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Pharmacological evaluation of five brands of loperamide hydrochloride marketed in Awka capital territory, Nigeria

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Abstract

Introduction: Loperamide hydrochloride (LH) is widely used as a frontline anti-diarrheal medicine; however, the proliferation of numerous brands raises concern about its efficacy and safety. Five brands (coded A, B, C, D and E) of LH were evaluated on Castor oil induced diarrhoea and on gastro-intestinal track (GIT) motility. Each brand was represented by a group of mice while the control group received distilled water (10 ml/kg). Thirty albino mice of both sexes divided into six groups (n=5) were used for both studies respectively. Doses of 2 mg/kg of Loperamide hydrochloride and 1 mg/kg of Castor oil were administered (p. o). The ability of the brands to reduce the weight of the faeces and decrease percentage peristaltic index were recorded.

The percentage reduction in the weight of faeces was in this order; A>B>E>D>C. The more the percentage reduction, the better the antidiarrheal effect of the brand. However, percentage reduction for brand C was found to be zero. Furthermore, the percentage peristaltic index for the brands was in the order; A<B<E<D<C. The smaller the peristaltic index (%) the better the anti-motility effect. Inhibition of GIT motility is the main mechanism of antidiarrheal activity of Loperamide hydrochloride. Brand C had the highest peristaltic index while brand A had the least, which confirms the results from the Castor oil induced diarrheal.

Conclusion: Within the limits of this study, brand C Loperamide hydrochloride exhibited very little antidiarrheal effect. Post-market surveillance on over-the-counter (OTC) drugs like Loperamide hydrochloride should be strengthened.

Keywords: Loperamide Hydrochloride; Castor Oil; Peristaltic Index; Anti-Diarrhoea; Anti-Motility

1. Introduction

Fake and substandard drugs pose significant threats to public health, leading to poisoning, ineffective treatments, and drug resistance. Notable tragedies, include the deaths of 84 children in Nigeria from contaminated teething syrup in 2009 (https://www.cdc.gov) [1]. The economic burden of such substandard drug products is substantial, with billions lost annually due to treatment failures; extended hospital stays, and decreased patients' trust [2].

The incidence of diarrhoea disease continues to be a global concern [3]. Diarrhoea could be caused by bacterial, parasitic infections or food poisoning leading to changes in active ion transport and changes in intestinal motility [4]. It is estimated that the disease affects about 15–20 million people annually [5]. Furthermore, diarrheal disease remains an important cause of childhood morbidity and death in developing countries [6]. Loperamide hydrochloride is available over the counter with the innovative brand being Imodium[R] by Janssen Pharmaceutical company limited. It is a

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cornerstone for diarrheal therapy due to its affordability and effectiveness. It decreases the activity of the myenteric plexus, which leads to a decrease in the tone of the longitudinal and circular smooth muscles of the intestinal wall resulting ultimately to reduction in GIT motility [7]. Considering Loperamide's central role and the proliferation of its generic brands, there is a need for the pharmacological assessment of efficacy of some of these brands currently in the market. Furthermore, there has been concerns whether diverse generic brands of a drug product that varies in formulations will deliver equivalent clinical efficacy and safety [8]. Again, brands' efficacy comparison studies remain sparse, especially in resource-limited markets [9,10]. Hence there is the need to examine whether commonly available Loperamide brands will provide standard efficacy. By identifying products that fail to meet regulatory specifications, researchers can provide evidence to guide regulatory bodies and healthcare providers to ensuring safe and effective therapy and regulations [2]. This study therefore aims to generate evidence that strengthens regulatory oversights and safeguards patient and public health.

2. Materials and methods

2.1. Materials

2.1.1. Visual inspection of the samples

Five (5) brands of Loperamide hydrochloride 2mg were obtained from various pharmaceutical chemist shops situated within Awka capital territory, Anambra state in Nigeria. The packed samples contained the batch number, manufacturing date, expiration date and NAFDAC registration numbers [11]. Prior to pharmacological analysis of the brands, visual assessment of the packets and capsules was made to determine for correctness the legible labelling of active ingredients and dosages, expiration date, batch number and NAFDAC registration number. The appearance of the samples was also examined for discolouration.

