



## Chemical Composition and Pharmacological Potential of *Rudbeckia hirta* L. Review

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### Abstract

The article presents systematized scientific data on the chemical composition and pharmacological properties of *Rudbeckia hirta* L. This plant contains various groups of biologically active substances: phenolic compounds (flavonoids, anthocyanins, hydroxycinnamic acids), acetylene derivatives, etc. In the preclinical models, an immunomodulatory, anti-inflammatory and antioxidant effect is established. Among the flavonoids, patulitrin is considered the dominant. The immunomodulatory and antioxidant effect is associated with flavonoids and hydroxycinnamic acids, and the anti-inflammatory effect is associated with sequesterpenoids.

**Keywords:** *Rudbeckia hirta*; Chemical Composition; Pharmacological Properties

### Introduction

Currently, herbal medicines with an immunomodulatory effect are mainly obtained from plants of the genus *Echinacea* Moench. Long-term use (more than eight weeks) of these dosage forms is not recommended in view of the occurrence of cross-resistance between various forms obtained on the basis of *Echinacea* and depletion of the cellular level of immunity.

A promising plant with potential immunomodulatory properties is *Rudbeckia hirta* L. However, its chemical composition and pharmacological properties are poorly understood. The literature provides fragmentary information on the chemical composition and pharmacological properties of *Rudbeckia hirta* L. Therefore, the purpose of this article is to systematize the scientific data about chemical composition and pharmacological properties of various parts of *Rudbeckia hirta* L. and its individual chemicals.

### Flavonoids

Petals of *Rudbeckia hirta* L. contain flavonols patulitrin, quercetagenin and 6,7-dimethoxy-3',4',5-trihydroxyflavon-3-O-glucoside

side [1]. The herb *Rudbeckia hirta* L. contains quercetagenin-7-O-galactoside and patuletin-7-O-galactoside [2].

In 1993, a group of authors published a paper [3] containing information that the composition of the aerial part (herb) of *Rudbeckia hirta* L., in addition to the flavonoids listed above, includes aglycone eupatolitin, flavonol glycosides (quercetin-7-O-glucoside, quercetagenin-7-O-glucoside) and methoxy derivative of quercetin (eupatolin), as well as dimethoxy-3,5,4'-trihydroxyflavone-3-O-rhamnoside. The flavonoid composition of flowers and leaves of *Rudbeckia hirta* L. is identical.

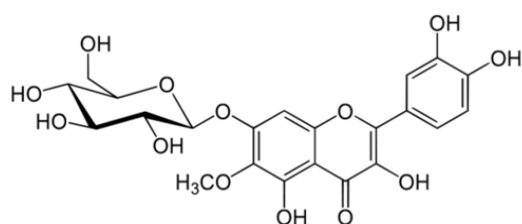
The content of patulitrin in flowers is 1,90%, in leaves – 0,82% and in stems – 0,12% [4]. Only patulitrin was found in stem culture. The herb of *Rudbeckia hirta* L. includes hyperoside and rutin [5].

The flavonoid composition of the petals of *Rudbeckia hirta* L. is most fully described in [6] and additionally includes: kaempferol and its 3-O- and 7-O-glucosides; 6-methoxykaempferol; 7-methoxykaempferol; 6-hydroxykaempferol; 7,8-dime-

thoxykaempferol-3-O-glucoside; quercetin and its 3-O-glucoside; 7-methoxyquercetin and quercethaetin-3-O-glucoside. Additionally, eupatolitin-3-O- $\beta$ -D-(6''-O-acetyl)-glucopyranoside was found in the petals. The total content of flavonoids in the petals of *Rudbeckia hirta* L. is 4,72% [7].

The flowers of *Rudbeckia hirta* L. contain gossipetin-7-O- $\beta$ -glucopyranoside, quercethaetin-7-O- $\beta$ -D-glucopyranoside, eupatolitin, patulitrin and chrysosplenol D [8].

The figure shows the structural formula of the dominant flavonoid of *Rudbeckia hirta* L. – patulitrin.



**Figure 1:** The structural formula of patulitrin [6].

The chemical name of this compound is 3,5,3',4'-tetrahydroxy-6-methoxyflavone-7-O- $\beta$ -D-glucopyranoside. Patulitrin is patuletin 7-O-glucoside, and contains in its molecule a methoxyl group in the 6th position of the flavan structure.

