

# DEVELOPMENT AND EVALUATION OF VESICULAR DELIVERY SYSTEM

## FOR EFFECTIVE DELIVERY OF BOSWELIC ACID THROUGH SKIN

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### INTRODUCTION

Boswellic acids (BAs) are the major triterpenoids of the acid fraction of oleo-gum resin of *Boswellia serrata* family Burseraceae.

The boswellic acid has been found to have following activities:

1. Anti-inflammatory
2. Hypolipidemic
3. Anticancer
4. Antiasthmatic
5. Ulcerative colitis

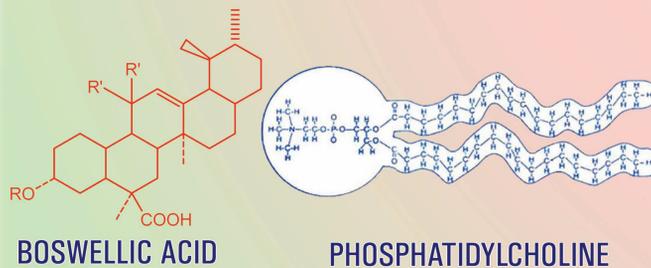


### PROBLEMS WITH BA

Preliminary pharmacokinetic studies revealed poor bio-availability of boswellic acid. Because boswellic acids are steroidal (lipophilic) in nature and not solubilises into the intestinal fluid, limiting the systemic availability. Due to poor absorption, it requires high doses in the form of extract to be used as an anti-inflammatory agent.

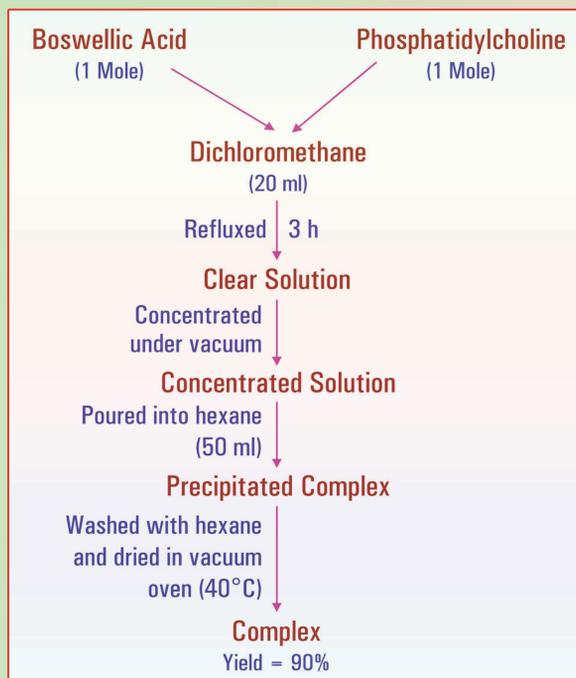
### STRATEGY TO IMPROVE ABSORPTION

By combining the emulsifying action of the phospholipids, with the standardized botanical extracts, the drug-phospholipids complex provides dramatically enhanced bioavailability and improved pharmacokinetics. Looking into the poor bioavailability of boswellic acid, it's complexation with phosphatidylcholine was allowed with a view to obtain increased absorption through skin.



### EXPERIMENTAL & RESULTS

#### Preparation of Complex :



#### Characterization of Complex :

1. **Melting Point :** Boswellic acid – 228-232°C  
Complex – 114-118°C

2. **Solubility Studies :**

S.No.	SOLVENT	BA	PC	BA-PC COMPLEX
1.	Water	Insoluble	Forms micelle solution	Forms micellar solution
2.	Methanol	Soluble	Soluble	Partially soluble
3.	Hexane	Soluble	Soluble	Insoluble
4.	Dichloromethane	Soluble	Soluble	Soluble

3. **TLC :**

Solvent system:

n-Hexane : Chloroform :  
Methanol (5:5:0.5)

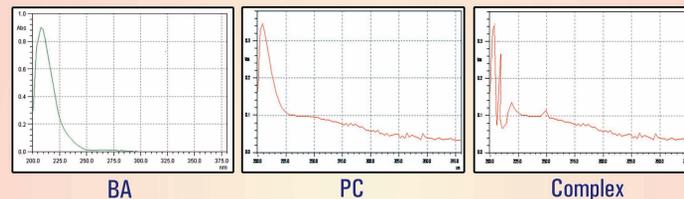
Spraying reagent:

10% methanolic sulfuric acid

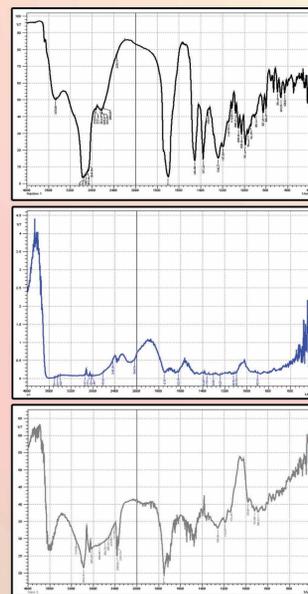
- a) BA    b) PC    c) Complex  
d) Physical mixture of BA and PC