2.1.2. Animals

Thirty albino mice (12-30 g) of both sexes purchased from the laboratory animal house of the Department of Pharmacology and Toxicology, Chukwuemeka Odumegwu Ojukwu University, Igbariam campus, Anambra state in Nigeria, were used for the study. The mice were housed in clean plastic cages, supplied with clean drinking water *ad libitum* throughout the duration of the study. They were fed with Grower feed (Top Feed Premier Feed Mills Sapele, Delta state, Nigeria). Ethical approval number PHACOOU/AREC/2025/004 was assigned by the Faculty of Pharmacy (COOU) Animal Research Ethics Committee guidelines (PHACOOUAREC), attesting that the animals were cared for according to the National Institute of Health (NIH), USA, publication number 86-23 of 1985 guidelines for the care and use of laboratory animals. [12]

2.2. Methods

2.2.1. Anti-diarrhoea effect of Loperamide brands on Castor oil-induced diarrhoea.

Six groups (n=5) of animals were used for this study. Negative control group received 10 ml/kg distilled water while other groups served as test groups having received 2 mg/kg of different brands of Loperamide respectively (each brand being represented by a group). One hour after Castor oil administration, (1 ml/kgbw p.o), the animals were placed in ventilated beakers whose floors were lined with clean white filter paper. The onset of diarrhoea was noted and progression was observed for next 4 hours after which the animals were removed from the beakers and the weight of faeces was obtained using appropriate method. The percentage inhibition of diarrhoea (faeces) was determined using the following formula:

- Percentage inhibition = (AWFC − AWFT)/AWFC × 100
- Where; AWFC = average weight of faeces in the control group and
- AWFT = average weight of faeces in the test group.

2.2.2. Effect of the Loperamide brands on gastrointestinal motility.

The method demonstrated by [13] was used for this study. Six groups (n=5) of mice (representing brands A, B, C, D and E and negative control) were used for this study. The mice were allowed 15 minutes after treatment before being sacrificed with excess chloroform. The abdomen was opened and the intestine carefully removed from the pyloric sphincter to the cecum. Distance travelled by the charcoal plug from the pylorus was measured and was expressed as a percentage of total distance from the pylorus to the cecum. Charcoal movement was expressed as a Peristaltic index (PI):

PI = (A/B) X 100, where A = distance travelled by charcoal meal and B = length of full intestine.

3. Results

3.1. Visual inspection of the samples

All the brands satisfied regulatory specifications except brands C which copied the brand name of the innovative brand by Janssen Pharmaceuticals Ltd though they differ in packaging.

Table 1 Visual inspection of the brands

Sample code	Brand	Batch No	Manufacturing Date	Expiration Date	NAFDAC Reg. No	Labelled
A	Janssen Imodium	22K0055	11/ 02 /2022	10/ 2027	04-2941	2mg
B	Diaglow	C12206	09/ 2024	08/ 2027	B4-6454	2mg
C	Imodium copy	222009	07/ 2024	06/ 2027	A0-4760	2mg
D	Lemotil	T2106	09/ 2024	08/ 2027	B4-0576	2mg
E	Diaflush	24PC15	08/ 2024	07/ 2027	B4-4364	2mg

3.2. Anti-diarrhoea effect

The ability of the different brands to reduce diarrhoea (percentage reduction in faeces) was in this order: A>B>E>D>C. The greater the percentage reduction in faeces, the greater the antidiarrheal effect of the brand. Brand A exhibited the highest percentage reduction in faeces (37.5%)., whereas brand C did not show any reduction in faeces (0 %) (Figure 1).

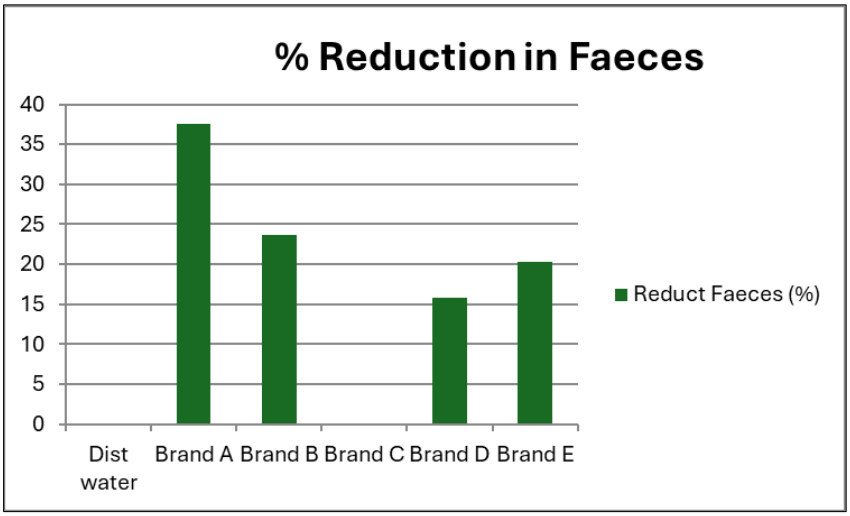

Figure 1 Percentage reduction in faeces by the different brands of Loperamide

3.3. The anti-motility effect

Brand A exhibited the least percentage peristaltic index (60.82 %). while brand C had the highest peristaltic index (75.21 %). The smaller the peristaltic index the greater the GIT inhibitory effect of the brand (Figure 2). Therefore, the inhibitory effect of brand A was the highest while that of brand C was the least.

