

Fungistatic activity of purine and quinolizidine alkaloids and their derivatives used in DURAWOOD model systems

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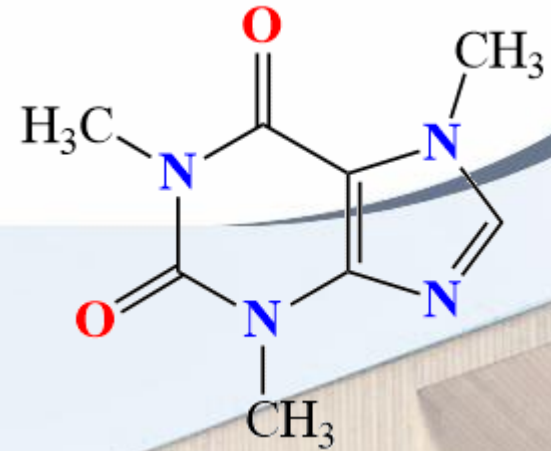
Poznan, 31.08.2016 Joint Conference: COST Action FP 1303 „Performance bio-based building materials” & DURAWOOD Project

THE AIM OF DURAWOOD PROJECT



concentrates on the **contribution of wood durability to sustainability** through the development of systems for quality assurance and performance classification of **eco-friendly treated wood** as alternative to wood treated with traditional preservatives and coatings.

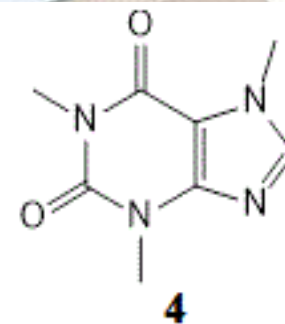
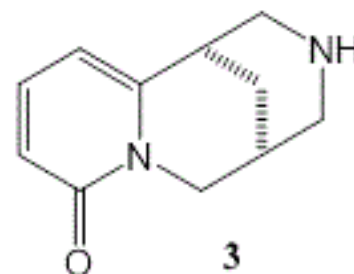
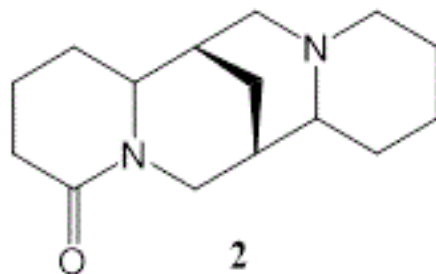
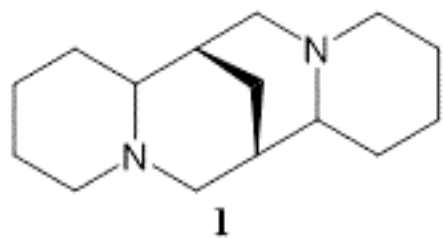
WHAT ARE AN ALKALOIDS?



They are characterized by three key features:

- The **nitrogen atom** is usually present in a ring system
- The compounds are of natural origin
- The compounds manifests significant physiological effects on human and animal organisms

STRUCTURES OF TESTED ALKALOIDS



sparteine (1), lupanine (2), cytisine (3), caffeine (4)

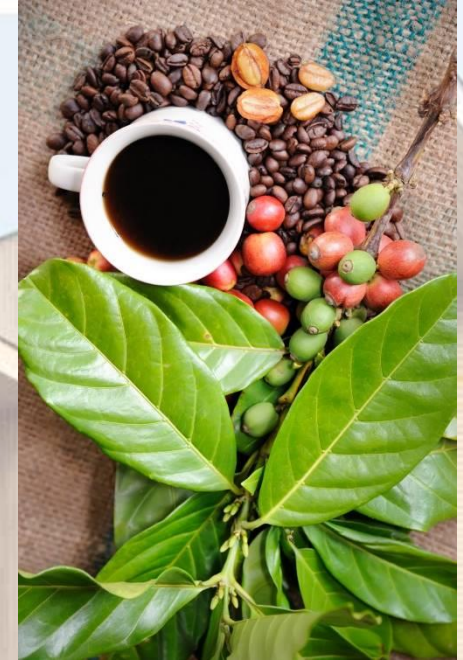




Lupin
(*Lupinus angustifolius*)



