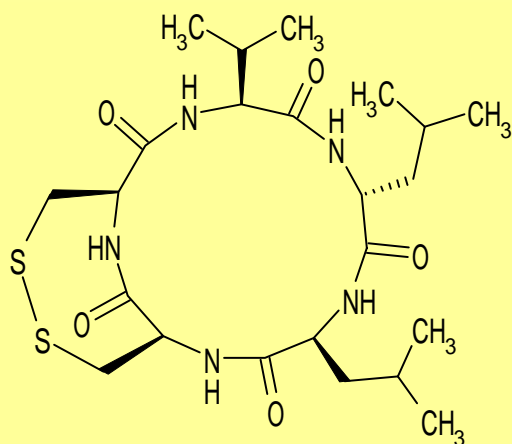


Structure



Origin: synthetic

CAS Registry Number: 59926-78-2

Synonym: (-)-Cyclo[D-Cys(1)-D-Cys(1)-L-Val-D-Leu-L-Leu-]

Appearance: white solid

Molecular Formula/Weight: C₂₃H₃₉N₅O₅S₂=529.72

Melting Point: >300 dec. Purity: 97% by HPLC

Solubility: Sol. DMSO, MeOH, EtOH, Chloroform
Inso. water

Background Information:

Malformin C, an inhibitor of Bleomycin-induced G2 arrest

Spontaneous and chemical damage to DNA induces signal transduction pathways called checkpoints, which delay cell cycle progression and repair of DNA¹. DNA damage in normal human cells can be repaired in both the G1 and G2 phases. In contrast, most cancer cells can restore DNA damage only in the G2 phase due to mutations in genes for the G1 checkpoint. Therefore, an inhibitor of the G2 checkpoint in cancer cells is expected to be a selective potentiator of DNA-damaging agents and thus useful for the treatment of cancer (Fig. 1).

Malformins A1 and C, isolated from the culture broth of *Aspergillus niger* FKI-2342, were found to abrogate the bleomycin-induced G2 arrest in Jurkat cells with IC₅₀ values of 480 nM and 0.9 nM, respectively². Malformin C is a bicyclic pentapeptide containing L-leucine, D-isoleucine, L-valine, and two D-cysteins with a disulfide-bond bridge. Consequently, malformin C may be a promising candidate as an anti-cancer agent. Although malformin C has also been known to induce root curvatures and malformation C shows antibacterial activity and enhance fibrinolytic activity in plants³⁻⁶. Furthermore, malformin C also shows anti-malarial activity and anti-trypanosomal activity. Total synthesis of malformin A1 has been succeeded⁷.

Handling and Storage:

Store at -20 .

References:

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3. R. W. Curtis, Root curvatures induced by culture filtrate of *Aspergillus niger*. *Science*, **128**, 661 (1958).
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7. Y. Kojima, T. Sunazuka, K. Nagai, K. Julfakyan, T. Fukuda, H. Tomoda & S. Ōmura, Total synthesis of malformin C, an inhibitor of bleomycin-induced G2 arrest. *J. Antibiot.*, **61**, 297-302 (2008).

Fig. 1

Difference of DNA repairing between normal cells and cancer cells

