



International Journal of Pharmaceutical Erudition

Research for Present and Next Generation

NOV 2025

Vol: 15 Issue:03
(1-9)





Research paper

DEVELOPMENT AND EVALUATION OF GEMIFLOXACIN MESYLATE TASTE MASKED ORALLY DISINTEGRATING TABLETS

Kumawat Vikash *, Khandelwal Mohit

Dept. of Pharmaceutics, Mahatma Gandhi College of Pharmaceutical Sciences, Jaipur 302022

Gemifloxacin is a broad spectrum antibacterial agent active against gram positive and gram negative organism. It is very bitter in taste. In the present work an attempt has been made to develop taste masked orally disintegrating tablet of Gemifloxacin using ion exchange resins (Amberlite IRP 88) as a taste masking agent. Different drug: resin ratios were tried to prepare taste masked complex. FT-IR spectroscopy and differential scanning calorimetry were used to investigate the physical characteristics of the complex. Tablets were prepared by direct compression technique using two super disintegrants viz. croscopollose and sodium starch glycolate. Tablets of all batches were tested for various evaluation parameters. Tablets formulated with 10% Croscopollose (GRT05) showed lowest wetting time (16 sec). The % cumulative release of drug from tablet (GRT05) was found to be more than 99% within 40 mins. It was thus possible to formulate Orally disintegrating tablets of Gemifloxacin using simple and cost effective, Ion Exchange Resin Complexation technique.

Key words: Gemifloxacin Mesylate, Ion Exchange Resin, Drug Resin Complex (DRC), super disintegrant.

INTRODUCTION

One of the attractive methods for oral drug delivery systems preferably is the use of ion exchange resins as carrier.¹ Taste masking technologies rely on preventing interaction between the drug molecule and the oral mucosal surface. By creating a physical barrier around each particle, drug substance can be prevented from going into solution and interacting directly with taste receptors. When an ionisable drug reacts with a suitable ion exchange resin the drug: resin complex formed is known as a drug resinate. Because the drug resinate is insoluble it has virtually no taste, so that even very bitter

drugs lose their taste when converted into a drug resinate. With the correct- selection of the ion exchange resin, the drug resinate can be made sufficiently stable that it does not break down in the mouth so that the patient does not taste the drug when it is swallowed. However, when the drug resinate comes into contact with the gastrointestinal fluids, usually the acid of the stomach, the complex is broken down quickly and completely. The drug is released from the Resinates, directly into solution and then absorbed in the usual way. The resin passes through the GI tract without being absorbed.²

Gemifloxacin Mesylate is chemically 7-[(4Z)-3-(aminomethyl)-4-(methoxyimino) pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid.³ It has an in vitro activity against a broad spectrum of gram positive and gram negative and anaerobic bacteria.⁴ Taste masking is an essential requirement for fast dissolving tablets for commercial success. Taste masking of the active ingredients can be achieved by various techniques.⁵ Among those, taste masking by use of ion exchange resin is most commonly used commercially.⁶ Most of the bitter drugs have nitrogen atom and amine as a functional group, which is the cause of their obnoxious taste. If the

nitrogen atom and functional groups are blocked by complex formation the bitterness of the drug reduces drastically. Ion exchange blocks the functional group responsible for causing the bitter taste by forming complex with drug and it not allow the drug to release in the saliva. Thus the resin reduces the drug and taste buds interaction.⁷ In present study an attempt has been made to prepare taste masked complex of Gemifloxacin with ion exchange resins and complex was further formulated into the rapid disintegrating tablet by direct compression method using, cross povidone and Sodium Starch Glycolate as the super disintegrants.

