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A Short Account of Chemistry and Biological Effects of Geraniol

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Abstract

Geraniol,(3,7-dimethylocta-trans-2,6-diene-1-ol) is an acyclic monoterpene alcohol with a molecular formula $C_{10}H_{18}O$ and is found in the essential oils of aromatic plants. Geraniol exhibits various biological activities including antitumor, antimicrobial, antioxidant. . A short account of the chemistry and biological effect of geraniol has been discussed in this review article.

Keywords: Geraniol; Nerol; Irradiation; Biotransformation; Anticancer Effect; Biological Activities; Vegetative Tissues

Introduction

The terpene geraniol is a mixture of the cis-trans isomers named as geraniol (trans) and nerol (cis) (Figure 1). Geraniol 1 has been isolated from Palmarosa oil while nerol 2 is obtained from the oil of neroli [1,2]. It is a common constituent of rose oil (44%) [3] and palmarosa oil (53.5%) [4]. Geraniol is a pale-yellow oil which is insoluble in water but soluble in most organic solvents. It is emitted from the flowers of many species and is present in vegetative tissues of many herbs and often co-exists with geranial and neral which are the oxidation products of geraniol [5]. Geraniol has a characteristic rose-like odour and floral rose-like taste [6] and is widely used as fragrance material. It is an insect repellent [7] and its role as microbial reagent has been highly discussed [8].

Isomeriszation of Geraniol

The isomerization of monoterpene alcohol has been accomplished by several reagents such as thiophenol vanadium complexes, ferric chloride and acid catalyst [9]. Recently γ-irradiation has been employed to realize the isomerization of geraniol [9]. The geraniol in methanol on γ-irradiation for 120 hr. yields nerol 2 (2%) and linalool 3 (30%) (Figure 2). No improvement in the yield of nerol and linalool has been observed after the irradiation for 96 hr. These studies indicate that geraniol is more reactive than nerol for any type of reaction. The isomerization of geraniol can be executed without any reagent or catalyst.

Biotransformation of Geraniol

The microbial transformation of geraniol 1 has attracted the attention of many research workers [10,11]. The formation of product depends on the type of microorganism employed. A strain of Rhodococcus Sp.strain GR3 isolated from the soil transforms [12] geraniol 1 into geranoic acid 4 whose structure has been established by its spectral data (IR, NMR) and GC-MS. The bioconversion takes place at temperature 30°C and the conversión is complete within 2.5 hr. No appreciable degradation of products is observed with this bacterium. The yeast *Sacharomyces cerevisiae* (SC) and *Kluyveromyces lactis* (KL) reduce [10] geraniol 1 into citronellol 5 while the yeasts SC, KL and Torulaspora delbrueckii (TD) reduce geraniol 1 and nerol 2 into linalool 3 (Figure 3). The yeasts KL and TD have the ability to form geraniol 1 from nerol 2. The ability of various pseudomonades to utilize acylic isoprenoids as a sole carbon source has been investigated [11]. The species which has utilized geraniol 1 does not show definite growth on the homologous C_{15} and C_{20} isoprene's. Similar tests with citronellol 5 and geraniol 1 have not met with success. Most species tested are killed by exposure to citronellol or geraniol in liquid culture.

Synthesis of Geraniol Esters

The fatty acid esters of geraniol and citronellol have been synthesized [13] by direct esterification in hexane catalyzed by lipase. The yields range from 90-100% molar conversion .

The highest yield has been obtained by adding first the solvent followed by the substrate and then the lipase. Geranial esters have been synthesized in a solvent-free system catalyzed by the enzyme by the enzyme *candida antarctica lipase* [14]. The maximum activity occurs at 60°C. High yields (about 100%) are obtained with propionate and butyrate while acetate shows lower activity. The enzyme is used in four consecutive batch reactions with only a 10% loss of activity. A continuous- flow-packed-bed reactor of immobilized Candida antarctica lipase B (Novozym 435) has been employed for the synthesis of the geraniol esters [15]. The role of biocatalyst, solvent and temperature have been studied to achieve the esterification.

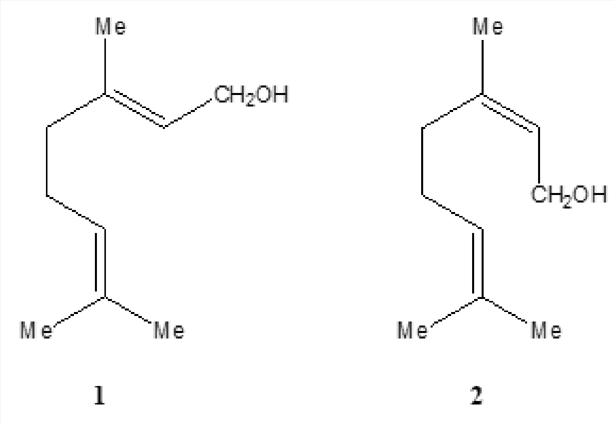

Figure 1.

