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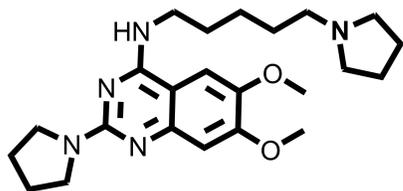
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Lysine Methyltransferase SETD8 Inhibitor – UNC0379

Chemical Name: 6,7-dimethoxy-2-(pyrrolidin-1-yl)-N-(5-(pyrrolidin-1-yl)pentyl)quinazolin-4-amine



Molecular Weight:	413.56
Formula:	C ₂₃ H ₃₅ N ₅ O ₂
Purity:	≥98%
CAS#:	1620401-82-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

UNC0379 is a novel selective, substrate-competitive inhibitor of the lysine methyltransferase SETD8 with an IC₅₀ ~7.3 μM. It is selective for SETD8 over 15 other methyltransferases. Its affinity to SETD8 was confirmed by ITC (isothermal titration calorimetry) and SPR (surface plasmon resonance) studies. The lysine methyltransferase SETD8 is the only known methyltransferase that catalyzes monomethylation of histone H4 lysine 20 (H4K20). UNC0379 could be a useful chemical probe to study SETD8's function.

How to Use:

In vitro: UNC0379 was used at 10-30 μM in vitro assays.

In vivo: n/a

Reference:

1. Ma A, et al. Discovery of a selective, substrate-competitive inhibitor of the lysine methyltransferase SETD8. (2014) J Med Chem. 57(15):6822-33.

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