Table 1: Formulation of ODTs of Gemifloxacin- Amberlite IRP 88 complex

Ingredients mg/tab	Formulation				
	GRT01 (mg)	GRT02 (mg)	GRT03 (mg)	GRT04 (mg)	GRT05 (mg)
DRC	422	422	422	422	422
Mannitol	57	57	45	49	41
Povidone	36	36	36	36	36
Sodium starch glycolate	44	---	28	---	---
Crospovidone	---	44	28	52	60
Aspartame	24	24	24	24	24
Menthol	5	5	5	5	5
Talc	6	6	6	6	6
Magnesium stearate	6	6	6	6	6
Total weight (mg)	600	600	600	600	600

MATERIALS AND METHODS

Gemifloxacin Mesylate was obtained as a gift sample from Cipla private Laboratories Ltd. Ion exchange resins obtained from Ion Exchange India Limited as a gift sample.

Preparation of standard curve of Gemifloxacin Mesylate

100 mg of Gemifloxacin Mesylate was dissolved in 0.1 N HCl in 100 ml of volumetric flask and the solution was made upto volume with 0.1 N HCl. The standard solution of Gemifloxacin Mesylate

Table 2: Standard graph of Gemifloxacin in 0.1N HCl

Concentration ($\mu\text{g/ml}$)	Absorbance
1	0.141
2	0.272
3	0.386
4	0.527
5	0.648

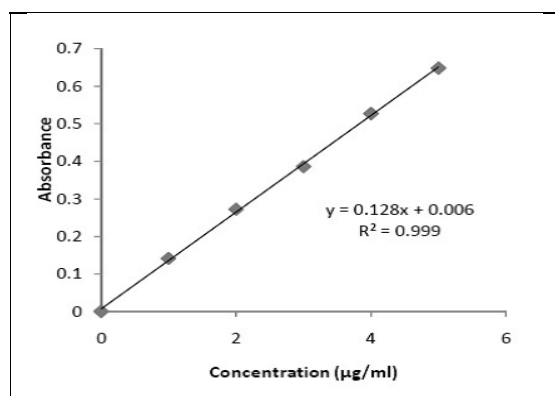


Fig. 1: Calibration curve of Gemifloxacin in 0.1 N HCl

was subsequently diluted with 0.1 N HCl to obtain a series of dilutions containing 1, 2, 3, 4 and 5 μg of Gemifloxacin Mesylate in 1 ml solution. The absorbance of these solutions was measured at 267 nm using UV-VIS spectrophotometer (ELICO, Model SL 1500) against blank.

Preparation of drug-resin complex

Drug resin complexes (DRC) were prepared by using batch process. Accurately weighed amount of Amberlite IRP 88 dispersed in a beaker containing deionized water and allowed to swell for 30 minutes. Swelled resin slurry was filtered on what man filter paper. Then it was washed with deionized water and then activated with 1 N HCl. The acid activated resin was rewashed with water until neutral pH was reached. Drug resin complex (DRC) was prepared, by placing acid activated resin in a beaker containing deionized water. Accurately

weighed amount of Gemifloxacin Mesylate was added slowly to the resin slurry and stirred for 3 hours in magnetic stirrer. During stirring, pH of the drug resin slurry was measured frequently and adjusted to 6.5 by using 0.1 M KOH. After three hours of stirring, the DRC was separated from dispersion by filtration and washed with deionized water. DRC was dried at 55°C until it was dry. The dried mass was powdered and sieved through 60-mesh sieve. Complex was evaluated for drug loading efficiency.

Optimization:^{8,9}

A) Effect of drug-resin ratio on complex formation

Ratio of the resin to drug can greatly impact the complex formation and ultimately affects the taste masking ability. It was necessary to find out the optimum drug to resin ratio. In each case drug resin complexes (DRC) of Gemifloxacin Mesylate and Amberlite IRP 88 were prepared in 1:1, 1:2 and 1:3 ratios. The taste masking ability and drug loading efficiency were estimated.

