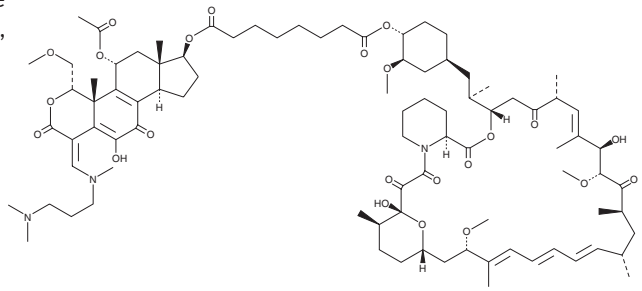
PRODUCT INFORMATION

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]oxaazacyclohentriacontine-1,5,11,28,29(4H,6H,31H)-pentone octanedioate
MF: $C_{88}H_{131}N_3O_{23}$
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).

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