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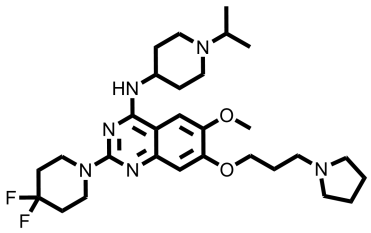
G9a/GLP HMTase Inhibitor - UNC0642

Chemical Name: 2-(4,4-difluoropiperidin-1-yl)-N-(1-isopropylpiperidin-4-yl)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazolin-4-amine



Molecular Weight:	546.70
Formula:	$C_{29}H_{44}F_2N_6O_2$
Purity:	≥98%
CAS#:	1481677-78-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

UNC0642 is a novel highly potent, selective and cell permeable inhibitor of the homologous protein lysine methyltransferases, G9a and GLP, with IC_{50} < 2.5 nM. It has selectivity > 1000-fold over 13 other HMTs and selected representatives of kinases, ion channels, 7TMs, and other epigenetic proteins. UNC0642 reduces H3K9 dimethylation levels in MDA-MB-231 cells (IC_{50} ~110 nM). It has improved PK properties relative to UNC0638 and displayed modest brain penetration in vivo.

How to Use:

In vitro: UNC0642 was used at 0.5 μM in vitro and cellular assays.

In vivo: UNC0642 was dosed to mice by intraperitoneal injection (IP) at 5 mg/kg once per day.

Reference:

1. Liu F, et al. Discovery of an in vivo chemical probe of the lysine methyltransferases G9a and GLP. (2013) J Med Chem. 56(21):8931-42.