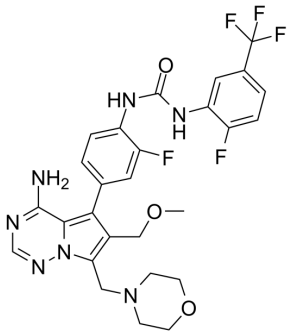
EOC317

Cat. No.:	HY-16025
CAS No.:	939805-30-8
Molecular Formula:	$C_{27}H_{26}F_5N_7O_3$
Molecular Weight:	591.53
Target:	FGFR; VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 35 mg/mL (59.17 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6905 mL	8.4527 mL	16.9053 mL
	5 mM	0.3381 mL	1.6905 mL	3.3811 mL
	10 mM	0.1691 mL	0.8453 mL	1.6905 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

EOC317 (ACTB-1003) is an oral kinase inhibitor with IC_{50}s of 6, 2 and 4 nM for FGFR1, VEGFR2 and Tie-2.

IC_{50} & Target

FGFR1	VEGFR2	Tie-2
6 nM (IC_{50})	2 nM (IC_{50})	4 nM (IC_{50})

In Vitro

EOC317 (ACTB-1003) is an oral kinase inhibitor with multiple modes of action, targeting cancer mutations via FGFR inhibition FGFR1 (IC_{50}=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). EOC317 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

EOC317 (ACTB-1003) is shown to inhibit tumor angiogenesis evident by the inhibition of CD31 staining in tumor sections. EOC317 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.

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REFERENCES

[1]. Patel K, et al. ACTB-1003: An oral kinase inhibitor targeting cancer mutations (FGFR), angiogenesis (VEGFR2, TEK), and induction of apoptosis (RSK and p70S6K). Journal of Clinical Oncology 28, no. 15 DOI: 10.1200/jco.2010.28.15_suppl.e13665

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