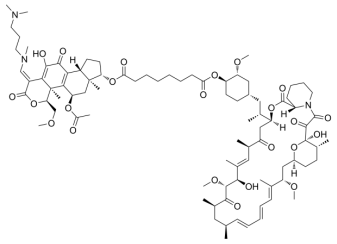
Wortmannin-Rapamycin Conjugate 1

Cat. No.:	HY-132003
CAS No.:	1067892-47-0
Molecular Formula:	$C_{88}H_{131}N_3O_{23}$
Molecular Weight:	1598.99
Target:	Akt
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Wortmannin-Rapamycin Conjugate 1 (compound 7c) is a furan ring-opened derivative of wortmannin-rapamycin conjugate with potent antitumor activities and a fine water solubility. Wortmannin-Rapamycin Conjugate 1 can inhibit the AKT phosphorylation in the tumor and can be used for cancer research[1].

In Vivo

Wortmannin-Rapamycin Conjugate 1 (3,5 mg/kg, i.v., weekly for 13 d) exerts significant antitumor activity on U87MG mouse xenogrgaft model[1].

Wortmannin-Rapamycin Conjugate 1 (15 mg/kg, i.v., 2 h) significantly inhibits the AKT phosphorylation in the tumor of U87MG mouse xenogrgaft model[1].

Wortmannin-Rapamycin Conjugate 1 (15 mg/kg, i.v., weekly for 20 d) completely inhibits the growth of HT29 colon tumor, a non-sensitive colon tumor model to rapamycin or wortmannin analogues in tumor-bearing mice[1].

Wortmannin-Rapamycin Conjugate 1 (30 mg/kg, i.v., weekly for 38 d) exerts a substantial regression of larger A498 tumors with 200 μg Bevacizumab (HY-P9906) in A498 tumor-bearing mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	HT29 bearing nude mice[1]
Dosage:	15 mg/kg, weekly for 20 d
Administration:	Intravenous injection (i.v.)
Result:	Showed no significant growth in tumor volume while an equivalent physical mixture of the Rapamycin and Wortmannin derivative was poorly tolerated.

REFERENCES

[1]. Ayral-Kaloustian S, et al. Hybrid inhibitors of phosphatidylinositol 3-kinase (PI3K) and the mammalian target of rapamycin (mTOR): design, synthesis, and superior antitumor activity of novel wortmannin-rapamycin conjugates. J Med Chem. 2010 Jan 14;53(1):452-9.

Caution: Product has not been fully validated for medical applications. For research use only.

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