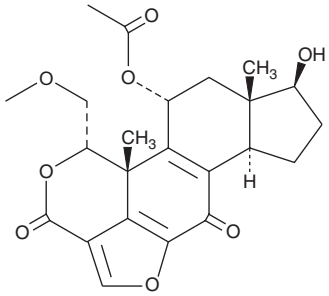
PRODUCT INFORMATION

17β-hydroxy Wortmannin

Item No. 13812

CAS Registry No.:	58053-83-1
Formal Name:	(1S,6bR,9S,9aS,11R,11bR)-11-(acetyloxy)-6b,7,8,9,9a,10,11,11b-octahydro-9-hydroxy-1-(methoxymethyl)-9a,11b-dimethyl-3H-furo[4,3,2-de]indeno[4,5-h]-2-benzopyran-3,6(1H)-dione
MF:	$C_{23}H_{26}O_8$
FW:	430.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 259, 292 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

17β-hydroxy Wortmannin is supplied as a crystalline solid. A stock solution may be made by dissolving the 17β-hydroxy wortmannin in the solvent of choice, which should be purged with an inert gas. 17β-hydroxy Wortmannin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of 17β-hydroxy wortmannin in these solvents is approximately 0.15, 2.5, and 3 mg/ml, respectively.

17β-hydroxy Wortmannin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 17β-hydroxy wortmannin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 17β-hydroxy Wortmannin has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

17β-hydroxy Wortmannin is an analog of wortmannin. It irreversibly binds phosphoinositide 3-kinase (PI3K) and potently blocks fMLP-stimulated respiratory burst in neutrophils (IC_{50} = 5 nM).[1] 17β-hydroxy Wortmannin inhibits recombinant PI3K and mTOR (IC_{50} = 2.7 and 193 nM, respectively) and prevents the growth of LNCap prostate cancer cells (IC_{50} = 1.46 µM).[2] The 17-hydroxyl group has been used to further modify this compound, *e.g.*, by pegylation and conjugation with rapamycin.[3,4]

References

1. Thelen, M., Wymann, M.P., and Langen, H. Wortmannin binds specifically to 1-phosphatidylinositol 3-kinase while inhibiting guanine nucleotide-binding protein-coupled receptor signaling in neutrophil leukocytes. *Proc. Natl. Acad. Sci. USA* **91(11)**, 4960-4964 (1994).
2. Zask, A., Kaplan, J., Toral-Barza, L., *et al.* Synthesis and structure-activity relationships of ring-opened 17-hydroxywortmannins: Potent phosphoinositide 3-kinase inhibitors with improved properties and anticancer efficacy. *J. Med. Chem.* **51(5)**, 1319-1323 (2008).
3. Zhu, T., Gu, J., Yu, K., *et al.* Pegylated wortmannin and 17-hydroxywortmannin conjugates as phosphoinositide 3-kinase inhibitors active in human tumor xenograft models. *J. Med. Chem.* **49(4)**, 1373-1378 (2006).
4. Ayral-Kaloustian, S., Gu, J., Lucas, J., *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.* **53(1)**, 452-459 (2010).

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