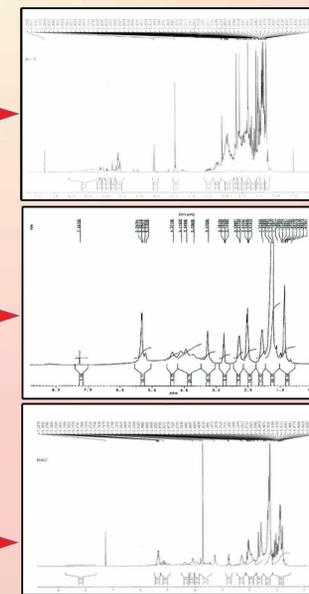
4. **UV Scan :**



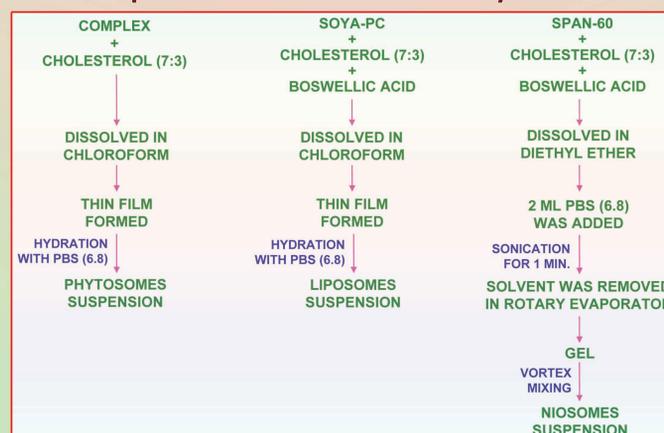
5. **IR :**



6. **NMR :**



#### Preparation of Vesicular Systems



#### TEM



#### Entrapment Efficiency and Vesicle Size :

S.No.	FORMULATION	ENTRAPMENT EFFICIENCY	VESICLE SIZE
1.	PHYTOSOMES	94±0.52%	508±1.23
2.	LIPOSOMES	85±0.36%	324±1.64
3.	NIOSOMES	89±0.14%	246±0.97

Values = Mean ± SD

#### Anti-inflammatory Activity :

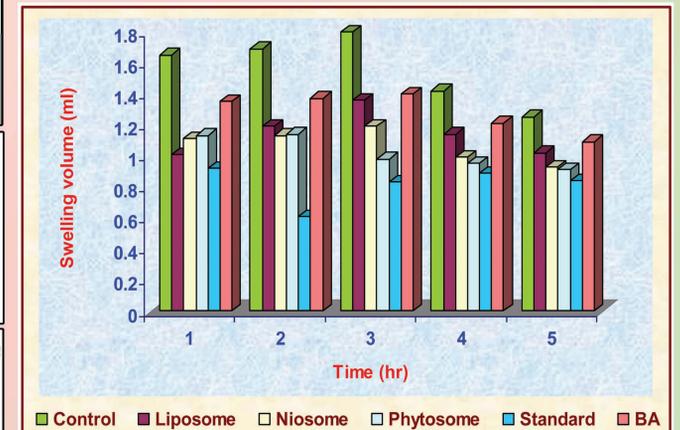
- Group I Carrageenan (1%, 0.1 ml)  
Group II Liposomes gel + Carrageenan  
Group III Niosomes gel + Carrageenan  
Group IV Phytosomes gel + Carrageenan  
Group V Piroxicam gel + Carrageenan  
Group VI BA gel + Carrageenan

#### Comparative efficacy of vesicular formulations in carrageenan induced paw edema

Group	Swelling volume (ml) in hours				
	1	2	3	4	5
Control	1.65±0.02	1.69±0.01	1.80±0.19	1.42±0.007	1.25±0.012
Liposomes	1.01±0.05**	1.19±0.04	1.36±0.03**	1.14±0.05*	1.02±0.04
Niosomes	1.11±0.03**	1.13±0.31*	1.19±0.03**	0.99±0.09**	0.95±0.05
Phytosomes	1.13±0.04**	1.14±0.007*	0.98±0.06**	0.91±0.04**	0.86±0.05**
Standard	0.92±0.04**	0.61±0.10**	0.83±0.03**	0.89±0.03**	0.84±0.03*
Boswellic acid	1.35±0.10**	1.37±0.03**	1.40±0.12**	1.21±0.07**	1.09±0.04**

All values are expressed as mean ± S.E.M, n=6

P\* < 0.05; P\*\* < 0.01 Considered significant as compared to control



### DISCUSSION & CONCLUSION

The present investigations were undertaken with a view to improve absorption of boswellic acid for better therapeutic action. Amphiphilic complex of boswellic acid with phosphatidyl choline was attempted and delivery system was developed. Among all the vesicular systems the phytosomes showed maximum anti-inflammatory activity. The activity order was found as:

Phytosomes > Niosomes > Liposomes > BA

The results obtained ascertain the superiority of BA-PC complex in terms of better absorption, enhanced bio-availability and better therapeutic action.

### REFERENCES

1. Pifferi G, Anzaghi P, Stefli R, US Patent 0,116,386 (17 June 2004).
2. Gabetta B, Bombardelli E, Pifferi G, US Patent 4,764,508 (16 Aug 1988).