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Formulation and evaluation of Delayed release tablet of esomeprazole magnesium – Research Paper

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Abstract

In study of Esomeprazole delayed release tablet was prepared by using Eudragit RL/PO to provide desired effect at specific time in maintained drug concentration without any side effects at certain time and improve their bioavailability by decreasing the expose to gastric acid. Esomeprazole is used in the treatment of peptic ulcer disease gastroesophageal disease. A delayed release dosage form is designed to release at a time other than promptly after administration. thus, a pharmaceutically equivalent robust formulation of Esomeprazole delayed release tablet was developed.

KEYWORDS: Esomeprazole Enteric, Delayed release tablet, Bioavailability.

Introduction

Drug delivery is the method or process of administering a pharmaceutical compound to achieve a therapeutic effect in humans or animals.

The most preferred route of drug administration for systemic delivery of drugs is orally. More than 50% of drug delivery systems available in the market are oral drug delivery systems. These systems have the obvious advantages of case of administration and patient acceptance. Several oral drug delivery technologies have come and gone, and new systems still emerge even today.

One would always like to have ideal drug delivery systems that will possess two main properties:

- It will be a single dose for the whole duration of treatment,
- It will deliver the active drug directly at the site of action.

Most of the marketed products currently available are immediate release products. To achieve and maintain the concentration of an administered drug within therapeutically effective range, it is often necessary to take drug dosage several times and this result in a fluctuating drug level in plasma [3].

- Controlled drug delivery is one which delivers the drug at a predetermined rate, for locally or systemically, for a specified period of time.
- Continuous oral delivery of drugs at predictable & reproducible kinetics for predetermined period throughout the course of GIT.
- Targeting systems are either releasing drug in controlled manner or in one burst at the specific area.
- **Advantages of TODDS are:**

1.Reduced dosing frequency
2.Better patient convenience and compliance
3.Reduced GI side effects and other toxic effects.
4. Less fluctuating plasma drug level
5. More uniform drug effect
6. Better stability of the drug.

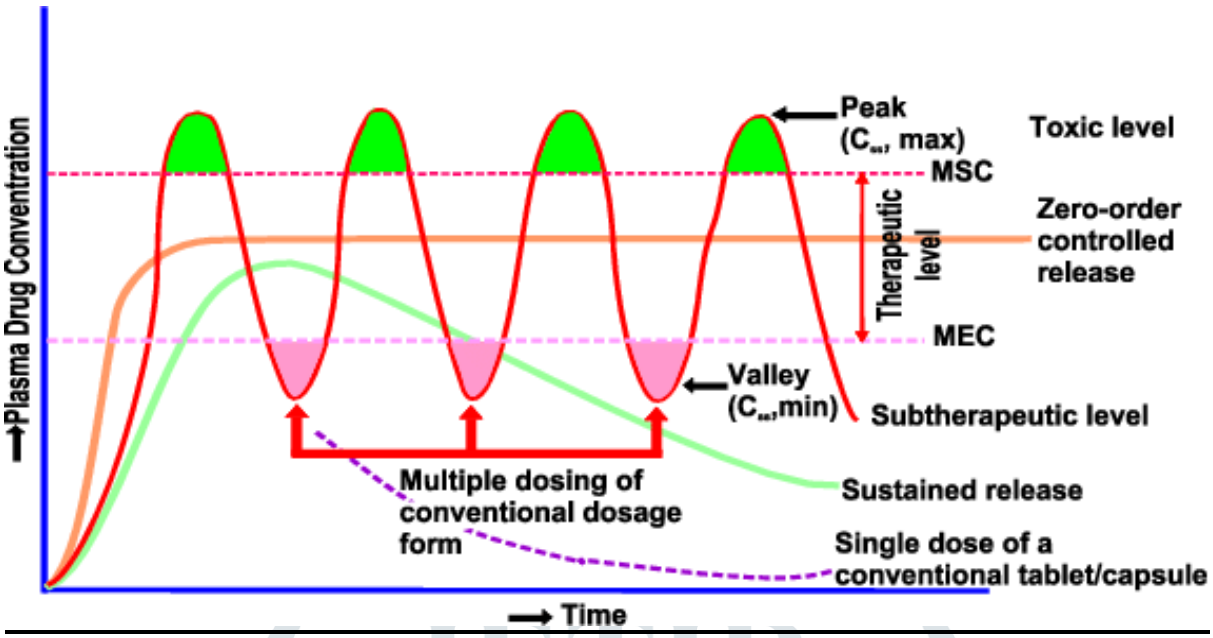


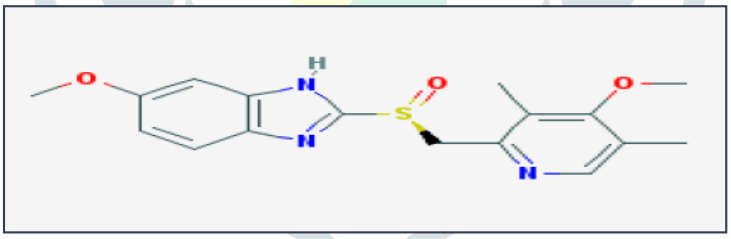
Figure -Plasma Concentration time profile

