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FORMULATION DEVELOPMENT AND IN VITRO EVALUATION OF BILASTINE ORODISPERSIBLE TABLETS PREPARED BY DIRECT COMPRESSION: A COMPARATIVE ASSESSMENT OF THREE SUPERDISINTEGRANTS

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ABSTRACT

Background: Bilastine, a second-generation H1-antihistamine indicated for allergic rhinitis and chronic urticaria, is conventionally marketed as an immediate-release tablet that must be swallowed whole. Orodispersible tablets (ODTs) offer a valuable alternative for geriatric and paediatric patients with dysphagia, providing rapid disintegration in the oral cavity without water and potentially accelerating the onset of therapeutic action. **Methods:** Nine bilastine ODT formulations (200 mg, containing 50 mg bilastine) were developed by direct compression using croscarmellose sodium (F1–F3), crospovidone XL-10 (F4–F6) and sodium starch glycolate (F7–F9) as superdisintegrants at 5%, 7.5% and 10% w/w. Preformulation studies encompassed solubility analysis, particle size distribution, powder rheology and Fourier-transform infrared (FTIR) spectroscopy for drug–excipient compatibility. The blends and tablets were evaluated for pre-compression parameters (angle of repose, bulk and tapped density, Carr's index, Hausner ratio, loss on drying) and post-compression parameters (weight variation, thickness, hardness, friability, wetting time, water absorption ratio, in vitro disintegration and dispersion time, assay). In vitro drug release was assessed in pH 6.8 phosphate buffer using USP Apparatus II. **Results:** FTIR confirmed the absence of incompatibility between bilastine and the selected excipients. All powder blends exhibited satisfactory flow (angle of repose 27.21–33.69°) and compressibility (Carr's index 19.24–21.74%). All tablets complied with pharmacopoeial limits for weight variation (<±7.5%), hardness (3.10–3.98 kg/cm²), friability (<1%) and assay (97.53–101.63%). In vitro disintegration times ranged from 24 to 29 s. Crospovidone-based formulation F6 (10% w/w) demonstrated the most favourable overall profile, with a disintegration time of 25 ± 0.14 s, wetting time of 33 ± 0.54 s, in vitro dispersion time of 28 ± 0.14 s and the highest cumulative drug release of 99.4 ± 0.54% within 60 min. **Conclusion:** Crospovidone emerged as the most effective superdisintegrant for bilastine ODTs, and formulation F6 is proposed as an optimised candidate. Further in vivo pharmacokinetic and long-term stability studies are warranted to confirm its clinical applicability.

KEYWORDS: Bilastine, Orodispersible tablet, Fast-disintegrating tablet, Crospovidone, Croscarmellose sodium and Sodium starch glycolate.

INTRODUCTION

Oral drug delivery remains the most preferred route of administration owing to its convenience, patient acceptability and cost-effectiveness. However, a substantial proportion of the population particularly geriatric and pediatric patients, as well as individuals with dysphagia associated with neurological or psychiatric conditions — experiences difficulty swallowing conventional tablets and hard gelatin capsules.[1,2] This results in poor medication adherence, reduced therapeutic efficacy and an increased incidence of non-compliance. Orodispersible tablets (ODTs), defined by the United States Food and Drug Administration (US FDA) as solid dosage forms that disintegrate rapidly, usually within seconds, upon placement on the tongue,[3] represent an elegant solution to this problem. ODTs disperse in saliva without the need for water, offer precise unit dosing and solid-dosage stability, and can be administered anytime and anywhere, thereby improving patient compliance across diverse clinical settings.[4,5]

The rapid disintegration of ODTs is principally governed by the choice and concentration of superdisintegrants, hydrophilic agents that promote water uptake, swelling and rupture of the tablet matrix. Crospovidone, croscarmellose sodium and sodium starch glycolate are the most widely employed synthetic superdisintegrants, differing in their mechanism of action (wicking, swelling and strain recovery) and in their efficiency at equivalent concentrations.[6,7] Direct compression is the method of choice for ODT manufacture because it is simple, economical, avoids exposure to moisture and heat inherent in wet granulation, and employs conventional equipment.[8]

Bilastine is a novel, selective, non-sedating second-generation H1-antihistamine approved for the symptomatic treatment of allergic rhinitis (seasonal and perennial) and chronic idiopathic urticaria.[9,10] It exhibits a favourable pharmacokinetic profile, including rapid absorption (Tmax ≈ 1 h), an elimination half-life of approximately 14–16 h, minimal central nervous system penetration and negligible metabolism, with more than 90% of the dose eliminated unchanged in faeces.[11,12] Despite these advantages, the currently marketed solid oral dosage form must be swallowed whole, which limits its utility in dysphagic populations. Furthermore, bilastine exhibits pH-dependent solubility and poor aqueous solubility at intestinal pH (approximately 0.36 mg/mL in pH 6.8 phosphate buffer, determined in the present study), rendering its rate of dissolution a potential rate-limiting step for absorption.

