Research Article

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FORMULATION DEVELOPMENT AND PRELIMINARY PHYSICO-CHEMICAL CHARACTERIZATION OF KUSHTHA TAILA

Pankaj Goriya[1]*, Sagar Dhwani H[2], Galib R[3], V.J. Shukla[4], Prajapati P.K.[5]

[1]M. Pharm (Ayu) Scholar, Department of Rasashastra and Bhaishajya Kalpana, Institute for Post Graduate Teaching and Research in Ayurveda, Gujarat Ayurved University, Jamnagar, India

[2]Head, Laboratory of Microbiology, Indian Institute of Ayurvedic Pharmaceutical Science, Gujarat Ayurved University, Jamnagar, India

[3]Assistant Professor, Department of Rasashastra and Bhaishajya Kalpana, Institute for Post Graduate Teaching and Research in Ayurveda, Gujarat Ayurved University, Jamnagar, India

[4]Head, Pharmaceutical Chemistry Laboratory, Institute for Post Graduate Teaching and Research in Ayurveda, Gujarat Ayurved University, Jamnagar, India

[5]Professor, Department of Rasashastra and Bhaishajya Kalpana, Institute for Post Graduate Teaching and Research in Ayurveda, Gujarat Ayurved University, Jamnagar, India

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***Corresponding author**

Pankaj Goriya D., M. Pharma (Ayu) Scholar, Dept. of Rasa Shastra & Bhaishajya Kalpana, Institute for Post Graduate Teaching & Research in Ayurveda, Gujarat Ayurveda University, Jamnagar - 361 008 Gujarat, India E-mail: goriyapankaj222@gmail.com

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ABSTRACT

Importance of topical formulations in therapeutics has been noticed by the ancient seers. In suitable cases, they preferred topical medicaments along with internal medicaments. Kushtha taila is one such formulations of topical application advocated to be applied after incision of umbilical cord. Though the formulation is useful; its form poses certain inconveniences. Considering them, attempts were made to convert the oil form into certain conventional forms and develop their preliminary physico-chemical profiles. The raw material was procured from the Pharmacy, Gujarat Ayurved University and the excipients were purchased from Janak formulabs, Vatava, GIDC, Ahmadabad, India. Experiments were carried-out by following standard methods. Gel form was found to be more convenient in comparison to other conventional forms. All forms were found to possess anti-microbial properties. As these conventional forms are non-irritant, possess antibacterial and antifungal activities and are comparable to that of the standard drugs; the conventional forms (preferably gel) can replace the classical oil form. The therapeutic potential of such formulations may motivate researchers for further exploitation for their commercial viability.

Keywords: Aerosil, Anti-Microbial, Ayurveda, Gel, Kushtha, Spreadability, Topical application

INTRODUCTION

Significance of topical applications in therapeutics has been well emphasized in classical texts of Ayurveda. Lepa[1], Upanaha[2], Pralepa[3], Pradeha[3] etc. are the few forms of topical applications explained in classics of Ayurveda. Formulations under these categories are advocated to be added with suitable liquids such as Ksira (milk) or Ghrita (clarified butter) or Madhu (honey) etc. to make their consistency suitable for application. In addition to these formulations, many medicated oils were also mentioned in classics that have been advocated to be used externally. Kustha taila[4] one of such medicated oils is advocated to be applied after Nabhi Kartana (cutting of umbilical cord).[5] The sesquiterpene lactone costunolide isolated from Kustha (*Saussurea lappa*) was found to exert anti-angiogenic effect, selectively inhibiting endothelial cell proliferation induced by Vascular Endothelial Growth Factor (VEGF) *in vitro*. Costunolide also found to inhibit VEGF of human umbilical vein endothelial cells in a dose dependent manner. Researchers hypothesized that costunolide might inhibit angiogenesis by blocking the angiogenic factor signaling pathway.[6] Though this oil form is beneficial; it poses certain inconveniencies while application, handling, packaging and transportation etc. Hence, an attempt has been made to convert the dosage form into Gel, cream, ointment, on trial and error basis for better acceptability and compliance. Further, attempts were made to evaluate preliminary physico-chemical profiles and anti-microbial properties of the conventional forms.

MATERIALS AND METHODS

Procurement of raw materials

Kustha (*Saussurea lappa* C. B. Clarke) and Tila Taila (Sesame Oil) were procured from Pharmacy, Gujarat Ayurved University, Jamnagar, India. Needful excipients were purchased from Janak formulabs, Vatava, GIDC, Ahmadabad, India. Potable water was used, wherever needed.

