

BAY 61-3606

Catalog No: tcsc0235



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

732983-37-8

Formula:

$C_{20}H_{18}N_6O_3$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

Syk

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

390.4

Product Description

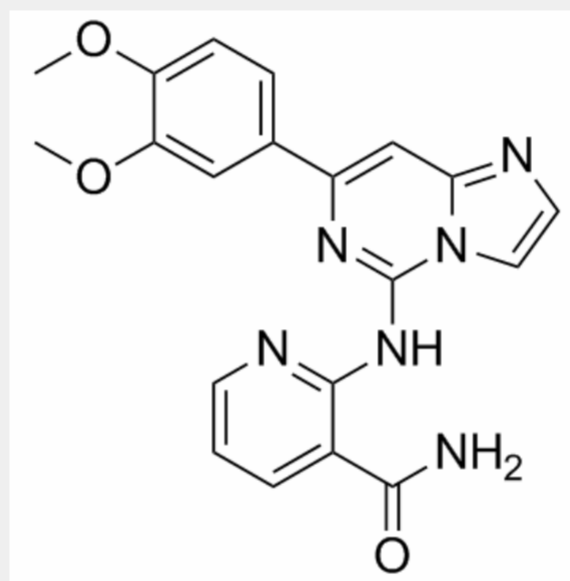
BAY 61-3606 is a potent, ATP-competitive, reversible, and highly selective inhibitor of Syk tyrosine kinase activity ($K_i = 7.5$ nM) with no inhibitory effect against Btk, Fyn, Itk, Lyn, and Src.

IC50 value: 7.5 nM (Ki) [1]

Target: Syk

in vitro: 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 [1]. We identified 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 [2].

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 [1].



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