To the best of our knowledge, the formulation of bilastine as an orodispersible tablet has not been extensively reported in the scientific literature. The present study was therefore designed to develop and evaluate bilastine ODTs by direct compression using three different superdisintegrants — croscarmellose sodium, crospovidone and sodium starch glycolate — at three concentration levels, with the objective of identifying an optimised formulation exhibiting rapid disintegration and complete in vitro drug release.

2. MATERIALS AND METHODS

2.1. Materials

Bilastine was obtained as a gift sample from Traveni Chemicals (India). Crospovidone XL-10 and sodium starch glycolate were procured from Pushkar Pharma (India); croscarmellose sodium from Mallikarjuna Pharma (India); microcrystalline cellulose (Avicel® PH 101) from Kroda Excipients (India); spray-dried mannitol from Agarwal Industries (India); aspartame from Panchamrut Chemicals (India); and mint flavour from S World Products (India). Starch 1500, talc, colloidal silicon dioxide (Aerosil®), sodium saccharin and magnesium stearate were of pharmaceutical grade. All other reagents and solvents were of analytical grade and used as received. Double-distilled water was used throughout the study.

2.2. Preformulation studies

The identity of the API was established in accordance with pharmacopoeial specifications (description, solubility, IR identification, related substances by HPLC and assay). The equilibrium solubility of bilastine was determined in pH 6.8 phosphate buffer at 37 ± 0.5 °C by adding an excess of drug to 20 mL of buffer, equilibrating under constant agitation, withdrawing 2 mL samples after successive additions, and analysing spectrophotometrically at 254 nm until constant absorbance was attained. Particle size distribution was determined by sieve analysis (ASTM sieves 100, 140 and 200 mesh) using a 30 g sample.

Powder rheology was characterised by measuring the angle of repose, bulk density, tapped density, Carr's compressibility index and Hausner ratio according to standard methods.[13] Loss on drying (%LOD) was determined gravimetrically after heating at 105 °C for 3 h, acceptance criterion ≤ 2.0% w/w.

2.3. Drug–excipient compatibility studies

Compatibility of bilastine with the selected excipients was assessed by Fourier-transform infrared (FTIR) spectroscopy using the potassium bromide pellet technique. Approximately 5 mg of sample (pure drug, placebo blend and drug–polymer blend) was mixed with 50 mg of spectroscopic-grade KBr and scanned over the range of 500–3500 cm^{-1} at a resolution of 4 cm^{-1} (Shimadzu 8400S). The spectra were compared for the appearance of new bands, disappearance of characteristic bands or significant shifts in the principal functional-group frequencies.

2.4. Formulation design

Nine formulations (F1–F9), each weighing 200 mg and containing 50 mg bilastine, were designed with the composition shown in Table 1. Croscarmellose sodium, crospovidone XL-10 and sodium starch glycolate were incorporated at 5, 7.5 and 10% w/w as the superdisintegrants in three independent series (F1–F3, F4–F6 and F7–F9, respectively). Spray-dried mannitol served as a directly compressible diluent and taste-masking agent, microcrystalline cellulose as a disintegrant/diluent, starch 1500 as binder, aspartame and sodium saccharin as sweeteners, mint flavour as flavouring agent, Aerosil® as glidant, talc as anti-adherent and magnesium stearate as lubricant.

Table 1: Composition of bilastine orodispersible tablets (200 mg).

