SMYD3-IN-2

Cat. No.:	HY-152228
Molecular Formula:	$C_{26}H_{21}BrN_2O_4$
Molecular Weight:	505.36
Target:	Histone Methyltransferase; Autophagy
Pathway:	Epigenetics; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

SMYD3-IN-2 is a SMYD3 inhibitor against gastric cancer via inducing lethal autophagy. SMYD3-IN-2 has inhibitory for SMYD3 and BGC823 cells with IC_{50} values of 0.81 μM and 0.75 μM, respectively. SMYD3-IN-2 can be used for the research of cancer[1].

IC_{50} & Target

SMYD3
0.81 μM μM (IC_{50})

In Vitro

SMYD3-IN-2 (compound 7r) (1.0 μM) exhibits potent inhibitory capacity against SMYD3 and BGC823 cells with IC_{50} values of 0.81 μM and 0.75 μM, respectively[1].
SMYD3-IN-2 (1.0 μM; 24 h) can suppress Akt methylation and activation by SMYD3[1].
SMYD3-IN-2 (0-48 h) suppresses the proliferation of BGC823 stomach adenocarcinoma cells by inducing autophagic cell death[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BGC823 cells
Concentration:	1.0 μM
Incubation Time:	24 h
Result:	Suppressed the methylation levels of H3K4 and could significantly inhibit the lysine methylation of Akt1 by SMYD3.

In Vivo

SMYD3-IN-2 (compound 7r) (i.p.; 15 and 30 mg/kg) suppresses the growth of BGC823 xenograft models in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Xenograft Balb/c nude mice models[1]
Dosage:	15 and 30 mg/kg
Administration:	Intraperitoneally administration
Result:	Remarkably suppressed the tumor volume, tumor weight and growth curves of gastric

	cancer xenografts in a dose-dependent manner. Resulted in strong immunohistochemistry staining of LC3-II and p62, weak staining of p-Akt (T308) and Ki67.

REFERENCES

[1]. Hong-Ping Zhu, et al. Discovery of tetrahydrofuranyl spirooxindole-based SMYD3 inhibitors against gastric cancer via inducing lethal autophagy. Eur J Med Chem. 2023 Jan 15;246:115009.

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