Esomeprazole:

Description

Esomeprazole is a proton pump inhibitor used to treat GERD, reduce the risk of NSAID associated gastric ulcers, eradicate H. pylori, and to treat conditions causing gastric acid hyper secretion.

Chemical Structure



Chemical Name -

5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethylpyridin-2-yl) methane] sulfinyl]-1H-1,3-benzodiazole

Molecular formula – $C_{17}H_{19}N_3O_3S$

Molecular weight – 345.11 g/mol

Pharmacokinetics and Drug Metabolism

Absorption: 90%

Distribution: 16 L in healthy volunteers

Material& Method

Selection of material

Preformulation study of Esomeprazole

- Melting point
- FT-IR study to confirm identity by comparing with reference FTIR of Esomeprazole.
- Solubility
- Calibration curve preparation.
- **Preparation of various formulations**
- Characteristics of the bulk drug
- Bulk density
- Tapped density
- Compressibility index
- Hausner's ratio

Evaluation of the tablets

- Hardness
- Thickness
- Friability
- Weight variation
- Drug content
- *In vitro* release
- Swelling index

Result and discussion

Organoleptic properties of esomeprazole

Test	**Specification**	**Observation**
Color	White to off white	White
Odor	Odorless	Odorless
Taste	Bitter	Bitter
Appearance	Amorphous	Amorphous

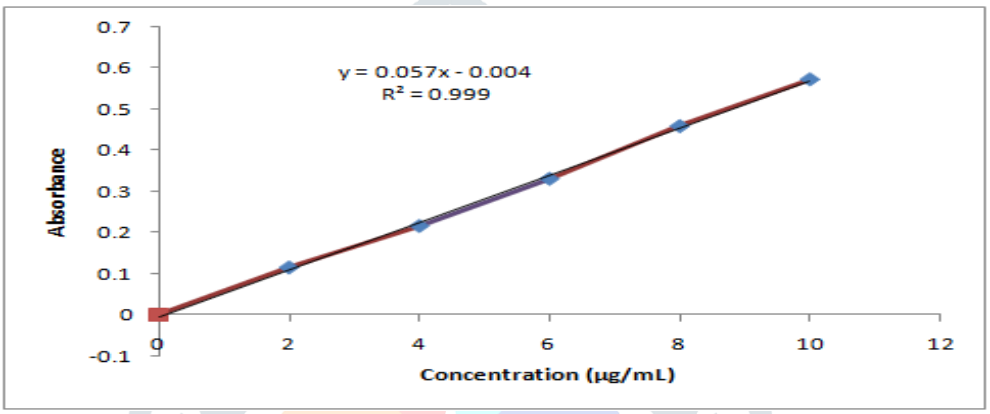
Loss on drying

observed for Esomeprazole pure drug. It was determined by drying the pure drug in an oven at 100°C to 105°C for 3 h. The percent loss of moisture was calculated by the difference between the initial and final weight of the drug.

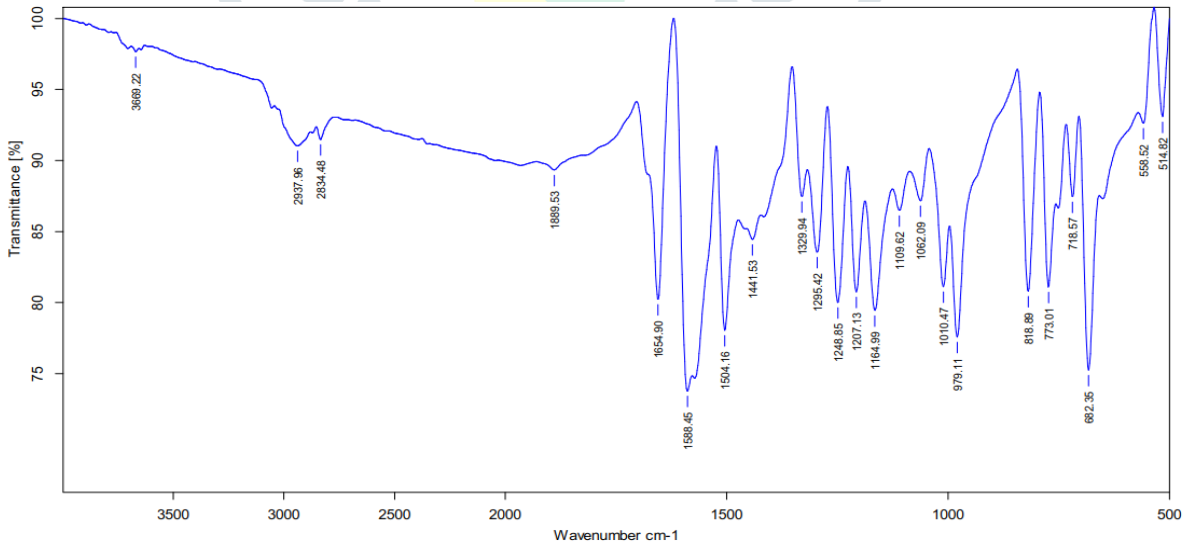
Test	**Specification**	**Observation**
LOD	NMT 0.5%	0.21%