B) Drug loading efficiency for DRC

DRC equivalent to 100 mg of Gemifloxacin Mesylate was weighed accurately and was transferred into 100 ml of volumetric flask. 100 ml of 0.1 N HCl was added to this volumetric flask and was stirred continuously for 1 hour on

Table 3: Effect of Drug Resin Ratio on complex formation

Drug – Resin Ratio	Time (hrs)	Percent Gemifloxacin Loading
1:1	3	68.02
1:2		85.26
1:3		94.75

a magnetic stirrer. After stirring, this solution was filtered through whatman filter paper. Filtered sample solution was suitably diluted with 0.1 N HCl and the amount of drug dissolved was determined by UV spectrophotometer, by measuring the absorbance of the sample at 267 nm.

C) Differential Scanning Calorimetry

Differential scanning calorimetry (DSC) thermograms of the Gemifloxacin, Amberlite IRP 88 and drug resin complexes were recorded on NETZSCH DSC 204 (Germany). Samples (2-7 mg) were sealed into aluminum pans and scanned at a heating rate of 10°C/min over a temperature range of 20-360°C under a nitrogen gas stream.

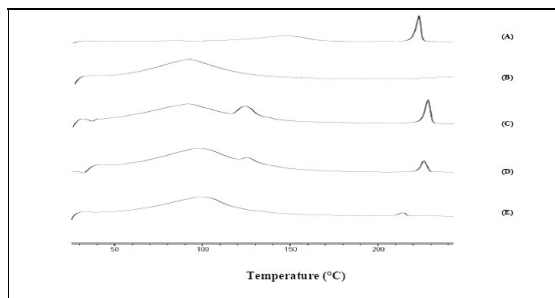


Fig. 2: DSC Thermograms of (A) Gemifloxacin (B) Amberlite IRP 88 (C) G-IRP 88 (1:1) (D) G-IRP 88 (1:2) (E) G-IRP 88 (1:3)

D) Fourier Transform Infrared (FT-IR) Studies

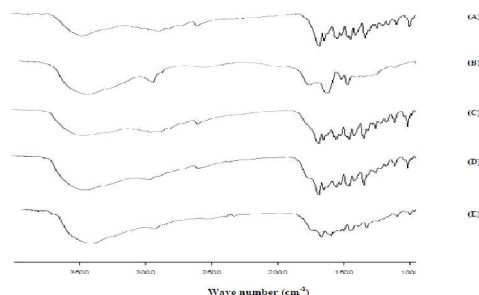


Fig. 3: FTIR Spectras of (A) Gemifloxacin (B) Amberlite IRP 88 (C) G-IRP 88 (1:1) (D) G-IRP 88 (1:2) (E) G-IRP 88 (1:3)

FT-IR spectra of Gemifloxacin, Amberlite IRP 88 and drug resin complexes were recorded in the range of 400 to 4,000 cm^{-1} using a Bruker alpha FTIR spectrophotometer (Bruker, Germany) by the KBr disc method.

Formulation of tablets:

Gemifloxacin Mesylate orally disintegrating tablets were prepared by direct compression method using DRC (1:3) and the superdisintegrants in different quantity. According to the formula given in Table 1, all the ingredients were passed through 40-mesh sieve separately and collected.

Table 4: Drug loading efficiency for DRC

Drug –Resin Ratio	Time (hrs)	Percent Gemifloxacin Loading

1:3	3	94.75
-----	---	-------

The DRC (ratio 1:3) containing amount equivalent to 100 mg of Gemifloxacin Mesylate was mixed with the other excipients and compressed into tablets, after lubrication with magnesium stearate, and talc by using 16 station rotary tablet compression machine equipped with 10 mm flat faced punches. The tablet weight was adjusted to 600 mg.

Evaluations of powder blend^{10,11}

Powder blend was evaluated for Angle of Repose, bulk density, tapped density and Hausner's ratio.

Evaluation parameters of the tablet Physical characterization^{12,13}

• Hardness

Hardness indicates the ability of a tablet to withstand mechanical shocks while handling. The hardness of the tablet was determined using Monsanto hardness tester. It is expressed in kg/cm^2 . Five tablets were randomly picked and hardness of the tablet was determined.

