BIOLOGICKÁ AKTIVITA LIGNANŮ SCHISANDRA CHINENESIS

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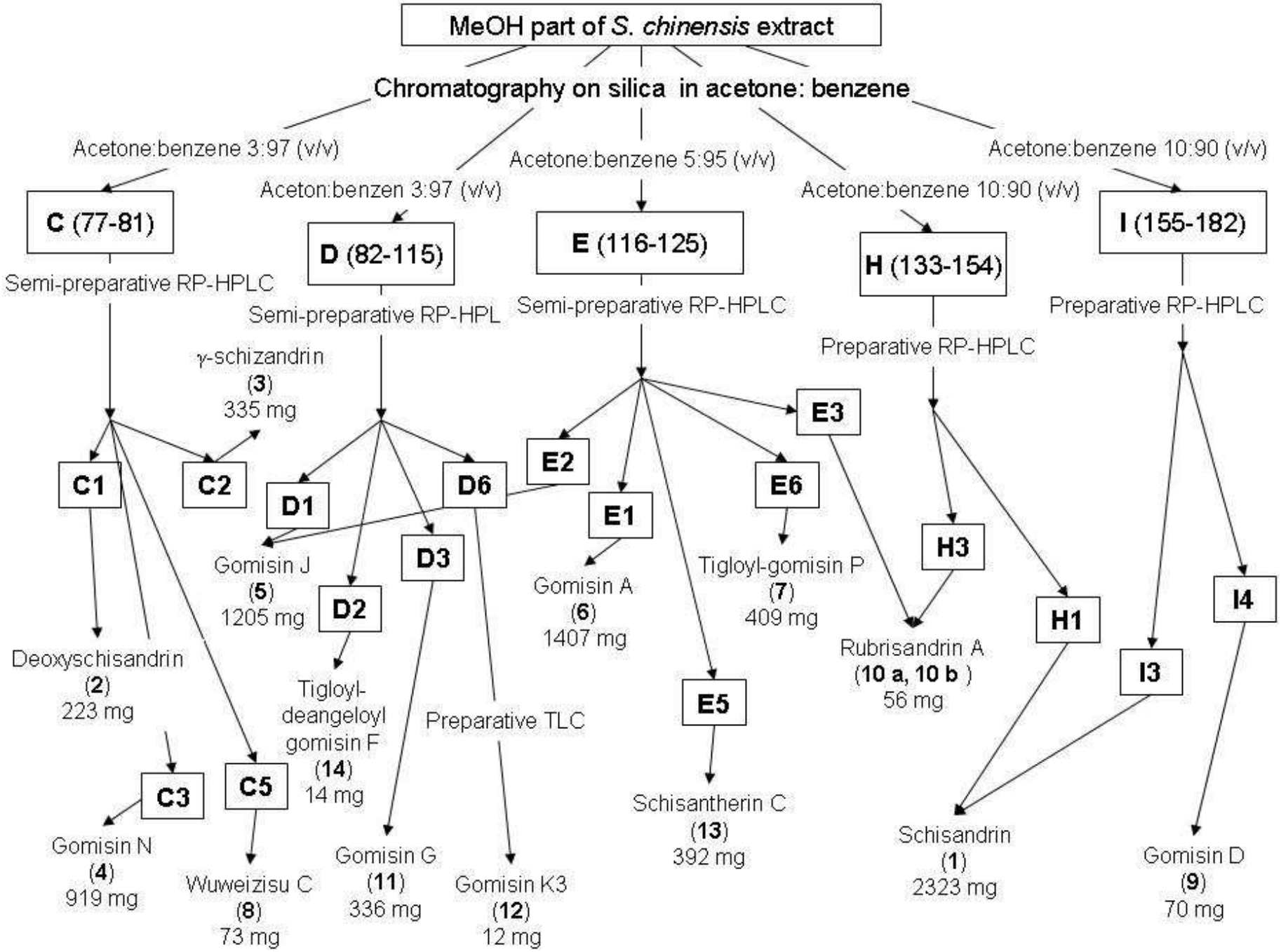
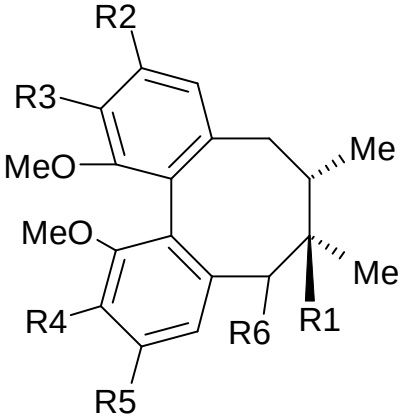
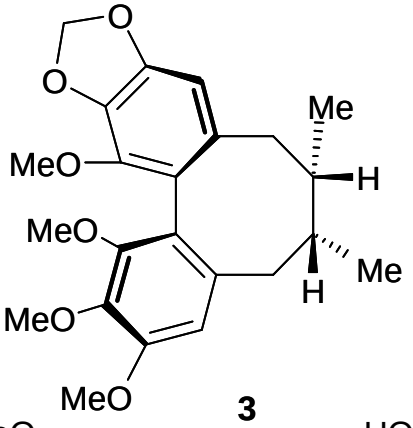

MeOH part of S. chinensis extract
Chromatography on silica in acetone: benzene
Acetone:benzene 3:97 (v/v)
Aceton:benzen 3:97 (v/v)
Acetone:benzene 5:95 (v/v)
Acetone:benzene 10:90 (v/v)
Acetone:benzene 10:90 (v/v)
C (77-81)
D (82-115)
E (116-125)
H (133-154)
I (155-182)
Semi-preparative RP-HPLC
Semi-preparative RP-HPL
Semi-preparative RP-HPLC
Preparative RP-HPLC
Preparative RP-HPLC
γ-schizandrin
(3)
335 mg
C1
C2
C3
C5
D1
D2
D3
D6
E1
E2
E3
E5
E6
H1
H3
I3
I4
Gomisin J
(5)
1205 mg
Gomisin A
(6)
1407 mg
Tigloyl-gomisin P
(7)
409 mg
Deoxyschisandrin
(2)
223 mg
Tigloyl-
deangeloyl
gomisin F
(14)
14 mg
Preparative TLC
Rubrisandrin A
(10 a, 10 b)
56 mg
Schisantherin C
(13)
392 mg
Gomisin N
(4)
919 mg
Wuweizisu C
(8)
73 mg
Gomisin G
(11)
336 mg
Gomisin K3
(12)
12 mg
Schisandrin
(1)
2323 mg
Gomisin D
(9)
70 mg





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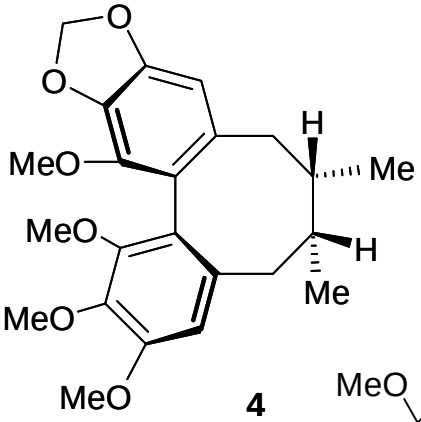

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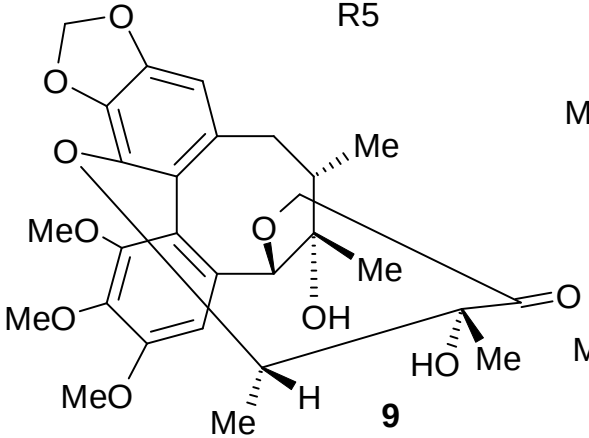

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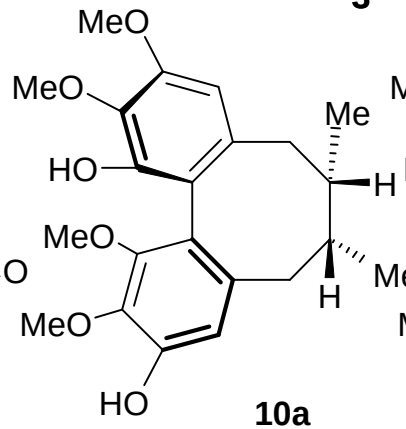
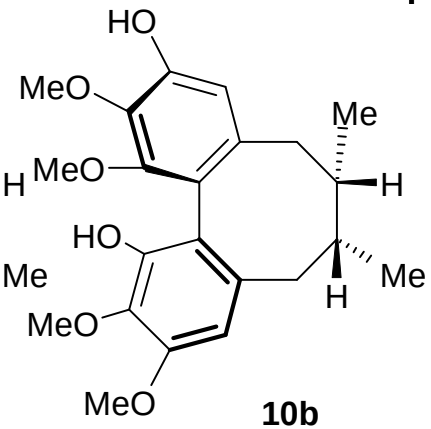


10a



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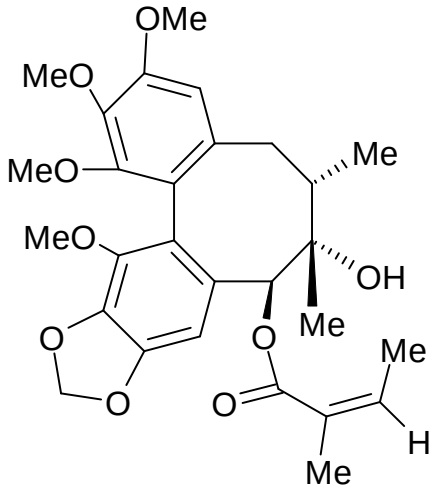

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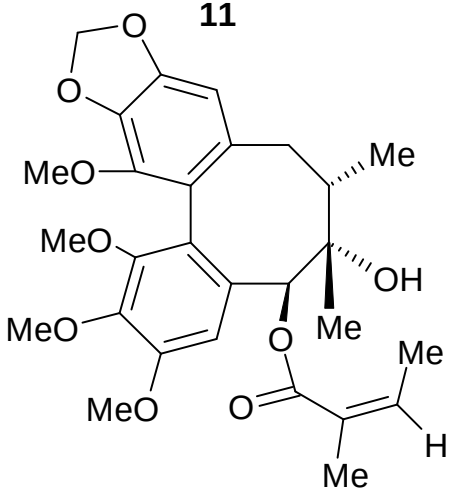
	R1	R2	R3	R4	R5	R6
1	OH	OMe	OMe	OMe	OMe	H
2	H	OMe	OMe	OMe	OMe	OMe
5	H	H	OMe	OMe	H	H
6	OH	-O-CH_2-O-		OMe	OMe	H
7	OH	-O-CH_2-O-		OMe	OMe	tigloyl
8	H	-O-CH_2-O-		-O-CH_2-O-		H



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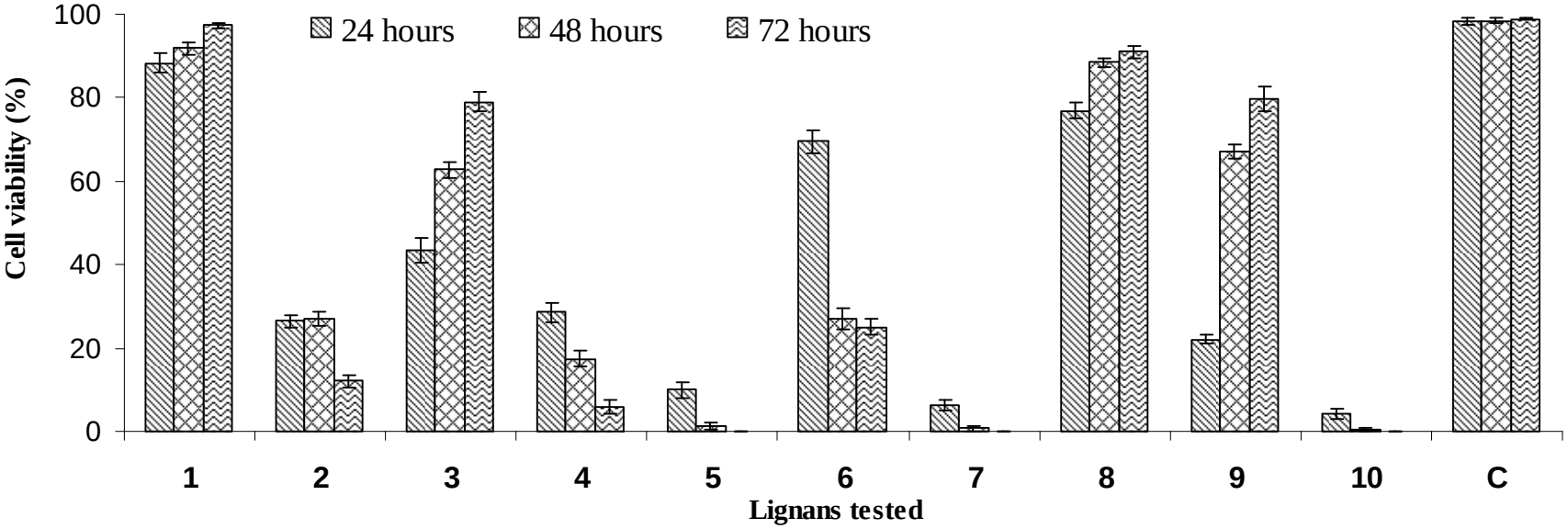
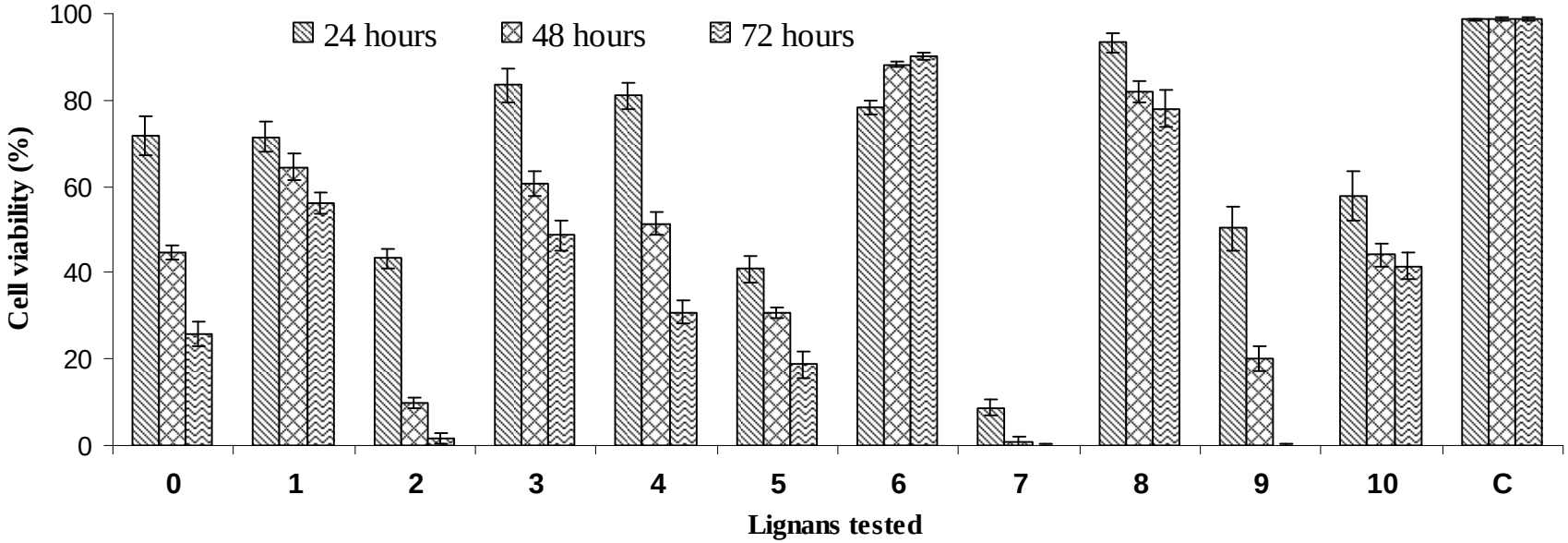
	LoVo	BY-2	Apoptotic changes after 24 hours [%]				Mitotic changes After 48 hours [%]		
	IC_{50} [µg/mL]	**Viability at 250 µM** [%]	**Irregular nuclei**	**Chromatine condensation**	**Apoptotic bodies**	**Micronuclei**	**Total mitotic index**	**Irregular mitosis**	**Dead cells in mitosis**
1	84.4	97.3	7.5	8	2.1	0	7.8	5	10
2	35.5	12.0	7.1	13.1	7.4	3.5	9.6	4.5	32.5
3	-	79.1	4.1	2.7	2.1	1.2	11.2	21	12.5
4	-	6.1	5.1	4.1	1.7	0.5	8.7	1	81
5	-	0	5.7	10.	8.3	13.2	1	70	80
6	45.4	25.1	6.1	3.1	2	1.5	3.2	25	50
7	34.1	0	3.4	12.4	4.8	15.4	1.2	50	75
8	27.6	91.8	2.1	1.2	1	0	7.5	0	10
9	102.4	80.1	1.5	2.4	1.6	0	8	5	0
10	-	0	7.1	10.2	0.4	2.3	2.1	0	100
13	64.5	-	-	-	-	-	-	-	-
C	-	98.8	0.2	0.1	0	0	7.9	0	0
P	8.2	-	-	-	-	-	-	-	-

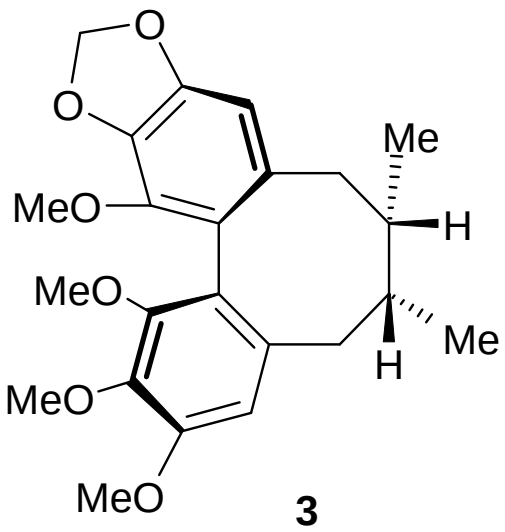


Cytotoxic effect of *S. chinensis lignans* (**1-10**) on BY-2 cells after 24, 48 and 72 hours of treatment; compounds at 250 µM concentration. **C** represents control (DMSO).



Cytotoxic effect of *S. chinensis* lignans (**1-10**) on BY-2 cells after 24, 48 and 72 hours of treatment; compounds at 250 µM Concentration with 50 µM camptothecin. **C** represents control (DMSO), **0** is camptothecin alone at 50 µM concentration.

- **Buněčné linie**
  - 2008
  - LoVo
- **Antiproliferativní aktivita**
  - MTT
- **Apoptotická aktivita**
  - Annexin V
    - Exkrece fosfatidylserinu během časné fáze apoptózy
  - Propidium Iodide
    - Rozlišení apoptotických a nekrotických buněk
- **Test membránového mitochondriálního potenciálu**
  - Rhodamin 123
- **Analýza buněčného cyklu**
  - Propidium Iodide
  - Průtoková cytometrie
- **Western blot**
  - Interakce s cyklinem B1
  - Interakce s tubulinem
- **Analýza ovlivnění mitózy**
  - Monoclonálníprotilátky proti acetylovaném tubulinu
  - Fluorescenční značení
  - Propidium Iodide



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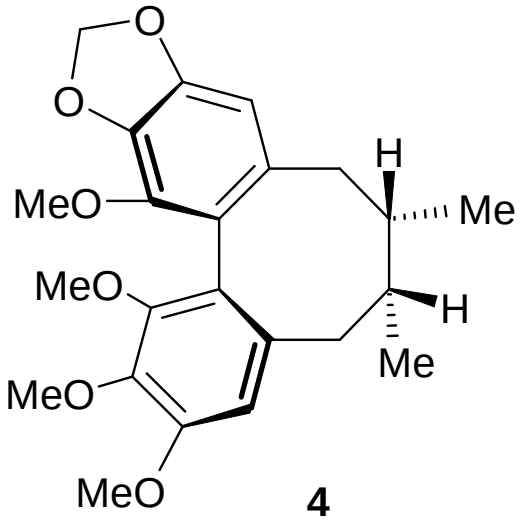

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- Syntetické radikály
  - $DPPH^{\bullet}$
  - $ABTS^{+\bullet}$
- Hydroxylový radikál
  - Inhibice Fentonovy reakce
- Peroxonitrit
  - Inhibice nitrace tyrosinu
- *In vivo* antidiabetická aktivita
  - Alloxanem vyvolané poškození pankreatu na myších

Compound	Antioxidative activity expressed as TEAC			
	DPPH$^{\bullet}$	**ABTS$^{\bullet+}$**	**Fenton reaction inhibition**	**Tyrosine nitration inhibition**
1	0.0108	0.0038	0.0060	0.016
2	0.0067	0.0044	-	0.047
3	0.0083	0.0095	0.0179	0.048
4	0.0025	-	0.0095	0.017
5	0.0160	0.0214	0.0145	0.765
6	0.0040	0.0031	0.0090	0.016
7	0.0046	0.0152	0.0158	-
8	0.0036	0.0035	0.0083	0.027
9	0.1096	-	0.0159	0.791
10	-	-	-	0.046
11	0.0073	-	0.0170	0.132
12	0.0739	-	0.0748	0.315
13	0.0002	-	0.0115	-
14	-	-	0.0134	-

- Karel Šmejkal, Tereza Šlapetová, Pavel Krmenčík, Renata Kubínová, Pavel Suchý, Stefano Dall´Acqua, Gabbriella Innocenti, Ján Vančo, Karolína Kalvarová, Margita Dvorská, Jiří Slanina, Eva Kramářová, Jan Muselík and Milan Žemlička. Evaluation of the Antiradical Activity of Schisandra Chinensis Lignans Using Different Experimental Models. Molecules 2010, 15, 1223-1231.

- Smejkal K, Slapetová T, Krmenčík P, Babula P, Dall'Acqua S, Innocenti G, Vančo J, Casarin E, Carrara M, Kalvarová K, Dvorská M, Slanina J, Kramářová E, Julínek O, Urbanová M. Evaluation of cytotoxic activity of Schisandra chinensis lignans. Planta Med. 2010 Oct;76(15):1672-7.

- Elisabetta Casarin, Karel Šmejkal, Tereza Šlapetová, Milan Žemlička, Stefano Dall’Acqua, Gabbriella Innocenti, Maria Carrara. Molecular Mechanisms of Antiproliferative Effects Induced by *Schisandra*-derived Dibenzocyclooctadiene Lignans (+)-deoxyschisandrin and (-)-gomisin N in Human Tumour Cell Lines. Odesláno do Cancer Letters.

Spolupráce a poděkování

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