Preparation of Kushtha Taila

The formulation composition is provided at Table 1. Tila Taila was taken in a stainless steel vessel and heated over mild flame (75^0C) till complete evaporation of moisture, kalka (paste) was added in increments, followed by addition of kwatha (decoction). Heating was continued maintaining the temperature around 100^0C with continuous stirring for the next 8 hours. The contents were left undisturbed over the night and heating was continued on the next day for another 8 hours. Heating was continued on the third day till sneha siddhi lakshanas[7] (characteristic end points of medicated oil preparations) were observed. The contents were removed from fire on observation of these symptoms and filtered through clean

cotton cloth while hot. This processed oil (Kushtha Taila) was stored in a glass jar after cooling.

Preparation of Gel

Formulation composition is depicted at Table 2. Exact reference for oil based gel formulation is not reported earlier and on trial and error basis, the form was developed. Kushtha Taila of required quantity was taken in a mortar, added with aerosil (thickening agent) in specified proportion. The contents were homogenized by the action of stirrer. Stirring was continued till desired consistency of product was obtained and stored in air tight containers.

Preparation of Cream

Formulation composition is depicted at Table 2. The contents of oil phase i.e. Kushtha Taila, Pola wax and Liquid paraffin were collected in an evaporating dish, heated on water-bath at around 65^0C with continues stirring. Simultaneously, other ingredients of aqueous phase i.e. Glycerin, Carbopol 940, and Potable water were collected in another evaporating dish, heated at 65^0C on water-bath. On complete melting, both the phases were shifted into the mortar and Tri ethanol amine (TEA) was added in increments with continuous stirring maintaining temperature around 65^0C. On cooling to 30-40^0C, Methyl and Propyl Paraben were added, stirred thoroughly to obtain uniform cream. The finished product was stored in air tight containers.[8]

Preparation of Ointment

Formulation composition is depicted at Table 2. The contents of oil phase i.e. Kushtha Taila, Emulsifying wax, Liquid paraffin, and White soft paraffin were collected in an evaporating dish, heated on water-bath at around 65^0C with continuous stirring. Simultaneously, potable water in another evaporating dish was heated to 65^0C on water-bath. Both phases were shifted and stirred continuously in a mortar maintaining temperature around 65^0C. On cooling to 30-40^0C, Methyl and Propyl Paraben were added, stirred thoroughly to obtain uniform ointment. The finished product was stored in air tight containers.[9]

Skin irritation

This was done to find out the possibilities of developing skin irritation or other adverse reactions by topical application of processed oil and its conventional forms. They were applied over the healthy skin of the volunteers regularly for a week. The medicament was allowed to be in contact with the skin at least for 15 minutes and allowed to washout afterwards. The skin was observed for the symptoms of inflammation or any other adverse reactions.[10]

Physico-chemical profiles

Organoleptic characters including Rupa (colour), Gandha (odour) and Sparsha (touch / consistency / texture) of the formulations were noted. Spreadability,[11,12] spreading co-efficiency and HPTLC[13] profiles of conventional forms were developed.

HPTLC Study

For the HPTLC study, hexane soluble extracts were prepared and labeled as track 1-3. The HPTLC conditions are as below:

Stationary phase

Silica Gel - G pre-coated plates.

Mobile phase

Hexane : Di-ethyl ether : Methanol (7 : 1.5 : 1.5)

Visualization

Under short (254 nm) and long (366 nm) U.V.

Antimicrobial activity

Agar Diffusion Method (Cup-late Method)

The antimicrobial activity of different concentrations of processed oil, gel, and cream against *Escherichia coli* (ATCC 10531), *Staphylococcus aureus* (ATCC 6538) and *Candida albicans* (ATCC 10231) was carried-out by standard agar-diffusion method.[14,15] Plates with aerobic organisms were incubated at 37^0C for 24 h under aerobic conditions. Replicator device was used to inoculate multiple specimens on to a series of plates with varying concentration of antibiotics.[16,17] Ampicillin for antibacterial study and Amphoterecin-B for antifungal activity were used as standard drugs for comparison.

