

Product Data Sheet

Product Name: Pladienolide B

Cat. No.: GC18780

Chemical Properties

Cas. No. 445493-23-2

Chemical Name (4R,7R,8S,9E,11S,12S)-8-(acetyloxy)-4,7-dihydroxy-12-[(1E,3E,5S)-6-[(2R,3R)-3-[(1R,2S)-2-hydroxy-1-methylbutyl]-2-oxiranyl]-1,5-dimethyl-1,3-oxacyclododec-9-en-2-one

SMILES O[C@H]1CC(O[C@H](/C(C)=C/C=C/[C@@H](C)C[C@@H]2[C@]([C@H](C)[C@H](C)C)O)([H])O2)[C@@H](C)/C=C/[C@H](OC(C)=O)[C@](C)(O)CC1)=O

Formula C₃₀H₄₈O₈

M.Wt 536.7

Solubility DMF: Soluble, DMSO: Soluble, Ethanol: Soluble, Methanol: Soluble

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

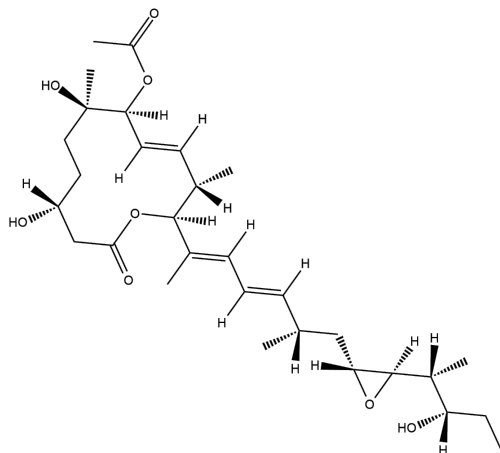
Caution: Product has not been fully validated for medical applications. For research use only.

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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Structure



Background

Spliceosomes mediate the processing of pre-mRNA into mature mRNA.[1],[2] Each spliceosome is a multiunit complex containing several proteins and RNA molecules that work in unison to repeatedly cleave and rejoin segments of mRNA.[1],[3] Pladienolide B is a macrocyclic lactone that selectively binds splicing factor 3b and inhibits mRNA splicing.[4],[5] Through this action, pladienolide B potentially blocks the growth of proliferating cells with mean IC₅₀ values of 1.6 nM for six gastric cancer cell lines.[6],[7] In xenograft tumors generated in mice using human cancer cells, pladienolide B blocks mRNA splicing and induces apoptosis, clearing tumors within two weeks after treatment.[7]

Reference:

- [1]. Naro, C., and Sette, C. Phosphorylation-mediated regulation of alternative splicing in cancer. *Int.J.Cell Biol.* 2013, 1-16 (2013).
- [2]. Webb, T.R., Joyner, A.S., and Potter, P.M. The development and application of small molecule modulators of SF3b as therapeutic agents for cancer. *Drug Discovery Today* 18(1-2), 43-49 (2013).

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- [4]. Yokoi, A., Kotake, Y., Takahashi, K., et al. Biological validation that SF3b is a target of the antitumor macrolide pladienolide. *FEBS Journal* 278(24), 4870-4880 (2011).
- [5]. Kotake, Y., Sagane, K., Owa, T., et al. Splicing factor SF3b as a target of the antitumor natural product pladienolide. *Nature Chemical Biology* 3, 570-575 (2007).
- [6]. Effenberger, K.A., Anderson, D.D., Bray, W.M., et al. Coherence between cellular responses and in vitro splicing inhibition for the anti-tumor drug pladienolide B and its analogs. *The Journal of Biological Chemistry* 289, 1938-1947 (2014).
- [7]. Sato, M., Muguruma, N., Nakagawa, T., et al. High antitumor activity of pladienolide B and its derivative in gastric cancer. *Cancer Science* 105(1), 110-116 (2014).

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