

Atropine sulfate monohydrate

CAS: 5908-99-6

MF: $(C_{17}H_{23}NO_3)_2 \cdot H_2SO_4 \cdot H_2O$

MW: 694.83

Solubility in water, 2.2 mg/l at 25°C. Well soluble in ethanol; slightly soluble in chloroform; insoluble in ethyl ether.

Major uses

Atropine is a naturally occurring alkaloid derived from certain plants, especially *Atropa belladonna* and *Datura stramonium* [1]. It is used in ophthalmology, before eye examinations to open the pupil, as well as to relieve pain caused by swelling and inflammation of the eye.

Atropine is also commonly used as an emergency antidote in organophosphate poisoning (e.g. in anti-cholinesterase organophosphorus insecticides poisoning), as well as in mushroom poisoning. It reverses the muscarinic but not nicotinic side effects of these toxic agents [2].

Human toxicity

The signs of atropine excess include delirium, hallucinations, tachycardia, hypertension, hypotension, altered mental status, mydriasis, peripheral vasodilation, warm red skin, dry mouth, urinary retention, and diminished bowel signs. Any or all of these signs may occur with overdose or adverse reaction involving an anticholinergic poisoning. Effects may be delayed and cyclical. Fatal events include seizures, coma, and pulmonary edema [2].

Atropine doses greater than 50 mg can be fatal [1]. The minimum lethal dose (MLD) is 0.075 g/70 kg person [3].

Therapeutic blood concentrations are in the range of 0.035-0.2 mg/l [4]. Mean lethal blood (its serum or plasma) concentration, based on the data from several handbooks, was calculated to be 0.2 mg/l [5].

Kinetic data

Absorption in the gut is good. Atropine accumulates in kidney and liver [5].

Volume of distribution: 2.3-3.6 l/kg [1].

The plasma half-life: 4 h [6, 2].

Time to peak: > 2h [5].

Plasma protein binding for atropine is 18% [2].

Passage of blood-brain barrier is free [5].

Metabolism and excretion

Atropine is metabolized in the liver mainly to tropic acid, tropine (29%), and esters of tropic acid and glucuronide conjugates [7].

Thirty to 60% of atropine is excreted unchanged in the urine. Renal plasma clearance 660 ml/min, and it is dependent on urine flow. Elimination of atropine is of 1st order [7].

Metabolites more toxic than atropine: none.

Toxicological mechanism

Known: Antimuscarinic, anticholinergic action. Atropine is a competitive antagonist of muscarinic cholinergic receptors at cardiac and CNS receptor sites [5].

Target organs: CNS, PNS, heart [5].

References

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5. Ekwall, B., Clemedson, C., Crafoord, B., Ekwall, B., Hallander, S., Walum, E. & Bondesson, I. (1998) MEIC Evaluation of Acute Systemic Toxicity: Part V. Rodent and Human Toxicity Data for the 50 Reference Chemicals, *ATLA* 26, 571-616.
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