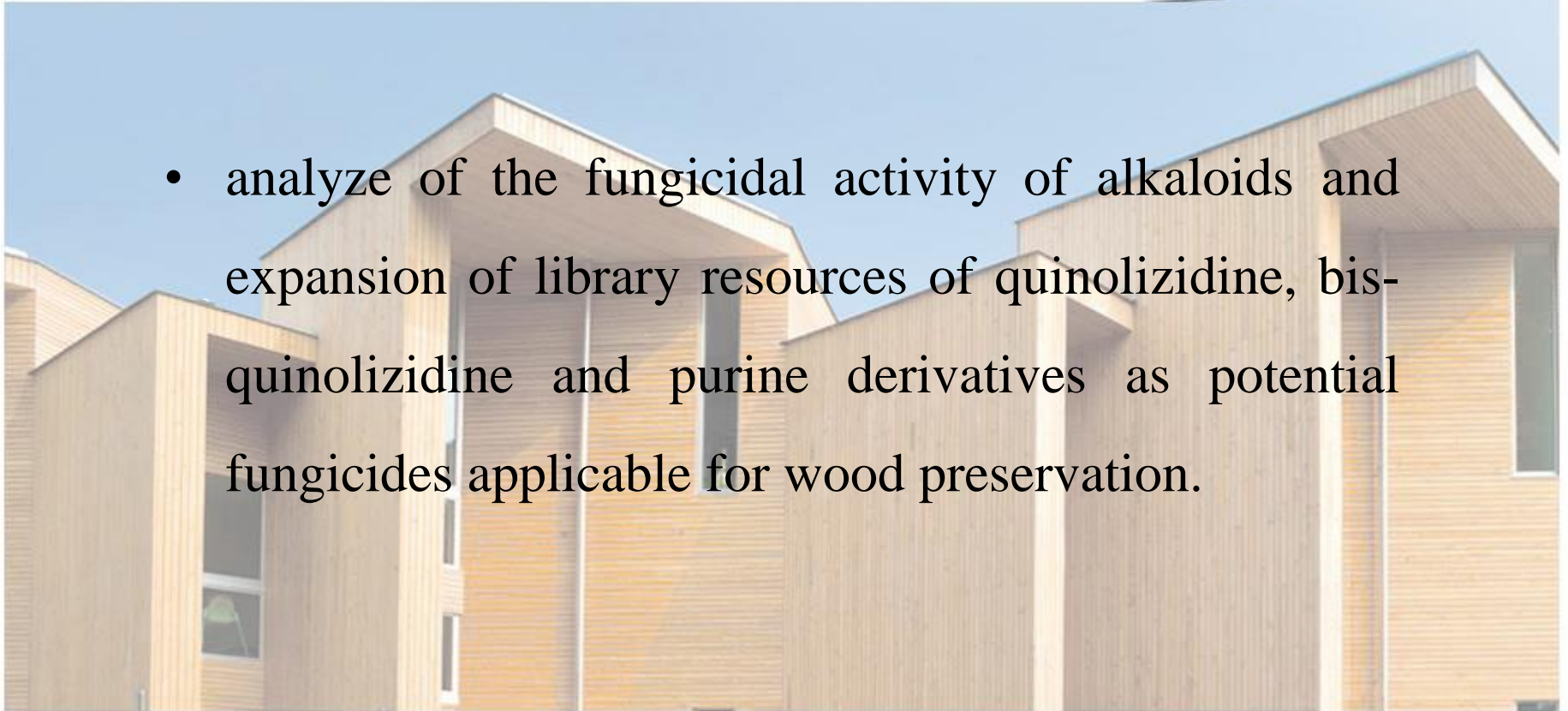
Laburnum
(*Laburnum anagyroides*
Medik.)

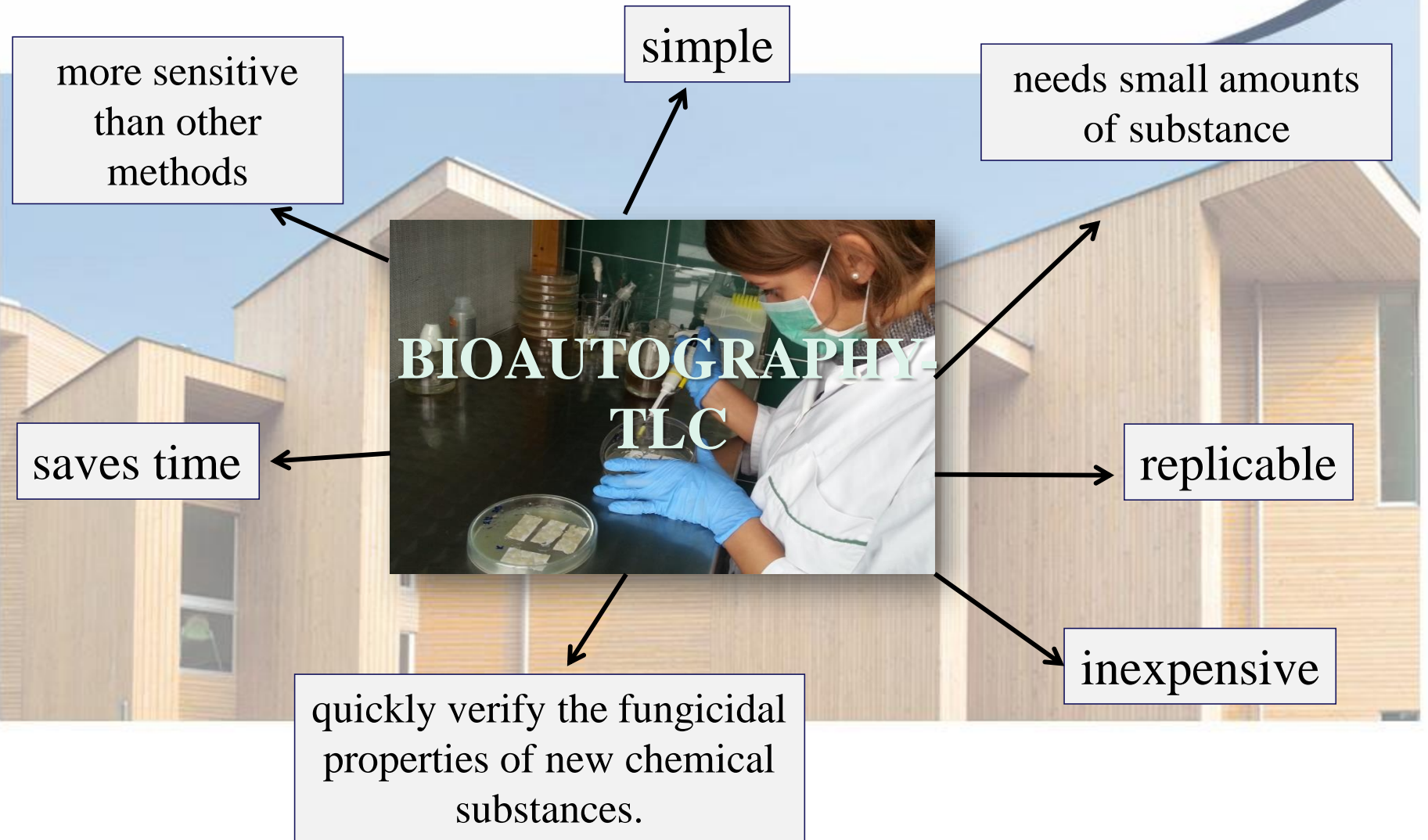


Tea leaves,
coffee beans,
yerba mate,
guarana berries

AIM OF THE STUDY

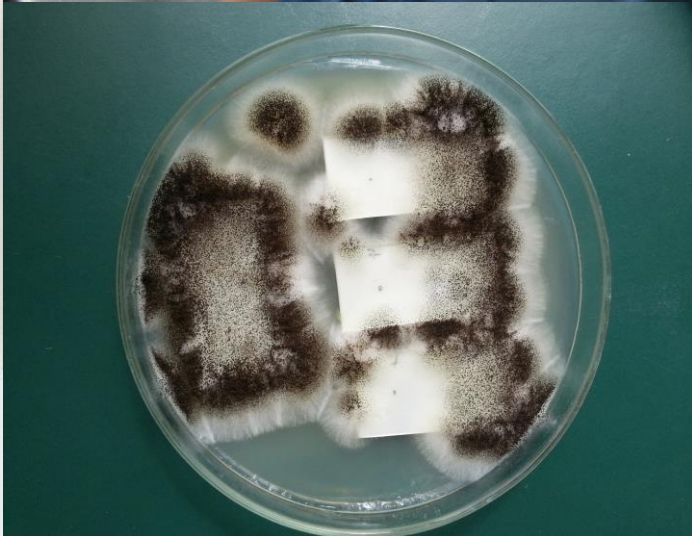
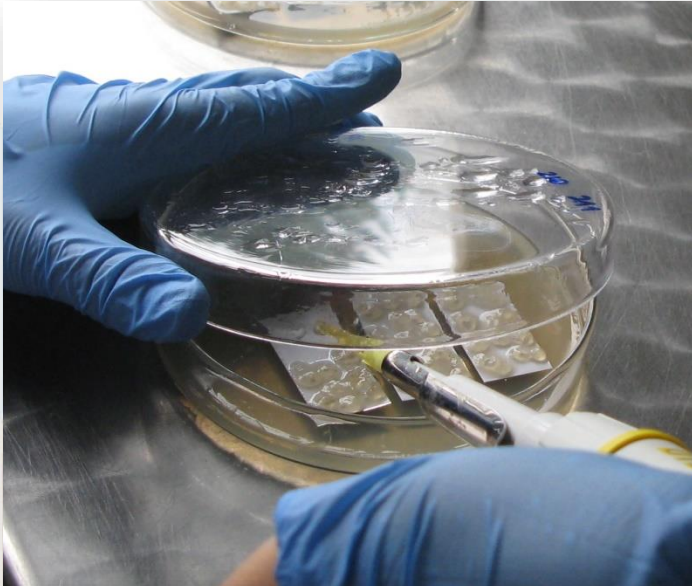
- analyze of the fungicidal activity of alkaloids and expansion of library resources of quinolizidine, bis-quinolizidine and purine derivatives as potential fungicides applicable for wood preservation.

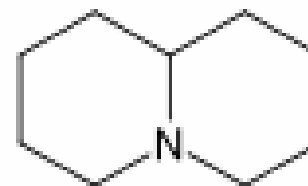




DURAWOOD

How it works?





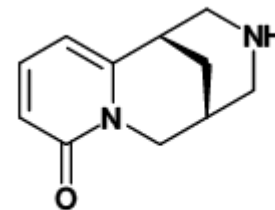
quinolizidine

RESULTS

Table 1: Antifungal activity of quinolizidine alkaloids against *A. niger*

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
1	lupinine	+	+	+	+	+
2	epi-lupinine	+	+	+	+	+
3	angustifoline	+	+	+	+	+
4	11-oxotetrahydrorombifoline	±	+	+	+	+
5	N-metyloangustifoline + tetrahydrorombifoline	±	+	+	+	+
	Control plate	+	+	+	+	+

