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Triterpenoid Components from Betulae Folium*

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Betula latifolia KOMAROV is deciduous tree of the family Betulaceae and 16 species are distributed in Korea (Table I).

Betulafolienetriol III is a dammarane triterpenoid which is contained in unsaponicable fraction that can be extracted from the leaves of the plants. And this is related in C_3-epimer system with protopanaxadiol which is genine of the dammarane glycoside that is assumed to be an active principle of ginseng.

Table I—Betulae Plants in Korea[1)]

Scientific name	Scientific name
Betula chinensis MAX.	*Betula ermanii var. parbifolia* KOIDUMI
Betula costata TRAUTVETTER	*Betula fusenensis* NAKAI
Betula cyclophylla NAKAI	*Betula gmelini* BUNGE
Betula davurica PALLAS	*Betula latifolia* KOMAROV
Betula ermanii var. acutifolia WINKL	*Betula latifolia var. mandshurica* NAKAI
Betula ermanii var. ganzuensis NAKAI	*Betula microphylla var. coreana* NAKAI
Betula ermanii var. genuina REGEL	*Betula paisanensis* NAKAI
Betula ermanii var. incisa KOIDUMI	*Betula schmidtii* REGEL

We noticed the protopanaxadiol, one of the constituent of ginseng, is the good material that can be conversed from wild plants. And then we set one's hands to extracting betulafolientriol from the unsaponificable fraction of the flesh leaves of *Betula latifolia* KOMAROV (collected in mid-July).

As a result, we could abstract 5 kinds of crystalline substances on which the Liebermann-Burchard reaction positively. We noticed that the compound A, C, D and E had already reported with authority, as illustrated in the Table II, but the compound B was considered previously to be unknown compound, therefore we named it betulafolianediol shows its chemical structure.

Before our research of these components, Fisher and Seiler had reported the betulafolien-

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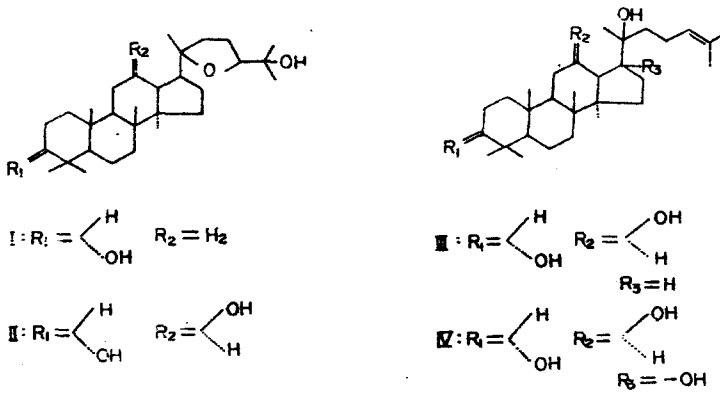


Table II—Isolated components from *Betula latifolia* KOMAROV

Compound	Formula	Mp	Nomenclature	Structure	Reference
A	$C_{29}H_{50}O$	136	β-Sitosterol		
B	$C_{30}H_{52}O_3$	165	Betulafolianediol	I	(2, 3)
C	$C_{30}H_{52}O_4$	237	Betulatriterpene C	II	(2, 5)
D	$C_{30}H_{52}O_3$	197	Betulafolienetriol	III	(2, 4)
E	$C_{30}H_{52}O_4$	121	Betulafolienetetraol	IV	(2, 4)

etetraol and betulafolienetriol from the leaves of *Betula alba* and determined their chemical structure through the selen dehydrogenation. Later other including Nagai had reported betulatriterpene C from the leaves of *Betula platyphylla* SUKATCHEV *var. Japonica* HARA and determined its chemical structure.

As described earlier, we isolated five kinds of crystalline substances and proved the compound D, one of the crystals, was as the same as betulafolienetriol that was C_3-epimer protopanaxadiol by leading to protopanaxadiol as described in "Dammarane glycoside of ginseng", a part of this symposium. It was readily proved at the result of our research that compound C could be obtained by oxidizing the compound D, and that the compound C was identified with the betulatriterpene C by synthesizing against compound C (MS, NMR)[2].

The chemical structure of the compound B was determined according to the spectral data of MS and NMR of the substance[3].

Fisher and Seiler[4] had already reported the isolation of C_{17}, C_{20} bicinal hydroxy compound that the called betulafolientetraol, however compound B seems to be betulafolientetraol, that compound E was induced to the five memberd ring, $\nu c{=}0$ IR 1745cm^{-1}(c=0) by periodic acid oxidation.

Recently Futoda and his co-workers[5,6] isolated two kinds of new triterpenoids from the leaves of *Betula alba*, and reported them respectively under the name of betulafolienetetraol-A, mp 134-6°, $C_{30}H_{52}O_4$, V and betulafolientetraolB, mp 131-2°, $C_{30}H_{52}O_4$, **VI**. Following Fig. shows their chemical structure.

