

COMPOSITIONS FOR TREATING NEUROLOGICAL DISORDERS

CROSS-REFERENCE TO RELATED APPLICATIONS

[001] This application claims the benefit of priority and priority to U.S. Provisional Application No. 63/504,306, filed May 25, 2023, U.S. Provisional Application No. 63/578,804, filed August 25, 2023, U.S. Provisional Application No. 63/540,277, filed September 25, 2023, and U.S. Provisional Application No. 63/556,028, filed February 21, 2024, the disclosures of each of which are hereby incorporated by reference in their entireties for all purposes.

BACKGROUND

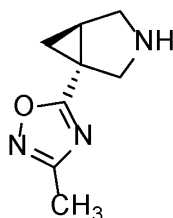
[002] Neurological disorders are now the leading source of disability in the world. New compositions and strategies for treating neurological disorders, such as schizophrenia, Alzheimer's disease psychosis, Parkinson's Disease Psychosis, cognition in Alzheimer's disease, psychosis in dementia with Lewy bodies, bipolar disorders and dyskinesias (including levodopa-induced dyskinesia and tardive dyskinesia), are needed.

[003] The present disclosure provides compositions containing a M1/M4 muscarinic agonist (Compound 1) co-formulated with a peripherally active muscarinic receptor antagonist (fesoterodine fumarate) and methods for treating neurological disorders, such as schizophrenia, Alzheimer's disease psychosis, Parkinson's Disease Psychosis, cognition in Alzheimer's disease, psychosis in dementia with Lewy bodies, bipolar disorders and dyskinesias (including levodopa-induced dyskinesia and tardive dyskinesia).

SUMMARY OF THE DISCLOSURE

[004] The present disclosure provides compositions and methods for treating neurological disorders, such as schizophrenia and Alzheimer's disease psychosis.

[005] In embodiments, the present disclosure provides a composition comprising: (a) about 10 mg to about 500 mg of Compound 1



Compound 1

or a pharmaceutically acceptable salt thereof; and (b) about 2 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the oral administration of the composition to a patient in need thereof provides a t_{max} of Compound 1 of about 0.1 h to about 8 h and a t_{max} of desfesoterodine (also referred to as 5-hydroxymethyl tolterodine or 5-HMT) of about 0.1 h to about 8 h following administration of the composition.

[006] In embodiments, the present disclosure provides a composition comprising: (a) about 10 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and (b) about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the oral administration of the composition to a patient in need thereof provides a t_{max} of Compound 1 of about 0.1 h to about 8 h and a t_{max} of desfesoterodine of about 0.1 h to about 8 h following administration of the composition.

[007] In embodiments, the administration of a composition of the present disclosure provides a t_{max} of Compound 1 of about 0.5 h to about 4 h following administration of the composition. In embodiments, the oral administration of the composition provides a t_{max} of desfesoterodine of about 0.5 h to about 4 h following administration of the composition.

[008] In embodiments, the oral administration of the composition provides a $C_{max,ss}$ of Compound 1 of about 200 ng/mL to about 5,000 ng/mL following administration of the composition. In embodiments, the oral administration of the composition provides a $C_{max,ss}$ of desfesoterodine of about 2 ng/mL to about 60 ng/mL following administration of the composition.

[009] In embodiments, the oral administration of the composition provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL following administration of the composition. In embodiments, the oral administration of the composition provides a $AUC_{0-24h,ss}$ of desfesoterodine of about 20 ng·h/mL to about 600 ng·h/mL following administration of the composition. In embodiments, the oral administration of the composition provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 200:1. In embodiments, the oral administration of the composition provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 800:1. In embodiments, the oral administration of the composition provides a C_{max} ratio of Compound 1 to desfesoterodine of about 50:1 to about 250:1. In embodiments, the oral administration of the composition provides a C_{max} ratio of Compound 1 to desfesoterodine of about 50:1 to about 600:1.

