Atropine and scopolamine in leaf and flower of *Datura arborea* L.

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Summary: Angel's trumpet (*Datura* = *Brugmansia arborea*) is a common, popular ornamental plant in Hungary. On the basis of thin layer chromatographic and densitometric alkaloid studies of leaf and flower samples collected from several places in Somogy and Baranya counties it can be stated that in samples of cultivars with different flower colour, taken approximately at the same time, the atropine and scopolamine content varies: there are samples free of alkaloids, but most of them are rich in alkaloids. Although the means do not reflect the extremes, they are suitable for determining the alkaloid accumulating capacity of flowers. Generally the amount of atropine and scopolamine is significant both in the leaf and the flower. It is conspicuous that the flower can be characterised by an extremely high scopolamine content (mean in mg/g dry matter of leaf: atropine 0.34, scopolamine 0.31; of flower: atropine 0.26, scopolamine 0.85). Thus it can be stated that the leaf, and especially the flower of angel's trumpet is a potential hallucinogen, just like in the case of *Datura stramonium*.

Introduction

Plants that are best known for their atropine (= racem hyoscyamine) and scopolamine content (*Atropa belladonna, Hyoscyamus niger, Datura stramonium*) are well known as drug-plants in therapeutics as a fumigator for relieving asthma, their effective substances are however known as components of sedatives (e. g. scopolamine) and anodynes and as medicines used in ophthalmic diagnostics (e. g. atropine). Especially the scopolamine is an important psychoactive molecule. Concerning these main alkaloids and other alkaloids, classical taxonomic as well as genetic and biochemical studies have been written abroad and in Hungary since the middle of the last century (*Danert* 1954, *Mothes* & *Romeike* 1958, *Romeike* 1961, *V. Petri* 1964, *Yamada* & *Hashimoto* 1988). In Hungary the extremely common *Datura stramonium* is a pharmaceutical raw material even today (*Rácz* et al. 1992, *Dános* 1997), but at some places it is also a frequent weed (*Hunyadi* et al. 2000). Its allelopathic effect has been proved recently (*Dias* & *Dias* 2000), which is the consequence of its alkaloids being toxic mainly for mammals. It is especially dangerous if the seeds get mixed with food- or fodder-plants (products), since the alkaloid content of the seed is also significant (*Dugan* et al. 1989, *Friedman* & *Levin* 1989).

Atropine and similar substances, related to cocaine, belonging to tropane alkaloids, are hallucinogens that have also been used for a long time. Already the ancient Greeks have applied thorn-apple besides mandrake containing tropane alkaloids. Drugs containing tropane alkaloids, mostly *Datura* species, have been used in elixirs by witches and magicians in the Middle Ages. According to the ethnobotanical study of *Kóczián* (1979) death also occurred due to overdose, but there are several popular experiences of hallucination symptoms in Hungary.

Thorn apple has become popular again as a drug for evoking hallucination. „Popular books" suggest it mainly as an active component in aphrodisiacs (*Rätsch* 1990). According to (*Bayer* 2000) *Datura* species played a role not only in the practices of witches or making alcoholic drinks „stronger". These drugs belonged to the most popular charms for centuries. Their usage was not restricted to Europe. In East-Africa the seeds of *D. stramonium* and *D. fastuosa* were consumed with palm wine, and in the big cities of West-Africa this drug is still „the hallucinogen of the poor". At the North-American Indians it is traditional to smoke the leaves of *D. innoxia* (= *D. meteloides*) and *D. stramonium* in pipes. In South-America the Incas prepared the magic drink called tonga out of *D. arborea* and *D. stramonium*, and with the help of this drink they could keep contact with their gods and ancestors. In Peru and Columbia a drink made out of *Burgmansia (= Datura) sanguinea* is also called tonga and it is used in the same way even today.

Along the Andes, from Chile to Columbia the magical use of the leaves and root of *Datura* species can be found everywhere. In most cases the powdered seeds are added to „chicha" fermented out of corn.

Globalisation can also be harmful due to the quick spread of information via the Internet, if it serves bad purposes. Unfortunately, gathering information on the net revived the dangerous tradition. In the past years *Datura stramonium* has also contributed to the increase in drug consuming in Hungary. Toxicological cases are also reported in medicinal literature (*Osváth* et al. 2000), describing the main steps of differential diagnosis and treatment. It is worthly of note the ground seeds of thorn-apple is used for poisoning and can mix to the food or forage.

Attention has been paid recently to *Datura arborea* L. (angel's trumpet), because it has become a common, popular pot-plant and garden ornamental. It may grow to a high, tree-shaped shrub with a thick trunk. Its big, ovate-oblong, entire leaves remain on the plant until the autumn frosts. The white, pink or yellow flowers are funneliform, trumpet-shaped and pendulous, they have a pleasant odour and secrete a sweet nectar, their size can often reach 20 cm. The lobes of the corolla are acuminate, the calyx consists of a single spatha-like slice. Its fruit is a narrow, longish ovate smooth capsule with four loculi. In Hungary it develops but does not ripen, usually remaining green (*Jávorka* & *Csapody* 1962).

