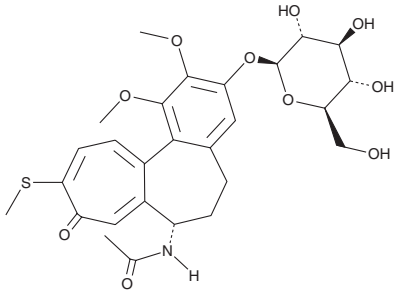
PRODUCT INFORMATION

Thiocolchicoside

Item No. 35821

CAS Registry No.:	602-41-5
Formal Name:	N-[(7S)-3-(β-D-glucopyranosyloxy)-5,6,7,9-tetrahydro-1,2-dimethoxy-10-(methylthio)-9-oxobenzo[a]heptalen-7-yl]-acetamide
Synonyms:	NSC 147755, R-271
MF:	$C_{27}H_{33}NO_{10}S$
FW:	563.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 257, 291, 382 nm
Supplied as:	A 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

Thiocolchicoside is supplied as a solid. A stock solution may be made by dissolving the thiocolchicoside in the solvent of choice, which should be purged with an inert gas. Thiocolchicoside is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of thiocolchicoside in these solvents is approximately 5 and 1 mg/ml, respectively.

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 thiocolchicoside can be prepared by directly dissolving the solid in aqueous buffers. The solubility of thiocolchicoside in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Thiocolchicoside is a $GABA_A$ receptor antagonist.[1] It inhibits $GABA_A$ receptor-mediated currents in Purkinje cells isolated from rat cerebellum (IC_{50} = 0.15 µM). It binds strychnine-sensitive glycine receptors in isolated rat spinal cord (IC_{50} = 1.58 µM).[2] Thiocolchicoside inhibits cell growth in a panel of cancer cell lines, including KBM5 leukemia and U266 myeloma cells, SCC4 squamous cell carcinoma cells, and HCT116 colon, MCF-7 breast, and A293 kidney cancer cells when used at concentrations ranging from 25 to 100 µM.[3] It inhibits the anti-apoptotic proteins Bcl-2, X-linked inhibitor of apoptosis (XIAP), myeloid cell leukemia-1 (Mcl-1), Bcl-xL, cIAP-1, and cIAP-2 in KBM5 cells in a concentration-dependent manner. It also inhibits TNF-α-induced NF-κB activation and IκBα degradation in KBM5 cells in a concentration-dependent manner. Formulations containing thiocolchicoside have been used as muscle relaxers in the treatment of rheumatoid arthritis, joint stiffness, and muscle stiffness.

References

1. Carta, M., Murru, L., Botta, P., *et al*. The muscle relaxant thiocolchicoside is an antagonist of $GABA_A$ receptor function in the central nervous system. *Neuropharmacology* **51(4)**, 805-815 (2006).
2. Cimino, M., Marini, P., and Cattabeni, F. Interaction of thiocolchicoside with [^{3}H]strychnine binding sites in rat x spinal cord and brainstem. *Eur. J. Pharmacol.* **318(1)**, 201-204 (1996).
3. Reuter, S., Prasad, S., Phromnoi, K., *et al*. Thiocolchicoside exhibits anticancer effects through downregulation of NF-κB pathway and its regulated gene products linked to inflammation and cancer. *Cancer Prev. Res. (Phila)* **3(11)**, 1462-1472 (2010).

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