

Product Data Sheet

Product Name: K03861
Cat. No.: GC14230

Chemical Properties

Cas. No. 853299-07-7

Chemical Name 1-(4-((2-aminopyrimidin-4-yl)oxy)phenyl)-3-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)urea

SMILES CN1CCN(CC2=C(C(F)(F)F)C=C(NC(NC3=CC=C(OC4=NC(N)=NC=C4)C=C3)=O)C=C2)CC1

Formula $C_{24}H_{26}F_3N_7O_2$

M.Wt 501.5

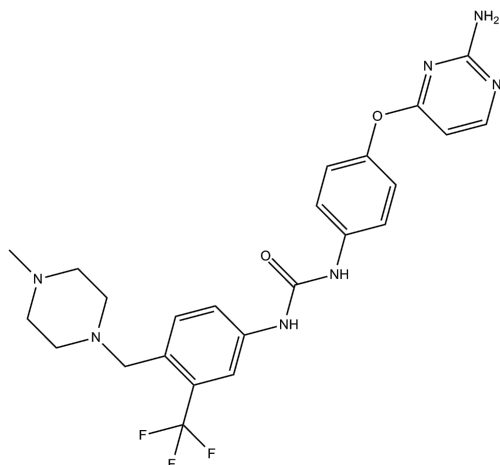
Solubility $\geq 50.2\text{mg/mL}$ in DMSO

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.

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

Structure



Background

K03861 is a type II inhibitor of CDK2. The cyclin-dependent kinase holoenzymes contain a catalytic subunit, the Cdk, a family of regulatory subunits, and the cyclins. Cdks are the catalytic subunits of the mammalian heterodimeric serine/threonine kinases progression, Cyclin-dependent kinases (CDKs) play important roles in the cell cycle regulation, transcription, and neuronal function. CDKs

Caution: Product has not been fully validated for medical applications. For research use only.

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are frequently deregulated in some human tumours. The inhibitors targeted CDK are thought to prevent cell proliferation regulating cyclin-CDK complexes. The central role of CDKs in cell cycle regulation makes them a promising target for studying inhibitory molecules that can modify the cell proliferation [1].

K03861 is an aminopyrimidine-phenyl urea inhibitor of CDK2. The type II inhibitor CDK2 cocrystal structure of CDK2 with the inhibitor K03861 revealed a canonical type II binding mode. The type II inhibitors could compete with the binding of cyclins. The residues important for the type II inhibitors may be distant to the ATP binding pockets. The crystal structure of this complex may provide a foundation for the cyclin-competitive CDK2 inhibitors [2].

References:

Malumbres M, Barbacid M. Mammalian cyclin-dependent kinases[J]. Trends in biochemical sciences, 2005, 30(11): 630-641.

Alexander L T, Mobitz H, Drueckes P, et al. Type II inhibitors targeting CDK2[J]. ACS chemical biology, 2015, 10(9): 2116-2125.

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