RESULTS

Final yield processed oil and its conventional forms are cited in Table 3 Figure 1, 2 and 3, while the organoleptic characters are cited in Table 4. Spreadability, spreading co-efficiency, HPTLC profiles, and anti-microbial activity of the conventional forms is placed at Table 5-8 and Figure 4, 5, 6 and 7. Skin irritation test revealed that neither the processed oil nor its conventional forms caused any irritation, or inflammation at the site of applications. Zone of inhibition (ZoI) of oil at 400 and 450 µl was found to be higher than the standard drug (amphoterecin) against *Candida albicans*. While, gel and cream forms also showed activities nearer to the standard drug. This shows that the formulations in all the three forms have a good anti-fungal activity. Gel form shown better activity nearer to the standard drug (ampicillin) against *Escherichia coli* at 350 µl. This form (Gel) was also shown similar activity against *Staphylococcus aureus* at 450 µl. This shows that conventional gel form of the oil has anti-bacterial activity. (Table 7, 8 and Figure 8)

DISCUSSION

Kushtha Taila was prepared by following by classical principles of Ayurveda and was converted into gel, cream, and ointment. Temperature should never exceed 70^0C while preparing cream and ointment. Increased temperature will not yield a good quality product. Number of excipients used while preparing the conventional forms is minimum in gel. Spreadability of ointment is highest (108 cm^2) than cream (88 cm^2) and gel (58 cm^2). Spreading co-efficiency of ointment was also highest (0.116 cm^2/mg) than cream (0.176 cm^2/mg) and gel (0.216 cm^2/mg). A band (R_f 0.39) corresponding to costunolide is referred at ICMR database vol - 4 for Kushtha.[18] Possibly, the R_f ranges in between 0.31 to 0.39 observed in the current studies of different samples represent costunolide.

Table 1: Composition of Kushtha Taila

	Ingredients		Proportion	Quantity taken
1	Kushtha Kalka	Paste of Kustha	1/6th	166 g
2	Tila Taila	Sesame oil	1	1000 ml
3	Kushtha Kwatha	Decoction of Kustha	4	4000 ml

Table 2: Composition of conventional forms of Kushtha Taila

Ingredients	Quantity in gm					
	Gel		Cream		Ointment	
	%	g/ml	%	g/ml	%	g/ml
Kushtha Taila	94	47	30	15	30	15
Aerosil	6	3	--	--	--	--
Pola wax	--	--	10	5	--	--
Liquid Paraffin	--	--	6	3	10	5
Carbopol 940	--	--	0.2	0.1	--	--
Glycerine	--	--	10	5	--	--
Tri Ethanol Amine (TEA)	--	--	0.2	0.1	--	--
Emulsifying wax	--	--	--	--	12	6
White soft paraffin	--	--	--	--	20	10
Methyl paraben	--	--	0.1	0.05	0.1	0.05
Propyl paraben	--	--	0.1	0.05	0.1	0.05
Potable Water	--	--	42	21	32	16

Table 3: Final yield of prepared oil and its conventional forms of topical application

	Oil	Gel	Cream	Ointment
Initial drug weight	1000 ml	50 g	49	50 g
Final drug weight	990 ml	47 g	41 g	46.33 g
Loss	10 ml	3 g	8 g	3.66 g
% Loss	1%	6 %	16.32 %	7.32 %
Reason for loss	Remaining in Kalka / Sticking to vessel	Sticking to the vessel		

Table 4: Organoleptic characters of formulations

Parameters	Gel	Cream	Ointment
Color	Dark brown	Dull creamish	Creamish white
Odor	Aromatic, Characteristic	Aromatic, Characteristic	Aromatic, Characteristic
Touch	Greasy	Greasy	Greasy
Consistency	Smooth, soft, Homogenous	Smooth, soft, homogenous, paste	Smooth, soft, Homogenous
Texture	Smooth, Gelly, Translucent, Non gritty	Smooth, Creamy, Thick, Non-gritty	Smooth, Non-gritty

Table 5: Spreadability and Spreading co-efficiency of the conventional forms

Parameters	Gel	Cream	Ointment
Spreadability	58 cm^2	88 cm^2	108 cm^2
Spreading co-efficient	0.116 cm^2/mg	0.176 cm^2/mg	0.216 cm^2/mg

Table 6: HPTLC profile of the conventional forms

	Processed oil		Gel		Cream		Ointment	
Visualizing condition	**254 nm**	**366 nm**	**254 nm**	**366 nm**	**254 nm**	**366 nm**	**254 nm**	**366 nm**
No. of spots	9	1	10	1	3	1	6	1
Max R_f value	0.02, 0.05, 0.16, 0.29, 0.37, 0.50, 0.62, 0.69, 0.86	0.02	0.01, 0.23, 0.26, 0.30, 0.38, 0.51, 0.53, 0.64, 0.71, 0.91	0.02	0.01, 0.02, 0.89	0.02	0.02, 0.09, 0.26, 0.34, 0.39, 0.90	0.01

