Product Data Sheet

Chemical Properties

Product Name: CCT137690
Cas No.: 1095382-05-0
M.Wt: 551.48
Formula: C26H31BrN8O

Chemical Name: 3-[[4-[[6-bromo-2-[[4-(4-methylpiperazin-1-yl)phenyl]-1H-imidazo[4,5-b]pyridin-7-yl]piperazin-1-yl]methyl]-5-methyl-1,2-oxazole

Canonical SMILES: CC1=CC(=NO1)CN2CCN(CC2)C3=C4C(=NC=C3Br)N=C(N4)C5=CC=C(C=C5)N6CCN(CC6)C

Solubility: >6.9mg/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.

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

Biological Activity

Targets : Chromatin/Epigenetics
Pathways: Aurora Kinase

Description:

CCT137690 is an orally bioavailable inhibitor of aurora kinases with IC50 values in a range from 15 to 25 nM [1].

Aurora kinase is a family of serine/threonine kinases including Aurora-A, B and C. They play important roles in the regulation of mitosis and take part in the causation and progression of various tumors including ovarian, breast, glioma and colon. Therefore aurora kinases have been regarded as anti-cancer targets in cancer chemotherapeutics. CCT137690 is a selective
small-molecular inhibitor of aurora kinases and showed anti-tumor activities both in vitro and in vivo. Besides that, CCT137690 exerted good stability in mouse liver microsomes [1]. When tested toward a panel of 94 kinases, CCT137690 inhibited 80% activities of VEG-FR, Aurora-A, and FGF-R1 at concentration of 1 μM. It suppressed the phosphorylation of histone H3 caused by Aurora-B. The IC50 values of CCT137690 against Aurora-B and C were 25 and 19 nM, respectively. CCT137690 showed potent anti-proliferation effects on various kinds of tumors such as A2780 ovarian tumor cell (IC50 value of 140 nM), SW620 (IC50 value of 300 nM) and SW48 colon carcinoma (IC50 value of 157 nM). It caused cell cycle perturbations. In addition, CCT137690 was found to have synergistic effects with radiotherapy. It increased the sensitivity of SW620 cells to radiation. The combination treatment resulted in much more cell death through apoptosis [1 and 2].

In mice model bearing SW620 xenografts, administration of CCT137690 slowed the growth of tumors without observed toxicity. The ratio of treat/control group based on tumor weight was 37% at the dose of 75 mg/kg. Besides that, CCT137690 was found to significantly reduced neuroblastoma tumor mass in MYCN transgenic mice, which meant CCT137690 could benefit patients with MYCN-amplified neuroblastoma [1 and 3].

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. 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.