Research Article

INTERNATIONAL JOURNAL OF RESEARCH IN PHARMACY AND CHEMISTRY

Available online at www.ijrpc.com

DOI: https://dx.doi.org/10.33289/IJRPC.17.1.2023.13(4)

EVALUATION OF LOCAL ANESTHETIC ACTIVITY OF CALOTROPIS PROCERA

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ABSTRACT

Calotropis procera belongs to the family Asclepiadaceae is an herb grows throughout the tropics. It is used as antibacterial, anthelmintic andantitumoragent. Also used in the treatment of cough, toothache, jaundice and wound healing agent in colic ulcers. The present study was aimed to evaluate the local anesthetic activity of crude chloroform extract of Calotropisprocera leaves in experimental animal model. Chloroform extract of *Calotropis procera* leaves was tested for local anesthetic action by two methods *i.e.*, nerve block anesthesia and muscle twitch method on frog. The leaves extract of *Calotropis procera* showed local anesthetic activity which is compared with the standard xylocaine. The present study shows that *Calotropis procera* leaves have significant local anesthetic activity.

Keywords: *Calotropis procera*, chloroform extract and local anesthetic activity.

INTRODUCTION

A local anesthetic is a medication that causes absence of pain sensation. When it is used on specific nerve pathways (local anaesthetic nerve block), paralysis (loss of muscle power) also can be achieved. Local anaesthetics have greater affinity for receptors within sodium channels during their activated and inactivated states than when they are in their resting states¹. When the influx of sodium is interrupted, an action potential cannot arise and signal conduction is inhibited. The receptor site is thought to be located at the cytoplasmic (inner) portion of the sodium channel. Local anaesthetic drugs bind more readily to sodium channels in an activated state, thus onset of neuronal blockade is faster in rapidly firing neurons. This is referred to as state-dependent blockade.

Plants belonging to the Asclepiadaceae² family have a wide range of therapeutic activities. The genus *Calotropis*is used in traditional medicine for the treatment of leprosy³, ulcers⁴, tumors, diseases of the spleen, liver and piles. Also it acts as purgative, anthelmintic⁵, anticoagulant, antipyretic, analgesic⁶, antiinflammatory⁷, antimicrobial⁸, anticonvulsant⁹ and as a palliative in problems with respiration and blood pressure. The plant has the highest percentage of cardenolides, viz., uzarigenin, syriogenin, calotropagenin, proceroside, calotropin, calactin, frugoside, coroglaucigenin, corotoxigenin, calotoxin, uscharidin, uscharin, voruscharin, and 3episarmentogenin¹⁰. The present study was undertaken to screen the local anesthetic activity chloroform of extract of Calotropisprocera leaves.

MATERIALS AND METHODS Plant material

The whole plant of *Calotropisprocera* was collected from surrounding area of Gudlavalleru and Gudivada. The plant was identified and authenticated by the Department of Botany, Hindu Collage, Machilipatnam, Krishna district.

Chemicals required

Xylocaine (1:10), Chloroform extract of *Calotropisprocera*, 1N HCI, normal saline.

Experimental animal

Frog (bigger size). The animals are grouped into two, containing 5 animals in each and they were housed at controlled room temperature.

Preparation of plant extract

The fresh leaves were sorted, cleaned and air dried at room temperature. The dried leaves were cut into small pieces and powdered. The powder sample was collected and stored in air and water proof container protected from direct sunlight and heat until used for extraction¹¹. Then 50 gm of coarse powder was extracted with 300 ml of chloroform in percolator extractor repeatedly for 24 hours. The extract was dried at rotary evaporator until free from solvents¹².

Preliminary phytochemical investigation

The yield of chloroform extract was 1.57 gm. Phytochemical parameters had been established based on the methods described in WHO quality control methods for herbal materials¹³.

Evaluation of local anesthetic activity Nerve block anesthesia

Five frogs (150 gm) were decerebrated and the upper part of the spinal cord was destroyed with the help of pithing needle. Abdomen was cut opened and all the abdominal organs were removed, to get a pouch (sac) made of abdominal walls. Then the sciatic nerve was exposed to plant extract.Piece of cotton was immersed in (1:10) xylocaine solution and placed in the abdominal sac of 1st frog. Separate piece of cotton dipped in a leaf extract and placed in the abdominal sac of 2nd frog and the process is continued with all the frogs14.Right and left hind legs were dipped in the beakers containing 1N HCI and normal saline. Sudden leg withdrawal noted before action was and after administration of drug.