[0010] In embodiments, the present disclosure provides a composition comprising: (a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and (b)

about 1 mg to about 10 mg or about 3 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the composition releases: about 80% of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 8 h, when dissolution is tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C. In embodiments, the present disclosure provides a composition comprising: (a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and (b) about 1 mg to about 10 mg or about 3 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the composition releases: about 80% of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 18 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 8 h, when dissolution is tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0011] In embodiments, the present disclosure provides a composition comprising: (a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and (b) about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the composition releases: about 80% of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 12 h, when dissolution is tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C. In embodiments, the present disclosure provides a composition comprising: (a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and (b) about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the composition releases: about 80% of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 18 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 12 h, when dissolution is tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0012] In embodiments, the composition comprises about 50 mg to about 600 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 100 mg to about 400 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 150 mg to about 350 mg of

Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 200 mg to about 300 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 100 mg to about 300 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 100 mg to about 200 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 250 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 105 mg, about 165 mg, or about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

[0013] In embodiments, the composition comprises about 3 mg to about 12 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1.5 mg to about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 3 mg to about 9 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

[0014] In embodiments, the composition comprises fesoterodine fumarate. In embodiments, the weight % ratio of the Compound 1 to fesoterodine in the composition is about 40:1 to about 90:1. In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 20:1 to about 40:1 (wt. %). In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 46:1 or about 55:1, or about 70:1 (wt. %).

[0015] In embodiments, the compositions of the present disclosure are formulated as a tablet. In embodiments, the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the tablet comprises an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.

[0016] In embodiments, the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof and an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the tablet of the present disclosure comprises (a) a first ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; or (b) a second ER portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the first portion and second portion are configured in a bilayer tablet. In embodiments, the tablet releases about

80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 1 h to 7 h or 5 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C. In embodiments, the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 18 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 1 h to 7 h or 5 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0017] In embodiments, the tablet comprises an immediate-release (IR) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the tablet of the present disclosure comprises (a) an ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; or (b) an IR portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion and IR portion are configured in a bilayer tablet. In embodiments, the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 10 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 0.1 h to 1 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0018] In embodiments, the tablet further comprises a stabilizer, a controlled-release excipient, a lubricant, a diluent, or a mixture thereof.

[0019] In embodiments, the stabilizer is xylitol, sorbitol, polydextrose, isomalt, dextrose, microcrystalline cellulose (MCC), fructose, or a mixture thereof. In embodiments, the stabilizer is xylitol, fructose, or a mixture thereof. In embodiments, the weight % ratio of fesoterodine or a pharmaceutically acceptable salt thereof to the stabilizer is about 1:20 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 1:9 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 1:50 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 1:39 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 18:1 in the tablet.

[0020] In embodiments, the lubricant is talc, silicon dioxide, stearic acid, calcium stearate, sodium lauryl sulfate, hydrogenated vegetable oil, hydrogenated castor oil, sodium stearyl

fumarate, macrogols, glyceryl behenate, corn starch, magnesium stearate, or a mixture thereof. In embodiments, the lubricant is talc, glyceryl behenate, silicon dioxide, magnesium stearate, or a mixture thereof.

[0021] In embodiments, the controlled-release excipient is polyethylene oxide (PEO), methylcellulose (MC), ethyl cellulose, hydroxypropyl methylcellulose (HPMC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose, or a mixture thereof.

[0022] In embodiments, the diluent is microcrystalline cellulose (MCC), mannitol, lactose, dicalcium phosphate, xylitol, or a mixture thereof.

[0023] In embodiments, the tablet of the present disclosure further comprises lactose, sodium starch glycolate, croscarmellose sodium, polyvinyl alcohol (PVA), polyvinylpyrrolidone (PVP), glyceryl behenate, talc, or a mixture thereof.

