

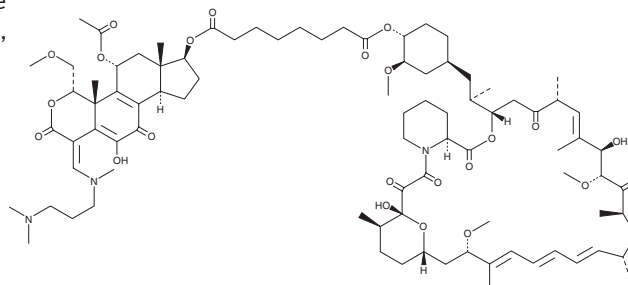
PRODUCT INFORMATION



Wortmannin-Rapamycin Conjugate

Item No. 13671

CAS Registry No.: 1067892-47-0
Formal Name: 1-(4S,4aR,5R,6aS,7S,9aR,E)-1-(((3-(dimethylamino)propyl)(methyl)amino)methylene)-7,11-dihydroxy-4-(methoxymethyl)-4a,6a-dimethyl-2,10-dioxo-1,2,4,4a,5,6,6a,7,8,9,9a,10-dodecahydroindeno[4,5-h]isochromen-5-yl acetate 8-(7E,15E,17E,19E)-9,10,12,13,14,21,22,23,24,25,26,27,32,33,34aS-hexadecahydro-9R,27-dihydroxy-3S-[(1R)-2-[(1S,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethyl]-10R,21S-dimethoxy-6R,8,12R,14S,20,26R-hexamethyl-23S,27R-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclohentacontine-1,5,11,28,29(4H,6H,31H)-pentone octanedioate



MF: C₈₈H₁₃₁N₃O₂₃
FW: 1,598.9
Purity: ≥98%
UV/Vis.: λ_{max}: 256, 267, 277, 289, 318, 411 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Wortmannin-rapamycin conjugate is supplied as a crystalline solid. A stock solution may be made by dissolving the wortmannin-rapamycin conjugate in the solvent of choice, which should be purged with an inert gas. Wortmannin-rapamycin conjugate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of wortmannin-rapamycin conjugate in these solvents is approximately 5, 20, and 30 mg/ml, respectively.

Description

Phosphoinositide 3-kinase (PI3K) and mammalian target of rapamycin (mTOR) act synergistically in promoting cancer. Wortmannin is a potent inhibitor of PI3K enzymes, while rapamycin blocks mTOR Complex 1 TORC1.^{1,2} Wortmannin-rapamycin conjugate consists of analogs of 17-hydroxy wortmannin and rapamycin conjugated *via* a prodrug linker.³ Hydrolysis of the prodrug linker *in vivo* releases the inhibitors. The wortmannin-rapamycin conjugate inhibits the growth of HT-29 colon tumors and A498 renal tumors in mice better than rapamycin alone.³ Also, the conjugate, when given in combination with the VEGF-blocker bevacizumab, produces substantial regression of larger A498 tumors. Finally, the wortmannin-rapamycin conjugate is tolerated better than an equivalent mixture of the inhibitors.³

References

1. Fruman, D.A., Meyers, R.E., and Cantley, L.C. *Annu. Rev. Biochem.* **67**, 481-507 (2008).
2. Carracedo, A. and Pandolfi, P.P. *Oncogene* **27(41)**, 5527-5541 (2008).
3. Ayral-Kaloustian, S., Gu, J., Lucas, J., *et al.* *J. Med. Chem.* **53(1)**, 452-459 (2010).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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