

## **Data Sheet**

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 Product Name
 :
 EM127

 Cat. No.
 :
 PC-49813

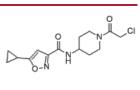
 CAS No.
 :
 1886879-71-5

 Molecular Formula
 :
 C<sub>14</sub>H<sub>18</sub>CIN<sub>3</sub>O<sub>3</sub>

Molecular Weight: 311.77

Target : Histone Methyltransferase (HMTase)

**Solubility** : 10 mM in DMSO



## **Biological Activity**

EM127 is a potent, site-specific covalent, second-generation inhibitor of SMYD3 methyltransferase.

EM127 shows a striking selectivity towards the target Cys186 residue.

EM127 is more potent than the reference inhibitor EPZ031686.

EM127 attenuated the proliferation of MDA-MB-231 breast cancer cell line at the same low micromolar range of concentrations that reduced SMYD3 mediated ERK signaling in HCT116 colorectal cancer and MDA-MB-231 breast cancer cells

EM127 (5  $\mu$ M) strongly decreased the steady-state mRNA levels of genes important for tumor biology such as cyclin dependent kinase 2, c-MET, N-cadherin and fibronectin 1, all known to be regulated, at least in part, by SMYD3.

## References

Marco Daniele Parenti, et al. Eur J Med Chem. 2022 Dec 5;243:114683.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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