Comparative Study of Selected Ofloxacin Gastro Retentive Drug Delivery Systems.

PP-E-01

Dina E. Abo Elezz[1], Ahmed H. El-Shafaay[2], Yousry M. El-Sayed

[1]*Department of Pharmaceutics, Faculty of pharmacy & Drug Manufacturing, Pharos university in Alexandria.*

[2] *Department of pharmaceutics and Industrial pharmacy , Faculty of pharmacy ,Cairo university.*

Introduction

- **Ofloxacin is a broad-spectrum antimicrobial fluoroquinolone which has activity against a wide range of gram-negative and gram-positive microorganisms .**
- **Following oral administration, the bioavailability of ofloxacin in the tablet formulation is approximately 98%. Maximum serum concentrations are achieved one to two hours after an oral dose.**
- **Ofloxacin has biphasic elimination, following multiple oral doses at steady-state administration, the half-live is approximately 4-5 hours.**
- **Comparing pharmacokinetic parameters derived from the plasma concentration-time profiles of a single oral dose of 400 mg was assessed for ofloxacin included in the test and reference products.**

Materials and Methods

- **Open label, randomized, three treatment, three period cross over study to compare the pharmacokinetic parameters of two different ofloxacin gastroretentive tablets equivalent to 400 mg ofloxacin and the commercially available immediate-release tablet formulation Tarivid® (2x200 mg) given as a single oral dose under fasting condition.**
- **Six healthy, adult males participated in this comparative study at Genuine Research Center, Cairo, Egypt.**
- **Results were expressed as mean values ± SD (ANOVA) was performed using Statistical Analysis System SAS® University Edition Software (Online).**
- **In order to investigate the statistical significance, p value < 0.05 was considered statistically significant.**

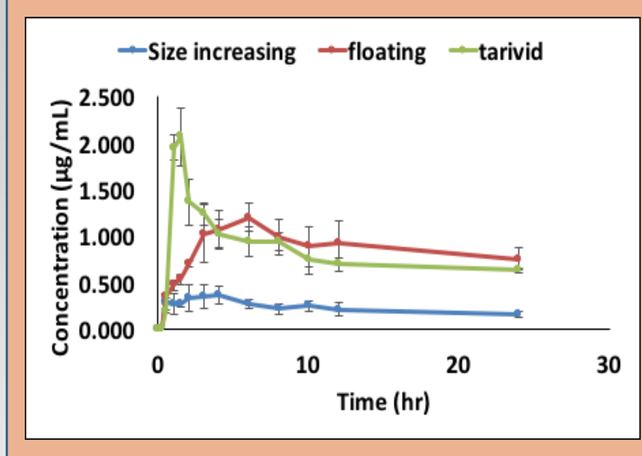
PERIOD I	WASHOUT PERIOD 7 DAYS	PERIOD II	WASHOUT PERIOD 7 DAYS	PERIOD III
A		B		C
C		A		B
B		C		A
C		A		B
B		C		A
A		B		C

A= TEST PRODUCT (1), B= TEST PRODUCT (2), C= REFRENCE PRODUCT

Results

Pharmacokinetics parameters

Tmax | T1/2 | MRT | K | Cmax | Tlag | AUC 0-24 | AUC 0-



Size increasing tablet (A)	Subject No.	C_{max} (µg/mL)	T_{max} (hr)	T_{lag} (hr)	AUC_{0-24} ((hr)*(µg/mL))	$AUC_{0-\infty}$ ((hr)*(µg/mL))	K (1/hr)	$T_{1/2}$ (hr)
	1	0.527	2.000	0.500	7.258	13.122	0.035	19.827
	2	0.362	1.000	0.250	4.771	9.197	0.032	21.457
	3	0.457	3.000	1.000	6.422	11.677	0.034	20.124
	4	0.320	1.000	0.250	4.264	7.928	0.034	20.157
	5	0.454	3.000	1.000	5.987	11.392	0.032	21.782
	6	0.300	1.000	0.250	4.056	7.596	0.035	19.952
	Mean	0.403	1.833	0.542	5.459	10.151	0.033	20.549
	SD	0.089	0.000	0.367	1.289	2.239	0.001	0.843
	SE	0.036	0.000	0.150	0.526	0.914	0.000	0.344
	Max	0.527	3.000	1.000	7.257	13.121	0.034	21.781
	Min	0.300	1.000	0.250	4.055	7.596	0.031	19.827

Floating tablet (B)	Subject No.	C_{max} (µg/mL)	T_{max} (hr)	T_{lag} (hr)	AUC_{0-24} ((hr)*(µg/mL))	$AUC_{0-\infty}$ ((hr)*(µg/mL))	K (1/hr)	$T_{1/2}$ (hr)
	1	1.430	6.000	0.250	26.719	75.821	0.019	35.978
	2	1.120	6.000	0.500	18.260	53.015	0.020	35.169
	3	1.290	6.000	0.250	23.992	54.663	0.027	25.552
	4	1.080	6.000	0.500	17.180	26.420	0.069	10.038
	5	1.250	6.000	0.250	23.370	61.636	0.021	32.867
	6	1.040	6.000	0.500	16.926	26.775	0.065	10.716
	Mean	1.201	6.000	0.375	21.074	49.721	0.036	25.053
	SD	0.148	0.000	0.136	4.145	19.636	0.023	11.949
	SE	0.060	0.000	0.055	1.692	8.016	0.009	4.878
	Max	1.430	6.000	0.500	26.718	75.820	0.069	35.977
	Min	1.040	6.000	0.250	16.926	26.419	0.019	10.038

Tarivid tablet (C)	Subject No.	C_{max} (µg/mL)	T_{max} (hr)	T_{lag} (hr)	AUC_{0-24} ((hr)*(µg/mL))	$AUC_{0-\infty}$ ((hr)*(µg/mL))	K (1/hr)	$T_{1/2}$ (hr)
	1	2.040	1.000	0.250	22.681	37.702	0.045	15.471
	2	2.470	1.500	0.250	19.757	26.238	0.101	6.838
	3	1.790	1.000	0.250	20.136	28.892	0.069	10.115
	4	2.290	1.500	0.250	18.464	24.543	0.100	6.919
	5	1.860	1.000	0.250	19.667	28.306	0.071	9.737
	6	2.210	1.500	0.250	18.450	24.837	0.101	6.854
	Mean	2.110	1.250	0.250	19.859	28.419	0.081	9.322
	SD	0.261	0.273	0.000	1.550	4.879	0.023	3.365
	SE	0.106	0.111	0.000	0.632	1.991	0.009	1.374
	Max	2.470	1.500	0.250	22.681	37.702	0.101	15.471
	Min	1.790	1.000	0.250	18.449	24.543	0.044	6.837

Conclusions

Based on the results of the *in-vivo* study, the floating preparation had achieved significant enhancement in sustaining ofloxacin.

References

Shakya R, Thapa P, Saha RN. In vitro and in vivo evaluation of gastroretentive floating drug delivery system of ofloxacin. Asian J Pharm . 2013;8(3):191-8

Chavanpatil M, Jain P, Chaudhari S, Shear R, Vavia P. Development of sustained release gastroretentive drug delivery system for ofloxacin: in vitro and in vivo evaluation. Int J Pharm. 2005;304(1):178-84.

World Medical A. World Medical Association Declaration of Helsinki: ethical principles for medical research involving human subjects. JAMA. 2013;310(20):2191-4.