So far no data have been found in Hungarian literature concerning the variability of its alkaloid content. The aim of our studies was to examine as many as possible samples from our surroundings phytochemically and to clarify if this species can mean a potential source of danger. For quantitative measurements of the main effective substances (atropine, scopolamine) densitometric detection was used following separation by TLC.

It is important to emphasise that botanically the wide-scale study of actually identical taxa could not be carried out in the lack of reliable raw materials. The studied *Datura arborea* L. is *Brugmansia arborea* (L.) Lagerh. according to the newest American literature (*Wiersema* & *León* 1999). For the time being they are used as synonyms in the present study. It is endemic in Peru and Chile, where the natives have used it too, for smoking in the temple of the god of sun. For the chemotaxonomical evaluation of the easily hybridising species there are no sufficient data yet. For a comparison the measurement data of *D. stramonium* are also reported here.

Material and methods

Sample

Origin of *Datura stramonium* L. samples: Bisse (weeded hoed plant culture) from August to October, 1998.

Origin of *Datura (= Brugmansia) arborea* L. (number of sampling places in parenthesis):

- white flowered: Kaposvár (2), Somogyvár (1), Tapsony (5), Pécs (1)
- pink flowered: Kaposvár (1), Tapsony (4), Pécs (1)
- yellow flowered: Kaposmérő (2), Sérsekszőlős (2), Somogyvár (1), Tapsony (2), Pécs (1). From August to October 2000, at each place.

The samples dried mildly at room temperature, on a well aired place, contained mainly developed foliage leaves and flowers. A few samples were restricted to the fruit (seed and fruit wall). In flower samples the calyx was separated, thus alkaloids could be determined from the calyx and from the flower part without calyx.

Alkaloid study

1 g plant material was carefully homogenizated with 1 ml ammonium-hydroxide, extracted with 30.0 ml chloroform, then shaken twice in a bolting funnel with 20 ml 2% sulphuric acid, then pH was set to 9–9.5 by adding 25% ammonium-hydroxide. The chloroformic phase was filtered through a filter containing anhydrous sodium-sulphate and evaporated in vacuum. The rest was dissolved in 5.00 ml chloroform.

Chromatographic plates: silica gel 60 F 254 TLC (Merck, Darmstadt, Germany).

Sample application: with Minicaps 5, 10 μl and Hamilton syringe (Bonaduz, Switzerland).

Mobile phase – after *Botz* & *Szabó* (1988): 0.2 M NaAc in water + methanol + chloroform (1:6:3).

Chamber: Camag twin through chamber without chamber saturation.

Post-derivatization with tartaric acid Dragendorff' s reagent (*Wagner* et al. 1983): the layers were dried at 90 °C for 5 min, then cooled to room temperature and dipped into the modified Dragendorff' s reagent for 1 sec, and dried in air at room temperature for 30 min.

Detection: light source: tungsten lamp at 520 nm

Densitometry: Camag TLC Scanner II (Muttenz, Switzerland) equipped with CATS software

Scanning condition: slit dimension 6×0.3 nm; monochromator bandwidth: 30 nm

Results and conclusions

According to our measurements the atropine (=A) and scopolamine (=S) content of the samples originating from the flowering specimen of *Datura stramonium* could be characterised by the following values (mg/g dry matter):

root – atropine 0.88
scopolamine could not be detected
leaf – atropine 1.32
scopolamine 0.77
flower – atropine could not be detected
scopolamine could not be detected
green, unripe fruit (with seeds) – atropine 1.90
scopolamine 1.29
unripe, yellowish-brown seed – atropine 0.16
scopolamine 0.04

ripe, black seed – atropine 0.25
scopolamine 0.07

It can be seen from the informatory results that in studied organs of *D. stramonium* the amount of atropine is significantly higher than that of scopolamine. The green, unripe fruit (with seeds) contains the largest amount of both alkaloids, the second largest amount is present in leaves.

The atropine (A) and scopolamine (S) content of samples originating from flowering specimen of *Datura arborea* varies strongly *(Fig. 1)*. In some samples no alkaloids could be detected, in others significant amounts were measured *(Tables 1, 2, 3)*. If both the extreme values and the means are taken into account, it is easier to arrive at a conclusion.

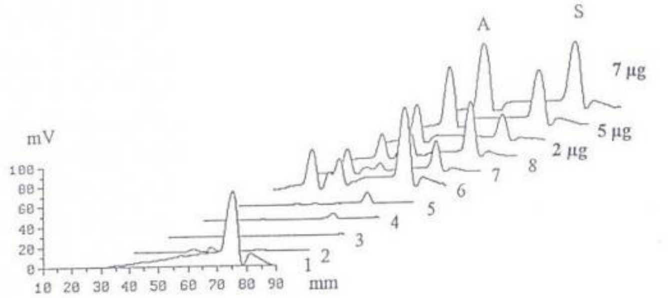

Figure 1 Atropine and scopolamine content in different leaf and flower samples (No 1–8) of Datura arborea L. (the last three densitograms belong to the atropine = A and scopolamine = S in µg; wavelength: 520 nm)

