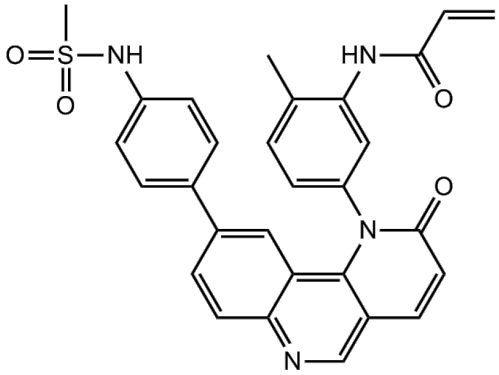
Product Data Sheet

Product Name: BMX-IN-1
Cat. No.: GC11063

Chemical Properties

Cas. No.	1431525-23-3		
Chemical Name	N-[5-[9-[4-(methanesulfonamido)phenyl]-2-oxobenzo[h][1,6]naphthyridin-1-yl]-2-methylphenyl]prop-2-enamide		
SMILES	CC1=C(C=C(C=C1)N2C(=O)C=CC3=CN=C4C=CC(=CC4=C32)C5=CC=C(C=C5)NS(=O)(=O)C)NC(=O)C=C		
Formula	$C_{29}H_{24}N_4O_4S$	M.Wt	524.59
Solubility	≥ 5.25 mg/mL in DMSO	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.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Kinase experiment [1]:

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Kinase assay	The serially diluted BMX-IN-1 was added to the BTK kinase. After 30 min incubation at RT, ATP (final concentration: 20μM) was added and incubated for 20 min at 37°C. The reactions were stopped by addition of 5X sample buffer. Then the phosphorylation of BTK was detected by western-blot using a pBTK Y551 antibody (BD).

Cell experiment [1]:

Cell lines	Ramos cell line
Preparation method	The solubility of this compound in DMSO is >5.3 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting condition	0.3, 1, 3 and 10 uM for 16/24/48 hours.
Applications	In Ramos cell line, BMX-IN-1 significantly inhibited cell cycle progression at G0/G1 in a dose-dependent manner at 24h and strongly induced apoptosis at a concentration of 1 μM at 8h, while with a longer drug exposure (24 hours), a concentration of 300 nM was sufficient to induce apoptosis.

References:

[1]. Hong Wu, et al. Discovery of a Potent, Covalent BTK Inhibitor for B-Cell Lymphoma. ACS Chem Biol. 2014 May 16; 9(5): 1086–1091.

Background

BMX-IN-1 is a highly selective and irreversible inhibitor of BMX kinase [1].
BMX, also known as ETK, is a member of Tec family and plays an important role in regulating

ischemia-mediated arteriogenesis and lymphangiogenesis. BMX is mainly expressed in arterial endothelia and in myeloid hematopoietic cells and it has been reported that BMX involves in tumor growth [2].

BMX-IN-1 is a potent BMX kinase inhibitor and has an irreversible function on BMX kinase. When tested with prostate cancer cell lines, BMX-IN-1 treatment inhibited the proliferation of Tel-BMX-transformed Ba/F3 cells at lowdose [1].

References:

[1].Liu, F., et al., Discovery of a selective irreversible BMX inhibitor for prostate cancer. ACS Chem Biol, 2013. 8(7): p. 1423-8.

[2].Holopainen, T., et al., Deletion of the endothelial Bmx tyrosine kinase decreases tumor angiogenesis and growth. Cancer Res, 2012. 72(14): p. 3512-21.

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

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