N, Takeshita K, Shichijo M, Kokubo T, Sato M, Nakashima K, Ishimori M, Nagai H, Li YF, Yura T, Bacon KB. 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.

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