

Product Data Sheet

Product Name: Hypothemycin

Cat. No.: GC43885

Chemical Properties

Cas. No. 76958-67-3

Chemical Name (1aR,3S,4S,6Z,9S,15bR)-1a,8,9,15b-tetrahydro-3,4,12-trihydroxy-14-methoxy-9-methyl-3H-oxireno[k][2]benzoxacyclotetradecin-5,11(2H,4H)-dione

SMILES COC1=CC(O)=C(C(O[C@@H](C)C/C=C\C2=O)=O)C([C@@H]3[C@](O3)([H])C[C@H](O)[C@@H]2O)=C1

Formula C₁₉H₂₂O₈

M.Wt 378.4

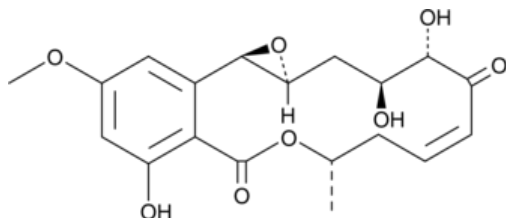
Solubility DMSO: 10 mg/ml

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.

Structure



Background

Hypothemycin is a resorcylic acid lactone polyketide originally isolated from *H. tricothecoides* that has diverse biological activities, including fungicidal, kinase inhibitory, and anticancer properties.[1], [2],[3],[4],[5],[6] It is active against the pathogenic fungi *P. litchii*, completely inhibiting spore germination when used at a concentration of 0.78 µg/ml.[2] Hypothemycin inhibits MEK (IC₅₀ = 15 nM) and other protein kinases containing a conserved cysteine residue in the ATP-binding domain, including ERK, PDGFR, VEGFR, PKD1, and MAPKAP5/MK5.[3],[4] It also inhibits transforming growth factor β-activated kinase 1 (TAK1) in vitro (IC₅₀ = 33 nM).[5] Hypothemycin inhibits proliferation of cancer cell lines dependent on activating mutations, including A549, MV-4-11, and EOL1 cells (IC₅₀s = 6, 0.006, and 0.0004 µM, respectively) and reduces tumor growth in Ma44 and

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

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

Product Data Sheet

HCT116 mouse xenograft models when administered at a dose of 25 mg/kg per day.[4],[6]

Reference:

1. Agatsuma, T., Takahashi, A., Kabuto, C., et al. Revised structure and stereochemistry of hypothemycin. Chem. Pharm. Bull. 41(2), 373-375 (1993).
2. Xu, L., Xue, J., Wu, P., et al. Antifungal activity of hypothemycin against Peronophythora litchii in vitro and in vivo. J. Agric. Food Chem. 61(42), 10091-10095 (2013).
3. Zhao, A., Lee, S.H., Mojena, M., et al. Resorcylic acid lactones: Naturally occurring potent and selective inhibitors of MEK. J. Antibiot. (Tokyo) 52(12), 1086-1094 (1999).
4. Schirmer, A., Kennedy, J., Murli, S., et al. Targeted covalent inactivation of protein kinases by resorcylic acid lactone polyketides. Proc. Nat. Acad. Sci. USA 103(11), 4234-4239 (2006).
5. Fakhouri, L., El-Elimat, T., Hurst, D.P., et al. Isolation, semisynthesis, covalent docking and transforming growth factor beta-activated kinase 1 (TAK1)-inhibitory activities of (5Z)-7-oxozeaenol analogues. Bioorg. Med. Chem. 23(21), 6993-6999 (2015).
6. Tanaka, H., Nishida, K., Sugita, K., et al. Antitumor efficacy of hypothemycin, a new Ras-signaling inhibitor. Jpn. J. Cancer Res. 90(10), 1139-1145 (1999).

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

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