Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ACTB-1003

Catalog No: tcsc3723

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
939805-30-8

Formula:
$C_{27}H_{26}F_5N_7O_3$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
VEGFR;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 35 mg/mL (59.17 mM)

Observed Molecular Weight:
591.53

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Product Description

ACTB-1003 is an oral kinase inhibitor with **IC_{50}**s of 6, 2 and 4 nM for **FGFR1**, **VEGFR2** and **Tie-2**.

IC50 & Target: IC50: 6 nM (FGFR1), 2 nM (VEGFR2), 4 nM (Tie-2)[1]

In Vitro: 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[1].

In Vivo: 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[1].