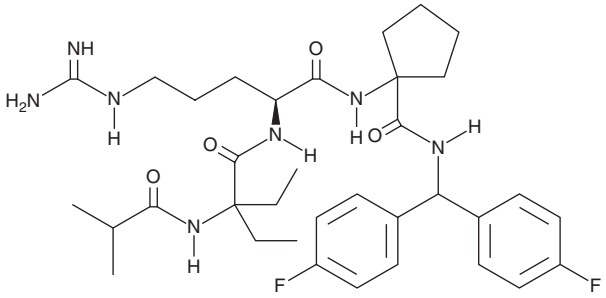
PRODUCT INFORMATION

MM-102

Item No. 17699

CAS Registry No.: 1417329-24-8
Formal Name: 1-[[(2S)-5-[(aminoiminomethyl) amino]-2-[[2-ethyl-2-[(2-methyl-1-oxopropyl)amino]-1-oxobutyl] amino]-1-oxopentyl]amino]-N-[bis(4-fluorophenyl)methyl]-cyclopentanecarboxamide
MF: $C_{35}H_{49}F_2N_7O_4$
FW: 669.8
Purity: ≥98%
Supplied as: A crystalline 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

MM-102 is supplied as a crystalline solid. A stock solution may be made by dissolving the MM-102 in the solvent of choice, which should be purged with an inert gas. MM-102 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MM-102 in these solvents is approximately 20, 25, and 30 mg/ml, respectively.

MM-102 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MM-102 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MM-102 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

WD-repeat protein 5 (WDR5) is a scaffold protein commonly involved in the formation of nucleosome-modifying protein complexes with histones.[1] It serves as a component of a mixed-lineage leukemia (MLL) methyltransferase complex that targets histone 3 at lysine 4 to upregulate transcription.[2] MM-102 is a potent WDR5/MLL interaction inhibitor (IC_{50} = 2.4 nM).[3] It has been shown to block MLL1 methyltransferase activity, reducing the expression of HoxA9 and Meis-1 genes, which are both critical MLL1 target genes in MLL1 fusion protein-mediated leukemogenesis.[3] MM-102 can also inhibit cell growth and induce apoptosis in leukemia cells harboring MLL1 fusion proteins.[3]

References

1. Migliori, V., Mapelli, M., and Guccione, E. On WD40 proteins: Propelling our knowledge of transcriptional control? Epigenetics **7(8)**, 815-822 (2012).
2. Guccione, E., Bassi, C., Casadio, F., *et al.* Methylation of histone H3R2 by PRMT6 and H3K4 by an MLL complex are mutually exclusive. *Nature* **449(7164)**, 933-937 (2007).
3. Karatas, H., Townsend, E.C., Cao, F., *et al.* High-affinity, small-molecule peptidomimetic inhibitors of MLL1/WDR5 protein-protein interaction. *J. Am. Chem. Soc.* **135(2)**, 669-682 (2013).

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