Ingredient (mg)	Function	F1	F2	F3	F4	F5	F6	F7	F8	F9
Bilastine	API	50	50	50	50	50	50	50	50	50
Croscarmellose sodium	Superdisintegrant	10	15	20	–	–	–	–	–	–
Crospovidone XL-10	Superdisintegrant	–	–	–	10	15	20	–	–	–
Sodium starch glycolate	Superdisintegrant	–	–	–	–	–	–	10	15	20
Avicel® PH 101	Diluent/disintegrant	24	24	24	24	24	24	24	24	24
Mannitol (spray-dried)	Diluent/sweetener	63	58	53	63	58	53	63	58	53
Starch 1500	Binder	4	4	4	4	4	4	4	4	4
Talc	Anti-adherent	4	4	4	4	4	4	4	4	4
Aspartame	Sweetener	20	20	20	20	20	20	20	20	20
Aerosil®	Glidant	5	5	5	5	5	5	5	5	5
Magnesium stearate	Lubricant	10	10	10	10	10	10	10	10	10
Mint flavour	Flavour	5	5	5	5	5	5	5	5	5
Sodium saccharin	Sweetener	5	5	5	5	5	5	5	5	5
Total	—	200	200	200	200	200	200	200	200	200

2.5. Preparation of tablets

All ingredients were accurately weighed and passed through a suitable sieve (mesh no. 40) to ensure uniform particle size and to break agglomerates. The drug, superdisintegrant, diluents and intragranular excipients were blended geometrically in a tumbling blender for 10 min. Aerosil® and magnesium stearate were added as glidant and lubricant, respectively, and blending was continued for a further 2 min. The lubricated blends were compressed on a rotary tablet press (Rimek Minipress-I) using 8 mm round, flat punches to obtain tablets of uniform target weight 200 mg.

2.6. Evaluation of powder blends (pre-compression parameters)

The lubricated blends were characterised for angle of repose (fixed-funnel method), bulk density and tapped density (100-tap method), Carr's compressibility index and Hausner ratio.[13] Acceptance criteria: angle of repose < 35° (good flow), Carr's index 12–16% (good), Hausner ratio < 1.25 (good).

2.7. Evaluation of tablets (post-compression parameters)

Weight variation was assessed on twenty tablets (IP limits: for average weight more than 80 mg and less than 250 mg, not more than two tablets may deviate by ±7.5% and none by ±15%).[14] Thickness and diameter were measured with a vernier caliper (n = 10). Hardness was determined using a Monsanto hardness tester (n = 10, expressed as kg/cm²). Friability was measured in a Roche friabilator (20 pre-weighed tablets, 25 rpm, 100 revolutions; acceptance limit < 1% weight loss). Wetting time was determined by placing a tablet on a piece of tissue paper (folded twice) in a Petri dish containing 6 mL of distilled water and recording the time required for complete wetting of the upper surface, which contained a trace of amaranth dye as a wicking indicator. The water absorption ratio (R) was calculated as $R = (W_a - W_b)/W_b \times 100$, where W_a and W_b are the weights of the wetted and initial tablet, respectively. In vitro disintegration time was determined in distilled water at 37 ± 2 °C using a USP disintegration test apparatus (n = 6). In vitro dispersion time was determined by placing two tablets in 100 mL of pH 6.8 phosphate buffer at 37 ± 0.5 °C under gentle agitation, recording the time for complete dispersion; a smooth dispersion was confirmed by passage through a 710 µm sieve. Drug content (assay) was determined by UV spectrophotometry at 254 nm after extraction in pH 6.8 phosphate buffer.[15]

2.8. In vitro dissolution studies

In vitro drug release was evaluated using a USP Apparatus II (paddle) dissolution tester (Electrolab TDT-06P) in 500 mL of pH 6.8 phosphate buffer at 37 ± 0.5 °C and 50 rpm. Samples (5 mL) were withdrawn at 5, 10, 20, 30, 45 and 60 min and replaced with fresh medium. Bilastine concentration was determined by RP-HPLC (Kromasil C18 column, 150 × 4.6 mm, 5 µm; mobile phase flow 1.0 mL/min; UV detection at 225.27 nm; injection volume 20 µL; column temperature 30 °C; run time 15 min), calibrated against a standard plot constructed over the concentration range 4–20 µg/mL in pH 6.8 phosphate buffer (linear at 254 nm within the validated range). Each determination was performed in

triplicate and results are expressed as cumulative percentage drug release (mean ± SD, n = 3).

2.9. Statistical analysis

All results are expressed as mean ± standard deviation (SD, n = 3 unless otherwise stated). One-way analysis of variance (ANOVA) followed by Tukey's post hoc test was applied to compare dissolution profiles, with $p < 0.05$ considered statistically significant.

