USE OF CHELATING AGENTS IN MEDICINE

Rajeev Singh

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What is chelation?

Chelation describes a particular way that ions and molecules bind metal ions.

According to IUPAC, the formation or presence of two or more separate coordinate bonds between a polydentate (multiple bonded) ligand and a single central atom. Usually these ligands are organic compounds, and are called chelants, chelators, chelating agents, or sequestering agents.
**Chelation therapy**

Administration of chelating agents to remove heavy metal ions from body

1. Injecting chelating agents (in liquid form) into the body...
2. That form bonds with specific toxic metals like As, Hg, Pb.
3. The toxic metals are then extracted from that tissue or organ of the body.
4. Both chelating agent and toxic metal are simply excreted from the kidneys.
Some chelating agents with their uses approved by orange book of F.D.A. (U.S. Food and Drug Administration)
<table>
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<tr>
<th>Chelator</th>
<th>Used in</th>
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| Dimercaprol (British anti-Lewisite; BAL)          | • acute arsenic poisoning  
• acute mercury poisoning  
• Lead poisoning (in addition to EDTA)  
• Lewisite poisoning (for which it was developed as an antidote) |
| Dimercaptosuccinic acid (DMSA)                    | • Lead poisoning  
• arsenic poisoning  
• mercury poisoning |
| Dimercapto-propane sulfonate (DMPS)               | • severe acute arsenic poisoning  
• severe acute mercury poisoning |
| Penicillamine                                     | • Mainly in: copper toxicity  
*Occasionally adjunctive therapy in:*  
• gold toxicity  
• arsenic poisoning  
• Lead poisoning  
• rheumatoid arthritis |
| Ethylenediamine tetraacetic acid (calcium disodium versante) (CaNa₂-EDTA) | • Lead poisoning |
| Deferoxamine and Deferasirox                      | • acute iron poisoning  
• Iron overload |
Ethylenediaminetetraacetic acid (EDTA) chelates a metal ion.
EDTA Chelation therapy is a treatment that involves repeated intravenous administration of a chemical solution of ethylenediaminetetraacetic acid.

- Injected intravenously and once in the bloodstream, EDTA traps lead and other metals, forming a compound that the body can get rid of in the urine. The process generally takes 1-3 hours.

- Is regarded by the body as a foreign substance, so the body eliminates the entire particle - the heavy particle coated with EDTA.

- Has been used extensively in mainstream medical settings to remove the toxic metal lead from the human body.
  - Acts as a powerful antioxidant to protect blood vessels from free radical damage.

- EDTA chelation therapy is approved by the U.S. Food and Drug Administration (FDA) as a treatment for lead and heavy metal poisoning. It is used to treat acute and chronic lead poisoning by pulling toxins (including heavy metals such as lead, cadmium, and mercury) from the bloodstream.
D-Penicillamine/ Cuprimine/ Depen
(2S)-2-amino-3-methyl-3-sulfanyl-butanoic acid
**BAL/ British anti-Lewisite (Dimercaprol)**

*Lewisite Gas: CH$_2$=CHAsCl$_2*

USES:

1. In poisoning due to Arsenic (10 days), gold (3 months), bismuth, antimony, thallium, mercury (until recovery); Pb, Hg

2. Oily solution of Dimercaprol instilled in to conjunctival sac in arsenic (vesicant) contamination of eye (within 5 min).

3. Wilson’s disease – allergic to penicillamine; increases excretion of copper in urine.

*Later on BAL was modified into DMSA*
DMSA/ DIMERCAPTOSUCCINIC ACID

*meso-2,3-dimercaptosuccinic acid (1995)*

Hg, Pb

It can cross the blood brain barrier and is used for extracting heavy metal ions from brain.
DMPS/ Dimercapto -propane sulfonate Therapy
2,3,-dimercaptopropane-1-sulfonate (1956)

Used in heavy metal poisoning of Po$_{210}$
ALA: Alpha Lipoic Acid

\[
\text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{COOH}
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