Product Data Sheet

Chemical Properties

Product Name: MGCD-265
Cas No.: 875337-44-3
M.Wt: 517.6
Formula: C26H20FN5O2S2

Chemical Name: N-[[3-fluoro-4-[2-(1-methylimidazol-4-yl)]thieno[3,2-b]pyridin-7-yl]oxyphenyl]carbamothioyl]-2-phenylacetamide

Canonical SMILES: CN1C=C(N=C1)C2=CC3=NC=CC(=C3S2)OC4=C(C=C=C(C=4)NC(=S)NC(=O)CC5=CC=CC=C5)F

Solubility: ≥25.9 mg/mL in DMSO, <2.39 mg/mL in EtOH, <2.55 mg/mL in H2O

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: Tie-2

Description:

MGCD-265 is a multi-target and ATP-competitive inhibitor of c-Met and VEGFR1, 2, 3 with IC50 values of 1 nM, 3 nM, 3 nM and 4 nM, respectively. [1]

In Non-small cell lung cancer xenograft models including one that harbored the TKI resistant EGFR mutation T790M, MGCD265 combined with either paclitaxel, docetaxel or erlotinib. Each combination elicited greater tumor response than agent alone, and displayed antiangiogenic
properties with docetaxel [2]. MGCD265 has been studied in a variety of advanced solid tumors including NSCLC, as a monotherapy and in combination with either docetaxel or erlotinib. In a phase I study, MGCD265 was given orally from 24 mg/m² daily to 235 mg/m² twice daily uninterrupted to patients with advanced solid malignancy until disease progression [3]. In a phase I standard 3+3 dose escalation, MGCD265 (96 mg/m² once daily up to 162 mg/m² bid) was combined with erlotinib at 100 or 150 mg daily to determine safety, and 45 patients have been enrolled. In a separate phase I dose escalating trial, MGCD265 was combined with docetaxel (50 then 75 mg/m² iv once every 3 weeks) in advanced solid tumors (n=34), including 9 NSCLC patients. The MTD of the microionized formulation of MGCD265 is 72 mg/m² bid and docetaxel 75 mg/m² every 3 weeks but has not been reached with the new formulation. [4][5]

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.