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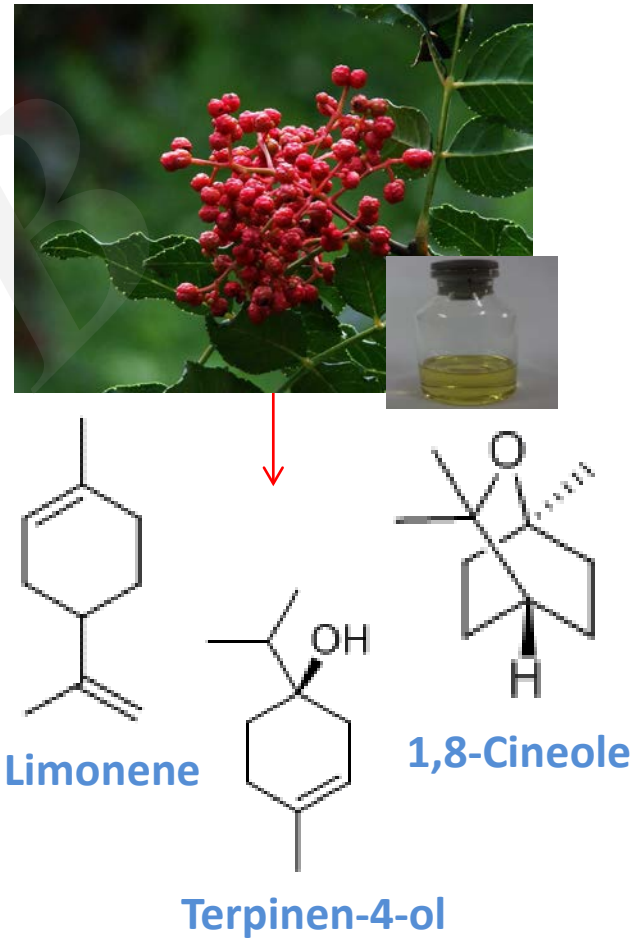
Essential oil from *Zanthoxylum bungeanum* Maxim. and its main components used as transdermal penetration enhancers: a comparative study

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Key words: *Zanthoxylum bungeanum* Maxim., Essential oil, Limonene, Penetration enhancer, HaCaT, Fourier transform infrared spectroscopy (FTIR)

Introduction

- Our previous studies have also verified that the essential oil from *Zanthoxylum bungeanum* Maxim. (*Z. bungeanum* oil) can effectively promote the percutaneous permeation of two hydrophilic and lipophilic model drugs, and mainly consisted of high contents of oxygenated monoterpenes and monoterpene hydrocarbons, and the major compounds were terpinen-4-ol (18.42%), 1,8-Cineole (15.49%), limonene (7.47%), α-terpineol (5.79%), γ-terpinene (5.62%), terpinyl acetate (4.62%) and linalool (4.55%) based on the GC-MS analysis.
- It has not been reported whether the satisfactory performance in enhancing the permeation of drugs across the skin can be achieved through using the essential oil or only one of the main oil contributors.

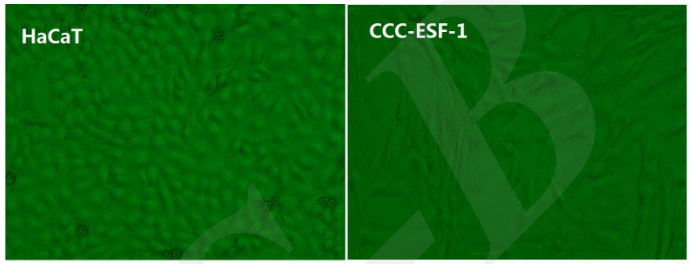


Thus, a series of active components with a wide range of lipophilicity, including the extremely lipophilic and hydrophilic drugs, was selected from the TCM external preparations, in an attempt to investigate the permeation enhancement activities of *Z. bungeanum* oil and the main oil contributors.

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Main contents

Toxicity of selected enhancers in skin cells

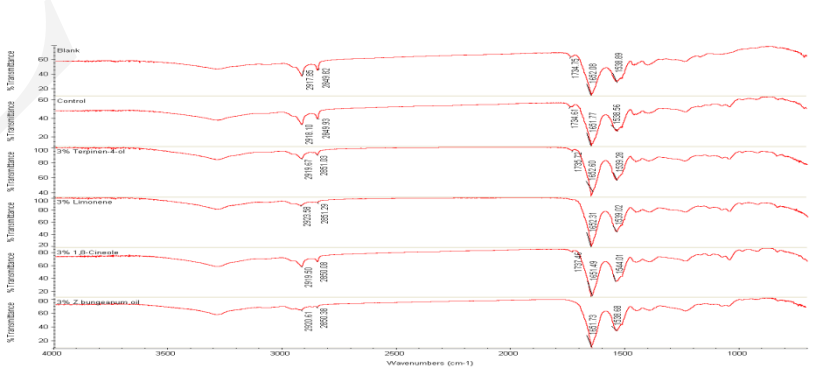


Enhancement activity-Skin permeation studies

Table 1 Plant origins and physicochemical parameters of model drugs

Active components	Main plant origins	Parameters			
		$\log K_{o/w}$ [a] at 32°C	MW [b] (g mol^{-1})	Solubility in water at 32 °C (mg/ml)	pKa [c]
Osthole	Cnidii fructus (蛇床子); Angelicae Pubescentis Radix (独活)	3.85	244.34	1.32×10^{-3}	-
Tetramethylpyrazine	Chuanxiong Rhizoma (川芎)	2.34	136.20	4.72	3.20
Ferulic acid	Angelicae Sinensis Radix (当归); Cimicifugae Rhizoma (升麻)	1.26	194.18	0.54	4.58
Puerarin	Puerariae Lobatae Radix (葛根)	-0.35	432.38	3.64	6.46
Geniposide	Gardeniae Fructus (栀子); Eucommiae Cortex (杜仲)	-1.01	388.37	481.87	12.80

Possible action mechanisms



Conclusions

- The *Z. bungeanum* oil exhibited lower toxicities in both HaCaT keratinocytes and CCC-ESF-1 fibroblasts compared with three studied terpene compounds.
- The skin permeation studies revealed the enhancement permeation capacities by all examined penetration enhancers in the following increasing order: terpinene-4-ol ≈ 1,8-cineole < limonene < *Z. bungeanum* oil. Meanwhile, the *Z. bungeanum* oil displayed a higher capacity for the transdermal permeation of highly hydrophilic drugs, and limonene could achieve the optimum permeation effect for moderate lipophilic drugs.
- The results of FTIR and saturation solubility studies indicated that these enhancers promoted the percutaneous absorption of drugs mainly by affecting the SC lipids, and the increased percutaneous permeation of lipophilic drugs partially resulted from the alterations of drug thermodynamic activities due to the lipophilic properties of these penetration enhancers.

These results indicated that *Z. bungeanum* oil exhibited better performance in enhancing the skin permeation of active components in TCM preparations