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Formulation and in vitro evaluation of liquisolid compacts of telmisartan

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ABSTRACT

Telmisartan is Angiotensin receptor blocker, ARB used for the treatment of the Hypertension. This drug is poorly soluble in water that causes slow dissolution rate of the drug and also slow absorption which eventually leads to the inadequate and low oral bioavailability[4] i.e., 43%. To overcome this problem the drug is formulated with the most novel technology the Liquisolid compaction. In this technology, the insoluble drug is made dissolved in the suitable non-volatile water miscible solvent to form the drug solution which is then compressed directly into the Liquisolid tablets by the addition of suitable carrier and coating materials along with the lubricant, glidant, and disintegrants. In this study, Neusilin, the widely accepted multifunctional excipient is used in the formulation of telmisartan compacts .Neusilin is the synthethic granule of magnesium alumina metasilicate.

Keywords: Telmisartan, Neusilin, Liquisolid tablets[10].

INTRODUCTION

Among all the enteral routes of drug administration, the oral route is the most prefered route as it has major advantages than all the other routes of administration. But when the drugs that are poorly soluble in water administered orally may result in poor dissolution rate and incomplete bioavailability[6]. There are many techniques developed to increase the dissolution[9] rate of the poorly soluble drugs. The Liquisolid compaction technique is one such. In this technology, the drug is dissolved in the non-volatile liquid to form a drug solution which is then converted into dry, free flowing and readily compressible dry powder using excipients and directly compressed into tablets.

MATERIALS AND METHODS

Materials

The materials used are Telmisartan, propylene glycol,Polyethylene glycol-400, Tween 80, Avicel-102, **Neusilin**, Aerosil, Magnesium stereate, Talc.

Methods

Preparation of Liquisolid Compacts

1. A drug was initially dispersed in the non volatile solvent systems (Tween 80, Propylene glycol, PEG 400) termed as liquid vehicles with different drug : vehicle ratio.
2. Then a mixture of carrier and coating materials were added to the above liquid by continuous mixing for a period of 10 to 20 minutes in a mortar. The amount of carrier and coating materials are enough to maintain acceptable flow and compression properties.
3. To the above binary mixture disintegrant like sodium starch glycolate and other remaining additives are added according to their application and mixed in a mortar.
4. The final mixture was compressed to achieve tablet hardness or encapsulated.
5. Characterise the final liquisolid granules for solubility, flowability, compressibility and dissolution.

Preparation of Conventional tablets Telmisartan

Conventional tablet of Telmisartan was prepared by mixing 20mg of drug with micro crystalline cellulose (Avicel pH 102), Aerosil-200 and Superdisintegrant (i.e. sodium starch glycolate etc.) and mixed for 10 minutes. Mg. stearate &Talc is added and then filled into a tablet.

Composition of Telmisartan Tablets

S.NO.	Ingredient	C	F1	F2	F3	F4	F5	F6	F7	**F8**	F9
1	Telmisartan	20	20	20	20	20	20	20	20	**20**	20
2	Poly ethylene glycol-400	----	10	----	----	20	----	----	----	**----**	----
3	Propylene glycol	----	----	10	----	----	20	----	----	**----**	----
4	Tween-80	----	----	----	10	----	----	20	20	**20**	20
5	AVICEL- PH 102 (Microcrystalline Cellulose)	120	100	100	100	120	120	120	100	**90**	80
6	Neusilin	----	----	----	----	----	----	----	20	**30**	40
7	Aerosil-200	6	5	5	5	6	6	6	6	**6**	6
8	Sodium Starch Glycolate	10	10	10	10	10	10	10	5	**5**	----
11	Magnesium stearate	2	3	3	3	2	2	2	2	**2**	2
12	Talc	2	2	2	2	2	2	2	2	**2**	2
	Total wt(in mg)	160	150	150	150	180	180	180	180	**180**	180

*R value for all formulations is constant (i.e. 20)

Drug release

The drug release from the Telmisartan tablets was investigated in a USP-II(paddle) apparatus, 900 ml of Phosphate buffer pH 6.8 (50 rpm, 37°C). At predetermined time intervals, 5-ml samples were withdrawn and diluted to suitable concentration and then analyzed with UV spectrophotometry at λmax=227 nm.

Stability studies

Selected Formulation was subjected to stability studies [7] as per ICH guidelines.

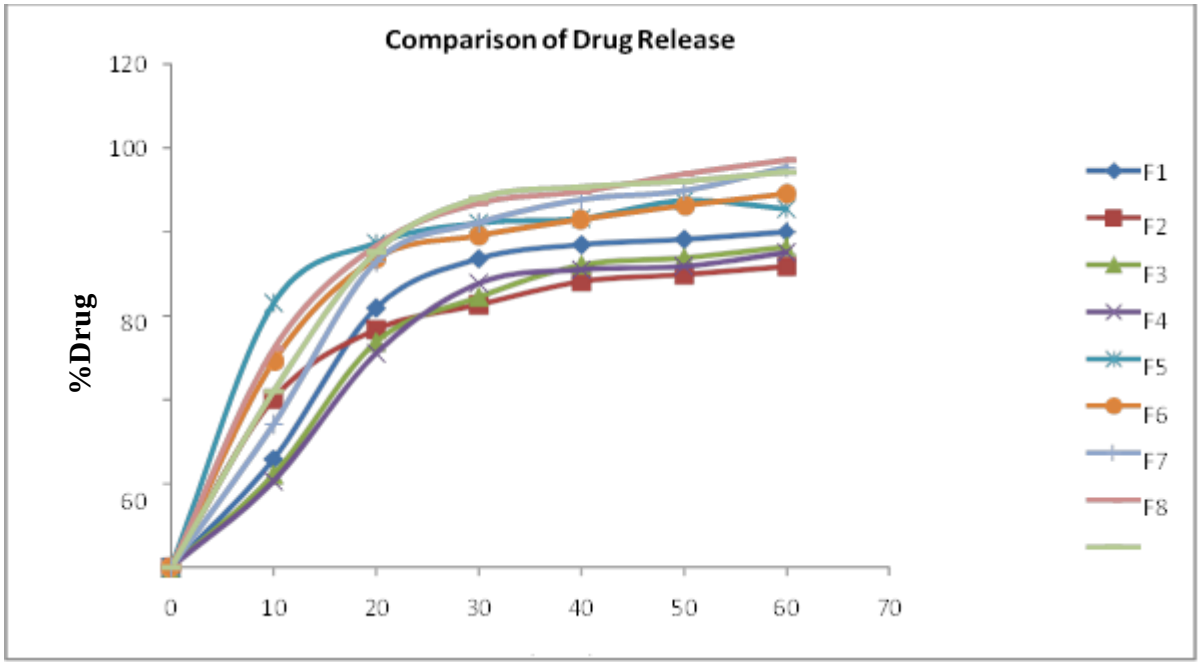
Following conditions were used for Stability Testing

