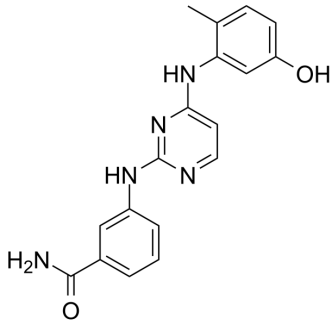
Lck inhibitor 2

Cat. No.:	HY-10644
CAS No.:	944795-06-6
Molecular Formula:	$C_{18}H_{17}N_5O_2$
Molecular Weight:	335.36
Target:	Src
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (59.64 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9819 mL	14.9094 mL	29.8187 mL
5 mM	0.5964 mL	2.9819 mL	5.9637 mL
10 mM	0.2982 mL	1.4909 mL	2.9819 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lck inhibitor 2 is a bis-anilinopyrimidine inhibitor of tyrosine kinases including LCK, BTK, LYN, SYK, and TXK. The IC50 values are 13nM, 9nM, 3nM, 26nM and 2nM for Lck, Btk, Lyn, Btk and Txk respectively IC50 Value: 13 nM(Lck) [1]Target: Src family kinaseLck inhibitor 2(Compound 9) inhibited 48 kinases with %control < 1 (33 of them tyrosine kinases, almost half of the 71 tyrosine kinases in the panel). A further 27 kinases were bound with %control < 10. Kd values for 16 kinases were determined and found to be below 100 nM. These included TXK (10 nM)[2].

REFERENCES

[1]. Bamborough, et al. Assessment of Chemical Coverage of Kinome Space and Its Implications for Kinase Drug Discovery. Journal of Medicinal Chemistry (2008), 51(24), 7898-7914.

[2]. Bamborough, Paul, et al. N-4-Pyrimidinyl-1H-indazol-4-amine inhibitors of Lck: Indazoles as phenol isosteres with improved pharmacokinetics. Bioorganic & Medicinal Chemistry Letters (2007), 17(15), 4363-4368.

[3]. Awale, Mahendra, et al. Molecular docking guided 3D-QSAR CoMFA analysis of N-4-Pyrimidinyl-1H-indazol-4-amine inhibitors of leukocyte-specific protein tyrosine kinase. Journal of Molecular Modeling (2008), 14(10), 937-947.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA