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Inhibitory effect of acetylshikonin from Lithospermum erythrorhizon on LDL oxidation and FPTase activity

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Objectives

This research was conducted to isolate natural pigments from root of *Lithospermum erythrorhizon* and evaluate their biological activities. We report here inhibitory effect of acetylshikonin from hexane extract of *L. erythrorhizon* on LDL oxidation and FPTase.

Materials and Methods

- ○ Plant material : The roots of *L. erythrorhizon*
- ○ Isolation, purification and identification of compounds

  Compounds were isolated by silica gel column chromatography and their structures were identified using spectrometric techniques such as MS and NMR.
- ○ Biological activity

- Inhibitory effect on human LDL oxidation : Cu^{2+}-induced oxidation of LDL, TBARS assay
- Inhibitory effect on FPTase : Farnesyl-protein transferase (FPTase), Scintilation Proximity Assay

Results and Discussion

Acetylshikonin was isolated from the hexane extract of roots of *L. erythrorhizon* by silica gel column chromatography. The structure was determined by spectrometric techniques such as MS and NMR. Acetylshikonin inhibited strongly Cu^{2+}-induced oxidation of human LDL (IC_{50} = 8.79 μM), suggesting that acetylshikonin may be effective for the prevention and treatment of atherosclerosis. Acetylshikonin inhibited effectively FPTase activity (IC_{50} = 23.37 μM) as well, indicating its anticancer activity.

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L. erythrorhizon (root, 200 g)

| Extraction by Hexane × 3

Hexane ext.(5 g)

| SiO_2 column chromatography
(Hexane:EtOAc=30:1→→1:1)

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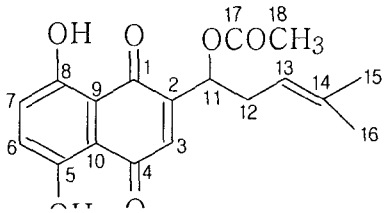
acetylshikonin

Scheme. Isolation and purification of acetylshikonin from the roots of *L. erythrorhizon*

Table. NMR spectra data of acetylshikonin

Carbon	δ_C	δ_H (J in Hz)
1	176.68	-
2	148.19	-
3	131.44	7.05 s
4	178.19	-
5	167.45	-
6[a]	132.85	7.24 s
7[a]	132.69	7.24 s
8	166.92	-
9[b]	111.80	-
10[b]	111.54	-
11	69.50	6.08 m
12	32.81	2.66 m(Ha), 2.53 m(Hb)
13	117.65	-
14	136.09	5.18 m
15	17.92	1.64 s
16	25.74	1.75 s
17	169.74	-
18	20.95	2.20 s



acetylshikonin

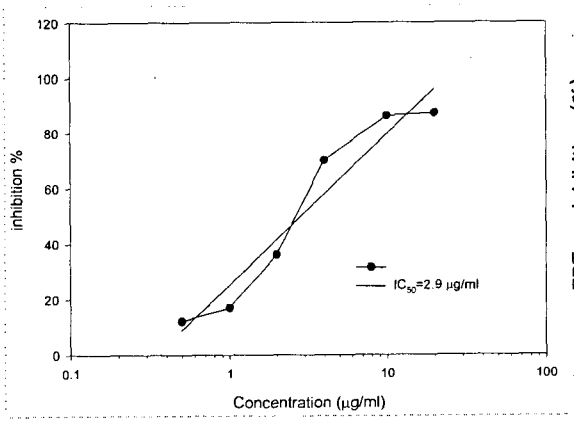


Fig. Inhibitory effect of acetylshikonin on FPTase.

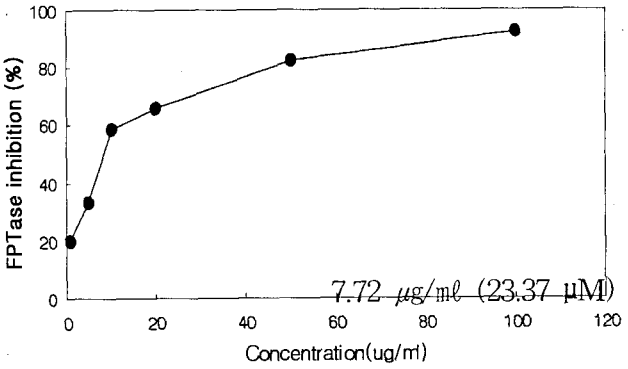


Fig. Inhibitory effect of acetylshikonin on Cu^{2+}-induced LDL oxidation.