PRODUCT INFORMATION



Ingenol

Item No. 14031

CAS Registry No.: 30220-46-3

Formal Name: (1aR,2S,5R,5aR,6S,8aS,9R,10aR)-

> 1a,2,5,5a,6,9,10,10a-octahydro-5,5a,6trihydroxy-4-(hydroxymethyl)-1,1,7,9tetramethyl-1H-2,8a-methanocyclopenta[a]

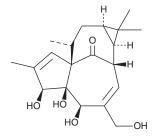
cyclopropa[e]cyclodecen-11-one

MF: $C_{20}H_{28}O_5$ FW: 348.4 **Purity:** ≥98%

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

Ingenol is supplied as a crystalline solid. A stock solution may be made by dissolving the ingenol in the solvent of choice, which should be purged with an inert gas. Ingenol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ingenol in ethanol and DMF is approximately 10 mg/ml and approximately 5 mg/ml in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ingenol can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ingenol in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Ingenol is a diterpenoid related to phorbol, derived from the milkweed plant E. peplus. 1 It is a protein kinase C activator that displays a K_i value of 30 μ M and an ED₅₀ value of 27 μ M in vitro.^{2,3} Most ingenol esters are tumor-promoting.⁴ However, ingenol mebutate possesses anti-tumor activity when used topically for actinic keratosis.5

References

- 1. Wender, P.A., Koehler, K.F., Sharkey, N.A., et al. Analysis of the phorbol ester pharmacophore on protein kinase C as a guide to the rational design of new classes of analogs. Proc. Natl. Acad. Sci. USA 83(12), 4214-4218 (1986).
- 2. Hasler, C.M., Acs, G., and Blumberg, P.M. Specific binding to protein kinase C by ingenol and its induction of biological responses. Cancer Res. 52(1), 202-208 (1992).
- Vogg, G., Mattes, E., Rothenburger, J., et al. Tumor promoting diterpenes from Euphorbia leuconeura L. Phytochemistry 51(2), 289-295 (1999).
- 4. Nelson, T.J. and Alkon, D.L. Neuroprotective versus tumorigenic protein kinase C activators. Trends Biochem. Sci. 34(3), 136-145 (2009).
- 5. Lebwohl, M., Swanson, N., Anderson, L.L., et al. Ingenol mebutate gel for actinic keratosis. N. Engl. J. Med. 366(11), 1010-1019 (2012).

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

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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