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CHALLENGES IN BIOORGANIC AND ORGANIC MEDICINAL CHEMISTRY

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[P1.94]

Tablets on the basis of herbal substance "Limonidin"

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Isolation of substances from the roots and aerial parts of local medicinal plant *Limonium gmelinii* was conducted using a simple, economically feasible and environmentally friendly technological scheme with a high yield (up to 35 % of the dried raw material weight). Vegetable substances extracted from the test plants in the form of dry extracts are characterized by hygroscopicity, their complex with β-cyclodextrin was obtained in order to reduce this hygroscopicity. The molecular complexation of β-cyclodextrin with the substance was studied using 2 methods: a) method of paste-forming; b) method of briquetting. The process of nano-encapsulation was monitored by observation of changes in shape and size of the particles. The resulting complex of the "Limonidin" substance with cyclodextrin is a light-brown powder with the patches of darker particles with faint odor, moisture content of not more than 3 %, soluble in water, 0.1 N HC1 solution and aqueous solutions of ethyl alcohol (30, 50 %). Bulk density before shrinkage is 0.707 g/cm, after the shrinkage - 0.809 g/cm. The study of the complex was carried out using the methods of IR and UV spectroscopy and diffractometry. The biopharmaceutical properties of the complex were studied: release of the active substance in the amount of not less than 46.0 %, in various environments. Quality specification was designed. On the basis of this complex of the substance and β-cyclodextrin, two sets of granulates were developed. The tablets produced on their basis meet the requirements of pharmacopoeia on the following indicators of quality: compressibility profiles, hardness, friability, friability, disintegration, quantification of the active substance and its release.

Keywords: tablets, herbal, substance, production

[P1.95]

Novel compound from Limonium myrianthum possessing antioxidant activity

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Plants of the *Limonium* Mill genus (*Plumbagenaceae* family) are represented by 300 species world-wide and 18 in Kazakhstan. Two species, *L. gmelinii* and *L. myrianthum*, are present in stocks exceeding 50 thousand tons in Kazakhstan. The current studies are focused on *L. myrianthum*. Specimens of *L. myrianthum* were collected in South Kazakhstan in August 2010. Finely ground, air-dried roots (300 g) were subjected to sequential extraction with *n*-hexane (0.3 L x 2; 48 h), acetone (0.3 L x 3; 48 h) and MeOH (0.3 L x 3; 48 h) at 30 ^{0}C with constant mixing. Extracts were evaporated to dryness under reduced pressure at 35-37 ^{0}C. Acetone extracts of the roots showed the highest antioxidant activities and were combined then subjected to silica gel chromatography with elution successively with 100% CH_2Cl_2, then CH_2Cl_2: MeOH mixtures (5%, 10%, 15%, 25%, 30%, 35%) and finally 100% MeOH to yield 8 fractions. Active fractions were combined and subjected to Sephadex LH-20 chromatography to yield 33 fractions of decreasing molecular mass. A yellow compound isolated from fractions 12 and 13 was identified as epigallocatechin-2-O-*p*-phenoxy ($C_{21}H_{18}O_8$; mol wt 398.36). It showed potent antioxidant activity in assays of liver microsomal lipid peroxidation. At 4 µg/mL. It reduced the LPO level to 62 % of control and at 20 µg/mL, it reduced the level of LPO to 12 % of control. The antioxidant properties of epigallocatechin-2-O-*p*-phenoxy require further investigation. Two-dimensional spectra were obtained on a Bruker DRX-500 spectrometer. GC-MS spectra were obtained using an HP 6890 gas chromatograph.

Keywords: novel compound, antioxidant activity