

R406 (free base)

Catalog No: tcsc0436



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

841290-80-0

Formula:

$C_{22}H_{23}FN_6O_5$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

Syk

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 10 mg/mL (21.26 mM)

Observed Molecular Weight:

470.45

Product Description

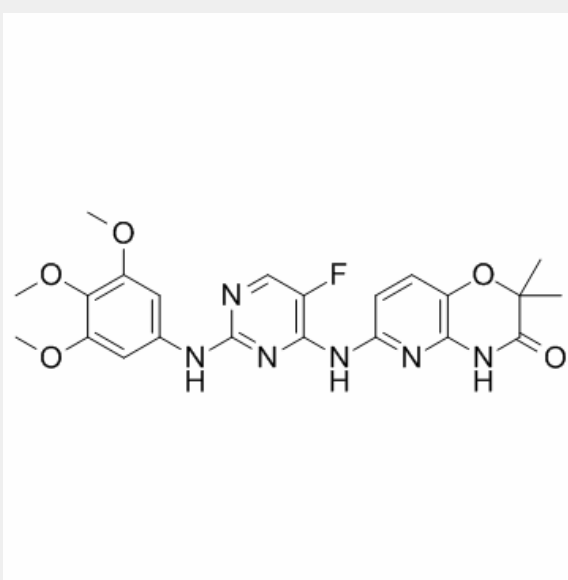
R406 is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3.

IC50 value: 41 nM [1]

Target: Syk

in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the anti-IgE-induced production and release of LTC₄ and cytokines and chemokines, including TNF α , IL-8, and GM-CSF. R406 inhibits phosphorylation of Syk substrate linker for activation of T cells in mast cells and B-cell linker protein/SLP65 in B cells. R406 binds to the ATP binding pocket of Syk and inhibits its kinase activity as an ATP-competitive inhibitor with K_i of 30 nM. R406 blocks Syk-dependent FcR-mediated activation of monocytes/macrophages and neutrophils and Bcr-mediated activation of B lymphocytes [1]. R406 significantly induces chronic lymphocytic leukemia (CLL) cell apoptosis in nurselike cells cocultures and blocks CCL3 and CCL4 secretion by CLL cells in response to B-cell antigen receptor (Bcr) triggering [2]. R406 is a potent inhibitor of platelet signaling and functions initiated by Fc γ RIIA cross-linking by specific antibodies or by sera from HIT patients [3].

in vivo: R406 reduces cutaneous reverse passive Arthus reaction by approximately 86% at 5 mg/kg in prophylactic treated mice. R406 also shows efficacy in inhibiting paw inflammation in antibody-induced arthritis mouse models [1]. R406 does not adversely affect macrophage or neutrophil function in innate immune responses and has minimal functional immunotoxicity notwithstanding its lymphocytopenic effect [4].



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