Description: ACTB-1003 is an oral kinase inhibitor (IC50: 6/2/4 nM, for FGFR1/VEGFR2/Tie-2).

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

Solubility

DMSO ≥35 mg/mL
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Receptor (IC50)

FGFR1, VEGFR2, Tie-2

In vitro Activity

ACTB-1003 is shown to inhibit tumor angiogenesis evident by the inhibition of CD31 staining in tumor sections. ACTB-1003 is combinable with 5-FU or paclitaxel without diminishing the activity or increasing the toxicity of these chemotherapy agents in the HCT-116 colon tumor xenograft model.

In vivo Activity

ACTB-1003 is an oral kinase inhibitor with multiple modes of action, targeting cancer mutations via FGFR inhibition FGFR1 (IC50=6 nM), angiogenesis through inhibition of VEGFR2 (2 nM), Tie-2 (4 nM), and induces apoptosis likely by targeting RSK (5 nM) and p70S6K (32 nM). ACTB-1003 is highly active with dose-dependent tumor growth inhibition in cell lines with FGFR genetic alterations - OPM2 human multiple myeloma and the murine leukemia Ba/F3-TEL-FGFR1. OPM2 cells harbor the FGFR3 t(4:14) translocation, FGFR3 K650E mutation and PTEN deletion while the Ba/F3-TEL-FGFR1 cells are driven by FGFR1 over-expression.

FOR RESEARCH PURPOSES ONLY. NOT FOR DIAGNOSTIC OR THERAPEUTIC USE.

Information for product storage and handling is indicated on the product datasheet. Targetmol products are stable for long term under the recommended storage conditions. Our products may be shipped under different conditions as many of them are stable in the short-term at higher or even room temperatures. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, please follow the storage recommendations on the product data sheet.