[0024] In embodiments, the tablet of the present disclosure comprises a portion comprising Compound 1 or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 20 wt.% to about 55 wt.% hydroxypropyl methylcellulose (HPMC); about 4 wt.% to about 50 wt.% microcrystalline cellulose, about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the portion comprises: about 20 wt.% to about 55 wt.% hydroxypropyl methylcellulose (HPMC); about 4 wt.% to about 50 wt.% microcrystalline cellulose, about 2 wt.% to about 6 wt.% glyceryl behenate; about 1 wt.% to about 4 wt.% of talc; and optionally about 4 wt.% to about 50 wt.% xylitol. In embodiments, the tablet of the present disclosure comprises a portion comprising Compound 1 or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 40 wt.% to about 60 wt.% HPMC; about 20 wt.% to about 25 wt.% microcrystalline cellulose; about 5 wt.% to about 20 wt.% xylitol; and about 0.1 wt.% to about 0.4 wt.% of magnesium stearate.

[0025] In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 3 wt.% to about 8 wt.% sodium starch glycolate; about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[0026] In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 3 wt.% to about 8 wt.% croscarmellose sodium; about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[0027] In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 3 wt.% to about 8 wt.% polyvinylpyrrolidone (PVP); about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[0028] In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 10 wt.% to about 40 wt.% hydroxypropyl Methylcellulose (HPMC); about 1 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[0029] In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 8 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 20 wt.% to about 50 wt.% cellulose ether; about 1 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 8 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 10 wt.% to about 40 wt.% of 80% polyvinyl acetate (PVA)/19% povidone; about 1 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 40 wt.% of 75% lactose/25% microcrystalline cellulose; about 20 wt.% to about 40 wt.% of HPMC; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 20 wt.% to about 40 wt.% wt.% of MCC; about 20 wt.% to about 40 wt.% of HPMC; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 8 wt.% to about 20 wt.% xylitol; about 10 wt.% to about 40 wt.% of 75% lactose/25% microcrystalline

cellulose; about 10 wt.% to about 30 wt.% of lactose; about 10 wt.% to about 40 wt.% of HPMC; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises a portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 10 wt.% to about 40 wt.% xylitol; about 10 wt.% to about 20 wt.% of lactose; about 10 wt.% to about 40 wt.% of MCC; about 20 wt.% to about 40 wt.% of HPMC; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[0030] In embodiments, the present disclosure provides a composition comprising: an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and an immediate release (IR) coating comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR coating is disposed over the ER portion. In embodiments, the IR coating comprises HPMC, triacetin, titanium dioxide, xanthan gum, lecithin, polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbates, methacrylate copolymers, talc, or a mixture thereof.

[0031] In embodiments, the IR coating comprises (a) polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbate 80, and talc; (b) HPMC, triacetin, and talc; (c) PVA, xylitol, PEG, polysorbate 80, and talc; (d) PVA, fructose, PEG, polysorbate 80, and talc; (e) copolymer of PVA and PEG at a 3:1 weight % ratio; (f) HPMC; (g) HPMC and xylitol; or (h) HPMC and fructose.

[0032] In embodiments, the IR coating comprises (a) about 40 wt.% to about 60 wt. % polyvinyl alcohol (PVA), about 10 wt.% to about 20 wt. % polyethylene glycol (PEG), about 1 wt.% to about 5 wt. % polysorbate 80, and about 20 wt.% to about 40 wt. % talc; (b) about 70 wt.% to about 85 wt. % HPMC, about 5 wt.% to about 10 wt. % triacetin, and about 5 wt.% to about 10 wt. % talc; (c) about 25 wt.% to about 50 wt. % PVA, about 10 wt.% to about 40 wt. % xylitol, about 5 wt.% to about 20 wt. % PEG, about 1 wt.% to about 5 wt. % polysorbate 80, and about 10 wt.% to about 30 wt. % talc; (d) about 20 wt.% to about 40 wt. % PVA, about 30 wt.% to about 40 wt. % fructose, about 5 wt.% to about 15 wt. % PEG, about 1 wt.% to about 3 wt. % polysorbate 80, and about 10 wt.% to about 25 wt. % talc; (e) about 85 wt.% to about 95 wt. % copolymer of polyvinyl alcohol and polyethylene glycol at a 3:1 weight % ratio in the coating; (f) about 65 wt.% to about 95 wt. % HPMC; (g) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % xylitol; or (h) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % fructose.

