# Ecdysteroids

Subjects: Biochemistry & Molecular Biology

Contributor: Rene LAFONT , Laurence Dinan

Ecdysteroid: member of a class of polyhydroxylated steroids found in invertebrate animals (zooecdysteroids; moulting hormones), plants (phytoecdysteroids) and fungi (mycoecdysteroids). Over 500 structural analogues are currently known. Biosynthetically, they derive from C27-, C28- or C29-sterols. The most frequently encountered analogue (in arthropods and plants) is 20-hydroxyecdysone (2β,3β,14α,20R,22R,25-hexahydroxycholest-7-en-6-one). In arthropods, ecdysteroids occur universally and regulate development by inducing moulting and reproduction, where their action is mediated by high-affinity binding to an intracellular member of the class of nuclear receptor (NR) proteins (ecdysteroid receptor; EcR) dimerised with a second NR (USP/RxR). This receptor complex binds to specific DNA promoter sites and regulates gene expression. In plants, ecdysteroids are a class of secondary compounds, occurring in varying amounts in certain species, but not all in others. Phytoecdysteroids are believed to contribute to the reduction of invertebrate predation by acting as feeding deterrents or endocrine disruptors. Ecdysteroids also possess a wide range of positive pharmacological effects in mammals, where the mode of action involves moderate-affinity binding to plasma-membrane-bound receptors and not interaction with the classical NRs for vertebrate steroid hormones.

antifeedant chemotaxonomy crop protection ecdysone 20-hydroxyecdysone moulting hormone nutritional supplement pharmaceutical

This Entry is dedicated to the memory of Prof. Dr. Jan Koolman (1943–2021), a passionate ‘ecdysonist’ and an excellent teacher.

Ecdysteroids are a family of invertebrate steroid hormones that are involved in the regulation of moulting, development and reproduction [1]. They differ significantly in their structure from vertebrate steroid hormones since they are characteristically polyhydroxylated, generally retain the full sterol carbon skeleton, possess a 14α-hydroxy-7-en-6-one chromophoric group located in the B-ring and possess an A/B-cis-ring junction. Thus, they markedly differ from vertebrate steroid hormones in their polarity, bulk and shape, and there is no convincing evidence that ecdysteroids interact with nuclear receptors for the vertebrate steroids in mammals. 20-hydroxyecdysone (20E; Figure 1) is the major biologically active form in insects, but other analogues act as biosynthetic intermediates (e.g., 2-deoxyecdysone), pro-hormones (ecdysone and/or 3-dehydroecdysone), metabolites (e.g., 20,26-dihydroxyecdysone) or storage forms (e.g., ecdysteroid phosphates). Other ecdysteroids may be hormonally active in other invertebrates (e.g., ponasterone A in crustaceans). In accord with their hormonal role, the concentrations of ecdysteroids found in arthropods and other invertebrates are generally rather low (nM to μM), with the storage forms being present in the highest amounts where they occur.

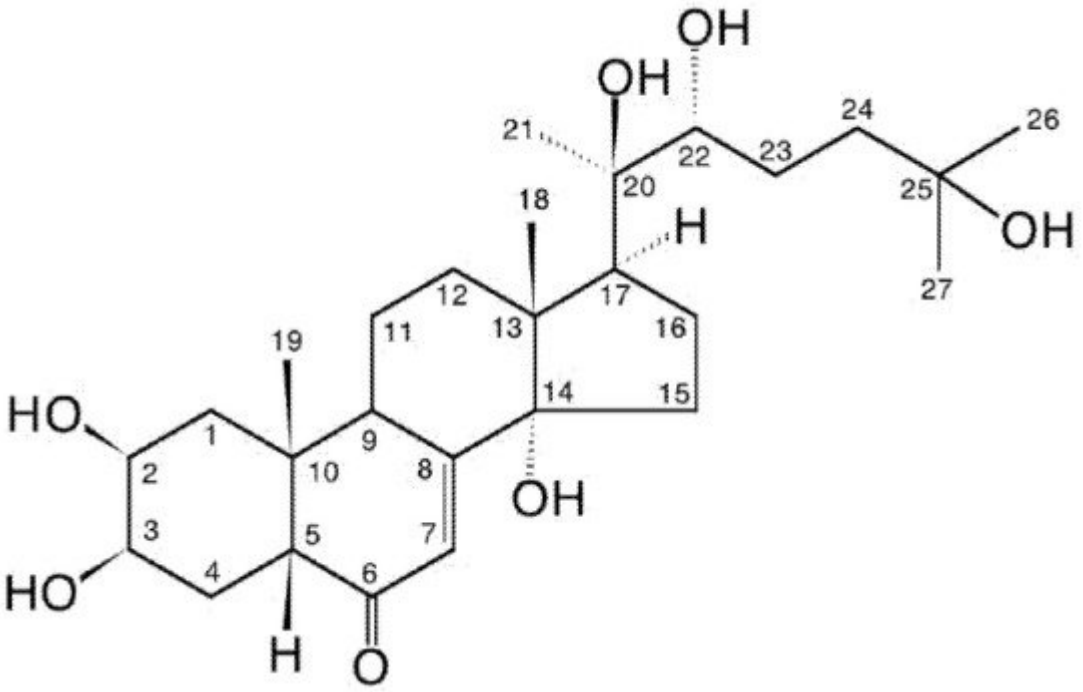


**Figure 1.** 20-hydroxyecdysone (20E; β-ecdysone; crustecdysone; ecdysterone; polypodine A; isoinokosterone; CAS 5289-74-7; IUPAC 2β,3β,14α,20R,22R,25-hexahydroxy-5β-cholest-7-en-6-one).

In addition to ecdysteroids occurring in invertebrates (zooecdysteroids), they are also present in certain plant species, such as phytoecdysteroids, where they are believed to contribute to the deterrence of invertebrate predators. They are present in detectable amounts in the seeds of 5–6% of investigated plant species and in leaves of an even greater proportion of species [2]. Concentrations vary from just detectable to high, depending on the species, the plant part and the stage of development, accounting for 1–2% of dry weight in high accumulators. Phytoecdysteroid profiles may vary from simple (the presence of one or two major components) through intermediate (a mixture of major and minor components) to complex (a cocktail of many analogues) [3]. 20E is the most frequently encountered phytoecdysteroid and very frequently is the major phytoecdysteroid present in the plant. Currently, 537 natural ecdysteroid analogues have been identified (Ecdybase [4]), most of which have been isolated only from plants, probably in large part because of the higher concentrations found in plant sources; some are found in invertebrates and plants, and a few have only, so far, been detected in invertebrates.

## References

1. Koolman, J. (Ed.) Ecdysone: From Chemistry of Mode of Action; Thieme Verlag: Stuttgart, Germany, 1989; 482p.
2. Dinan, L.; Savchenko, T.; Whiting, P. On the distribution of phytoecdysteroids in plants. Cell Mol. Life Sci. 2001, 58, 1121–1132.

3. Dinan, L.; Harmatha, J.; Volodin, V.; Lafont, R. Phytoecdysteroids: Diversity, biosynthesis and distribution. In Ecdysone: Structures and Functions; Smagghe, G., Ed.; Springer Science & Business Media B.V.: Berlin, Germany, 2009; pp. 3–45.
4. Lafont, R.; Harmatha, J.; Marion-Poll, F.; Dinan, L.; Wilson, I.D. The Ecdysone Handbook, 3rd ed.; Cybersales: Prague, Czech Republic, 2002; Available online: http://ecdybase.org/ (accessed on 1 April 2021).