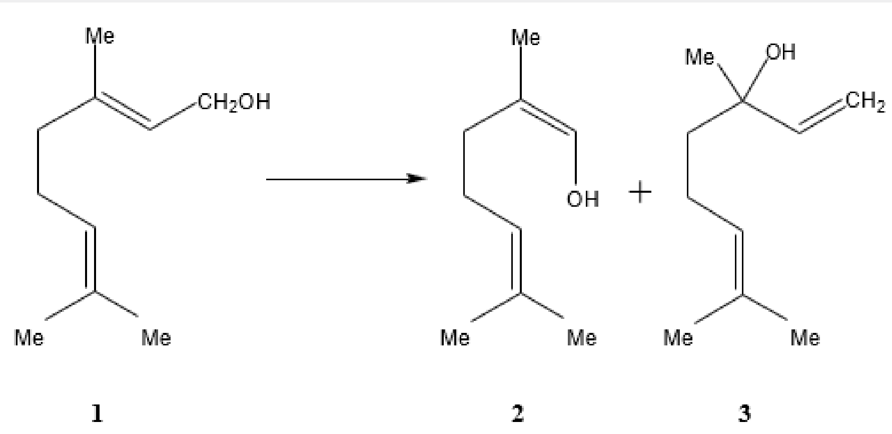

Figure 2: Scheme 1

Biological Activity of Geraniol

The biological activities of geraniol 1 have been extensively studied . The reviews [16-20] describe in detail the medicinal uses of geraniol. In the present review we have tried to give a summary of the biological activities described in reviews [16-20]. Geraniol 1, which is widely formed in essential oils has exhibited its potential role in the treatment of a range of cancers including breast, lung, colon, prostrate, pancreatic and hepatic. Geraniol 1 can regulate a variety of signaling molecules and participate in a variety of life process such as cell cycle, cell proliferation (a process by which a cell grows and divides to produce to daughter cells),apoptosis (which destroys the damaged cells and thus prevents the formation of cancer), autophagy process (which cleans out damaged cells in order to regenerate healthier cells) and metabolism. Geraniol inhibits the cancer growth in vitro and in vivo models and effectively suppresses tumor growth in vivo models.

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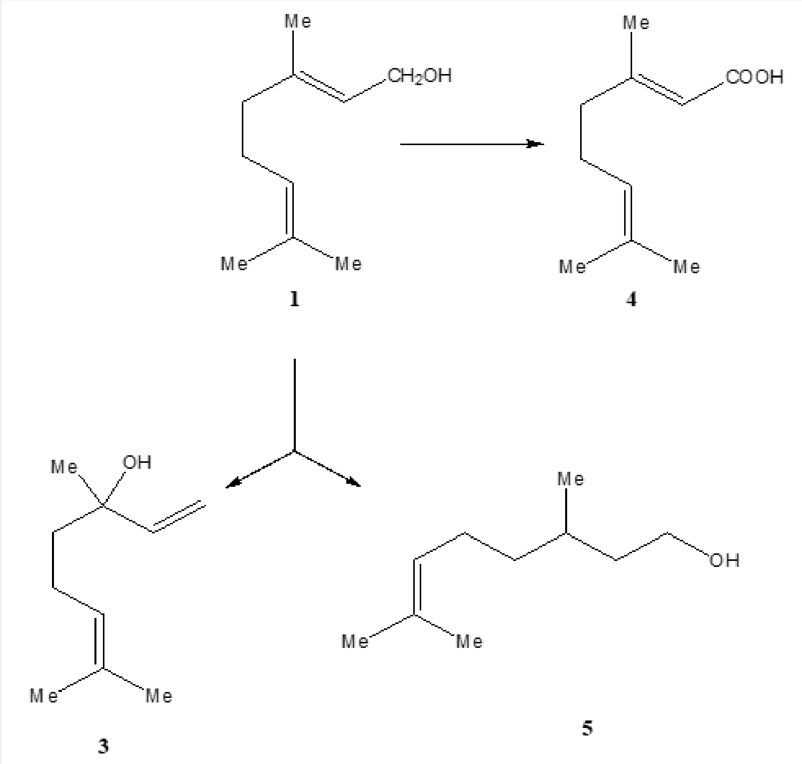

Figure 3: Scheme 2.

Geraniol 1, the main component of rose oil, has been found to possess antimicrobial activity against a range of bacteria and fungi in vitro .The antibacterial activities of geraniol are more effective than its antifungal activity. The antifungal activity of palmrose oil (cymbopogon martinii) is mainly attributed to its geraniol content. Geraniol is most active against E.Coli (with bacterial activity value of BA_{50} 0.15), against L. monocytes (BA_{50} 0.28) and Centrica (BA_{50} 0.15). The antitubercular activity of citronelol, nerol and geraniol against mycobacterium tuberculosis has been evaluated in vitro. Geraniol also shows antibiotic activity against the genus *staphylococcus*. Geraniol can exert anti-inflammatory and antioxidant effects. The oral administration of geraniol is believed to protect liver tissue from cancer, by reducing the oxidative stress, inflammation, hyperproliferation and apoptotic tissue.

Geraniol exhibits its utility in the treatment of coronary heart diseases. Geraniol protects the cardiovascular system from body injury caused by high blood lipids and reduces total cholesterol and total triglyceride production in the plasma. Geraniol suppresses triglyceride synthesis with a decrease of fatty acid synthesis. Geraniol exhibits hepatoprotective, neuroprotective and diabetic effects and shows excellent anti-ulcerogenic activity. The gastroprotective and gastric healing effects of geraniol on acute ethanol-induced ulcers and chromic acetic acid-induced ulcers have been reported.

Conclusion

Pure compounds from natural products have proved alternative medicines for the treatment of various diseases. The above-mentioned discussion indicates that geraniol could become a novel drug candidate for the treatment of various diseases. The cytoxic effect of geraniol on cancer cells indicate geraniol could treat cancer and reduce the morality of cancer patients. The antifungal activity of geraniol could protect patients from fungal infection. However, anticancer effects of geraniol should be clarified in vivo in more animal models and later in human patients to confirm the inhibitory effect of geraniol on malignancy.

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