1. 25^0C/60% RH analyzed every month for period of three months.
2. 30^0C/75% RH analyzed every month for period of three months.
3. 40^0C/75% RH analyzed every month for period of three months.

RESULTS

DISSOLUTION PROFILE OF PREPARED FORMULATIONS

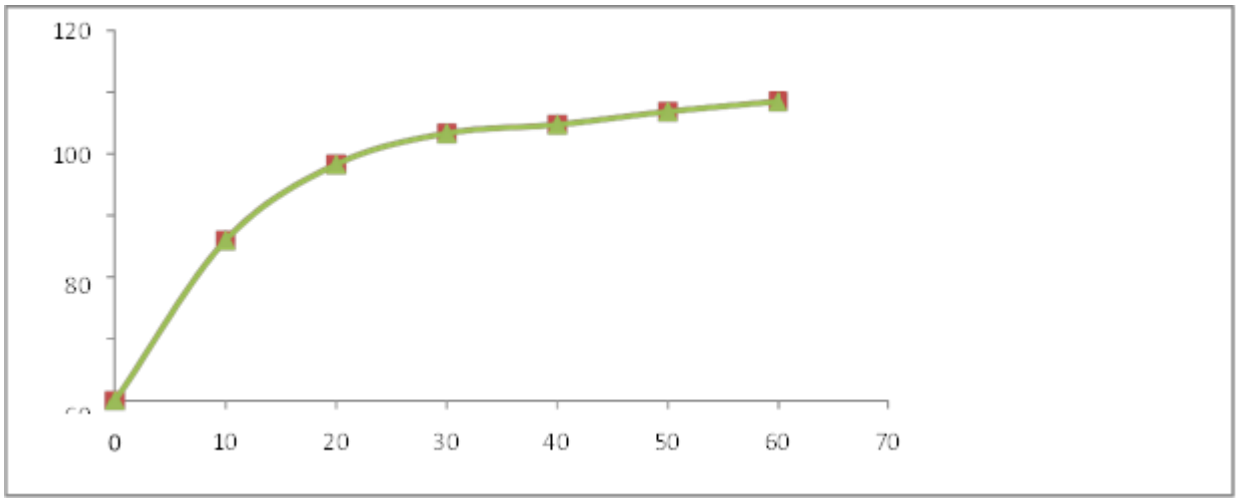
Time	F1	F2	F3	F4	F5	F6	F7	F8	F9
0	**0**	**0**	**0**	**0**	**0**	**0**	**0**	**0**	**0**
10	25.84	40.15	22.15	20.53	63	49.15	34.15	52.15	42
20	61.9	56.75	53.78	51.05	77.31	73.69	72.84	76.73	75.23
30	73.66	62.68	64.53	67.76	82.08	79.12	82.18	86.81	88.06
40	77.01	68.21	72.16	71.05	83.21	82.99	87.69	89.6	90.64
50	78.3	69.87	73.87	71.82	87.42	86.27	89.8	93.8	92.08
60	80.07	71.78	76.51	75.13	85.5	89.12	95.38	97.11	94.23



Results of stability studies of optimised formulation F8

Formulation code	Parameters	Initial	1st Month	2nd Month	Limits as per specifications
F8	25˚C/60%RH % Release	97.11	96.87	96.65	Not less than 85%
F8	30˚C/75%RH% Release	97.05	96.89	96.88	Not less than 85%
F8	40˚C/75%RH% Release	97.11	96.88	96.63	Not less than 85%
F8	25˚C/60%RH Assay value	98.16	98.10	98.12	Not less than 90% Not more than 110%
F8	30˚C/75%RH Assay value	98.12	98.11	98.10	Not less than 90% Not more than 110%
F8	40˚C/75%RH Assay value	98.16	98.10	98.10	Not less than 90% Not more than 110%

Stability dissolution profile of F8 for 1st, 2nd months



CONCLUSION

The aim of this study was to improve the dissolution profile of the poorly soluble telmisartan drug.In vitro drug release of Telmisartan compacts showed increase in dissolution rate. So PEG 400, PG, Tween 80 could be economic substitute as dissolution enhancing agent. Stability studies showed that there were no significant changes in physical and chemical properties of formulation F8 after 2 months. Tween 80, Telmisartan, Neusilin in 1:1:1.5 ratios (F8) was showing best release. F8 was compared with marketed and prepared conventional formulation and result shows better dissolution profile.

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