

Insecticides Profiling List & Review

Here's an overview of the major classes of insecticides used in agriculture, their active ingredients and uses, their human-health hazards (molecular targets, toxicity, and adverse outcomes), and a sketch of how they're made on an industrial scale. This is not something to memorize, study or to be later quizzed but a general overview regarding the chemical profiles that go into our body.

1. Organochlorines

Examples & Uses

- **DDT** (dichlorodiphenyltrichloroethane): once ubiquitous against malaria vectors and crop pests; largely banned today due to persistence ([Wikipedia](#)).
- **Lindane** (γ -hexachlorocyclohexane): used on tobacco, fruits, vegetables; now heavily restricted.

Mode of Action & Biochemistry

- Disrupt voltage-gated Na^+ channels in nerve membranes, causing repetitive firing and paralysis.
- Endocrine-disrupting effects: estrogenic and anti-androgenic activity at nanoscale binding sites on hormone receptors.

Human Health Risks

- **Neurotoxicity:** tremors, seizures.
- **Carcinogenicity:** DDT classified “probable human carcinogen” (IARC) with liver-tumor induction in animals.
- **Bioaccumulation:** lipophilic, accumulates in adipose; long half-life leads to chronic exposure.

Industrial Synthesis

- **DDT:** single-step Friedel–Crafts condensation of chloral (CCl_3CHO) with chlorobenzene in the presence of an acid catalyst (e.g. H_2SO_4).

2. Organophosphates (OPs)

Examples & Uses

- **Chlorpyrifos, Parathion, Malathion:** broad-spectrum; sprayed on cotton, orchards, cereal grains.

Mode of Action & Biochemistry

- **Acetylcholinesterase (AChE) inhibition:** OPs form a covalent bond with the serine hydroxyl at the AChE active site, preventing breakdown of acetylcholine and causing cholinergic overstimulation (salivation, muscle fasciculations, respiratory failure) ([ScienceDirect](#)).

Human Health Risks

- **Acute toxicity:** miosis, bronchospasm, bradycardia, seizures; LD₅₀ often < 50 mg/kg.
- **Chronic effects:** neurodevelopmental delays, cognitive deficits, Parkinson-like symptoms at low-level exposure.
- **Mechanistic effects:** oxidative stress, mitochondrial dysfunction, apoptosis via AChE-associated pathways.

Industrial Synthesis

- **General route:** alcoholysis of phosphorus oxychloride (POCl₃) with appropriate alcohol or phenol, often catalyzed by AlCl₃ or MgCl₂ ([Wikipedia](#)).
- **Chlorpyrifos:** TCPy (3,5,6-trichloro-2-pyridinol) + O,O-diethylphosphorochloridothioate in DMF under basic conditions ([ATSDR](#)).
- **Parathion:** diethyl dithiophosphoric acid → chlorination to diethylthiophosphoryl chloride → coupling with sodium 4-nitrophenolate ([Wikipedia](#)).

3. Carbamates

Examples & Uses

- **Carbaryl (Sevin), Methomyl:** control of foliage pests on fruits, vegetables, cotton; livestock ectoparasites.

Mode of Action & Biochemistry

- Reversible carbamylation of AChE: enzyme regenerates slowly (minutes), causing cholinergic crisis similar to OPs but typically shorter duration.

Human Health Risks

- **Acute:** nausea, headache, sweating, respiratory distress; LD₅₀ around 50–200 mg/kg.
- **Chronic:** potential endocrine disruption, but less bioaccumulative than OPs.

Industrial Synthesis

- **Carbaryl:** reaction of methyl isocyanate (MIC) with 1-naphthol (α -naphthol) in the presence of base or phosgene + methylamine routes; MIC route favored for yield despite safety concerns (Bhopal plant) ([ScienceDirect](#), [National Academies Press](#)).

4. Pyrethroids

Examples & Uses

- **Permethrin, Deltamethrin, Cypermethrin:** used on cotton, cereals, vegetables; household mosquito control.

