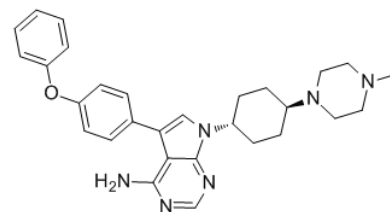


Product Data Sheet

Chemical Properties

Product Name: A 419259
Cas No.: 364042-47-7
M.Wt: 482.62
Formula: C₂₉H₃₄N₆O



Chemical Name: 7-((1r,4r)-4-(4-methylpiperazin-1-yl)cyclohexyl)-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-amine

Canonical SMILES: CN1CCN([C@@]2([H])CC[C@@](N3C=C(C(C3=NC=N4)=C4N)C5=CC=C(OC6=CC=CC=C6)C=C5)([H])CC2)CC1

Solubility: Soluble in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
 All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets : Tyrosine Kinase

Pathways: Src

Description:

A 419259 is an orally available inhibitor of lck with IC₅₀ value of 15nM [1].

Lck is a src family tyrosine kinase. Inhibition of this enzyme results in a prevention of T-cell activation and provides an application for treating T-cell dependent processes such as

autoimmune and inflammatory diseases. A 419259 is screened out by its potent inhibitory activity against the recombinant lck (64–509) with IC50 value of 15nM. It is also a selective inhibitor. It shows inactive against a panel of other kinases including cMet, IGFR, PKC and PDGFR. Besides that, A 419259 is found to inhibit the production of IL-2 with IC50 value of 25nM in Jurkat cells. Moreover, administration of A 419259 reduces IL-2 production in mice with ED50 value of 2.5 mg/kg. A 419259 also has efficacy of the antigen specific T-Cell immune response. Administration of this compound inhibits IFN- γ production during the in vivo priming phase [1]

Reference:

[1] Calderwood D J, Johnston D N, Munschauer R, et al. Pyrrolo [2, 3-d] pyrimidines containing diverse N-7 substituents as potent inhibitors of Lck. *Bioorganic & medicinal chemistry letters*, 2002, 12(12): 1683-1686.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com