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Research Article

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Formulation of Efavirenz tablets and evaluation of dissolution rate in phosphate buffer of pH 7.4 and water containing 1% and 2% SLS

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ABSTRACT

Efavirenz, a widely prescribed HIV-1 specific non-nucleoside reverse transcriptase inhibitor [Anti-retroviral drug] belongs to Class II under BCS and exhibit low and variable oral bioavailability due to its poor aqueous solubility. Its oral absorption is dissolution rate limited and it requires enhancement in the solubility and dissolution rate for increasing oral bioavailability. The objective of the study is to evaluate the dissolution rate of formulated Efavirenz tablets in different dissolution media. A Comparative evaluation was made for the dissolution of prepared tablets in 1% SLS,2 % SLS, Phosphate buffer PH 7.4. The tablets were evaluated for dissolution rate and other physical properties. Efavirenz dissolution was rapid and higher in 2% SLS when compared to Phosphate buffer and 1% SLS. Among the three media, 2% SLS gave highest enhancement in the dissolution rate and dissolution efficiency of Efavirenz tablets.

Key words: Efavirenz tablets, SLS, Dissolution rate, Phosphate buffer.

INTRODUCTION

Efavirenz , an anti-retro viral drug belongs to BCS CLASS II[3] and exhibits low and variable dissolution rates from solid dosage forms like tablets. Pharmacopieas [I.P / U.S.P] prescribed a dissolution rate test specification to check the quality of Efavirenz tablets marketed. Dissolution rate test requires a suitable fluid to maintain sink condition during the test. For this purpose a fluid or solvent in which the drug exhibit high solubility is used as dissolution fluid in the official dissolution rate test.

As Efavirenz is poorly soluble in water, I.P 2010 prescribed water containing 1 % SLS as dissolution fluid Efavirenz tablets. U.S.P prescribed water containing 2 % SLS as dissolution fluid for Efavirenz tablets. Several investigators used phosphate buffer of ph 7.4 as dissolution fluid for Efavirenz tablets[2,5].

In the present study, Efavirenz tablets were prepared by WET GRANNULTAION METHOD and dissolution rate of the prepared tablets were evaluated in 3 fluids

(1) Phosphate buffer pH 7.4
(2) Water containing 1 % SLS
(3) Water containing 2 % SLS

EXPERIMENTATION SECTION

Materials :
Efavirenz is obtained as gift sample from Dr. Reddy's Lab, Hyderabad. Primogel was purchased from SD Fine chemicals Limited, Mumbai. All other chemicals used in the study were analytical grade.

Methods :

Preparation of tablets by wet granulation method :

Efavirenz tablets each containing 50 mg were prepared by wet grannulation method as per the formula given in table 1. Acacia (2%) is used as a binder and water was used as granulating fluid. Efavirenz, acacia and lactose were blended thoroughly in a dry mortar. The blend was then granulated with water. The duff mass was pressed through mesh no : 12 to form wet granules. The wet granules were dried at 60^{o}c for 1 hr. The dried granules were again passed through mesh no : 12 to break the aggregates. Primogel, talc and magnesium stearate were passed through mesh no : 80 and mixed with tablet granules. The tablet granulations were then compressed into tablets of 230 mg using 8 mm round flat punches on a CADMACH tablet punching machine[1,2].

Evaluation of Efavirenz tablets prepared by wet granulation method :

All the prepared tablets were evaluated for disintegration time, dissolution time, hardness and friability[3,7]. Hardness was measured using Pfizer hardness tester. Friability was determined using Roche friabilator.

***In vitro* disintegration test**

The *in vitro* disintegration time was determined using disintegration test apparatus. One tablet was placed in each of six tubes of apparatus and one disc was added to each tube. The basket assembly was positioned in water. The time taken for complete disintegration of the tablet was measured.

***In vitro* dissolution test for tablet formulations**

In vitro dissolution studies for tablets were carried out using USP paddle method in 900ml of phosphate buffer of pH 7.4 , water containing 1 % SLS and 2 % SLS as dissolution media, maintained at 37±0.5℃ at 50 rpm. Five millilitres of aliquots were withdrawn at 5 ,10,15 , 20, 30, 40 and 60minutes from the basket and replaced by 5ml of fresh dissolution media. The collected samples were analysed after suitable dilution at 247nm using UV- Visible spectrophotometer against the blank[6,8].

RESULTS AND DISCUSSION

Formulated tablets show high dissolution rate in fluid containing SLS than in phosphate buffer of pH 7.4. As the concentration of SLS increases the dissolution rate of Efavirenz also increases. In dissolution medium, water containing 2 % SLS, 100 % drug release was achieved within 30 mins whereas in media , water containing 1 % SLS and phosphate buffer it gives only 49.806 and 17.86 % drug release respectively, within 30 mins.

