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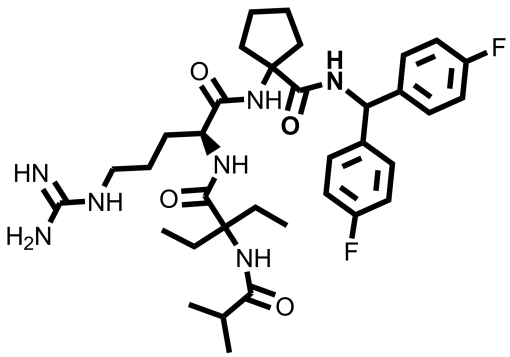
WDR5-MLL1 Antagonist – MM-102

Chemical Name: (S)-N-(bis(4-fluorophenyl)methyl)-1-(2-(2-ethyl-2-isobutyramidobutanamido)-5-guanidinopentanamido)cyclopentanecarboxamide



Molecular Weight:	669.80
Formula:	$C_{35}H_{49}F_2N_7O_4$
Purity:	≥98%
CAS#:	1417329-24-8
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 month -20 °C 1 year

Biological Activity:

MM-102 is a highly potent and selective inhibitor of the MLL1/WDR5 interaction with an IC_{50} ~2.9 nM binding affinity to WDR5. In the MLL1-AF9 transduced murine cells, MM-102 specifically reduces expression of two critical MLL1 target genes (HoxA9 and Meis-1), which are required for MLL1 mediated leukemogenesis. MM-102 also specifically inhibits cell growth and induces apoptosis in leukemia cells harboring MLL1 fusion proteins. MM-102 provides the first proof-of-concept small molecule inhibitor to target the WDR5/MLL1 protein-protein interaction as a novel therapeutic approach for acute leukemia harboring MLL1 fusion proteins.

How to Use:

In vitro: MM-102 was suggested to be used at 10-100 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Karatas H, et al. High-affinity, small-molecule peptidomimetic inhibitors of MLL1/WDR5 protein-protein interaction. (2013) J Am Chem Soc. 135(2):669-82.