In the leaves of *Rudbeckia hirta* L., grown under the conditions of the Central Botanical Garden of the National Academy of Sciences of Belarus, flavonoids accumulate in the amount of about 2,4% [9]. The content of flavonoids in the leaves of a plant harvested in Slovakia is about 1,2 mg/kg, anthocyanins – about 0,1 mg / kg [10].

Flavonoids play a significant role in attracting insects for pollination of *Rudbeckia hirta* L. and are contained in morphological structures that glow when the inflorescence is irradiated with UV-light [11].

### Hydroxycinnamic acids

*Rudbeckia hirta* L. incorporates hydroxycinnamic acids, the maximum content of which is determined in the inflorescences in

the flowering phase and is about 2,3% (stationary irradiation with white light only) in terms of environmentally friendly biomass of a plant grown under artificial conditions in order to obtain a functional supplement. The content increases with alternating irradiation with white and blue light to almost 3%. In leaves, the content is about 2%, in the stems – about 0,8% [12,13].

The methanol extract obtained from the frozen leaves of *Rudbeckia hirta* L. contains various esterification products of quinic acid with caffeic acid [14].

The leaves of *Rudbeckia hirta* L., harvested in Belarus in different phases of plant development, contain from 1,93 to 4,82% of the sum of hydroxycinnamic acids and about 1,74% of the amount of chlorogenic acids [15]. The aerial part of *Rudbeckia hirta* L. contains caffeic and chlorogenic acids [5]. The flowers of *Rudbeckia hirta* L. include  $\beta$ -resorcilic, p-coumaric, caffeic, 5-O-caffeic quinic acids, as well as 5-O-p-cumaroyl quinic acid and its methyl ester [8].

### Anthocyanins

The anthocyanin complex of the callus culture obtained from the flowers of *Rudbeckia hirta* L. includes cyanidin, its glycosides and ether; delphinidine and its ether; malvidin and petunidine glycoside. The total content of these compounds in tissue culture is 1,6%, the content of cyanidin-3-O-glucoside – 0,4%. In the tubular flowers of an intact plant, only cyanidin-3-O-glucoside is detected in an amount of about 0,3% in terms of dry raw material [16-18]. The content of anthocyanins in the leaves is about 0,86%, leucoanthocyanidins – 0,46%, catechins – 0,44% [15].

### Acetylene derivatives

The underground organs of *Rudbeckia hirta* L. include in their composition three groups of acetylene derivatives: polyacetylenes, tiarubrin and substances containing, in their structure, in addition to the acetylene part, the thiophene cycle (thiophenes). Compounds of all these groups are extracted from plant materials with non-polar solvents [19].

The roots of the plant contain pentaenen; tiarubrin C; 1-tridecene-3,5,7,9,11-pentaen-tiarubrin; 3-(1-propyl)-6-(3,5-hexadien-1-inil)-1,2-dithiocyclohexane-3,5-diene and 2-(1-propyl)-6-(3,5-hexadiene-1-inil) -thiophene [20,21].

The most studied polyacetylene of *Rudbeckia hirta* L. is pentaene, for which the laws of biosynthesis, the optimal conditions for the isolation and quantitative determination have been revealed [22,23].

### Other groups of biologically active substances

The fractions obtained by extracting the sum of substances from the inflorescences of *Rudbeckia hirta* L. with non-polar solvents and their mixtures contain ketones, esters, lactones and fatty acids, as well as minor volatile components [24].

Vegetative and generative organs of *Rudbeckia hirta* L. grown under artificial conditions include water-soluble sugars, ascorbic acid, and carotenoids [13].

The leaves of *Rudbeckia hirta* L. contain carotenoids, chlorophylls a and b, albumin, globulins, gluteins and prolamins [9].

In callus and suspension cultures of the roots of *Rudbeckia hirta* L., a representative of the eudesmanolide group, Pulhelin E, was found, which is absent in the intact plant [25]. The roots of *Rudbeckia hirta* L., which grow in urbanized areas, accumulate cadmium [26].

Achenes of *Rudbeckia hirta* L. are rich in fatty acids: palmitic, oleic and linoleic [27]. In transition to flowering, an increase in the concentration of biogenic amines, spermidine and putrescine, is observed in *Rudbeckia hirta* L. [28].

False-tongued flowers of *Rudbeckia hirta* L. contain yellow pigments [29], which are used for dyeing fabrics [30].