Muscles twitch method

The frog is sacrificed by euthanasia and gastronemus sciatic muscle nerve preparation is dissected out from the animal. It was placed in the lucas moist chamber filled with frogs saline. The knee joint was fixed to one cork of the chamber while the muscle tendon was tied to the hook of the lever. The speed of the drum was adjusted to the maximum and the lever is adjusted in horizontal line and the after load screw adjusted such that it touches the hook lever. The nerve was placed on the electrodes and to avoid getting it dried, cotton soaked in saline was placed over it. The lever was allowed to touch lightly on drum. Its position was adjusted about one inch above the base. The base line was obtained by running the drum till a simple muscle curve¹⁵ was obtained. Position of contact was changed to study the influence (local anaesthetic activity) of standard and test drugs.

RESULTS AND DISCUSSION

Table 1 describes preliminary phytochemical screening has shown the presence of flavonoids, saponins, carbohydrates, alkaloids, glycosides and phenols. Beaker containing 1N HCI was used to find out the local anesthetic activity¹⁶ of the extract from Calotropis *procera*.In both the methods before administration of drug a sudden withdrawal of hind leg from the beaker was observed. After administration of drug, the hind legs were dipped into the beaker containing 1N HCI there was an absence of withdrawal of leg from the beaker. In nerve block anesthesia method, test and standard showed local anesthetic action after 4and 5 minutes respectively (Table 2). In caseof muscletwitch method standard showed activity after 11 minutes and test showed the activity after 13 minutes (Table 3). Hence it was proved that the leaf extract of Calotropis procera had local anesthetic activity. The chloroform extract exhibited almost equal activity like that of the standard drug xylocaine when compared to 1:10 concentration.

S. No.	Phytochemicals	Tests	Result					
1	Saponins	Frothing test	+					
2	Tannins	FeCl ₃	_					
3	Flavanoids	NaOH Test	+					
4	Alkaloids	Dragandroff's test	+					
5	Glycosides	Keller kiliani test	+					
6	Steroids	Libermann-Burchard test	_					
7	Carbohydrates	Molisch's test	+					
8	Proteins	Biuret test	_					
9	Phenols	Ferric chloride test	+					

 Table 1: Phytochemical screening of chloroform

 extract of Calotropisprocera

Timo	Xylocaine		Test					
Time	Left leg	Right leg	Left leg	Right leg				
Before administration of drug	+	+	+	+				
After administration of drug								
Ominute	+	+	+	+				
1 minute	+	+	+	+				
2 minutes	+	+	+	+				
3 minutes	+	+	+	+				
4 minutes	+	+	+	+				
5 minutes	-	-	+	+				
6 minutes	-	-	-	-				

Table 2: Assessment of local anesthetic activity of chloroform extract of Calotropisprocera leaves by nerve block anesthesia

Table 3: Assessment of local anesthetic activity of chloroform extract of
Calotropisprocera leaves by muscle twitch method

	Xylocaine		Test			
Time	Left leg	Right leg	Left leg	Right leg		
Before administration of drug	+	+	+	+		
After administration of drug						
Ominute	+	+	+	+		
1 minute	+	+	+	+		
2 minutes	+	+	+	+		
3 minutes	+	+	+	+		
4 minutes	+	+	+	+		
5 minutes	+	+	+	+		
6 minutes	+	+	+	+		
7 minutes	+	+	+	+		
8 minutes	+	+	+	+		
9 minutes	+	+	+	+		
10 minutes	+	+	+	+		
11 minutes	+	+	+	+		
12 minutes	-	-	+	+		
13 minutes	-	-	+	+		
14 minutes	-	-	-	-		

CONCLUSION

Based upon the results obtained in the present study, it is concluded that chloroform extract of Calotropis procera leaves contains considerable amount of saponins, flavanoids, alkaloids, glycosides, carbohydrates and phenolic compounds which exhibited local anesthetic activity. Hence, it was proved that the leaf extract from Calotropis procera has local anesthetic activity, which might be helpful in reducing the pain and used during surgeries and further investigation is required to evaluate the phytoconstituent that is responsible for the activity.

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