Table 1 : Formula of Efavirenz tablets prepared by Wet granulation method

Ingredients	Quantity(mg)
Efavirenz	50
Primogel (5%)	11.5
Acacia	4.6
Talc (2%)	4.6
Magnesium stearate	4.6
Lactose	154.7
Total weight	**230**

Table 2 : Physical parameters of Efavirenz tablets

Formulation	Hardness (Kg/cm^2)	Friability (%)	Disintegration time
EFAVIRENZ TABLETS	4	0.6	6 MIN-7 SEC

Table 3 : Dissolution parameters of Efavirenz tablets

Dissolution media	PD10 (%)	T50 (MIN)	K1 (MIN^-1)	DE30 (%)
PHOSPHATE BUFFER PH 7.4	10.724	-	0.0078	12.013
WATER CONTAINING 1 % SLS	29.095	32.8	0.0125	32.976
WATER CONTAINING 2 % SLS	61.17	-	0.097	67.58

Table4 : Dissolution data of Efavirenz tablets in 1 % SLS

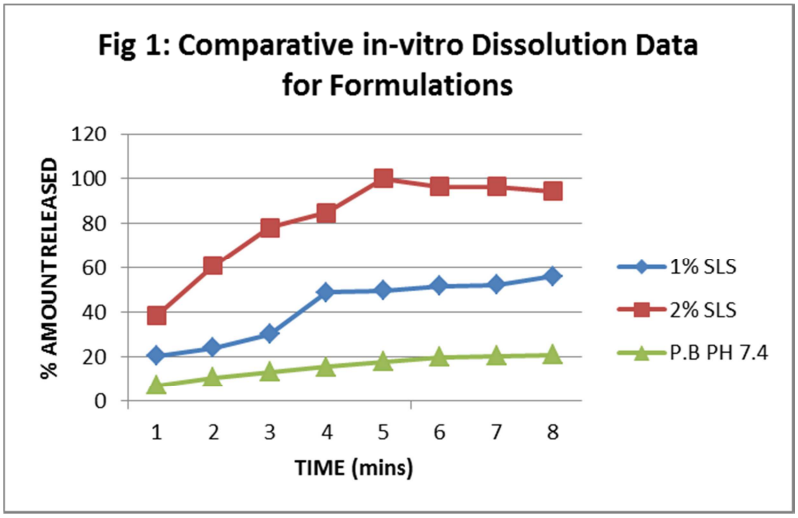
Time (mins)	Absorbance	Amount released	% Amount released	% Drug undissolved	LOG % drug undissolved
0	-	-	-	100	2
5	0.088	10.262	20.524	79.476	1.9
10	0.104	12.046	24.092	75.908	1.88
15	0.13	15.057	30.114	69.886	1.844
20	0.211	24.44	48.88	51.12	1.708
30	0.215	24.903	49.806	50.194	1.7
40	0.224	25.945	51.89	48.11	1.682
50	0.226	26.177	52.354	47.646	1.672
60	0.242	28.03	56.06	43.94	1.642

Table 5 : Dissolution data of Efavirenz tablets in 2 % SLS.

Time (mins)	Absorbance	Amount released	% Amount released	% Drug undissolved	LOG % drug undissolved
0	-	-	-	100	2
5	0.103	11.93	38.43	61.56	1.789
10	0.164	18.99	61.17	38.82	1.589
15	0.21	24.32	78.35	21.64	1.335
20	0.229	26.4	85.05	14.94	1.174
30	0.268	31.04	100	0	-
40	0.259	29.99	96.61	3.38	0.529
50	0.259	29.99	96.61	3.38	0.529
60	0.253	29.3	94.39	5.6	0.748

Table 6 : Dissolution data of Efavirenz tablets in Phosphate buffer of pH 7.4

Time (mins)	Absorbance	Amount released	% Amount released	% Drug undissolved	LOG % drug undissolved
0	0	-	-	100	2
5	0.304	3.521	7.042	92.958	1.968
10	0.463	5.362	10.724	89.276	1.95
15	0.572	6.625	13.25	86.75	1.938
20	0.668	7.737	15.47	84.53	1.927
30	0.771	8.93	17.86	82.14	1.914
40	0.859	9.949	19.898	80.102	1.903
50	0.883	10.227	20.454	79.546	1.9
60	0.901	10.463	20.872	79.128	1.898



CONCLUSION

The present study demonstrates that dissolution of Efavirenz tablets prepared was very low in phosphate buffer of ph 7.4. The dissolution of Efavirenz was markedly enhanced in fluids containing SLS.

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