Table 7: Zone of inhibition of the drugs against *Candida albicans*

Strain	Sample	Concentration of drug µl					Average area cover	STD (amphoterecin-B) µl
		200	300	350	400	450		
		Zone of inhibition (mm)						
Candida albicans	Oil	--	--	--	15 mm	15 mm	1.76 cm^2	13.5 mm
	Gel	--	--	--	13 mm	13 mm	1.32 cm^2	
	Cream	--	--	--	12 mm	10 mm	1.13 cm^2	

Table 8: Zone of inhibition of the drugs against bacterial strains

Strain	Sample	Concentration of drug µl					Average area cover	STD (ampicillin) Ml
		200	300	350	400	450		
		Zone of inhibition (mm)						
Escherichia coli (gram –ve)	Oil	--	--	--	8 mm	10 mm	0.50 cm^2	12.6 mm
	Gel	--	9 mm	12 mm	--	--	1.13 cm^2	
	Cream	--	5 mm	6 mm	--	--	0.19 cm^2	
Staphylococcus aureus (gram +ve)	Oil	--	--	--	4 mm	6 mm	0.28 cm^2	15 mm
	Gel	--	--	--	11 mm	14 mm	0.50 cm^2	
	Cream	--	--	--	8 mm	10 mm	1.50 cm^2	

