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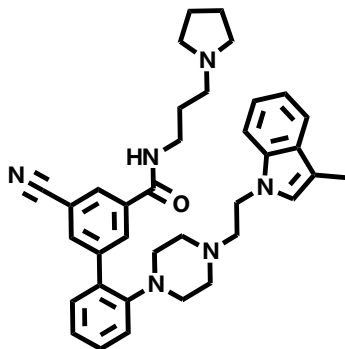
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Protein-lysine Methyltransferase SMYD2 Inhibitor – LLY-507

Chemical Name: 5-cyano-2'-(4-(2-(3-methyl-1H-indol-1-yl)ethyl)piperazin-1-yl)-N-(3-(pyrrolidin-1-yl)propyl)-[1,1'-biphenyl]-3-carboxamide



Molecular Weight:	574.77
Formula:	C ₃₆ H ₄₂ N ₆ O
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

LLY-507 is a potent, selective and cell permeable protein lysine methyltransferase SMYD2 inhibitor with IC₅₀ <15 nM. It binds in the substrate peptide-binding pocket. It has >100-fold selectivity over other methyltransferases and other non-epigenetic targets. LLY-507 has been shown to inhibit p53K370 monomethylation in cells with an IC₅₀ ~600 nM. It inhibited the proliferation of several esophageal, liver, and breast cancer cell lines in a dose-dependent manner. LLY-507 serves as a valuable chemical probe to aid in the dissection of SMYD2 function in cancer and other biological processes.

How to Use:

In vitro: LLY-507 was used at 10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Nguyen H, et al. LLY-507, a Cell-active, Potent, and Selective Inhibitor of Protein-lysine Methyltransferase SMYD2. (2015) J Biol Chem. 290(22):13641-53.

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