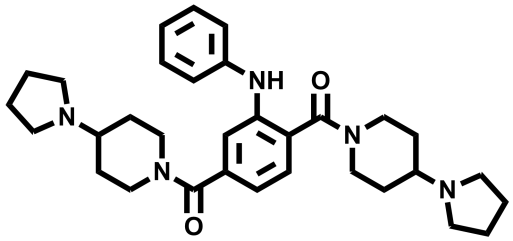
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

L3MBTL3 Domain Inhibitor – UNC1215

Chemical Name: (2-(phenylamino)-1,4-phenylene)bis((4-(pyrrolidin-1-yl)piperidin-1-yl)methanone)



Molecular Weight:	529.34
Formula:	$C_{32}H_{43}N_5O_2$
Purity:	≥ 98%
CAS#:	1415800-43-9
Solubility:	DMSO up to 50 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

UNC1215 is a highly potent and selective small molecule inhibitor for the methyl-lysine (Kme) reading function of L3MBTL3, a member of the malignant brain tumor (MBT) family of chromatin-interacting transcriptional repressors. UNC1215 binds to L3MBTL3 with a Kd of 120 nM (IC_{50} ~ 20 nM), competitively displacing mono- or dimethyl-lysine-containing peptides, and is greater than 50-fold more potent toward L3MBTL3 than other members of the MBT family. It also displays >100-fold selectivity over a panel of more than 200 histone methyltransferases, kinases, ion channels and 7-TM receptors. In cells, UNC1215 is nontoxic and directly binds to L3MBTL3 via the Kme-binding pocket of the MBT domains. It increases the cellular mobility of GFP-L3MBTL3 fusion proteins, and point mutants that disrupt the Kme-binding function of GFP-L3MBTL3 phenocopy the effects of UNC1215 on localization. UNC1215 was used to reveal a new Kme-dependent interaction of L3MBTL3 with BCLAF1, a protein implicated in DNA damage repair and apoptosis. UNC1215 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 1-5 µM final concentration in vitro and in cellular assays.

In vivo: n/a

Reference:

1. James Li, et al. Discovery of a chemical probe for the L3MBTL3 methyllysine reader domain. (2013) Nat Chem Biol. 9(3):184-91.