FORMULATION AND EVALUTION OF MOUTH DISSOLVING TABLETS TOLPERISONE HYDROCHLORIDE

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ABSTRACT

The paediatric and geriatric patients face problem in consuming the traditional tablets. Hence to resolve this problem the fast dissolved or break up in the mouth tablets to be formulated. Preparation and developed the mouth dissolving tablets of Tolperisone hydrochloride Preformulation studied conducted for Tolperisone hydrochloride to assess its purity. This study was also applicable in screening the physicochemical characteristics of Tolperisone. Powder blend prepared were evaluation for diverse rheological properties like bulk density, tapped density, Hausner's ratio, angle of repose by using standard procedures, and exhibited satisfactory results. Tablets of Tolperisone hydrochloride were formulated by direct compression method applied superdisintegrants agents namely Crospovidone and Sodium starch glycolate in various ratios. The prepared tablets were assessed for their thickness, hardness, weight variation, friability, assay, wetting time, water absorption ratio, in-vitro disintegration time and dissolution study. All the preparations of prepared tablets were subjected to in-vitro release studies. The outcomes of these investigations were found to be satisfactorily. Among all the formulations T7 best results.

KEYWORDS: Tolperisone Hydrochloride, Crospovidone, Sodium Starch Glycolate, Mouth Dissolving Tablets

Dispersible tablets are uncoated or film-coated tablets that can be dispersed in liquid before administration giving a homogenous dispersion. Dispersible tablets usually disintegrate within three minutes when put in water or a small amount of breast milk. Tolperisone is an oral, centrally acting muscle relaxant. Its precise mechanism is not completely understood, though it blocks sodium and calcium channels. It possesses a high affinity for nervous system tissue, reaching highest concentrations in brain stem, spinal cord and peripheral nerves. Based on existing clinical data, Tolperisone is not sedating and does not interact with alcohol Age classification of paediatric patients Paediatric medicines must allow accurate administration of the dose to children of varying age and weight. In addition, the formulation must be acceptable for the child in terms of taste and easy to administer for the care-giving adult. During childhood, there are significant changes in the ability to handle different dosage forms. The WHO has proposed the following age classification:

- Pre-term newborn infants (<37 weeks gestation)
- Full-term newborn infants (0 to 28 days)
- Infants and toddlers (1 month to 2 years)
- Children, pre-school (2 to 5 years)
- Children, school (6 to 11 years)
- Adolescents (12 to 16-18 years -dependent on region-)

Oral medication is the preferred route of administration to children.

Small-volume liquid medicines are appropriate for use in the younger age groups. Children less than 5 years of age usually have problems with swallowing tablets and capsules Dysphasia may be overcome by developing solid dosage forms (dispersible tablets) to be dissolved, dispersed or mixed with food, milk or water prior to administration. Dispersible tablets are a convenient formulation for infants, toddlers and pre-school children.

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MATERIALS AND METHODS

Materials

Drug Tolperisone hydrochloride was obtained from Aristo Pharma Ltd. Baddi, and excipients used from DR K.N Modi University Was provided.

Method

Direct compression method applied.

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Formula Table

S. No.	Name of ingredent	T1	T2	T3	T4	T5	T6	T7	T8
1	Tolperisone	150	150	150	150	150	150	150	150
2	Crospovidone	8	8	8	8	8	8	8	8
3	Sodium starch glycolate	7	9	11	13	7	9	11	13
4	Microcrystalline cellulose	15	15	15	15	15	15	15	15
5	Mg sterate	3	3	3	3	3	3	3	3
6	Mannitol	114	112	110	108	112	110	108	106
7	Talc	1	1	1	1	1	1	1	1
8	Aspartame	2	2	2	2	2	2	2	2
	Total wight	**300**	**300**	**300**	**300**	**300**	**300**	**300**	**300**

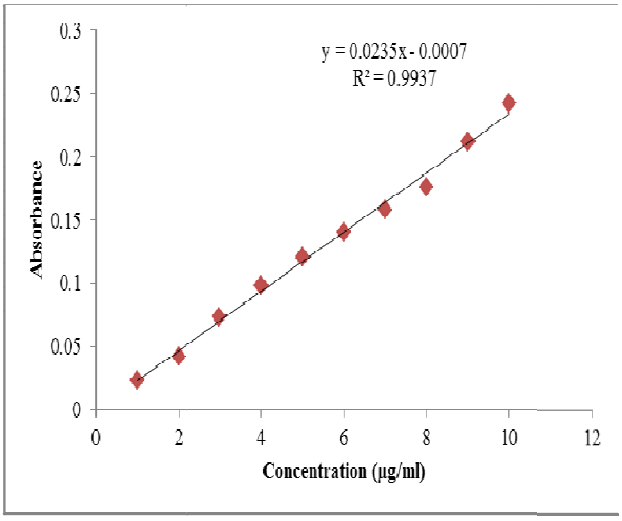
Preparation of calibration curve in 0.1 N NaOH

