AJPRD

BI MONTHLY

Asian Journal of Pharmaceutical Research And Development

(An International Peer Reviewed Journal of Pharmaceutical Research and Development)

Volume - 01 Issue - 01 JAN-FEB 2013

website: www.ajprd.com
editor@ajprd.com

Asian Journal of Pharmaceutical Research and Development
(An International Peer-Reviewed Journal of Pharmaceutical Research and Development)
www.ajprd.com
ISSN 2320-4850

Research Article

TASTE MASKING OF ACECLOFENAC

Adil Hussain*, M.P Khinchi, M.K. Gupta, Dilip Agrawal, Natasha Sharma, Gajendra Singh

Department of Pharmaceutics, Kota College of Pharmacy, ***Kota, Rajasthan, India***

Received: 10 January 2013, Revised and Accepted: 12 February 2013

ABSTRACT

Aceclofenac is a non-steroidal agent with marked anti-inflammatory and analgesic properties. The mode of action of aceclofenac is largely based on the inhibition of prostaglandin synthesis. Aceclofenac is a potent inhibitor of the enzyme cyclooxygenase, which is involved in the production of prostaglandins, aceclofenac can be administered twice daily as 100 mg orally in the treatment. Taste masking is done by mass extrusion method. In this Eduragit-E-100 and aceclofenac are mixed in different ratio with isopropyl alcohol and valuation are done like drug content drug dissolution and taste evaluation.

Key words*: Aceclofenac, analgesic, Mass extrusion, Eduragit-E-100.*

INTRODUCTION

Taste is one of the most important parameters governing patient compliance. Undesirable taste is one of several important formulation problems that are encountered with certain drugs. Oral administration of bitter drugs with an acceptable degree of palatability is a key issue for health care providers, especially for pediatric patients. Several oral pharmaceuticals, numerous food and beverage products, and bulking agents have unpleasant, bitter-tasting components. So, any pharmaceutical formulation with a pleasing taste would definitely be preferred over a competitor's product.[1]

* Correspondence Author:
Adil Hussain
M-Pharma (Pharmaceutics)
Email: hussain.adil41@yahoo.com
Mobile No: 07737122689

Aceclofenac is a non-steroidal agent with marked anti-inflammatory and analgesic properties. The mode of action of aceclofenac is largely based on the inhibition of prostaglandin synthesis. Aceclofenac is a potent inhibitor of the enzyme cyclooxygenase, which is involved in the production of prostaglandins, aceclofenac can be administered twice daily as 100 mg orally in the treatment of rheumatoid arthritis. Aceclofenac is rapidly and completely absorbed after oral administration, peak plasma concentrations are reached 1 to 3 hours after an oral dose. The drug is highly protein bound (7.99%). The presence of food does alter the extent of absorption of aceclofenac but the absorption rate is reduced. The plasma concentration of aceclofenac was approximately twice that in synovial fluid after multiple doses of the drug in-patient with knee pain and synovial fluid effusion. Aceclofenac is metabolized to a major metabolite, 4'-hydroxyaceclofenac and to a number of other metabolites including 5-hydroxyaceclofenac, 4'-

hydroxydiclofenac, diclofenac and 5-hydroxydiclofenac. For removing bitter taste taste masking is done here mass extrusion technic is used. Removing bitter taste is ideal for pediatrics and geriatric patients. In this Eduragit-E-100 and aceclofenac are mixed in different ratio with isopropyl alcohol and valuation are done like drug content drug dissolution and taste evaluation. [2]

MATERIALS AND METHODS

Materials

Aceclofenac was obtained as a gift sample from Aldoc pharmaceutical Pvt. Ltd. Kota, India. Eudragit E-100 was gift sample from Evonik Degussa India Pvt.Ltd. Mumbai. Crosscarmellose sodium, India. All chemicals and reagents used were of analytical grade.

Methods

Preparation of drug-Eudragit E100 taste masked granules by mass extrusion Technique

The drug polymer complex (DPC) was prepared by using different ratios of drug and Aminoalkyl methacrylate copolymer (Eudragit E-100) and then 10% Isopropyl alcohol (IPA) was added to the mixture of each drug with Eudragit E-100 in a glass beaker. The consistency of the above solution is reduced to get gel type of preparation, and it is extruded through a 10 ml syringe on clean glass slab. After extrusion of the gel dried overnight till IPA is evaporated and solidified material (gel) crushed into granules using a mortar and pestle. The granules passed through a sieve sized 255 µm and collected.