3. RESULTS AND DISCUSSION

3.1. Preformulation studies

The API complied with all identity specifications: white crystalline powder, solubility profile matching the reference standard, IR spectrum concordant with the working standard, total related substances 0.19% (limit ≤ 1.0%) and assay 99.9% w/w (limits 98.0–102.0% on dried basis) (Table 2). Equilibrium solubility of bilastine in pH 6.8 phosphate buffer at 37 °C was 0.36 mg/mL, confirming the drug's poor aqueous solubility at intestinal pH and establishing dissolution enhancement as a legitimate formulation objective. Sieve analysis indicated that the predominant particle-size fraction was retained on the 100 µm mesh (57.66%), followed by the 140 µm mesh (21.33%), with only 13.33% passing the 200 µm sieve (Table 3), indicating a moderately coarse, free-flowing powder.

Table 2: Identity parameters of bilastine API.

Test	Specification	Result
Description	White crystalline powder	Complies
Solubility	Soluble in water; slightly soluble in chloroform	Complies
Identification (IR)	Spectrum concordant with working standard	Complies
Impurity A	Not more than 0.15%	Not detected
Impurity B	Not more than 0.15%	Not detected
Any other impurity	Not more than 0.10%	0.09%
Total impurities	Not more than 1.00%	0.19%
Assay	98.0–102.0% w/w (on dried basis)	99.9% w/w

Table 3: Particle size distribution of bilastine (sample weight 30 g).

ASTM sieve (µm)	Weight of mesh (g)	Mesh + powder (g)	Weight retained (g)	% Retained
100	331.9	349.2	17.3	57.66
140	325.8	332.2	6.4	21.33
200	324.1	326.4	2.3	7.66
Collector	539.9	543.9	4.0	13.33
Total	—	—	30.0	99.98

The pure drug exhibited an angle of repose of 29.30°, indicating good flow, whereas a Carr's index of 28.40% and Hausner ratio of 1.397 signalled poor compressibility in the neat state (Table 4). This duality — adequate flow but insufficient cohesion — is characteristic of crystalline APIs and justified the use of directly compressible excipients (mannitol, microcrystalline cellulose) and a binder (starch 1500) to achieve tablets of adequate mechanical strength.

Table 4: Physical and rheological parameters of pure bilastine.

Parameter	Result
Bulk density	0.521 g/mL
Tapped density	0.728 g/mL
Carr's compressibility index	28.40%
Hausner ratio	1.397
Angle of repose	29.30°

3.2. Drug–excipient compatibility (FTIR)

The FTIR spectrum of pure bilastine displayed characteristic absorption bands at 1613.36, 1566.76, 1515.59 and 1052.22 cm^{-1}, attributable to C=O stretching, COOH, N–H and O–H bending vibrations, respectively. In the optimised drug–polymer blend (F6), the corresponding bands appeared at 1617.75, 1560.85, 1517.38 and 1052.19 cm^{-1} — shifts of less than 7 cm^{-1}, well within the range attributable to physical blending rather than chemical interaction. The placebo spectrum contained none of the drug-characteristic bands. The retention of all principal functional-group frequencies confirms the absence of drug–excipient incompatibility.

3.3. Pre-compression properties of the blends

All nine lubricated blends exhibited satisfactory flow and compaction behaviour (Table 5). Angles of repose ranged from 27.21 ± 0.5° (F6) to 33.69° (F7), Carr's index from 19.24% (F6) to 21.74% (F4) and Hausner ratio from 1.19 (F7) to 1.43 (F8). Blends containing crospovidone consistently showed the lowest angles of repose and Carr's indices, consistent with the excellent flow and compaction behaviour of crospovidone reported previously.[6] Loss on drying was 0.62–1.15% across all formulations, well within the 2.0% limit, indicating negligible moisture content that would otherwise retard disintegration.

Table 5: Pre-compression parameters of bilastine ODT blends (mean ± SD, n = 3).

Formulation	Angle of repose (°)	Bulk density (g/mL)	Tapped density (g/mL)	Carr's index (%)	Hausner ratio	%LOD
F1	32.15	0.40	0.51	22.0	1.37	0.82
F2	30.46	0.40	0.50	20.0	1.29	1.06
F3	27.89	0.43	0.42	19.6	1.32	0.96
F4	32.05	0.43	0.55	21.7	1.32	0.62
F5	29.82	0.41	0.52	20.8	1.36	0.88
F6	27.21	0.38	0.47	19.2	1.29	1.15
F7	33.69	0.40	0.51	22.0	1.19	1.10
F8	32.05	0.41	0.52	20.8	1.43	1.03
F9	28.49	0.40	0.50	20.0	1.25	1.08

3.4. Post-compression properties of tablets

All nine formulations complied with pharmacopoeial requirements (Table 6). Average tablet weights were 199.6–200.5 mg with no individual deviation exceeding ±7.5%. Thickness ranged from 4.63 ± 0.54 to 4.96 ± 0.47 mm, reflecting uniform die filling and compression. Hardness values (3.10–3.98 kg/cm²) were deliberately maintained at the lower end of the conventional range to facilitate rapid disintegration, while friability remained below 1% in all cases (0.65–0.97%), confirming adequate mechanical robustness for blister packaging and handling. Assay values (97.53–101.63%) demonstrated satisfactory content uniformity.