• Weight variation test

The weight variation test is carried out in order to ensure uniformity in the weight of tablets in a batch. Twenty tablets were randomly selected and accurately weighed, in grams on an analytical balance

- Friability Test

According to the BP specifications 10 tablets were randomly selected and placed in the drum of a tablet friability test apparatus (Electrolab, India). The drum was adjusted to rotate 100 times in 4 min. the tablets were removed, dedusted and accurately weighed. The percent weight loss was calculated.

- Wetting time

A piece of tissue paper (12 cm X 10.75 cm) folded twice was placed in a small petridish (ID = 6.5 cm) containing 6 ml of Sorenson's buffer pH 6.8. A tablet was put on the paper, and the time for complete wetting was measured. Three trials

Table 5: Evaluation of precompression parameters of granules (Drug + IRP 88)

Formulation	Angle of repose (θ)	Bulk density (gm/cm ³)	Tapped density (gm/cm ³)	Compressibility index (%)	Hausner's ratio
GRT01	28.2	0.82	0.92	12.20	1.12
GRT02	27.8	0.81	0.91	12.35	1.12
GRT03	27.4	0.82	0.92	12.20	1.12
GRT04	28.7	0.81	0.91	12.35	1.12
GRT05	28.3	0.82	0.91	10.98	1.11

Post-compression parameters of Gemifloxacin ODTs

Table 6: Evaluation of Post-compression parameters of Tablets (Drug + IRP 88)

Formulation	Thickness (mm)	Hardness (Kg/cm ²)	Friability (%)	Weight Variation (mg)	Drug content (%)	Disintegration Time (sec)	Wetting time (sec)	Water Absorption ratio
GRT01	4.59	3.9	0.19	1.5	98.25	64	54	0.39
GRT02	4.60	4.2	0.21	1.4	98.35	68	60	0.38
GRT03	4.59	4.1	0.17	1.6	98.37	39	32	0.40
GRT04	4.60	4.1	0.20	1.3	98.43	27	22	0.41

GRT05	4.60	4.2	0.18	1.3	98.47	18	16	0.42
-------	------	-----	------	-----	-------	----	----	------

for each batch were performed and the standard deviation was also determined.

- Water absorption ratio

Water absorption ratio, R was determined using following equation.

$$R = (W_a - W_b/W_a) \times 100$$

Where,

W_a = Weight of tablet after water absorption

W_b = Weight of tablet before water absorption.

- Disintegration Time

The test is carried out on the 6 tablets using the apparatus specified in IP distilled water at $37^\circ \text{C} \pm 2^\circ \text{C}$ was used as a disintegration media and the time in second taken for complete disintegration of the tablet with no palpable mass remaining in the apparatus was measured in seconds.

- In vitro dissolution studies

In vitro dissolution studies for fabricated Fast

Dissolving tablet is carried out by using USP XXIV paddle method at 50 rpm in 900 ml of

Sorenson's buffer pH 6.8 as dissolution media, maintained at $37 \pm 0.5^\circ \text{C}$. 10 ml aliquots was withdrawn at the specified time intervals, filtered and assayed spectrophotometrically. An equal volume of fresh medium, which was prewarmed at 37°C is replaced into the dissolution medium after each sampling to maintain the constant volume throughout the test. Dissolution studies are performed in triplicate.

The percentage drug content of all the tablets was found to be between 98.25 and 98.47 %, which was within the acceptable limits. The results of in vitro disintegration of all the tablets were found to be within the prescribed limits and satisfying the criteria of fast dissolving tablets. The lowest wetting time (16 sec) was obtained with formulation GRT05. Among all the formulations GRT05 which contain 10% of Crospovidone gave the highest dissolution (99.01 %) at the end of 40 minutes.