Mode of Action & Biochemistry

- **Modulation of voltage-gated Na⁺ channels:** slows channel closure, leading to repetitive nerve firing and tremors (“knockdown”).
- At high doses, can also affect GABA-gated Cl⁻ channels causing hyperexcitability.

Human Health Risks

- **Low mammalian toxicity:** dermal paresthesia, mild respiratory irritation; LD₅₀ typically > 400 mg/kg.
- **Endocrine effects:** in animal studies, estrogenic disruption noted; classified possible carcinogens based on animal liver/lung tumor data.

Industrial Synthesis

- Final step: esterification or transesterification of chrysanthemic (DV) acid chloride/ester with a phenoxybenzyl alcohol (e.g. m-phenoxybenzyl alcohol) under basic catalysis (NaOEt, tetraisopropyl titanate) ([Wikipedia](#)).

5. Neonicotinoids

Examples & Uses

- **Imidacloprid, Clothianidin, Thiamethoxam**: systemic seed treatments on corn, soy, canola; control of sucking insects (aphids, whiteflies).

Mode of Action & Biochemistry

- **Agonists at insect nicotinic acetylcholine receptors (nAChRs)**: bind more strongly to insect versus mammalian subtypes, causing paralysis and death.
- Partial agonist/irreversible binding can lead to long-term disruption of cholinergic signaling.

Human Health Risks

- **Acute**: headache, nausea, dizziness; very low acute mammalian toxicity ($LD_{50} \sim 200\text{--}500$ mg/kg).
- **Chronic**: suspected neurodevelopmental and endocrine effects; EFSA and EPA reports link environmental levels to bee colony collapse and potential impacts on non-target species ([Wikipedia](#)).

Industrial Synthesis

- **Imidacloprid**: coupling of 2-nitroiminoimidazolidine with 2-chloro-5-chloromethylpyridine in DMF with NaH or alkali carbonate; multistep builds nitroguanidine scaffold.

6. Insect Growth Regulators & Biologicals

- **IGRs** (e.g., methoprene, diflubenzuron): mimic or inhibit insect hormones (juvenoid, chitin synthesis inhibitors).
- **Biological insecticides**: *Bacillus thuringiensis* toxins, spinosad (from *Saccharopolyspora*), neem extracts.
- **Toxicity**: generally very low for mammals; target insects-specific receptors or processes.

Toxicity Hierarchy & Comparative Risk

| Class | Human LD ₅₀ (oral, rat) | AChE inhibition | Persistence | Bioaccumulation |
|------------------|---------------------------------------|-----------------------------|------------------|-----------------|
| Organochlorines | 50–500 mg/kg | – | very high | high |
| Organophosphates | 10–200 mg/kg | yes | moderate | low–moderate |
| Carbamates | 50–200 mg/kg | yes (reversible) | low–moderate | low |
| Pyrethroids | 400–2000 mg/kg | indirect | low | low |
| Neonicotinoids | 200–500 mg/kg | nAChR agonist | low–moderate | low |

Why Manufacturing Matters for Health

- Many processes (e.g., OP esterification, MIC handling for carbamates) generate toxic intermediates (phosgene, methyl isocyanate) and byproducts that pose acute hazard to workers and nearby communities.
- Incomplete removal of catalysts or solvents (e.g., HCl, DMF) can contaminate technical grades, leading to higher impurity-related toxicity.
- Bulk production scale amplifies risk of accidental release (Bhopal, Montrose DDT) and generates persistent environmental contaminants that cycle back to human exposure.

Conclusion

Every major insecticide class targets insect nervous or endocrine systems but carries a spectrum of human risks—ranging from acute cholinergic crisis (OPs/carbamates) to long-term neurodevelopmental, endocrine, or carcinogenic effects (organochlorines, neonicotinoids). Their industrial syntheses often rely on highly reactive, hazardous intermediates, and the persistence or bioaccumulation of technical formulations compounds exposure dangers both for workers and the public.