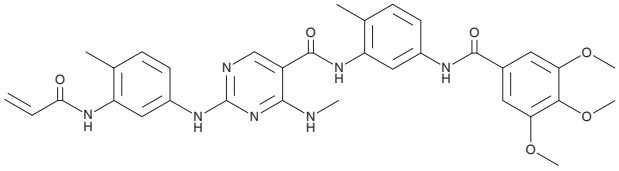
PRODUCT INFORMATION

CHMFL-BMX-078

Item No. 36828

CAS Registry No.:	1808288-51-8
Formal Name:	4-(methylamino)-2-[[4-methyl-3-[(1-oxo-2-propen-1-yl)amino]phenyl]amino]-N-[2-methyl-5-[(3,4,5-trimethoxybenzoyl)amino]phenyl]-5-pyrimidinecarboxamide
MF:	$C_{33}H_{35}N_7O_6$
FW:	625.7
Purity:	≥90%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CHMFL-BMX-078 is supplied as a solid. A stock solution may be made by dissolving the CHMFL-BMX-078 in the solvent of choice, which should be purged with an inert gas. CHMFL-BMX-078 is slightly soluble in DMSO.

Description

CHMFL-BMX-078 is an irreversible inhibitor of bone marrow tyrosine kinase on chromosome X (BMX; IC_{50} = 11 nM).[1] It selectively inhibits the growth of Ba/F3 cells expressing BMX over Ba/F3 cells expressing Abl, c-Kit, PDGFRα, or PDGFRβ (GI_{50}s = 0.016, 2.56, 2.01, 0.67, and 0.91 µM, respectively), as well as Ba/F3 cells expressing FLT3, EGFR, JAK3, or Blk (GI_{50}s = >10 µM for all). CHMFL-BMX-078 inhibits the growth of 22Rv1, DU145, and PC3 prostate, Hb-c, J82, and T24 bladder, and ACHN renal cancer cells (GI_{50}s = 3.45-7.89, 5.78-8.98, and 4.93 µM, respectively). It restores cytotoxicity induced by vemurafenib (PLX4032; Item No. 10618) in vemurafenib-resistant A375 melanoma cells.[2] CHMFL-BMX-078 (15 mg/kg) reduces tumor weight and enhances vemurafenib-induced reduction of tumor weight in a vemurafenib-resistant A375 mouse xenograft model.

References

1. Liang, X., Lv, F., Wang, B., *et al.* Discovery of 2-((3-Acrylamido-4-methylphenyl)amino)-N-(2-methyl-5-(3,4,5-trimethoxybenzamido)phenyl)-4-(methylamino)pyrimidine-5-carboxamide (CHMFL-BMX-078) as a highly potent and selective type II irreversible bone marrow kinase in the X chromosome (BMX) kinase inhibitor. *J. Med. Chem.* **60(5)**, 1793-1816 (2017).
2. Jiang, S., Jiang, T., Huang, H., *et al.* CHMFL-BMX-078, a BMX inhibitor, overcomes the resistance of melanoma to vemurafenib via inhibiting AKT pathway. *Chem. Biol. Interact.* **351**, 109747 (2022).

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