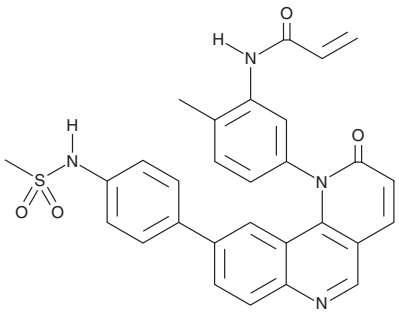
PRODUCT INFORMATION

BMX-IN-1

Item No. 19165



CAS Registry No.: 1431525-23-3
Formal Name: N-[2-methyl-5-[9-[4-[(methylsulfonyl)amino]phenyl]-2-oxobenzo[h]-1,6-naphthyridin-1(2H)-yl]phenyl]-2-propenamide
Synonym: BMX Inhibitor 1
MF: $C_{29}H_{24}N_4O_4S$
FW: 524.6
Purity: ≥95%
UV/Vis.: λ_{max}: 260, 298 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly

Laboratory Procedures

BMX-IN-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMX-IN-1 in the solvent of choice. BMX-IN-1 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of BMX-IN-1 in these solvents is approximately 30 and 10 mg/ml, respectively.

BMX-IN-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMX-IN-1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BMX-IN-1 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMX-IN-1 is a selective, irreversible inhibitor of bone marrow tyrosine kinase on chromosome X (BMX; IC_{50} = 8 nM) that targets Cys^{496} in the BMX ATP binding domain.[1] It additionally 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 for inhibition of Blk, JAK3, EGFR, Itk, or Tec activity. BMX-IN-1 was shown to inhibit the proliferation of Tel-BMX-transformed Ba/F3 prostate cancer cells with a GI_{50} value of 25 nM.[1] Antiproliferative activity was also observed in RV-1, DU145, PC-3, and VCAP prostate cancer cell lines (GI_{50}s = 2.54, 4.38, 5.37, and 2.46 µM, respectively).[1]

Reference

1. Liu, F., Zhang, X., Weisberg, E., *et al.* Discovery of a selective irreversible BMX inhibitor for prostate cancer. *ACS Chem. Biol.* **8(7)**, 1423-1428 (2013).

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