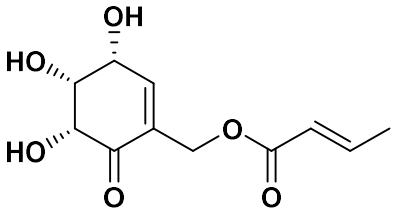
Institute of Microbial Chemistry
(BIKAKEN)

Join Hands with Microbe

PRODUCT DATA SHEET

Date: Feb. 10, 2021

COTC (Glyoxylase-I inhibitor)



Synonyms: 2-Crotonyloxymethyl-(4*R*,5*R*,6*R*)-4,5,6-trihydroxycyclohex-2-enone

Specifications

Code No.	: 14675
CAS#	: 57449-30-6
Molecular Formula	: $C_{11}H_{14}O_6$
Molecular Weight	: 242.227
Source	: *Streptomyces griseosporeus*. MD287-CF3
Appearance	: White powder
Purity	: >90% (HPLC)
Long Term Storage	: at -20 ° C
Solubility	: Soluble in MeOH, DMSO, H_2O Insoluble in Hexane

Application Notes

2-Crotonyloxymethyl-(4*R*,5*R*,6*R*)-4,5,6-trihydroxycyclohex-2-enone (COTC) is an inhibitor of glyoxylase I isolated from the culture filtrate of *Streptomyces griseosporeus* MD287-CF3.[1,2] It shows IC_{50} values against rat liver crude glyoxalase and yeast glyoxalase I at 1.8 mM and 1.4 mM, respectively, in a reaction mixture containing 1.59 mM of reduced glutathione and preincubated for 3 minutes before enzyme addition.[1,3] COTC shows a strong growth inhibition of HeLa cells and Ehrlich ascites carcinoma with low toxicity. (LD_{50} mice: 90 mg/kg i.v.)[1] COTC reacts with glutathione and other SH-compound to form corresponding thioethers.[2,4] COTC blocks enzymatic activity of alkaline phosphodiesterase derived from murine lymphoblastoma L5178Y cells (IC_{50}: 60 μg/ml).[5] COTC and aclarubicin exhibits synergistic activity on aclarubicin-resistant cells, but not on the parental cells.[5]

References

1) A glyoxylase I inhibitor of a new structural type produced by *Streptomyces*. Takeuchi T, *et al*. *J Antibiot*. 1975 **28**(10) 737-742
2) The structure of a glyoxylase I inhibitor and its chemical reactivity with SH-compounds. Chimura H, *et al*. *J Antibiot*. 1975 **28**(10) 743-748.
3) 2-Crotonyloxymethyl-(4*R*,5*R*,6*R*)-4,5,6-trihydroxycyclohex-2-enone. Matsuda A, *et al*. *Jpn. Kokai Tokkyo Koho* 1977, JP 52113946 A
4) Reaction of COTC with glutathione: Structure of putative glyoxalase I inhibitor. Huntley C F M, *et al*. *Org Lett*. 2000 **2**(20) 3143-3144.
5) Mechanism of action of 2-crotonyloxymethyl-4,5,6-trihydroxycyclohex-2-enone, a SH inhibitory antitumor antibiotic, and its effect on drug-resistant neoplastic cells. Sugimoto Y, *et al*. *J Antibiot*. 1982 **35**(9) 1222-1230.

Institute of Microbial Chemistry, 3-14-23 Kamiosaki, Shinagawa-ku Tokyo 141-0021
Tel: +81-3-3441-4173Fax: +81-3-3441-7589, office@bikaken.or.jp