An accurately weighed amount of Tolperisone 100mg was dissolved in small amount of 0.1 N NaOH in 100ml volumetric flask and volume made up to 100ml with NaOH. From this stock's solution, 1ml, 2ml, 3ml, 4ml, 5ml, 6ml, 7ml, 8ml, 9ml and 10ml were withdrawn and diluted up to 10ml with the 0.1 N NaOH in 10ml volumetric flask to get concentration of 1µg, 2µg, 3µg, 4µg, 5µg, 6µg, 7µg, 8µg, 9µg and 10µg respectively. by UV visible Spectrophotometer at 260 nm .

Absorbance by Tolperisone drug at different concentration in 0.1 N NaOH

S. No.	Concentration in µg/ml	Absorbance at 260 nm
1.	1	0.019
2.	2	0.056
3.	3	0.069
4.	4	0.091
5.	5	0.118
6.	6	0.133
7.	7	0.159
8.	8	0.184
9.	9	0.207
10.	10	0.238

Calibration curve of Tolperisone drug in 0.1 N NaOH



Drug excipients interaction study by FTIR

The Fourier Transform – Infrared (FT-IR) spectroscopy used in determination of identification of known and unknown compound. Apart from this it was also be used in evaluation the drug interaction. During formulation the active ingredient are used mixed with various excipients to give proper shape and appearance. Sometimes after mixing the active ingredients with excipients, it produces incompatibility due to drug excipient interaction. The incompatibility of drug can alter the potency of formulation. It can also produce adverse effects to the body. So check the drug and excipient incompatibility.

Evaluation of pre-compression characteristics of Tolperisone API blend

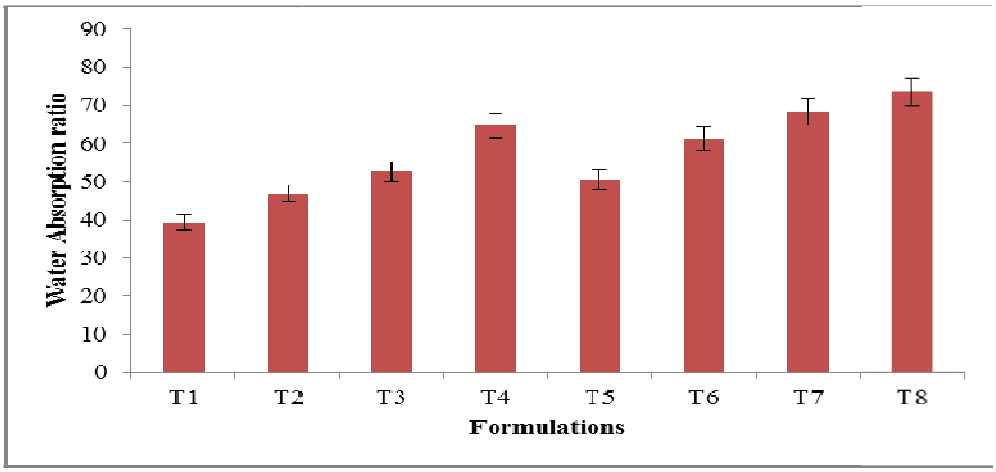
Parameters	T1	T2	T3	T4	T5	T6	T7	T8
Mean Angle of repose* ± S.D.	36° 25' ± 0.02	29° 36' ± 0.11	31° 28' ± 0.05	30° 57' ± 0.08	29° 91' ± 0.09	31° 43' ± 0.13	34° 72' ± 0.21	38° 14' ± 0.05
Mean Apparent bulk density* (g/cm^3) ± S.D	0.473 ± 0.02	0.565 ± 0.04	0.547 ± 0.06	0.513 ± 0.01	0.574 ± 0.03	0.519 ± 0.06	0.538 ± 0.04	0.558 ± 0.04
Mean Tapped bulk density* (g/cm^3) ± S.D.	0.565 ± 0.03	0.689 ± 0.01	0.672 ± 0.03	0.621 ± 0.06	0.698 ± 0.04	0.625 ± 0.03	0.645 ± 0.02	0.672 ± 0.02
Compresibility Index* (%)	12.74	15.09	17.11	17.39	14.89	15.36	16.59	19.34
Hausner's Ratio*	1.14 ± 0.01	1.17 ± 0.02	1.20 ± 0.04	1.21 ± 0.02	1.17 ± 0.05	1.18 ± 0.02	1.20 ± 0.05	1.23 ± 0.03