Table 7: *In vitro* dissolution studies of Gemifloxacin ODTs (Drug + IRP 88)

Time (min)	Cumulative % of drug release				
	GRT01	GRT02	GRT03	GRT04	GRT05



0	0	0	0	0	0
5	58.78	63.67	67.33	69.05	70.12
10	64.73	67.20	72.34	75.01	78.48
15	68.05	72.13	78.10	81.12	84.09
20	74.19	77.71	83.06	87.35	89.37
25	78.10	83.04	88.08	90.44	93.07
30	81.83	87.15	90.03	94.91	97.71
40	86.63	91.44	94.05	98.85	99.01
50	90.04	94.44	98.25	---	---
60	93.05	98.52	---	---	---

CONCLUSION

Gemifloxacin Mesylate is a broad spectrum antibiotics, active against both Gram- positive and Gram negative bacteria. This drug is highly bitter in taste. The present investigation was undertaken with an overall objective of studying the drug resin complexation (DRC) to mask the bitter taste of the drug. The resin, namely, Amberlite IRP 88 (weak acid cation exchanger) was selected for the study of feasibility of employing drug resin complexation for masking the bitter taste of drug. The main objectives of this research project were to study the drug resin of these drug resin complexes.

From the present study, it is concluded that the Amberlite IRP 88 can be used for taste masking and for formulating fast release products.

REFERENCE

1. Drlica K, Zhao X; DNA gyrase, topoisomerase IV, and the 4-quinolones. Microbiol Mol Biol Rev. 2020, 61 (3): 377-392.
2. Joseph P. Reo, Evaluation of a taste sensor instrument (electronic tongue) for use in formulation development, International Journal of Pharmaceutics. 2021, 65 – 72.
3. Jain N.K., Advances in Controlled and Novel drug Delivery, 15th edition, CBS Publishers and Distributors, 2017: 290.
4. Peter H. Jones, Elizabeth K. Rowley, Arlene L. Weiss, Dorothy L. Bishop, Alexander H. C. Chun, Insoluble Erythromycin salts, Journal of Pharmaceutical Sciences, 58 (3), 2019, 337–39.



5. Anuranjita Kundu and Sriparna Datta; Formulation and characterization of alginate microbeads of Norfloxacin by ionotropic gelation technique. International journal of advances in pharmacy, biology and chemistry. 2015, 1(3): 266-270.
 6. Aditi Tripathi, Taste Masking: A Novel Approach for Bitter and Obnoxious Drugs, Journal of Pharmaceutical Science and Bioscience Research: Volume 1, Issue 3: 2015, 136-142.
 7. K.P. Sampath Kumar, Taste Masked Suspension, 2012, 1- 6.
 8. Alam MD, Nayyar P, Kumar SP. Novel technology for formulation and evaluation of mouth dissolving tablet - A review. Adv Biol Res 2018; 8(5):180-6.
 9. Pooja A, Arora SV. Orodispersible tablets: A comprehensive review. Int J Res Dev Pharm Life Sci 2017; 2(2):270-84.
 10. Sona. P. S., Muthulingam C., Formulation and evaluation of taste masked orally disintegrating tablets of Diclofenac sodium, International Journal of PharmTech Research. 2011; 3(2), 819- 826.
 11. Rakesh Kumar Rishi. Orally Disintegrating Tablets – Novel Approach to Drug Delivery. The Pharma Review 2004; 2(12) : 34-36.
 12. Devarajan, Padma V, Gore SP. Melt in Mouth Tablets – Innovative Oral Drug Delivery Systems. Express Pharma Pulse 2000; 7(1) : 16-18.
- www.pharmaerudition.org Nov. 2025, 15(3), 01-09



13. Kumar Ravi, Patil Swati, Patil M. B., Patil Sachin R, Paschapur Mahesh S., Formulation evaluation of mouth dissolving tablets of Fenofibrate using sublimation technique, International Journal of ChemTech Research. 2009; 1(4), 840-50.