Three batches were prepared containing drug-Eudragit E-100 in the ratio of 1:1, 1:1.5, 1:2, 1:2.5, 1:3 1:3.5, 1:4, 1:4.5, 1:5 in IPA by the above-mentioned method.[3,4]

RESULTS AND DISCUSSION

CHARACTERIZATION OF DPC

Drug Content determination

DPC equivalent to 100 mg of drug was stirred by using magnetic stirrer with appropriate volume of 0.1 N HCl (simulated gastric fluid of pH 1.2 without enzymes) for 60 minutes, till the entire drug leached out from complex, then the solution was filtered through whatman filter paper. Further, solution diluted with 0.1 N HCl and the drug content was determined spectrophotometrically at 273 nm. Data given in table 1.

In Vitro Taste Evaluation

In vitro taste was evaluated by determining drug release in simulated salivary fluid (SSF) (pH 6.8) to predict release in the human saliva. DPC, equivalent to 100 mg of drug (i.e., its dose), was placed in appropriate volume of SSF and shaken for 60 seconds. Then the solution was filtered through whatman filter paper. The amount of drug released was analyzed at 273 nm

Data given in table 1.

In-Vivo Taste Evaluation:

Taste evaluation was carried out in six healthy human volunteers, with DPC equivalent 10 mg of Aceclofenac sample held in the mouth for 5 to 10 s, then asked to spat out and the bitterness level was then recorded. A numerical scale was used with the following

values: 0_tasteless, 0.5_very slight, 1.0_slight, 1.5_slight to moderate,

2.0_moderate, 2.5_moderate to strong, 3_strong, and 3__very strong

[5, 6, 7] Data given in table no 2.

The percentage of drug loading (%drug content) on various ratios of drug and

eudragit E100 complexes were in the range 98.16-99.17%. As the concentration of Eudrgit E100 increases the release of drug from complex in SSF decreases. The 1:4.5 ratio shows less amount of drug release and its % drug content is also better than other formulations thus it is selected as optimized ratio for the development of formulations with significant masking of bitter taste for further studies.

The cationic copolymer Eudrgit E100 dissolved in solution of pH <5. So the copolymer dissolved fast in stomach (pH 1—3) without influencing thebioavailability, but keep intact in buccal cavity (pH 5.8—7.4) with good taste masking.

Table: 1 Different batches of drug polymer complex

Formulation	Aceclofenac	Eduragit-E-100	Ratio
DPC1	1	1	1:1
DPC2	1	1.5	1:1.5
DPC3	1	2	1:2
DPC4	1	2.5	1:2.5
DPC5	1	3	1:3
DPC6	1	3.5	1:3.5
DPC7	1	4	1:4
DPC8	1	4.5	1:4.5
DPC9	1	5	1:5

Table. 2 Drug Content of Drug-polymer complex

Formulation	Drug-Polymer ratio in DPC	% Drug conent *
DPC1	1:1	98.16
DPC2	1:1.5	98.55
DPC3	1:2	97.88
DPC4	1:2.5	98.61
DPC5	1:3	99.08
DPC6	1:3.5	98.20
7DPC	1:4	98.65
DPC8	1:4.5	99.17
DPC9	1:5	98.89

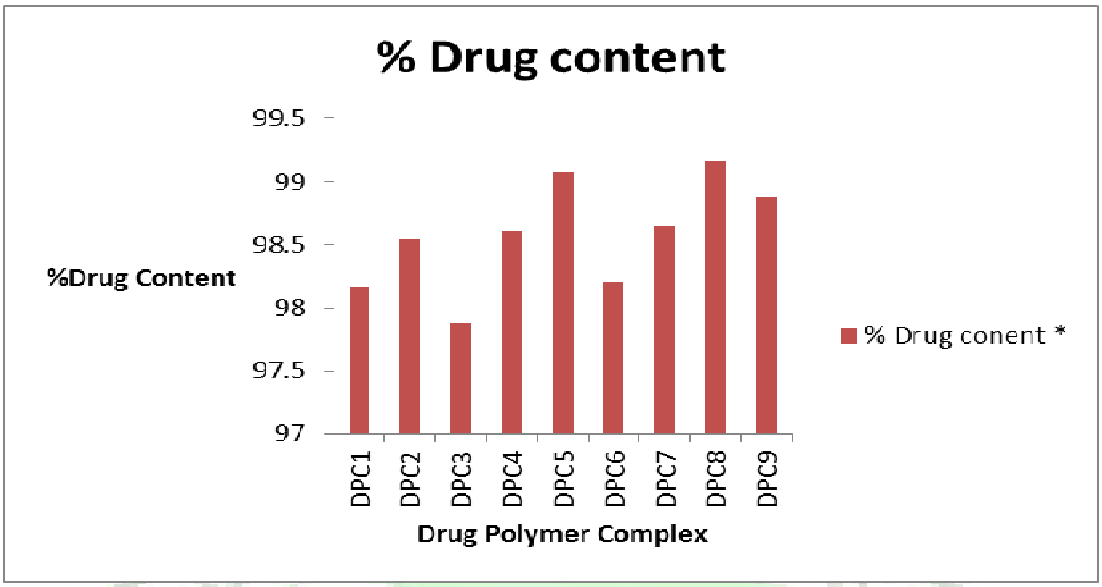

Fig. 1 Graph of % drug Content

Table: 3 %Drug Dissolved in DPC

Formulation	Drug-Polymer ratio in DPC	% Drug Dissolved in SSF*
DPC1	1:1	1.51
DPC2	1:1.5	1.44
3DPC	1:2	1.39
DPC4	1:2.5	1.22
DPC5	1:3	0.92
DPC6	1:3.5	0.89
DPC7	1:4	0.85
DPC8	1:4.5	0.74
DPC9	1:5	0.71

