

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 XMU-MP-3

 Cat. No.
 :
 PC-73045

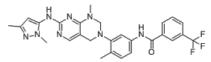
 CAS No.
 :
 2031152-08-4

 Molecular Formula
 :
 C₂₇H₂₇F₃N₈O

 Molecular Weight
 :
 536.563

Solubility: 10 mM in DMSO

: BTK



Biological Activity

Target

XMU-MP-3 is a potent, selective, noncovalent **BTK** inhibitor with IC50 of 10.7 nM and 17.0 nM for BTK WT and BTK C481S mutation.

XMU-MP-3 inhibited BTK-transformed Ba/F3 cell proliferation with an IC50 of 11.4 nM, with negligible anti-proliferative effects on parental wild-type Ba/F3 cells (IC50>10 uM).

XMU-MP-3 inhibited both the autophosphorylation and trans-phosphorylation of BTK at residues Y223 and Y551, in a dose-dependent manner in BTK-transformed Ba/F3 cells at concentrations as low as 100 nM and completely suppressed at 1,000 nM

XMU-MP-3 was considerably less potent (IC50, 2,815 nM) against proliferation of BTK(T474M)-transformed Ba/F3 cells. XMU-MP-3 inhibits the growth of malignant B-cells, inhibited phosphorylation of PLCγ2 at Y1217 and Y759, substantially suppresses tumour growth in mouse xenograft models.

XMU-MP-3 maintained inhibitory potency with an IC50 of 182.3 nM against BTK(C481S)-Ba/F3 cells and with an IC50 of 17.0 nM in biochemical assays, suppressed ibrutinib-resistant BTKC481S mutation in vivo.

References

Gui F, et al. *Br J Pharmacol.* 2019 Dec;176(23):4491-4509.

Wang H, et al. *Hematol Oncol.* 2021 Dec;39(5):605-615.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com