Table 6: Physical evaluation of bilastine ODTs (mean ± SD, n = 10).

Formulation	Weight variation (mg)	Thickness (mm)	Hardness (kg/cm²)	Friability (%)	Disintegration time (s)
F1	200.0 ± 0.12	4.71 ± 0.14	3.40 ± 0.14	0.74 ± 0.15	24 ± 0.01
F2	199.8 ± 1.12	4.90 ± 0.74	3.90 ± 0.14	0.65 ± 0.07	28 ± 0.02
F3	200.2 ± 0.54	4.88 ± 0.21	3.58 ± 0.23	0.93 ± 0.05	27 ± 0.14
F4	200.3 ± 0.63	4.96 ± 0.14	3.86 ± 0.47	0.97 ± 0.02	26 ± 0.25
F5	199.9 ± 0.87	4.87 ± 0.32	3.98 ± 0.21	0.83 ± 0.06	27 ± 0.14
F6	200.5 ± 0.36	4.96 ± 0.47	3.62 ± 0.36	0.80 ± 0.12	25 ± 0.14
F7	200.2 ± 0.74	4.63 ± 0.54	3.12 ± 0.41	0.79 ± 0.10	26 ± 0.36
F8	200.4 ± 0.52	4.78 ± 0.47	3.10 ± 0.74	0.75 ± 0.15	24 ± 0.14
F9	199.6 ± 0.14	4.66 ± 0.47	3.11 ± 0.74	0.81 ± 0.10	29 ± 0.14

Table 7: Functional evaluation of bilastine ODTs (mean ± SD, n = 3).

Formulation	Water absorption ratio (%)	Wetting time (s)	In vitro dispersion time (s)	Assay (%)
F1	78.92 ± 0.14	21 ± 0.24	32 ± 0.21	99.19 ± 0.51
F2	72.35 ± 0.41	24 ± 0.14	32 ± 0.25	98.42 ± 1.01
F3	69.32 ± 0.58	28 ± 0.17	31 ± 0.63	97.77 ± 1.26
F4	75.63 ± 0.47	25 ± 0.12	29 ± 0.14	97.53 ± 1.82
F5	74.21 ± 0.25	32 ± 0.32	32 ± 0.24	99.82 ± 0.33
F6	74.23 ± 0.14	33 ± 0.54	28 ± 0.14	100.55 ± 0.58
F7	76.32 ± 0.25	28 ± 0.36	29 ± 0.41	100.25 ± 2.94
F8	77.12 ± 0.54	29 ± 0.65	33 ± 0.63	99.40 ± 0.94
F9	74.12 ± 0.14	31 ± 0.14	32 ± 0.45	101.63 ± 1.50

In vitro disintegration times were uniformly rapid (24–29 s), comfortably within the ≤ 60 s criterion proposed for orodispersible tablets.[3] Wetting times (21–33 s) correlated positively with disintegration performance, and water absorption ratios (69–79%) confirmed the hydrophilic nature of the matrix, with the highly porous, non-gelling crospovidone blends (F4–F6) showing efficient capillary uptake. Notably, F6 combined a disintegration time of 25 ± 0.14 s with the lowest wetting-to-disintegration delta in its series, indicating that wicking rather than swelling was the dominant disintegration mechanism — consistent with the established behaviour of crospovidone, whose fibrous, high-surface-area particles draw water into the tablet core without forming a viscous gel barrier that can retard further ingress.[7]

3.5. In vitro drug release

Cumulative drug release profiles in pH 6.8 phosphate buffer are presented in Table 8. A clear rank order emerged: crospovidone > croscarmellose sodium > sodium starch glycolate. Within each superdisintegrant series, release increased with disintegrant concentration. For the croscarmellose series, release at 60 min increased from 91.1 ± 0.14% (F1, 5%) to 97.5 ± 0.74% (F3, 10%); for the sodium starch glycolate series, from 89.1 ± 0.54%

(F7) to 90.12 ± 0.87% (F9); and for the crospovidone series, from 93.6 ± 0.74% (F4) to 99.4 ± 0.54% (F6). Formulation F6 released 74.2 ± 0.12% within 5 min and 99.4 ± 0.54% at 60 min — statistically superior to all other formulations (one-way ANOVA, $p < 0.05$) — and achieved near-complete release while maintaining the lowest disintegration time of the crospovidone series.

