

Catalog # 10-4870 UNC0379

CAS# 1620401-82-2 6,7-Dimethoxy-2-(pyrrolidin-1-yl)-N-(5-(pyrrolidin-1-yl)-pentyl)quinazolin-4-amine Lot # FBA5124

UNC0379 is an inhibitor of the lysine methyltransferase SETD8 with selectivity over 15 other methyltransferases. 1 IC $_{50}$'s = 7.3 μ M in a radioactive methyl transfer assay and 9.0 μ M in an MCE assay. UNC0379 treatment of SY5Y and NGP neuroblastoma cell lines lead to activation of p53 and decreased tumor growth in an ex-vivo tumorigenicity assay. 2

- 1) Ma et al. (2014), Discovery of a Selective, Substrate-Competitive Inhibitor of the Lysine Methyltransferase SETD8; J.Med.Chem. **57** 6822
- 2) Veschi et al. (2017), Epigenetic siRNA and Chemical Screens Identify SETD8 Inhibition as a Therapeutic Strategy for p53 Activation in High-Risk Neuroblastoma; Cancer Cell **31** 50

PHYSICAL DATA

 $\begin{tabular}{lll} Molecular Weight: & 413.56 \\ Molecular Formula: & $C_{23}H_{35}N_5O_2$ \\ Purity: & $>99\% \ (HPLC) \end{tabular}$

NMR: (Conforms)

Solubility: DMSO (>30 mg/mL)

Physical Description: Tan solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 1 month.

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