Thiocolchicoside is a muscle relaxant.

(Thiocolchicoside), a muscle relaxant agent with anti-inflammatory and analgesic actions, also is used topically for the treatment of muscular spasms and for rheumatologic, orthopedic, and traumatologic disorders.
**Description**

Thiocolchicoside, a semi-synthetic derivative of the naturally occurring compound colchicoside with a relaxant effect on skeletal muscle, has been found to displace both \([3H]g\)amma-aminobutyric acid (\([3H]GABA\)) and \([3H]s\)trychnine binding, suggesting an interaction with both GABA and strychnine-sensitive glycine receptors. In order to gain further insight into the interaction of thiocolchicoside with these receptors, the binding of \([3H]th\)icolchicoside in rat spinal cord-brainstem and cortical synaptic membranes was characterized. \([3H]Thiocolchicoside binding was saturable in both tissues examined. In spinal cord-brainstem membranes, we found a \(K(D)\) of 254 +/- 47 nM and a \(B_{max}\) of 2.39 +/- 0.36 pmol/mg protein, whereas in cortical membranes, a \(K(D)\) of 176 nM and a \(B_{max}\) of 4.20 pmol/mg protein was observed. A similar \(K(D)\) value was found in kinetic experiments performed in spinal cord-brainstem membranes. Heterologous displacement experiments showed that GABA and strychnine displaced the binding in a dose-dependent manner, whereas glycine was ineffective.

\([3H]Thiocolchicoside binding was also displaced by several GABA(A) receptor agonists and antagonists, but not by baclofen, flunitrazepam, guvacine, picrotoxin or by other drugs unrelated to GABA transmission. In spinal cord-brainstem, and to a lower extent, in cortical membranes, GABA and its analogs were not able to completely displace \([3H]thiocolchicoside specific binding indicating that, besides GABA(A) receptors, thiocolchicoside can bind to another unidentified site.

Unlabelled thiocolchicoside, however, completely displaced \([3H]muscimol binding both in cortical and in
spinal cord-brainstem synaptic membranes with an IC50 in the low microM range. Neurosteroids were found to modulate the binding in cortical but not in spinal cord-brainstem synaptic membranes. We conclude that [3H]thiocolchicoside binding shows a pharmacological profile indicating an interaction with the GABA(A) receptor. The different affinities for the GABA(A) receptor agonists and antagonists and sensitivity to neurosteroids obtained in the cerebral cortex and in the spinal cord may indicate a preferential interaction of the compound with a subtype of the GABA(A) receptor. The data also indicate that [3H]thiocolchicoside binds to another site(s), whose nature remains to be elucidated.

**Mechanisms of action**
Central action: blocking of the synapses of the medullary interneurones and under cortical.No the effect on the junction myoneuronale nor on muscle fibre.Inhibit the reflexes polysynaptic, without touching with the arc reflexe elementary monosynaptic.Decrease the influence of the réticulée formation downward facilitatrice on the gamma activity, from where reduction in muscular hypertonicity.Would be a agonist Gabaergique:- Therapie 1981;36:95-102

**Pharmacology & Toxicology**
Thiocolchicoside, a semi-synthetic derivative of the naturally occurring compound colchicoside with a relaxant effect on skeletal muscle, has been found to displace both [3H]gamma-amino butyric acid ([3H]GABA) and [3H]strychnine binding, suggesting an interaction with both GABA and

**Side effects**
Side effect of skeletal muscle relaxants may include: sedation, drowsiness, blurred or double vision, constipation or diarrhea, dizziness and drowsiness, nervousness and confusion, dry mouth, dyspepsia (chronic or recurrent pain in the upper abdomen, upper abdominal fullness, and feeling full earlier than expected when eating), fatigue, headache, heartburn, hiccups and nausea, insomnia, stomach cramps, trembling, vomiting, and weakness; and possible dependence following long-term use.

Also known as Tiocolquicosido.
Thiocolchicoside

CAS Number: 602-41-5
Molecular Formula: C_{27}H_{33}NO_{10}S
Molecular Weight: 563.618 g/mol
Systematic (IUPAC): N-{{(7S)-3-(beta-D-glucopyranosyloxy)-1,2-dimethoxy-10-(methylsulfonyl)-9-oxo-5,6,7,9-tetrahydrobenzo[a]heptalen-7-yl}acetamide
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