The roots and grass of *Rudbeckia hirta* L. contain lectins and alkylamides [5]. The flowers of *Rudbeckia hirta* L. include the rudbeckolide-sesquiterpene lactone [31].

### Pharmacological properties

The natives of North America used the decoction of the roots of *Rudbeckia hirta* L. for colds and helminthic invasions; rinses were used topically to treat wounds, ulcerative skin lesions and snake bites; root juice – for the treatment of earache, edema and dropsy [32,33].

In the United States, tincture and essence from the fresh aerial parts of *Rudbeckia hirta* L. are used in homeopathy for the treatment of colds in children, in urological and gynecological practice, and also in cardiology [34].

Arabinogalactan protein (lectin) from *Rudbeckia hirta* L. possesses immunomodulatory properties identified in induced with phytohemagglutinin, concanavalin A (T-cell mitogens) and *Escherichia coli* lipopolysaccharide (B-cell mitogen) leucocyte blast transformation, and the reaction induction *Escherichia coli* lipopolysaccharide synthesis of immunoglobulin class M using cells of mice. Arabinogalactan-protein from *Rudbeckia hirta* L. enhances the secretion of granulocyte-macrophage colony-stimulating factor, tumor necrosis factor- $\alpha$ , interleukin-6 and interferon- $\gamma$ , and also activates the complement system in the classical and alternative ways. The immunomodulatory effect is dose-dependent [5].

Methanol extraction from flowers and individual components of *Rudbeckia hirta* L. exhibit immunomodulatory (in phytohemagglutinin-induced leucocyte blast transformation on mouse splenocytes), antioxidant (on the model of Oxygen Radical Absorbance capacity) [8] and anti-inflammatory (inhibit 5-lipoxygenase) properties [31].

Individual components of the methanolic extract: p-coumaric and caffeic acid gossipetin-7-O- $\beta$ -glucopyranoside, quercethaetin-7-O- $\beta$ -D-glucopyranoside, patulitrin, chryzosplenol-D decrease proliferation of lymphocytes stimulated with phytohemagglutinin, from 100% to 83–92% (in the negative control, where dexamethasone is added, the decrease occurs to 24%). Methanol extraction significantly increases it to 130% (in the positive control, where *Echinacea purpurea* L. is added, the increase occurs to 142,5%).  $\beta$ -resorcilic acid and eupatolin slightly increase proliferation to 102–105% [31].

The antioxidant properties of the extracts obtained by extraction with petroleum ether, chloroform, ethyl acetate, n-butanol, ethanol, and individual flavonoids (eupatolitin, eupatolitin-3-O- $\beta$ -D-glucopyranoside and eupatolitin-3-O- $\beta$ -D-(6''-O-acetyl)-glucopyranoside) using the  $K_3[Fe(CN)_6]$ -method (in comparison with ascorbic acid), 2,2-diphenyl-1-picrylhydrazyl, hydroxyl radicals (OH $\cdot$ ) and superoxide anion  $O_2^{\cdot-}$ . All extracts exhibit antioxidant

properties, the ethyl acetate fraction and n-butanol extraction, as well as eupatolitin-3-O-glucoside and eupatolitin-3-O-(6"-O-acetyl)-glucoside, have the greatest antioxidant effect [35].

Chloroform extraction, obtained from callus *Rudbeckia hirta* L., shows an immunostimulating effect by increasing the production of interferon [36].

There is no cross-immunological stability between the *Echinacea purpurea* lectin complex and arabinogalactan- *Rudbeckia hirta* protein [5].

Tiarubrin C, isolated from the roots of *Rudbeckia hirta* L., exhibits its pronounced antibacterial and antifungal properties and can be used as an antiseptic [19].

Extractions from the roots of plants containing tiarubrin C or pentaenens have insecticidal and anthelmintic properties [37-40].

Polyacetylenes and thiophenes of *Rudbeckia hirta* L. have a photosensitizing effect [37,41].

## Conclusion

*Rudbeckia hirta* L. contains in its composition phenolic compounds (flavonoids, hydroxycinnamic acids, anthocyanins), acetylene derivatives and other biologically active substances. *Rudbeckia hirta* L. has been used in traditional medicine in North America, homeopathy. The plants, its extracts and chemicals isolated from it exhibit an immunomodulatory, anti-inflammatory and antioxidant effect.

The complex of biologically active substances found in the plant and its pharmacological properties provide the basis for further in-depth study of *Rudbeckia hirta* L. and its application along with *Echinacea purpurea* L. in medicine.

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