BMX-IN-1

Cat. No.: HY-80002

CAS No.: 1431525-23-3

Molecular Formula: $C_{29}H_{24}N_4O_4S$

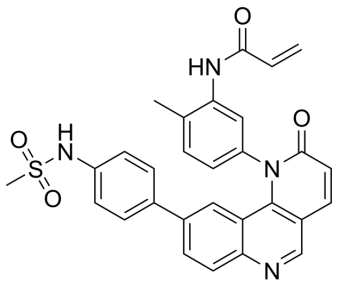
Molecular Weight: 524.59

Target: Btk; BMX Kinase

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

DMF : 10 mg/mL (19.06 mM; Need ultrasonic)

DMSO : 8.33 mg/mL (15.88 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9063 mL	9.5313 mL	19.0625 mL
5 mM	0.3813 mL	1.9063 mL	3.8125 mL
10 mM	0.1906 mL	0.9531 mL	1.9063 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (19.06 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

BMX-IN-1 is a selective, irreversible inhibitor of bone marrow tyrosine kinase on chromosome X (BMX) that targets Cys^{496} in the BMX ATP binding domain with an IC_{50} of 8 nM, also targets the related Bruton's tyrosine kinase (BTK) with an IC_{50} value of 10.4 nM, but is more than 47-656-fold less potent against Blk, JAK3, EGFR, Itk, or Tec activity.

IC_{50} & Target

IC50: 8 nM (BMX), 10.4 nM (BTK)

In Vitro

BMX-IN-1 inhibits the proliferation of Tel-BMX-transformed Ba/F3 cells and RV-1 cells with IC_{50}s of 25 nM and 2.53 μM. BMX-IN-1 exhibits remarkable selectivity with an S(10) score of 0.01. BMX-IN-1 inhibits only wild-type BMX with an IC_{50} of 138 nM. BMX-IN-1 requires covalent modification of Cys^{496} of BMX to achieve potent inhibition[1].

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

PROTOCOL

Cell Assay [1]

RV-1 cells in complete or serum-reduced DMEM are treated with DMSO, BMX-IN-1 (2.5 μM), MK2206 (200 nM), or the combination of BMX-IN-1 and MK2206 for 5 days before cells are harvested by trypsin and washed with cold PBS. The cells are then fixed in 70% cold ethanol (prechilled at −20°C) and incubated at 4°C overnight. On the day of flow cytometry, cells are collected by centrifugation, washed with PBS, and stained in 50 μg/mL propidium iodide + 0.5 mg/mL RNase in PBS + 0.5% Triton-X100 for 30 min at RT and moved to 4°C until the time of analysis. Flow cytometry is performed using a BD FACScan, and results are analyzed by ModFit software in the Flow Cytometry Core Facility in Dana-Faber Cancer Institute.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Lett. 2021 Sep 16;522:93-104.
- Cell Mol Bioeng. 2022 Apr 18;15(3):231-243.
- Oncotarget. 2017 Jul 25;8(30):49238-49252.
- Harvard Medical School LINCS LIBRARY
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Feiyang Liu , et al. Discovery of a Selective Irreversible BMX Inhibitor for Prostate Cancer. ACS Chem. Biol., DOI: 10.1021/cb4000629

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