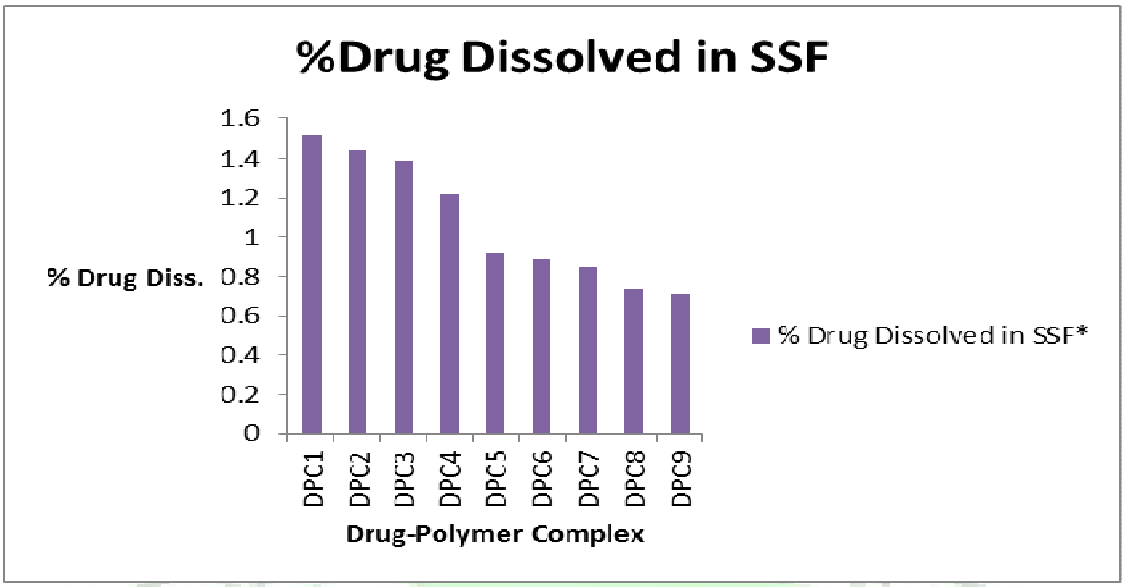

Fig.2 Graph of % Drug Diss

Table.4 Bitterness Evaluation of DPCs by Taste Panel

Volunteer	1	2	3	4	5	6
Pure drug	3	3	3	3	3	3
DPC (5 s)	0.5	0.0	0.0	0.5	0.0	0.5
DPC (10 s)	0.5	0.0	1.0	0.5	0.0	0.5

CONCLUSION

In the present study it can be concluded from the characterization of taste masking of Aceclofenac that formulation containing Eduragit-e-100 is most acceptable. It was observed that to increasing the eduragit-e-100 decreasing in bitter taste at certain level and is under investigation.

REFERENCES

1. *Adil H, a overview on taste masking of bitter drugs, ijdpls Aug, 2012: 27-36.*
2. *Chang R, Guo X, Burnside B, Couch R. A review of orally disintegrating tablets. Pharm Tech. June, 2000:52-58.*
3. *Tekade V, Belgamwar S, Ganeshlal S, Rapidly Disintegrating Tablets Containing Taste Masked Metoclopramide Hydrochloride Prepared by Extrusion–Precipitation Method, Chem. Pharm. Bull. 2010: 58(4): 443—448*
4. *Patil MG., Formulation and evaluation of orally disintegrating tablet containing tramadol hydrochloride by mass extrusion technique, Journal of Applied Pharmaceutical Science:2011 01 (06); 2011: 178-181*
5. *Kawtikwar PS. Formulation, Evaluation and Optimization of Fast dissolving tablet containing*

Tizanidine Hydrochloride, International Journal of PharmTech Research, 2009 Jan – March: Vol.1, 34-42,

7. *Rajitha K, Shravan KY, Adhukondalu D. Formulation and Evaluation of Orally Disintegrating Tablets of Buspirone. Int J Pharm Sci and Nanotechnol, 2009; 1(4): 372- 374.*
8. *Sreenivas S. A., Gadad A. P. Formulation and evaluation of Ondancetron Hcl directly compressed mouth disintegrating tablets. Indian Drugs, 2006; 43(1): 35-38.*