Table 1 Amount of atropine and scopolamine (mg/g dry matter) in the leaf and flower (white flowered cultivar) of Datura arborea (Aug.–Sept. 2000)

Place of Samples and No.	ATROPINE			SCOPOLAMINE		
	leaf	flower without calyx	calyx	leaf	flower without calyx	calyx
Kaposvár 1	0	0	–	0.06	2.96	–
Kaposvár 2	0	0	–	0	0	–
Somogyvár	0.13	2.09	0.09	1.25	2.24	0.87
Tapsony 1	0.10	0.37	0	0.19	1.46	0.33
Tapsony 2	0.01	0.23	0.28	0.07	1.62	1.41
Tapsony 3	0.20	0.35	–	0.87	1.81	–
Tapsony 4	0.12	0.29	0.05	0.32	1.07	0.23
Tapsony 5	0.17	0.05	0.49	0.29	0.50	0.40
Mean	*0.09*	*0.42*	*0.18*	*0.38*	*1.46*	*0.47*

Table 2 Amount of atropine and scopolamine (mg/g dry matter) in the leaf and flower (pink flowered cultivars) of Datura arborea (Aug.–Sept. 2000)

Place of Samples and No.	ATROPINE			SCOPOLAMINE		
	leaf	flower without calyx	calyx	leaf	flower without calyx	calyx
Kaposvár	0	–	–	0.06	–	–
Tapsony 1	0.29	0.37	0.40	0.60	1.66	2.71
Tapsony 2	0.01	0.22	0.11	0.08	0.81	0.86
Tapsony 3	0.13	0.26	0.03	0.93	0.88	0.45
Tapsony 4	0.15	0.05	0.12	0.31	1.38	1.18
Mean	*0.12*	*0.23*	*0.17*	*0.40*	*1.18*	*1.30*

Table 3 Amount of atropine and scopolamine (mg/g dry matter) in the leaf and flower (yellow flowered cultivars) of Datura arborea (Aug.–Sept. 2000)

Place of Samples and No.	ATROPINE			SCOPOLAMINE		
	leaf	flower without calyx	calyx	leaf	flower without calyx	calyx
Kaposmérő 1	0	–	–	0	–	–
Kaposmérő 2	0	0.34	0.13	0.02	0.46	0.39
Sérsekszőlős 1	0.01	0.24	0.02	0.18	0.79	0.59
Sérsekszőlős 2	0	0.03	–	0.12	0.47	–
Somogyvár	–	0.32	0.03	–	1.10	0.12
Tapsony 1	2.74	0.28	0.70	0.47	1.80	1.44
Tapsony 2	2.08	0.70	0.68	0.18	1.40	0.99
Mean	*0.81*	*0.26*	*0.31*	*0.16*	*1.00*	*0.71*

White flowered cultivars (8 samples):
leaf – atropine 0–0.20 (mean 0.09)
scopolamine 0–1.25 (mean 0.38)
flower without calyx – atropine 0–2.09 (mean 0.42)
scopolamine 0–2.96 (mean 1.46)
calyx – atropine 0–0.49 (mean 0.18)
scopolamine 0.23–1.41 (mean 0.47)
Pink flowered cultivars (5 samples):
leaf – atropine 0–0.29 (mean 0.12)
scopolamine 0.06–0.31 (mean 0.40)
flower without calyx – atropine 0.05–0.37 (mean 0.23)
scopolamine 0.81–1.66 (mean 1.18)
calyx – atropine 0.03–0.40 (mean 0.17)
scopolamine 0.45–2.71 (mean 1.30)

Yellow flowered cultivars (7 samples):
leaf – atropine 0–2.74 (mean 0.81)
scopolamine 0–0.47 (mean 0.16)
flower without calyx – atropine 0.03–0.70 (mean 0.26)
scopolamine 0.46–1.80 (mean 1.00)
calyx – atropine 0.02–0.70 (mean 0.31)
scopolamine 0.12–1.44 (mean 0.71)

It can be seen from the data that the amount of scopolamine is significantly higher than that of atropine either in the leaf or the flower. This is very important fact because the LD50-value (orl rat) of atropine: 622 mg but of scopolamine (ivn mus): 163 mg. (Other toxicological data: atropine orl hmn TDLo 100 µg PSY, scopolamine orl TDLo 14 µg CNS, scopolamine sc rat LD50 3800 mg). The leaves of the yellow flowered cultivars – taking either the values of the individual samples or the mean values – are an exception. They might be hybrids, and for this reason further studies are needed to clarify this question of detail.

As a trial the alkaloid content of the capsule in the case of the only white flowered cultivar (Pécs, 22nd Oct. 2000) was measured. The whitish-yellow soft seeds of the green (unripe) capsules originating from specimen with green leaves, awaiting wintering (pacing into a frost-free room), could be characterised by the following values (mg/g dry matter): atropine 0.28, scopolamine 0.81. For the fruit wall these values were: atropine 0.09, scopolamine 0.41. Concerning toxicology, the fruits cannot pose a danger as a hallucinogen in our opinion, because they rarely develop in significant amount at our climate.

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