PRODUCT INFORMATION



17β-hydroxy Wortmannin

Item No. 13812

CAS Registry No.:	58053-83-1	
Formal Name:	(1S,6bR,9S,9aS,11R,11bR)-11-(acetyloxy)-	0
	6b,7,8,9,9a,10,11,11b-octahydro-9-hydroxy-1-	OH OH
	(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	Ļ CH3 ↓ /
FW:	430.4	0
Purity:	≥98%	
UV/Vis.:	λ _{max} : 259, 292 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	\ <u>`</u> o'
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 (IC₅₀ = 2.7 and 193 nM, respectively) and prevents the growth of LNCap prostate cancer cells (IC₅₀ = 1.46 μ M).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).

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

SAFFTY 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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