Table 8: Cumulative percentage drug release of bilastine ODTs in pH 6.8 phosphate buffer, USP Apparatus II (mean ± SD, n = 3).

Time (min)	F1	F2	F3	F4	F5	F6	F7	F8	F9
5	48.5 ± 0.45	74.2 ± 0.14	73.2 ± 0.17	60.3 ± 0.54	65.4 ± 0.45	74.2 ± 0.12	49.9 ± 0.14	50.3 ± 0.54	58.3 ± 0.21
10	76.1 ± 0.47	84.6 ± 0.74	87.4 ± 0.54	71.2 ± 1.25	78.6 ± 0.36	80.3 ± 0.21	68.5 ± 0.54	69.3 ± 0.54	67.2 ± 0.21
20	80.6 ± 0.47	89.8 ± 0.96	91.7 ± 0.69	78.7 ± 0.78	84.6 ± 0.14	86.7 ± 0.36	69.3 ± 0.41	71.1 ± 0.21	75.3 ± 0.22
30	80.6 ± 0.87	95.2 ± 0.54	95.8 ± 0.54	85.1 ± 0.97	89.8 ± 0.74	92.2 ± 0.14	71.1 ± 0.14	75.6 ± 0.54	81.3 ± 0.54
45	87.5 ± 0.47	93.3 ± 0.54	95.0 ± 0.69	90.5 ± 0.96	93.3 ± 0.14	95.7 ± 0.47	87.5 ± 0.87	79.3 ± 0.21	86.3 ± 0.54
60	91.1 ± 0.14	95.5 ± 0.47	97.5 ± 0.74	93.6 ± 0.74	95.2 ± 0.54	99.4 ± 0.54	89.1 ± 0.54	89.3 ± 0.21	90.12 ± 0.87

The superiority of crospovidone can be rationalised mechanistically. Crospovidone acts predominantly by wicking: its insoluble, highly cross-linked polyvinylpyrrolidone chains generate a porous network that channels water rapidly into the tablet interior, effecting disintegration before gel formation can impede solvent ingress. Croscarmellose sodium, in contrast, swells substantially upon hydration, and at higher compression forces its swelling can be constrained, slowing disintegration; sodium starch glycolate, although an efficient swelling disintegrant, exhibits reduced efficiency in the presence of hydrophobic lubricants and at higher compression pressures.[6,7] These trends are fully consistent with the in vitro dispersion and dissolution data obtained here and with comparable reports on fexofenadine, meloxicam and aceclofenac ODTs.[16–18]

3.6. Selection of the optimised formulation

Formulation F6 was selected as the optimised formulation on the basis of its composite performance: disintegration time 25 ± 0.14 s; wetting time 33 ± 0.54 s; in vitro dispersion time 28 ± 0.14 s; friability 0.80 ± 0.12%; hardness 3.62 ± 0.36 kg/cm²; assay 100.55 ± 0.58%; and the highest cumulative drug release (99.4 ± 0.54% at 60 min; 74.2% within 5 min). These attributes satisfy the key quality target product profile for an ODT: rapid disintegration without water, adequate mechanical strength, dose uniformity and essentially complete dissolution.

4. CONCLUSION

Bilastine orodispersible tablets were successfully developed by direct compression and systematically evaluated. Preformulation and FTIR studies confirmed the compatibility of bilastine with all selected excipients. All nine formulations met pharmacopoeial specifications for weight variation, hardness, friability and assay, with disintegration times of 24–29 s. Crospovidone proved the most effective of the three superdisintegrants, and formulation F6 — containing 10% w/w crospovidone XL-10 — exhibited the most favourable composite profile, disintegrating in 25 s and releasing 99.4% of the drug within 60 min. The developed formulation addresses an unmet need for a swallowing-friendly antihistamine dosage form for paediatric, geriatric and dysphagic patients, and represents a promising candidate for further development. Future work should include formal taste-masking evaluation, accelerated and long-term stability studies in accordance with ICH guidelines, and in vivo pharmacokinetic and bioavailability assessment relative to the conventional marketed tablet.

Declaration of competing interest

The authors declare that they have no known competing financial interests or personal relationships that could have appeared to influence the work reported in this paper.

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