Calibration curve data

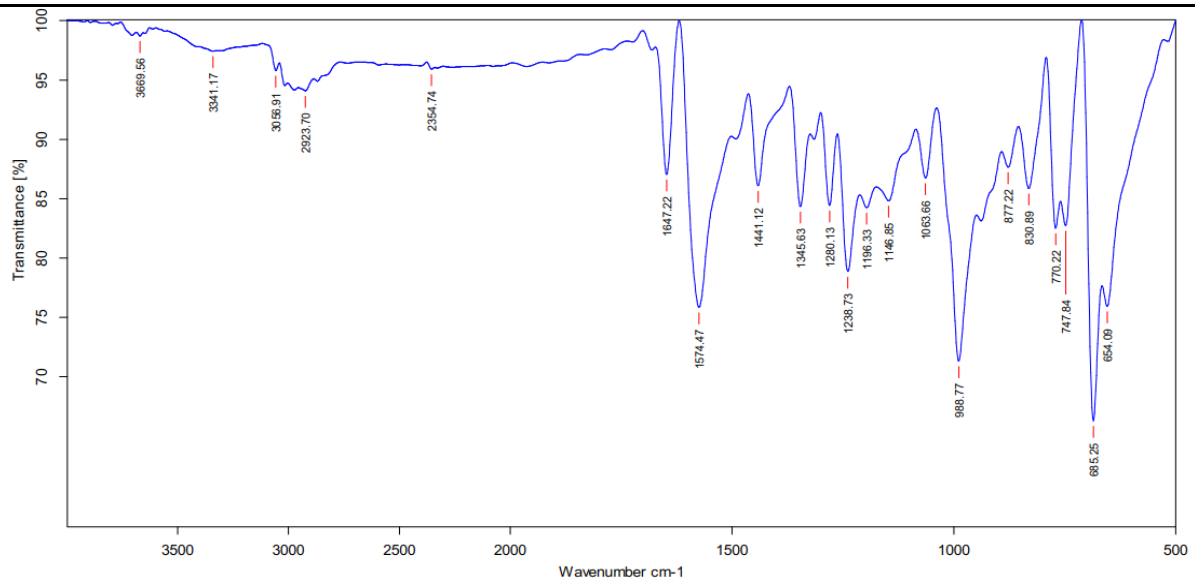
Concentration (µg/mL)	Absorbance
0	0
2	0.115
4	0.216
6	0.331
8	0.459
10	0.573



Calibration curve data



FTIR spectra of Esomeprazole



FTIR spectra of physical mixture (Drug + polymers)

Composition of matrix tablets

Ingredient mg	F1	F2	F3	F4	F5	F6	F7
Esomeprazole	50	50	50	50	50	50	50
HPMC	-	50	-	20	-	20	50
Carbapol 940	-	-	50	20	-	-	20
Eudrgit RL/PO	-				50	20	20
Magnesium stearate	1.0	1.0	1.0	1.0	1.0	1.0	1.0

Quality parameters of Delayed Release Tablets of Esomeprazole

Formulation code	Thickness (mm)	Hardness (Kg/cm^2)	Weight variation (%)	Friability (%)	Swelling Index	Drug content (%)
F1	4.9	4.4	2.3	0.53	1.29	98.7
F2	4.8	4.1	1.8	0.42	2.16	98.1
F3	4.9	4.3	2.2	0.52	2.31	98.6
F4	4.9	4.3	1.9	0.48	3.18	99.1
F5	4.8	4.4	2.1	0.52	3.22	98.9
F6	4.9	4.2	3.1	0.58	3.46	98.7
F7	4.9	4.3	2.9	0.54	4.44	99.1
F8	4.8	4.4	2.6	0.62	5.03	99.1

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