

E47

P R O D U C T I N F O R M A T I O N

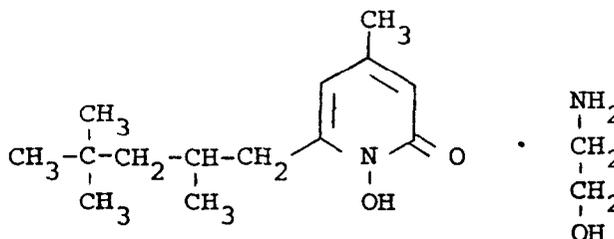
Antidandruff Agent

Octopirox (Product H 72 6146 A)

1976

Octopirox (Product H 72 6146 A) is the Monoethanolamine salt of 1-Hydroxi-4-methyl-6-(2.4.4-trimethylpentyl)-2(1H)-pyridone

Structural formula:



Molecular weight: 298,4

Melting point: not sharp due to thermal decomposition

Solubility: Octopirox is a colorless compound with only a slight solubility in water. The solubility increases considerably in the presence of surfactants, e. g. in a shampoo composition. In lower alcohols such as ethanol, isopropanol, 1.2-propylenglycol, and in mixtures of alcohols with water Octopirox shows a good solubility. With Fe^{3+} - ions Octopirox forms a red complex compound.

Anti-dandruff Effectiveness

Octopirox has a good effectiveness against dandruff. In comparison with the zinc and zirconium complexes of the 1-hydroxi-2-pyridine thione and the adduct of magnesium-sulfate with 2,2'-dithio-pyridine-1,1-dioxide Octopirox shows in the same composition (e. g. shampoos, hair tonics, hair conditioners) and in the same concentration at least an equivalent, in many cases a better anti-dandruff activity. In shampoos the preferred concentration of Octopirox is 0,5 - 1,0 % (w/w). (cf. DOS 2.234.009 (11.07.72 / 24.01.74))

Animal
Toxicity
Tests

The following studies have been already performed or are under way:

Acute oral toxicity (rats) : LD₅₀: 8100 mg/kg

Acute oral toxicity (dogs) : Vomiting down to
125 mg/kg

Acute eye irritation (rabbits)

according to FR, Vol. 37, No. 83 p. 8534 -
8535 (1972):

Marginal to extremely irritant dependent
on concentration and vehicle

Primary skin irritation (rabbits)

a) 0,5 and 5 % (w/w) in an aqueous solution
of disodium monolauryl polyglycol ether
sulfosuccinate:

Primary irritation index: 4.5 resp. 7.25
(vehicle: 0.875)

b) 0,1 and 2 % (w/w) in aqueous isopropanol:

Primary irritation index: 0.42 resp. 1.50

Skin sensitization (guinea pigs)

(method of E.V. Buehler): no evidence of a
sensitizing reaction

Subacute dermal (30-day) toxicity (rabbits)

Applications of shampoo and hair tonic
formulation (concentration of Octopirox
0,5 % resp. 0,1 % (w/w)) - Histological exa-
mination, blood count, urineanalysis etc.:

no evidence of systemic toxicity

Subacute oral (90-day) toxicity (rats and dogs): under way

Human
Clinical
Studies

Repeated insult patch test (procedure of Shelanski and Shelanski):

Two tests with 50 volunteers each and a concentration of 0,5 % (w/w) Octopirox in a aqueous solution of disodium mono-lauryl polyglycol ether sulfosuccinate

Result: In no case any positive reaction, neither during the test period nor after the challenge application

Controlled use tests:

More than 200 volunteers have had repeated contact with Octopirox during the anti-dandruff efficacy testings of different hair cosmetic products. In none of the cases there were no any signs of irritation, sensitization or any other toxic reaction.

Antimicrobial
Efficacy

Octopirox shows a broad antibacterial and antifungal in vitro activity, which is not influenced by cosmetic raw materials, including nonionic emulsifiers (exemption: proteins). Therefore it can be used as a suitable preservative material. In many cases a concentration of 0,05 % (w/w) is sufficient for an adequate preservation.