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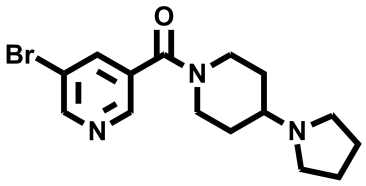
L3MBTL1 Domain Inhibitor – UNC669

Chemical Name: (5-bromopyridin-3-yl)(4-(pyrrolidin-1-yl)piperidin-1-yl)methanone



Molecular Weight:	338.24
Formula:	$C_{15}H_{20}BrN_3O$
Purity:	≥ 98%
CAS#:	1314241-44-5
Solubility:	DMSO up to 50 mM
Storage	Powder: 4ºC 1 year DMSO: 4ºC 3 month -20ºC 1 year

Biological Activity:

UNC669 is a potent and selective small molecule inhibitor for the methyl-lysine (Kme) reading function of L3MBTL1, a member of the malignant brain tumor (MBT) family of chromatin-interacting transcriptional repressors. UNC669 binds L3MBTL1 with a Kd of 5±1 μM (IC_{50} = 6 ±0.5 μM), exhibiting 5-fold greater affinity than the cognate peptide H4K20Me1, 6-fold selective over its close homologue L3MBTL3 and 10-fold selective over L3MBTL4. The first cocrystal structure of UNC669 bound to L3MBTL1 was determined and provides new insights into binding requirements for further ligand design. UNC669 can serve as a useful chemical tool to interrogate the functions of MBT proteins and probe methyl-lysine reader proteins as a target class.

How to Use:

In vitro: UNC1215 was used at 10-25 μM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. Herold JM, et al. Small-molecule ligands of methyl-lysine binding proteins. (2011) J Med Chem. 54(7):2504-11.