Product Data Sheet

Chemical Properties

Product Name: CC-930
Cas No.: 899805-25-5
M.Wt: 448.44
Formula: C21H23F3N6O2
Synonyms: Tanzisertib; CC930; CC 930
Chemical Name: 4-[[9-[(3S)-oxolan-3-yl]-8-(2,4,6-trifluoroanilino)purin-2-yl]amino]cyclohexan-1-ol
Canonical SMILES: C1CC(CCC1NC2=NC=C3C(=N2)N(C(=N3)NC4=C(C=C(C=C4F)F)F)C5CCOC5)O
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: JNK
Pathways: MAPK Signaling >> JNK
Description:
CC-930 is a potent and selective inhibitor of JNK1/JNK2/JNK3 with IC50 values of 61 nM, 7 nM and 6 nM respectively. [1]
JNKs (c-Jun N-terminal kinases) belong to kinases which were originally indentified. They bind to c-Jun then phosphorylate it on Ser-63 and Ser-73 which located in its transcriptional activation
domain. JNKs belong to MAPK family. They contain ten isforms encoded by three genes: JNK1, JNK2 and JNK3. They are 46 KD or 55 KD protein. They play an important role in stress stimuli, such as heat shock, cytokines, and osmotic shock. They are also responsive to the cellular apoptosis pathway and T cell differentiation. They are activated through a dual phosphorylation of Thr and Tyr residues within a Thr-Pro-Tyr motif which located in kinase subdomain. JNKs modify the activity of many proteins that act in the nucleus reside or at the mitochondria. JNKs activate the downstream molecules which include p53, c-Jun, ELK1, SMAD4 and HSF1. JNKs regulate several important cellular functions, such as cell growth, survival, differentiation and apoptosis.[2]

CC-930 kinetically competitive with ATP in the phosphorylation of the substrate c-Jun against all isoforms of JNK with Ki values of 44 ± 3 nM (JNK1), 6.2 ± 0.6 nM and IC50 value of 5 nm for JNK3. EGFR is the only non-MAP kinase which inhibited more than 50% at 3 M. It did not inhibit greater than 50% against 22 diverse non-kinase enzymes at 10 M.[1] CC-930 reduced the phosphorylation of c-Jun the stimulated by TGF β at 1 μM which is a clinically relevant concentration in fibroblasts[3] CC-930 also was showed the potent antifibrotic functions in the TSK1 model. CC-930 reduced hypodermal thickening with a dose-dependent manner by up to 85±4% in TSK1 mice.[3] CC-930 has no effect on kidney hypertrophy, blood pressure, podocyte loss, glomerular fibrosis in diabetic spontaneously hypertensive rats (SHR). However, CC-930 reduced the levels of ccl2 mRNA in diabetic kidneys[4].

Reference:

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. Short-term 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.