Secale Cornutum,

Ergot of Rye

An ergot kernel called Sclerotium clavus develops when a floret of flowering grass or cereal is infected by an ascospore of C. purpurea.

Claviceps purpurea is an ergot fungus that grows on the ears of rye and related cereal and forage plants. Consumption of grains or seeds contaminated with the survival structure of this fungus, the ergot sclerotium, can cause ergotism in humans and other mammals.

- Much humidity and rain is required for this pathological structure, Ergot of rye. Europe, Russia and Polonia is suitable in terms of climate.

- The main producers are, Chech Republic, Hungary, Switzerland etc.. Controlled culture is appilied.

- We are not producing Ergot of Rye in Turkey.

- **Ergotism**, the consequence of the ingestion by humans of the cereals contaminated by the fungus, commonly occurred as one of two forms:
  - **Gangrenous form** ("**St. Anthony's fire**"); the disease began with a painful inflammation of the extremities, and resulted in numb, blackened, and dry extremities, sometimes ending with their spontaneous loss at a joint;
  - **Convulsive form**; main symptoms were mental agitation, delirium, and sensory perturbations.

The prevalence of ergotism **decreased** fastly as **agriculture improved**.

Ergotism epidemies took place in **the north and the east of Europe** until the 19th Century.

More recently (1977-78), nearly 50 deaths associated to the ingestion of contaminated cereals were documented in **Ethiopia**.

Fungus;

Claviceps purpurea
Claviceps microcephala
Claviceps nigricans
Claviceps paspali

Graminae plants;

-Secale cereale

-Triticum

-Avena

-Festuca

-Poa

-Lolium

-Molina

-Nardus

The genus *Claviceps* comprises about fifty species, and several of them are capable of infesting Poaceae, including cereals and non-cereals, and particularly the Paniceae.
Examples: *C. purpurea* on Secale cereale,
C. paspali on Paspalum spp., and
C. fusiformis on Pennisetum.
C. purpurea and *C. paspali* elaborate mostly ergopeptines and simple lysergic acid derivatives, respectively.
Most of the other *Claviceps* synthesize clavines.

Secale cornutum

- 1-4 cm length, 2-7 mm width,
- Softly curled, exterior surface is blackish brown or purple, interior part is white.
- Charactersitic odour, with an unpleasent taste.

Chemical composition;

Two main groups of alkaloids are distinguished;

1. Clavin type alkaloids (6,8-dimethylergolin derivatives)
2. Derivatives (amids) of Lysergic acid (pharmacologically active group) (6 pairs)

2nd Group alkaloids

1. Ergometrine group (soluble in water)

-ergometrine (ergonovine, ergobasine)/ergometrinine

2. Ergotamine group

-ergotamine/ergotaminine

-ergosine/ergosinine

3. Ergotoxine grubu

-ergocriptine/ergocriptinine

-ergocornine/ergocorninine

-ergocristin/ergocristinine

- The other 5 pairs are insoluble in water.
- When heated with KOH; ergine (lysergic acid amide) + 2 a.a. + 1 ketonic acid
- Proline + Phenylalanine/leusine/valine (aa)
- Pyruvic acid/dimethylpyruvic acid(ketoacid)

The characteristic structural element of the ergopeptines is the cyclol formed by the reaction of the hydroxyl group on the α-carbon of an amino acid (that which, by hydrolysis of the peptide, leads to the α-ketoacid), and the carboxyl group of proline.

The main alkaloids in this group are ergotamine and "ergotoxine", a mixture of ergocornine, ergocryptine (α + β), and ergocristine.

The other alkaloids are not abundant and are of no/little therapeutic interest.

Qualitative and Quantitative Analysis:

- The alkaloids can be detected by color reactions like Keller R.
- The ergot alkaloids react with 4-dimethylaminobenzaldehyde under acidic conditions to give a blue color (Van Urk reaction).
- This color reaction can be used for quantitation (Pharmacopoeia).
- The analysis of complex alkaloid mixtures (total alkaloids, fermentation media, pharmaceutical formulations) can be performed efficiently by HPLC (UV detection).
- Contraction on isolated animal uterus should be tested.

- Ergot alkaloids cause construction in smooth cells. Construct uterin. Vasodilatation occurs. Symphatolytic activity. Contraverse the activity of adrenaline, and dilatates the veins.
- The effect on uterin is due to the double bond between the 9C-10 C atoms. If this bond saturated only symphatolytic effect stands.

Ergonovine

- This alkaloid is a **potent oxytocic**: it increases basal tone, and the frequency and strength of uterine contractions; the more advanced the pregnancy, the stronger the effect is.
- This activity is thought to be linked to the stimulation of the **α-adrenergic receptors** in the myometrium (uterus muscle).
- **Uterine hypertonicity** is at the origin of the antihemorrhagic effects of ergonovine. In practice, methylergonovine is the preferred medication.

Ergotamine

At low doses, **ergotamine** is a potent **vasoconstrictor** acting by stimulation of the α-adrenergic receptors (or of the serotonergic receptors in the case of the **cranial blood vessels**). In addition, **ergotamine** is an **oxytocic**.

Ergotamine and semisynthetic derivatives are used for migraine therapy.

Usage:

- Generally, drug is used for obtaining ergot alkaloids. The isolating methods are under protection via patents.

- The other group; Clavin alkaloids are not used for medicinal purposes anymore.

LSD is a semisynthetic derivative, of no use in therapeutics, and it is a potent **psychedelic**: it is thought to act by interfering with normal **serotonergic transmission.**

Its psychic effects are very marked, and manifest themselves by perceptual changes (shapes, colors, sounds), subjective time alterations, a disintegration of the self, **an increase in suggestibility, the resurgence of forgotten memories**, and more.

Physiologically, **mydriasis, tachycardia,** and **tremors** are observed, as well as a **desynchronization of the electroencephalogram**. The environment and the state of mind of the subject (previous experiences, expectations) are determining factors in the onset of undesirable effects: propensity to panic, anxiety, fear of death and insanity, changes in personality, persistence of a psychotic syndrome, and spontaneous recurrence, sometimes for long periods of time, of the psychedelic experience in the absence of further ingestion of the product.

LSD induces tolerance, but no physical dependence (no withdrawal symptoms)