

TUMOR-GROWTH INHIBITING SUBSTANCES OF PLANT ORIGIN.

I. Isolation of the Active Principle of *Arctium Lappa*

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(Received May 5, 1964)

A tumor-growth inhibiting substance was isolated by us from dichloro-methane and ethanolic extracts of the root of *Arctium lappa*. This has been performed by means of solvent precipitation and completed by chromatography.

The four most widely spread [1] species of the wildy growing burdock were used for the isolation. These are the following ones: *Arctium maius* Bernh., *A. minus* (Hill.) Bernh., *A. tomentosum* Mill. and *A. nemorosum* Lej. et Court. According to the data of the literature all four species contain the same principles but in a different concentration [2]. Oily extracts of the burdock root have been applied formerly for cosmetic purposes [3, 4]. Its various extracts contain etheric oils, palmitinic acid, fats, phytosterine [5], aromatic and mucinous substances [6], glycosides and a lot of inulin as well.

Experimental

The roots to be extracted were collected in October and no distinction was made with respect to the four species mentioned above.

After several approaches, having learnt the behaviour of the active principle, further extraction was achieved by the aid of dichloro-methane, according to the following procedure (Fig. 1.).

Dichloro-methane Extraction Procedure

5000 g of the finely ground dry root were extracted with 30 l. dichloromethane until no more coloured material was eluted. The solvent

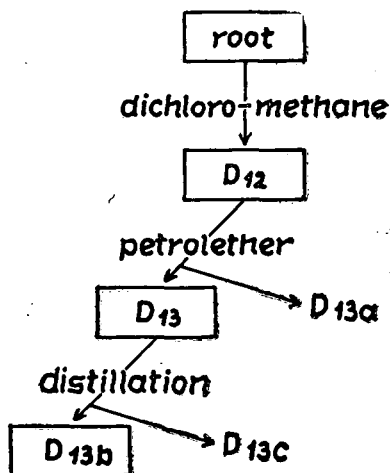


Fig. 1. Main pathways of the isolation

was distilled away first under atmospheric and later under reduced pressure. Thereafter a brownish-yellow oil (D_{12}) of a characteristic smell is left behind (32 g). After the addition of 2 l. petrolether a heavily filterable precipitate is formed (D_{13c}) which can be removed by centrifugation. The residue remaining after evaporation of the solvent was distilled at 0,1 mm Hg which yielded 4.7 g of a yellowish oil hardened

VIII.	R_F 0.80	○ ○ ○ ○ ○
VII.	0.69	○ ○ ○ ○ ○
VI.	0.54	○ ○ ○ ○ ○ ○ ○
V.	0.34	○ ○ ○ ○ ○ ○ ○
IV.	0.31	○ ○ ○
III.	0.23	○ ○ ○ ○ ○ ○
II.	0.13	○ ○ ○ ○ ○
I.		↑ ○ ○ ○ ○ ○ ○ ○
		1 2 3 4 5 6 7 8

Fig. 2. Thin-layer chromatography of fractions obtained from silica gel column chromatography of D_{13} oil. Carrier: Kiesel Gel (Merck, Darmstadt), solvent: benzene-ether (10:1 by vol.) Serial numbers on the bottom refer to respective fractions represented in Table I.

on standing. Its boiling point was undeterminable as it distilled out very slowly from the system. The distillation residue is a brown viscous oil, not investigated in detail yet.

Table I

Fractions	ml	mg yielded	Consistency
1	88	130	oil
2	110	640	greasy
3	128	660	"
4	140	720	"
5	144	770	"
6	144	600	"
7	164	550	"
8	164	400	"
9	158	600	"
10	180		
11	226		
12	290		
13	290		

Column and Thin-layer Chromatography

6 g of the D₁₃ oil were chromatographed in a 570 mm silica gel column of 4 cm internal diameter. The solvent mixture was benzene-ether (10:1 by vol.). The collection of fractions begun when the ultraviolet fluorescent part reached the bottom of the column. Results are contained in Table I.

Thereafter, respective fractions were separated on Kieselgel G plates (0.25 mm) using the same solvent. Visualization was effected at 366 m μ and the development in iodine vapor (Fig. 2). Serial numbers on the bottom of Fig. 2. are identical with respective fractions represented in Table I. Fractions 9 through 13, not represented in Fig. 2., contain substances identical with I, II and III but in a different quantity.

It can be stated from the thin-layer chromatogram that D₁₃ oil contains 8 substances and that D_{13b} gives spots which have the same R_F values as fractions VI and VII, consequently, it contains two substances. The substance exhibiting antitumour activity is in this fraction.

Identification and transformation of the active molecule will be consecutively reported.

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The technical assistance of Mr. I. FÖLDEÁK is gratefully acknowledged.

References

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РАСТИТЕЛЬНЫЕ ВЕЩЕСТВА, ЗАДЕРЖИВАЮЩИЕ ВОЗРАСТАНИЕ ТУМОРА I

*Изолирование активного вещества из Arctium Lappa
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Из корня Arctium Lappa экстракцией при помощи дихлорметана и после этого хроматографией было изолировано вещество, задерживающее возрастание опухоли.