# Product Data Sheet

Product Name: Apogossypolone (ApoG2)
Cat. No.: GC14080

## Chemical Properties

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| Cas. No. | 886578-07-0 | | |
| Chemical Name | 6,6',7,7'-tetrahydroxy-5,5'-diisopropyl-3,3'-dimethyl-[2,2'-binaphthalene]-1,1',4,4'-tetraone | | |
| SMILES | CC1=C(C2=C(C(=O)C(=O)C=C2C(=C1C3=C(C4=CC(=O)C(=O)C(=C4C(=C3C)O)C(C)C)O)O)C(C)C)O | | |
| Formula | $C_{28}H_{26}O_8$ | M.Wt | 490.501 |
| Solubility | ≥ 24.55 mg/mL in DMSO, ≥ 51.2 mg/mL in EtOH with ultrasonic | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | Apogossypolone (ApoG2) | | |

## Protocol

**Cell experiment[1]:**

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| Cell lines | human prostate cancer cell lines PC-3 and LNCaP |
| Preparation method | The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months. |
| Reacting condition | 24-96 h, 5-20 mg/L |
| Applications | Apogossypolone is a novel inhibitor of Bcl-2 family proteins. Apogossypolone inhibited PC-3 and LNCaP cell growth in a dose-dependent manner. Treating PC-3 and LNCaP cells with apogossypolone induced autophagy, for the appearance of membranous vacuoles in the cytoplasm and the formation of acidic vesicular organelles. Expression of autophagy-associated beclin-1 and LC3-II were also increased in both cell lines after apogossypolone treatment. |

**Animal experiment [2]:**


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| Animal models | Male 4-week-old Balb/c nu/nu mice |
| Dosage form | 2.5, 5, 10 mg/kg, intraperitoneal administration daily for 10 days. |
| Application | Apogossypolone can significantly inhibit the growth of subcutaneous prostatic carcinoma implant. Apogossypolone decreased the expression of PCNA and CD31, enhanced the expression of caspases-3, caspase-8 in tumor tissues, indicating an induction of apoptosis and inhibition of tumor proliferation and angiogenesis. |
| Other notes | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

References:

[1]. Zhang X Q, Huang X F, Hu X B, et al. Apogossypolone, a novel inhibitor of antiapoptotic Bcl-2 family proteins, induces autophagy of PC-3 and LNCaP prostate cancer cells in vitro[J]. Asian journal of andrology, 2010, 12(5): 697.

[2]. Xianqing Z, Xiaofeng H, Shijie M, et al. Inhibitory effect of a new gossypol derivative apogossypolone (ApoG2) on xenograft of human prostate cancer cell line PC-3[J]. Journal of Medical Colleges of PLA, 2009, 24(5): 274-282.

## Background

Apogossypolone is an inhibitor of Bcl-2, Mcl-1 and Bcl-XL with Ki values of 35nM, 25nM and 660nM, respectively [1].

The MTT-based cell cytotoxicity assay shows that apogossypolone has an anticancer activity with IC50 values of 1, 2 and 3μM, respectively in PC-3, DU-145 (human prostate cancer cell lines) and MDA-MB-231(human breast cancer cell line). Apogossypolone is also found to inhibit the colony formation of DU-145 cells. The mechanism is that apogossypolone binds to Bcl-2 and prevents its association with BH3-only pro-apoptotic


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proteins, leading the pro-apoptotic proteins to participate in the apoptotic response [2].

Besides prostate cancer and breast cancer, apogossypolone is also potent in follicular lymphoma. Apogossypolone significantly inhibits the cell growth via inducing apoptosis in WSU-FSCCL cell line with IC50 value of 109.2nM at 72h [3].

References:

[1] Zhang XQ, Huang XF, Hu XB, Zhan YH, An QX, Yang SM, Xia AJ, Yi J, Chen R, Mu SJ, Wu DC. Apogossypolone, a novel inhibitor of antiapoptotic Bcl-2 family proteins, induces autophagy of PC-3 and LNCaP prostate cancer cells in vitro. Asian J Androl. 2010 Sep;12(5):697-708.
[2] Zhan Y, Jia G, Wu D, Xu Y, Xu L. Design and synthesis of a gossypol derivative with improved antitumor activities. Arch Pharm (Weinheim). 2009 Apr;342(4):223-9.
[3] Arnold AA, Aboukameel A, Chen J, Yang D, Wang S, Al-Katib A, Mohammad RM. Preclinical studies of Apogossypolone: a new nonpeptidic pan small-molecule inhibitor of Bcl-2, Bcl-XL and Mcl-1 proteins in Follicular Small Cleaved Cell Lymphoma model. Mol Cancer. 2008 Feb 14;7:20.