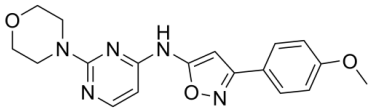
Data Sheet

Product Name:	BO-264
Cat. No.:	CS-0116466
CAS No.:	2408648-20-2
Molecular Formula:	$C_{18}H_{19}N_5O_3$
Molecular Weight:	353.38
Target:	Apoptosis; FGFR
Pathway:	Apoptosis; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 50 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

BO-264 is a highly potent and orally active **transforming acidic coiled-coil 3 (TACC3)** inhibitor with an **IC_{50}** of 188 nM and a **K_d** of 1.5 nM. BO-264 specifically blocks the function of **FGFR3-TACC3** fusion protein. BO-264 induces spindle assembly checkpoint (SAC)-dependent mitotic arrest, DNA damage and **apoptosis**. BO-264 has broad-spectrum antitumor activity[1]. IC50 & Target: IC50: 188 nM (Transforming acidic coiled-coil 3 (TACC3))[1]

Kd: 1.5 nM (TACC3)[1] ***In Vitro:*** BO-264 (500 nM; 48 hours; JIMT-1 cells) treatment induces a prominent increase (from 4.1% to 45.6%) in the fraction of apoptotic cells as assessed by Annexin V/PI staining[1].

BO-264 (500 nM; 24 hours; RT112 cells) treatment decreases ERK1/2 phosphorylation, which is a marker for activated FGFR signaling along with a strong mitotic arrest[1].

BO-264 inhibits cell viability with IC_{50} values of 190 nM, 160 nM, 120 nM, 130 nM and 360 nM for JIMT-1, HCC1954, MDA-MB-231, MDA-MB-436 and CAL51, respectively. BO-264 specifically targets breast cancer cells while sparing normal cells. BO-264 treatment significantly reduces the average colony number of JIMT-1 cells[1].

BO-264 inhibits the viability of cancer cells with FGFR3-TACC3 fusion with IC_{50} values of 0.3 μM and 3.66 μM for RT112 and RT4, respectively[1].

BO-264 exhibits a remarkable anti-cancer activity against more than 90% of the NCI267 60 human cancer cell lines representing nine different subpanels with GI_{50} values less than 1 μM[1].

BO-264 induces mitotic arrest (prominent induces p-Histone H3 (Ser10)), apoptosis (cleaved PARP) and DNA damage, causes aberrant spindle formation and reduces centrosomal localization of TACC3 in JIMT-1 cells[1]. ***In Vivo:*** BO-264 (25 mg/kg; oral administration; daily; for 3-4 weeks; female nude mice) treatment shows a significant suppression of tumor growth. BO-264 is well tolerated since treatment does not causes a significant body weight loss and organ toxicity[1].

References:

[1]. Akbulut O, et al. A Highly Potent TACC3 Inhibitor as a Novel Anti-cancer Drug Candidate. Mol Cancer Ther. 2020 Mar 26. pii: molcanther.0957.2019.

CAIndexNames:

4-Pyrimidinamine, N-[3-(4-methoxyphenyl)-5-isoxazolyl]-2-(4-morpholinyl)-

SMILES:

COC1=CC=C(C2=NOC(NC3=NC(N4CCOCC4)=NC=C3)=C2)C=C1

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite F, Monmouth Junction, NJ 08852, USA