(-)- no growth, (±)-growth of hyphae without spores, (+)-sporulation mycelium

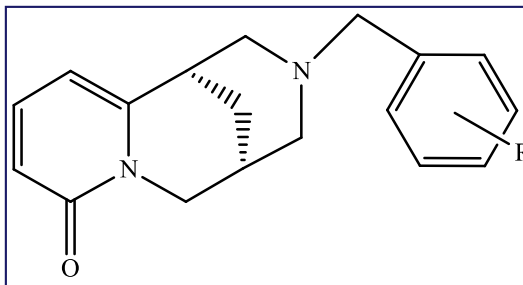


Cytisine

Table 2: Antifungal activity of derivatives of cytisine

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
6	cytisine	-	-	-	±	+
7	cytisine x HBr	+	+	+	+	+
8	N-methylcytisine	-	±	±	±	±
9	N-acetylcytisine	+	+	+	+	+
10	N-acetylcytisine x HClO ₄	+	+	+	+	+
11	iodo-N-acetylcytisine	±	+	+	+	+
12	bromo-N-acetylcytisine	±	+	+	+	+
13	3,5-dibromo-N-acetylcytisine	±	+	+	+	+
14	3-bromo-N-acetylcytisine	±	+	+	+	+
15	3-bromo-N-boccytisine	±	+	+	+	+
16	5-bromo-N-acetylcytisine	±	+	+	+	+
17	N-propionylcytisine	±	+	+	+	+
18	Br-N-priopionylcytisine	±	+	+	+	+
19	N-Boccytisine	-	-	-	-	-
20	Cl-N-boccytisine	±	+	+	+	+
21	3,5-chloro-N-boccytisine	±	+	+	+	+
22	Br-N-boccytisine	-	-	-	-	±
23	I-N boccytisine	±	+	+	+	+
24	5-bromo-N-boccytisine	±	+	+	+	+

(-)- no growth, (±)-growth of hyphae without spores, (+)-sporulation mycelium



- 1) R = p-NO₂ 7) R = o-Cl
- 2) R = m-NO₂ 8) R = m-Cl
- 3) R = o-NO₂ 9) R = p-Cl
- 4) R = o-Br 10) R = o-I
- 5) R = m-Br 11) R = p-I
- 6) R = p-Br 12) R = m-I

Table 3: Antifungal activity of derivatives of cytosine

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
25	N-benzylcytosine	-	-	-	-	±
26	p-nitro-benzylcytosine	±	+	+	+	+
27	m-nitro-benzylcytosine	±	+	+	+	+
28	o-nitro-benzylcytosine	±	+	+	+	+
29	o-bromo-benzylcytosine	±	+	+	+	+
30	m-bromo-benzylcytosine	-	-	-	-	-
31	p-bromo-benzylcytosine	-	-	-	-	-
32	o-chloro-benzylcytosine	±	+	+	+	+
33	m-chloro-benzylcytosine	-	-	-	-	-
34	p-chloro-benzylcytosine	-	-	-	-	-
35	o-jodo-N-benzylcytosine	±	+	+	+	+
36	p-jodo-benzylcytosine	-	-	-	-	-
37	m-jodo-benzylcytosine	-	-	-	-	-
38	N-benzoylcytosine	±	+	+	+	+
39	spirocytosine	-	-	-	-	-
40	3,5-dibromo-cytosine	-	-	-	-	±
	Control plate	+	+	+	+	+

(-)- no growth, (±)-growth of hyphae without spores, (+)-sporulation mycelium

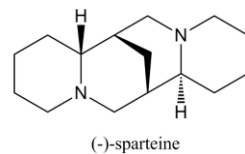
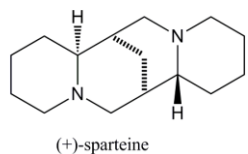
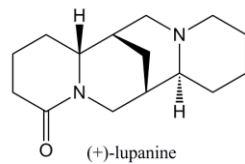
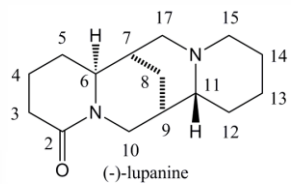


Table 4: Antifungal activity of derivatives of bis-quinolizidine

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
41	(+/-)-sparteine	-	-	-	±	±
42	(+)-sparteine	-	+	+	+	+
43	(-)-sparteine	-	±	+	+	+
44	(+/-)-lupanine	-	-	-	+	+
45	(-)-lupanine	-	-	+	+	+
46	(+)-lupanine	-	-	+	+	+

