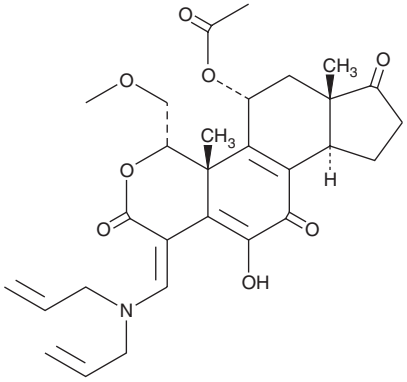
PRODUCT INFORMATION

PX 866

Item No. 13645

CAS Registry No.:	502632-66-8
Formal Name:	(1E,4S,4aR,5R,6aS,9aR)-5-(acetyloxy)-1-[(di-2-propen-1-ylamino)methylene]-4,4a,5,6,6a,8,9,9a-octahydro-11-hydroxy-4-(methoxymethyl)-4a,6a-dimethyl-cyclopenta[5,6]naphtho[1,2-c]pyran-2,7,10(1H)-trione
MF:	$C_{29}H_{35}NO_8$
FW:	525.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 249, 316, 409 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

PX 866 is supplied as a crystalline solid. A stock solution may be made by dissolving the PX 866 in the solvent of choice, which should be purged with an inert gas. PX 866 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PX 866 in ethanol and DMF is approximately 25 mg/ml and approximately 14 mg/ml in DMSO.

PX 866 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PX 866 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PX 866 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PX 866 is a ring-opened analog of wortmannin (Item No. 10010591) that potently and irreversibly inhibits PI3K (IC_{50} = 0.1-88 nM).[1,2] It less potently blocks the activity of mammalian target of rapamycin (mTOR, IC_{50} = 3.1 µM).[2] PX 866 exhibits single agent *in vivo* anti-tumor activity and increases the anti-tumor effects of cisplatin and radiation treatment.[1,3] In cancer cells grown in three-dimensional cultures, PX 866 reduces cell growth and motility without causing cytotoxicity.[4] Consistent with having cytostatic effects, PX 866 dosing is associated with prolonged stable disease in cancer patients.[5]

References

1. Ihle, N.T., Williams, R., Chow, S., *et al. Mol Cancer Ther.* **3(7)**, 763-772 (2004).
2. Zask, A., Kaplan, J., Toral-Barza, L., *et al. J. Med. Chem.* **51(5)**, 1319-1323 (2008).
3. Ihle, N.T., Paine-Murrieta, G., Berggren, M.I., *et al. Mol Cancer Ther.* **4(9)**, 1349-1357 (2005).
4. Howes, A.L., Chiang, G.G., Lang, E.S., *et al. Mol Cancer Ther.* **6(9)**, 2505-2514 (2007).
5. Hong, D.S., Bowles, D.W., Falchook, G.S., *et al. Clin. Cancer Res.* **18(15)**, 4173-4182 (2012).

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