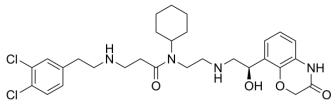
A-893

Cat. No.:	HY-19563
CAS No.:	1868232-32-9
Molecular Formula:	$C_{29}H_{38}Cl_2N_4O_4$
Molecular Weight:	577.54
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	A-893 is a cell-active inhibitor of Methyltransferase SMYD2, with an IC_{50} of 2.8 nM.
IC_{50} & Target	SMYD2
In Vitro	The ratio of p53K370me1 to overall p53 levels is reduced, as expected, by treatment with either A-893 or AZ505. While this unexpectedly depicts a more robust response with AZ505, further dissection of the data provides clarity into the origin of this. While overall p53 levels are unaffected by A-893, a surprising >3-fold increase is observed with AZ505. Analysis of p53K370me1 levels reveals that inhibitor A-893 exhibited 42% reduction in the methyl mark, while AZ-505 is slightly less effective at 28% reduction[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Human A549 lung carcinoma cells are chosen due to their high SMYD2 expression levels and wild-type p53 status. After 18 h of treatment with 10 μM of compound (e.g. A-893), changes in p53K370me1 are measured along with changes to overall p53 levels[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sweis RF, et al. Discovery of A-893, A New Cell-Active Benzoxazinone Inhibitor of Lysine Methyltransferase SMYD2. ACS Med Chem Lett. 2015 Apr 29;6(6):695-700.

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