(-)- no growth, (±)-growth of hyphae without spores, (+)-sporulation mycelium

Table 5: Antifungal activity of derivatives of bis-quinolizidine

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
41	(+/-)-sparteine	-	-	-	±	±
42	(+)-sparteine	-	+	+	+	+
43	(-)-sparteine	-	±	+	+	+
44	(+/-)-lupanine	-	±	+	+	+
45	(+/-)-lupanine	-	-	-	+	+
46	(-)-lupanine	-	-	+	+	+
47	(+)-lupanine	-	-	+	+	+
48	15-oxosparteine	-	±	+	+	+
49	17-oxosparteine	-	±	+	+	+
50	4-oxosparteine	-	±	+	+	+
51	2-thiosparteine	-	±	+	+	+
52	2-thiosparteine HClO ₄	-	±	+	+	+
53	15-thiosparteine	-	±	+	+	+
54	17-thiosparteine	-	-	+	+	+
55	2,17-ditionosparteine	-	±	+	+	+
56	2-thiono-17-oxosparteine	-	±	+	+	+
57	4-acetylsparteine (ax)	-	-	+	+	+
58	4-acetylsparteine (eq)	-	-	+	+	+
59	4-hydroxysparteine (eq)	-	-	±	+	+
60	2-thio-3-dehydrosparteine	-	-	±	+	+

Table 6: Antifungal activity of derivatives of bis-quinolizidine

No	Compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
60	2-thio-3-dehydrosparteine	-	-	±	+	+
61	13-oxolupanine	-	-	±	+	+
62	15-oxolupanine	-	±	+	+	+
63	17-oxolupanine	-	±	+	+	+
64	3,3-dithiophenyl-lupanine	-	-	-	+	+
65	3-thiophenyl-lupanine	-	±	+	+	+
66	10-tioafyline	-	±	+	+	+
67	N(16)-oxidesparteine	-	-	+	+	+
68	N(16)-oxidelupanine HClO ₄	-	+	+	+	+
69	3-dehydrolupanine	-	-	±	+	+
70	15-hydroxylupanine	-	-	±	+	+
71	13-hydroxylupanine	-	-	-	±	+
72	multiflorine	-	-	±	+	+
73	Thiomultiflorine	-	±	+	+	+
74	Secomultiflorine	-	±	+	+	+
75	Albina	±	+	+	+	+
76	Lupanine + Angustifoline	-	-	-	-	-
	Control plate	+	+	+	+	+
	chalcon	-	-	-	-	-
	clioquinol	-	-	-	-	±

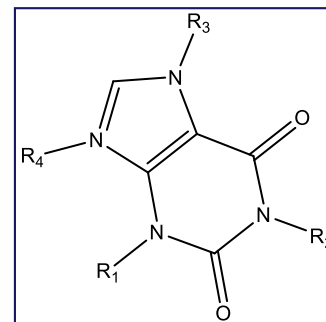


Table 6: Antifungal activity of purine derivatives

No	compound	Index of mycelium growth in the next day of test				
		II	III	IV	V	VII
77	caffeine	-	-	-	-	-
78	teobromine	±	±	+	+	+
79	teophylline	±	±	+	+	+
80	7-(2-hydroxypropyl) teophylline	±	±	+	+	+
81	7-(2,3)-dihydroxypropyl) teophylline	-	±	±	+	+
82	teophylline-7-acetic acid	-	±	+	+	+
83	xantine	±	±	+	+	+
84	aminophylline	±	±	+	+	+
85	7-(β-hydroxyethyl)teophylline	±	±	+	+	+
	control	±	±	+	+	+

SUMMARY

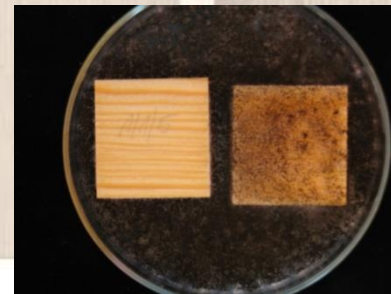
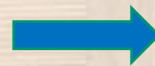
- From the 85 tested derivatives 11 has the fungistatic property
- There was no antifungal properties of quinolizidine alkaloids
- Cytisine (**6**) and its derivatives (**19,22,25,30,31,33,34,36,37,39,40**) showed a significant better properties against *A. niger*.
- The tetracyclic alkaloids tested in the first days of the test inhibited growth of the mycelium and in the following days of test their action were inactivated.

SUMMARY

- We chose **caffeine** for further study because of its very good fungistatic properties and the availability of large amounts of this compound



TLC-B



ISO 846

DURAWOOD

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Thank you for your attention

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