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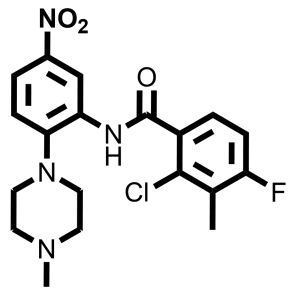
# WDR5-MLL (SET1) Antagonist – WDR5-C47

**Chemical Name:** 2-chloro-4-fluoro-3-methyl-N-(2-(4-methylpiperazin-1-yl)-5-nitrophenyl)benzamide

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|---|---|
| Molecular Weight: | 406.84 |
| Formula: | $C_{19}H_{20}ClFN_4O_3$ |
| Purity: | ≥98% |
| CAS#: | 1422389-91-0 |
| Solubility: | DMSO up to 100 mM |
| Storage | Powder: 4 °C 1 year<br>DMSO: 4 °C 3 months<br>-20 °C 1 year |

**Biological Activity:**

WDR5-C47 is a highly potent and selective antagonist of WDR5-MLL interaction with an $IC_{50}$ ~0.3 μM. It was designed based on the structure of the WDR5-MLL complex. The WD40-repeat protein WDR5 plays a critical role in maintaining the integrity of the MLL complex and fully activating its methyltransferase function. The MLL complex, the trithorax-like family of SET1 methyltransferase, catalyzes trimethylation of lysine 4 on histone 3, and they have been widely implicated in various cancers. WDR5-C47 could serve as a tool compound to study functions of SET1-family of human histone methyltransferases and further develop therapeutic drug molecules targeting the WDR5−MLL interaction.

**How to Use:**

**In vitro:** WDR5-C47 was suggested to be used at 10 μM final concentration in vitro and in cellular assays.

**In vivo:** n/a

**Reference:**

1. Bolshan Y, et al. Synthesis, Optimization, and Evaluation of Novel Small Molecules as Antagonists of WDR5-MLL Interaction. (2013) ACS Med. Chem. Lett., 4 (3), 353–357.

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