

Auranofin

货号: A0355

存储条件: 避光室温保存; 液体 -20°C:3-6 月 -80°C:12 月

产品说明

Auranofin is a proteasomal deubiquitinase inhibitor with inhibition of the proteasome-associated deubiquitinases (DUBs) UCHL5 and USP14 rather than 20S proteasome, which is required for Auranofin-induced cytotoxicity. It selectively inhibits tumor growth in vivo and induces cytotoxicity in cancer cells from acute myeloid leukemia patients and by blocking NF- κ B activation, Auranofin can attenuate the cardiac hypertrophy.

Synonyms: SKF-39162;SKF 39162;SKF-D-39162

描述:

Deubiquitinases (DUBs) are proteases that cleave ubiquitin or ubiquitin-like proteins from ubiquitin pro-proteins or conjugates with target proteins and they are responsible for maintenance of protein homeostasis in cells. Increased proteasome activity has been reported in many different cancers, such as colon and prostate cancers and leukemia, than in non-cancer cells, suggesting that DUBs are becoming attractive targets of cancer therapy. Auranofin inhibits proteasome-associated DUBs UCHL5 and USP14 rather than the 20S proteasome which is required for Auranofin-induced cytotoxicity. Auranofin reduced the cell viability via caspase activation in a dose-dependent manner with the IC₅₀ values of 0.43 (24 h) and 0.17 μ M (48 h) in HepG2 cells and 1.5 (24 h) and 0.41 μ M (48 h) in MCF-7 cells, respectively. Rats subjected to left ventricular hypertrophy via abdominal aorta constriction (AAC) showed enhanced chamber diameters and left ventricular function and decreased ejection fraction and fractional shortening values after intraperitoneal injections of 3 mg/kg Auranofin daily for 8 weeks, compared with AAC rats. Also, Auranofin treatment decreased proteasome activity and inactivation of the NF- κ B signaling pathway in cardiac tissue. Auranofin also shows potent bactericidal activities against *M. tuberculosis*, *Bacillus subtilis*, *Enterococcus faecalis*, *Enterococcus faecium* and *Staphylococcus aureus*.

作用机制: Auranofin inhibits 26S proteasome-associated DUBs UCHL5 and USP14 by targeting 19S RP.

技术信息:

CAS: 34031-32-8

分子式: C₂₀H₃₆AuO₉PS

分子量: 680.50

溶解度: DMSO: 124.0 mg/mL (182.2 mM); Water: Insoluble.

纯度: 98%