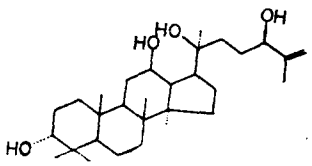
Table III—Triterpenoid compounds from Betulae plants.

Scientific name	Triterpenoid name
Betula alba=B. verrucosa (European white birch)	Betulin (bk), α-betulenol (bd), β-betulenol (bd)
Betula ermanii (Birch)	Betuletol (bd), 3-methyl betuletol (bd), ermanine (bd)
Betula (Birch)	α-Betulenol (lb), β-betulenol (lb)
Betula middendorfii (Birch)	Betmidin (lf)
Betula papyrifera (White birch)	Betulin (bk)
Betula pendula=B. alba=B. verrucosa (European white birch)	Betulafolientetraol (wo), betulafolientetraol (wo)
Betula platyphylla var. japonica=B. tanslii	Betulin (wo), betuloside (bk), methyl betulinate (wo), β-sitsterol (wo), triterpen A,B,C (lg)
Betula pubescens	Carpinifolid
Betula verrucosa=B. alba	Lupan-3β, 20-diol(bk), lupan-3β, 20, 28-triol(bk), origoterpene alcohols (wo), triterpene alcohols (wo)
Betula spp. (American origin)	Betulin (bk, wo), lupeol (bk, wo), β-sitosterol (bk, wo)

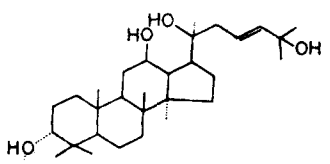
bd: buds, bk: barks, lb: leaf buds, lf: leaves, wo: woods

In addition to the whole dammarane triterpenoids, as described above, which have been isolated from the *Betula* spp. up to date, other non-dammarane triterpenoids that ever reported are summarized in Table III.

In recent, we have isolated another triterpene that has stronger polarity than any other triterpens. A number of unknown triterpenoids are still detected as a result of analysis of the unsaponificable fraction of the leaves of *Betula latifolia*, and it is clear that their chemical structure will be brought to light soon.



Beulafolienetetraol-A, [V]



Befulafolienetetraol-B [VI]

The reason why we pay attention to these components is, as described earlier, that we noticed the structure of these components were extremely analogous to those of protopanaxadiol which is active principle of ginseng, and we also noticed these components could be good materials for synthesis of protopanaxadiol. Meanwhile the similarity in chemical structure between those components and protopanaxadiol suggests the possibility that the component itself can affect

biological activities to an organisms. Needless to say, this physiological activity and that of protopanaxadiol may be analogous or contrary to each other. Although no report about the physiological activity of these components has appeared yet, some old records describe that the Betulae plants have used in folk medicine for antirheumatics, antiinflammatory drugs, diuretics, and antidiabetics.

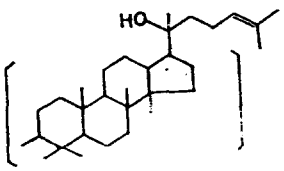
We could not relate any of the physiological activity with the six kinds of triterpenoids which are under our experiment of isolated.

However, it is regarded as serious to find out the existence of the physiological activity which is the same as that of Betulae plant.

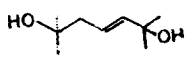
There are many differences between ginseng and Betulae plants in regard to their growth and ecological views. Ginseng is, for instances, shade place plant, on the contrary, Betulae plants is suny place plant.

A comparison between two triterpenoids shows considerable contrast.

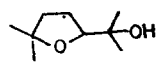
In other words, although the dammarane triterpenoids of Betulae plants exist as esters of fatty acid, the dammarane triterpenoids do as glycosides. Moreover the triterpenes of Betulae plants contain 3α-hydroxy radical, but those of ginseng do 3α-hydroxy radical.



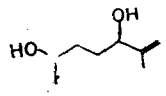
a



c

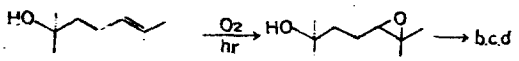


b



d

The most remarkable contrast, which attracts our deep attention, lies where the partial structure a, b, c, d are found in the side chain of triterpenoids isolated from the Betulae plants, on the contrary that any other structure except for partial structure of a-type protopanaxadiol and protopanaxatriol has not been found yet. Partial structure of b, c, d-type substances can be generated by hydroxylation of a-type partial structure as follows.



It seems to be granted that any dammarane triterpenoids containing b, c, d-type side chain structure cannot be found in ginseng when b, c, d-type side chained compounds, that are

contained as triterpenoid components of Betulae plants, cannot be created as the result of hydration of a-type side chained compound.

Therefore, we attach great importance to this fact in order to find out any relation between the ecologically views and constituents of the plants.

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