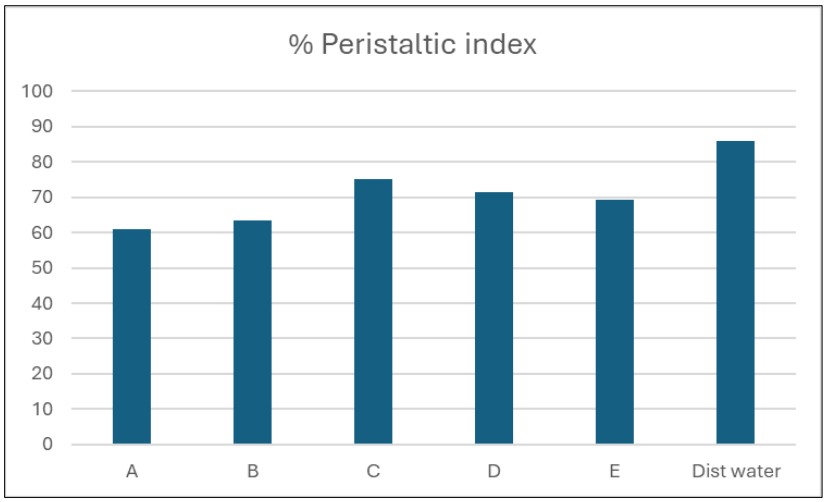

Figure 2 Peristaltic index of the different brands of Loperamide Hydrochloride

4. Discussion

The quality of the five brands of loperamide hydrochloride were studied using two in-vivo models; castor oil induced diarrhoea model and inhibitory effect in the gastro intestinal track (GIT). Castor oil induces diarrhoea through its active compound ricinoleic acid by stimulating secretary processes and intestinal motility secondary to irritation and inflammation. [14].

In this study, whereas brands A, B and E caused a significant. ($p<0.05$) reduction in weight of the faeces output when compared to the control group, brand A demonstrated the highest percentage reduction in weight of faeces (37.5%). In contrast, sample C exhibited no inhibition in weight of faeces (0.00%) when compared to the control. Their ability to reduce weight of faeces was in this order A>B>E>D>C (Figure 1). Inability to reduce the weight of faeces could lead to treatment failure.

Loperamide is a potent smooth muscle relaxant agent [15]. The charcoal meal test is widely used for the measurement of gastrointestinal transit in small rodents The charcoal meal in this model serves as a marker or tracer to monitor the level of peristalsis (or GIT motility) that occurs in the intestine when a substance is administered. The lesser the distance travelled by the charcoal meal, the lesser the GIT motility and the higher the anti-diarrhoea activity. The charcoal plug in mice treated with sample A travelled the shortest distance over the intestine, while those treated with sample C travelled the longest. Their percentage peristaltic index was in the order A<B<E<D<C. The smaller the peristaltic index (%) the better the anti-motility effect (Figure 2). Therefore, the inhibitory effect of brand A on GIT was the highest while that of brand C was the least. Inability of a brand to inhibit the GIT motility effectively could lead to therapeutic failure since the main mechanism of action of Loperamide is inhibition of GIT motility [15].

This observation points to the fact that there is a significant variability in therapeutic efficacy between the brands. Variations may be attributed to substandard formulation which can result to poor bioavailability [16]. It could also be as a result of variations in the active pharmaceutical ingredient (API) or poor quality-control practices [16].

5. Conclusion

Within the limits of this study brand C Loperamide hydrochloride exhibited very little antidiarrheal effect. There is need for analysis of the five brands using in-vitro methods, such as uniformity of weight, dissolution, and disintegration tests as well as HPLC analysis in order to confirm the pharmacological investigation.

Compliance with ethical standards

Disclosure of conflict of interest

The authors wish to confirm that there is no known conflict of interests associated with this paper and there has been no significant financial support for this work that could have influenced its outcome.

Statement of ethical approval

Ethical approval number PHACOOU/AREC/2025/004 was assigned by the Faculty of Pharmacy (COOU) Animal Research Ethics Committee guidelines (PHACOOUAREC), attesting that the animals were cared for according to the National Institute of Health (NIH), USA, publication number 86-23 of 1985 guidelines for the care and use of laboratory animals.

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