Figure 1: Kushtha Taila Gel

Figure 2: Kushtha Taila Cream

Figure 3: KushthaTaila Ointment

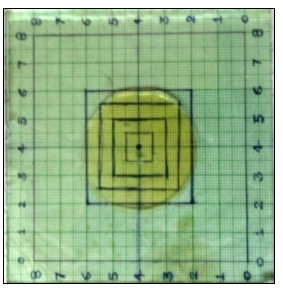

Figure 4: Spreadability of Gel

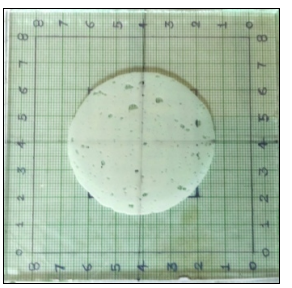

Figure 5: Spreadability of Cream

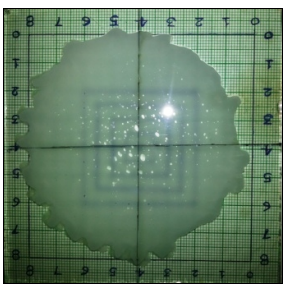

Figure 6: Spreadability of Ointment

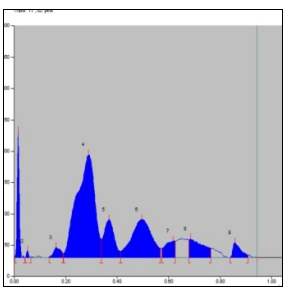

Figure 7: Kushtha Taila at 254 nm

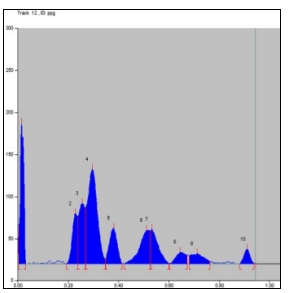

Figure 8: Kushtha Taila gel at 254nm

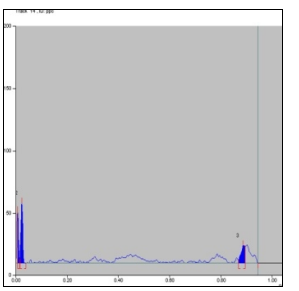

Figure 9: Kushtha Taila cream at 254 nm

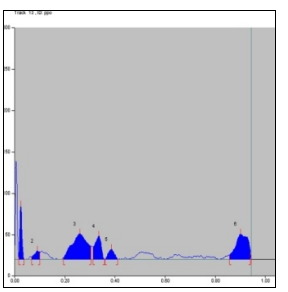

Figure 10: Kushtha Taila ointment at 254 nm

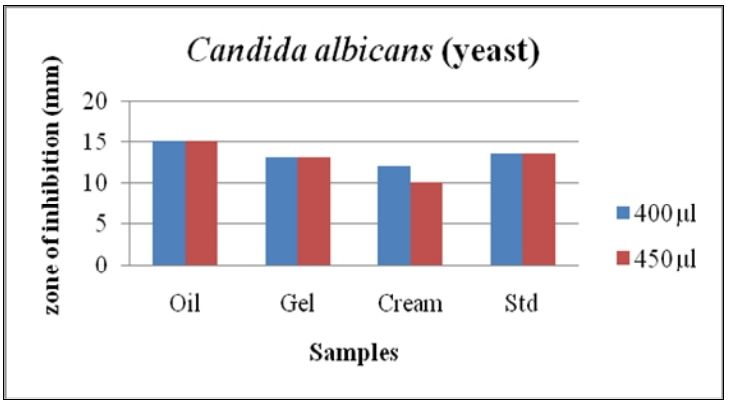

Figure 11: ZOI against *Candida albicans*

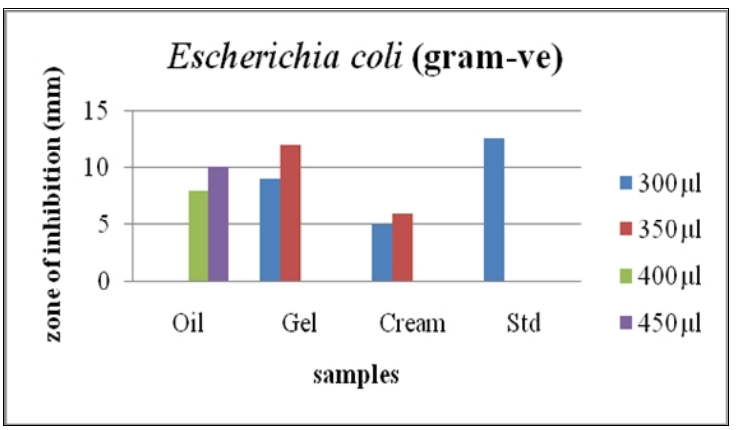

Figure 12: ZOI against *Escherichia coli*

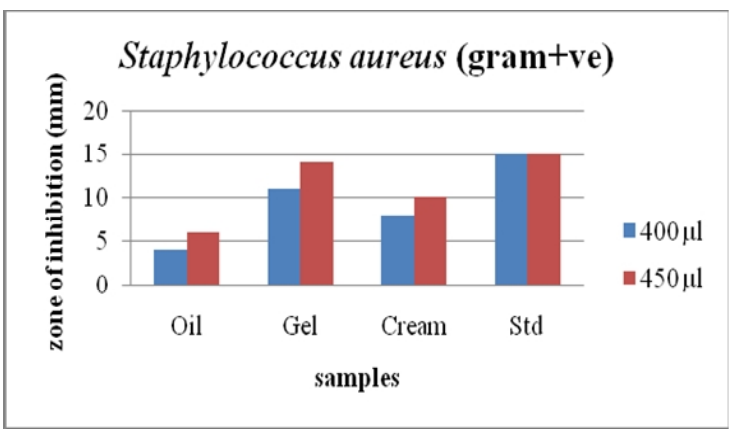

Figure 13: ZOI against *Staphylococcus aureus*

On storage at normal environment at 28^0C in HDPE containers for 60 days, the gel, and cream were found to be stable, while phase separation was observed in ointment. The conventional forms also showed anti-fungal and mild anti-bacterial activity. As this formulation has not been referred in Ayurvedic Formulary of India nor its physico-chemical standards are available in Ayurvedic Pharmacopoeia of India; it is not possible to compare the current observations. In addition, no specific analytical standards were available till date on conventional forms of Kushtha Taila. Hence, the current data can be considered as standard in future studies.

CONCLUSION

Gel formulation containing processed oil and aerosil is easy to prepare and is convenient to apply over the wound. Exact reference for this gel formulation is not reported earlier and on trial and error basis, the form was developed. As these conventional forms are non-irritant, possess antibacterial and antifungal activities and are comparable to that of the standard drugs; the conventional forms (preferably gel) can be replaced the classical oil form. Among all the semisolid formulations prepared, aerosil base was found to be most suitable dermatological base. Considering the maximum percentage of

medicament (94 %), and reasonable spreading co-efficiency (0.116 cm^2/mg); it can be concluded that Gel is most convenient, and acceptable conventional form of processed Kushtha Taila. The form also has elegancy that other bases lack, an important aspect from patient compliance and consumer point of view. The therapeutic potential of such formulations may motivate researchers for further exploitation for their commercial viability.

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