Evaluation of post compression evolution Tolperisone mouth dissolving tablets

Parameters	T1	T2	T3	T4	T5	T6	T7	T8
Uniformity of weight (mg)*	305.20 ± 1.12	304.17 ± 1.07	304.84 ± 2.01	305.07 ± 1.81	304.6 ± 1.92	305.51 ± 1.25	304.30 ± 1.58	305.42 ± 1.34
Thickness (mm)*	3.21 ± 0.01	3.50 ± 0.04	3.10 ± 0.03	3.34 ± 0.02	3.17 ± 0.01	3.27 ± 0.05	3.41 ± 0.03	3.62 ± 0.04
Friability (%)*	0.28 ± 0.02	0.19 ± 0.01	0.24 ± 0.03	0.27 ± 0.01	0.29 ± 0.05	0.22 ± 0.06	0.20 ± 0.02	0.25 ± 0.01
Tablet Hardness (Kp)*	3.29 ± 0.06	3.18 ± 0.03	3.51 ± 0.06	3.05 ± 0.04	3.62 ± 0.07	3.21 ± 0.05	3.73 ± 0.03	3.42 ± 0.04
Assay (%)	98.37 ± 0.15	99.25 ± 0.72	98.74 ± 0.12	99.18 ± 0.34	97.61 ± 0.53	98.24 ± 0.79	99.15 ± 0.47	98.05 ± 0.25

Evaluation of wetting time of Tolperisone mouth dissolving tablets

Formulation	Wetting time (Sec)
T1	35.07±0.02
T2	30.52±0.05
T3	28.36±0.12
T4	25.73±0.19
T5	28.46±0.08
T6	23.91±0.17
T7	21.32±0.09
T8	17.79±0.13



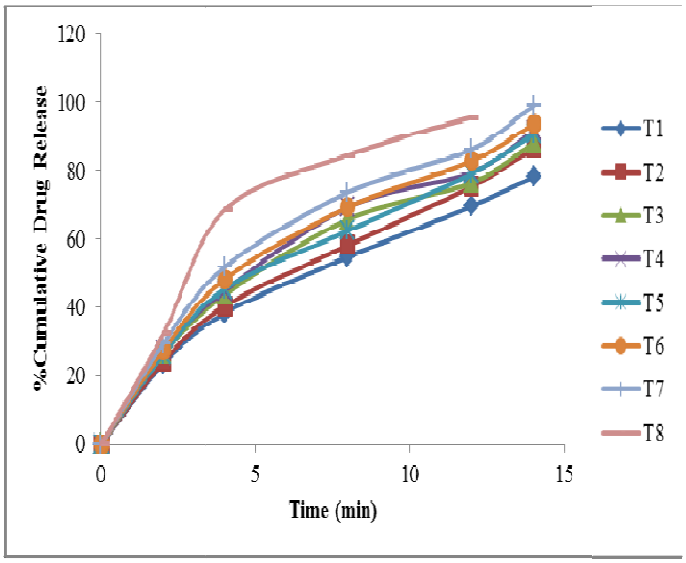
Water absorption ratio of Tolperisone mouth dissolving tablets

Evaluation of in-vitro disintegration time of Tolperisone mouth dissolving tablets

Formulation	In-vitro disintegration time (sec)
T1	38.21±0.08
T2	35.18±0.12
T3	34.52±0.07
T4	29.73±0.08
T5	31.61±0.19
T6	28.45±0.09
T7	27.58±0.10
T8	22.36±0.05

In-vitro dissolution study of Tolperisone mouth dissolving tablets

Time in mins	Sq. rt. of Time	Log Time	Cumulative percent drug release							
			T1	T2	T3	T4	T5	T6	T7	T8
0	0	0	0.00	0.00	0.00	0.00	0.00	0.00	0.00	0.00
2	1.41	0.30	23.54	24.15	26.32	27.42	26.14	27.52	29.43	32.35
4	2	0.60	38.26	40.32	43.54	44.73	45.36	48.17	51.72	68.48
8	2.82	0.90	54.72	58.14	65.75	69.34	62.43	69.31	73.64	84.51
12	3.46	1.07	69.61	75.37	76.47	79.49	79.18	82.82	86.29	95.62
14	3.74	1.14	78.23	86.42	88.19	91.53	90.73	93.67	98.16	-



In-vitro drug release profile of Tolperisone

RESULTS AND CONCLUSION

This study was also applicable in screening the physicochemical characteristics of Tolperisone. Powder blend prepared were evalution for diverse rheological properties like bulk density, tapped density, Hausner's ratio, angle of repose by using standard procedures, and exhibited satisfactory results. Tablets of Tolperisone hydrochlorid ewere formulated by direct compression method applied superdisintegrants agents namely Crospovidone and Sodium starch glycolate in various ratios. The prepared tablets were assessed for their thickness, hardness, weight variation, friability, assay, wetting time, water absorption ratio, in-vitro disintegration time and dissolution study. All the preparation of prepared tablets was subjected to in-vitro release studies. The outcomes of these investigations were found to be satisfactorily. among all the formulations T 7 best results.

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