[0033] In embodiments, the IR coating releases 80% of the fesoterodine within 0.5 h to 2 h when tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL or 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0034] In embodiments, the present disclosure provides a method of treating dyskinesia in a patient in need thereof, the method comprising administering a therapeutically effective amount of a composition of the present disclosure to a patient in need thereof. In embodiments, the dyskinesia is levodopa-induced dyskinesia. In embodiments, the patient is diagnosed with Parkinson's disease. In embodiments, the dyskinesia is Tardive dyskinesia.

[0035] In embodiments, the present disclosure provides a method of treating schizophrenia in a patient in need thereof, the method comprising administering a therapeutically effective amount of a composition of the present disclosure to a patient in need thereof.

[0036] In embodiments, the present disclosure provides a method of treating Alzheimer's disease in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of the present disclosure to a patient in need thereof.

BRIEF DESCRIPTION OF THE DRAWINGS

[0037] **FIG. 1A** shows the observed and predicted $C_{\max}$ values following administration of 1 mg, 3 mg, 10 mg, 20 mg, 40 mg, and 60 mg of Compound 1 as described in Example 1.

[0038] **FIG. 1B** shows the observed and predicted $AUC_{\inf}$ values following administration of 1 mg, 3 mg, 10 mg, 20 mg, 40 mg, and 60 mg of Compound 1 as described in Example 1.

[0039] **FIG. 2** shows the $C_{\max}$ and AUC_{0-8} curves following administration of Compound 1 as described in Example 1.

[0040] **FIG. 3A** shows the mean plasma concentration (ng/mL) of Compound 1 following administration of 20 mg Compound 1 as described in Example 1.

[0041] **FIG. 3B** shows the mean plasma concentration (ng/mL) of Compound 1 following administration of 40 mg Compound 1 as described in Example 1.

[0042] **FIG. 3C** shows the mean plasma concentration (ng/mL) of Compound 1 following administration of 60 mg Compound 1 as described in Example 1.

[0043] **FIG. 4A** shows the mean plasma concentration (ng/mL) of Compound 1 following administration of Compound 1 and 8 mg of fesoterodine (immediate release), as described in Example 1.

[0044] **FIG. 4B** shows the mean plasma concentration (ng/mL) of 5-hydroxymethyl tolterodine (5-HMT) following administration of fesoterodine, as described in Example 1.

[0045] FIG. 4C shows the mean plasma concentration (ng/mL) of 5-HMT following administration of fesoterodine and Compound 1, as described in Example 1.

[0046] FIG. 5A shows the mean plasma concentration (ng/mL) of 5-HMT following administration of fesoterodine as described in Example 1.

[0047] FIG. 5B shows the mean plasma concentration (ng/mL) of 5-HMT following co-administration of 40 mg of Compound 1 and 1 mg of fesoterodine as described in Example 1.

[0048] FIG. 6A shows the mean plasma concentrations (ng/mL) of Compound 1 and 5-HMT following administration of 135 mg of Compound 1 and 9 mg of fesoterodine as described in Example 1.

[0049] FIG. 6B shows the mean plasma concentrations (ng/mL) of Compound 1 and 5-HMT following administration of 135 mg of Compound 1 and 9 mg of fesoterodine, as described in Example 1.

[0050] FIG. 7A shows the mean plasma concentrations (ng/mL) of Compound 1 and 5-HMT following administration of 90 mg of Compound 1 and 6 mg of fesoterodine as described in Example 1.

[0051] FIG. 7B shows the mean plasma concentrations (ng/mL) of Compound 1 and 5-HMT following administration of 60 mg of Compound 1 and 6 mg of fesoterodine as described in Example 1.

[0052] FIG. 8A shows the predicted mean plasma concentration (ng/mL) of Compound 1 following administration of 200 mg of Compound 1 and 12 mg of fesoterodine as described in Example 1.

[0053] FIG. 8B shows the predicted required and target mean plasma concentrations (ng/mL) of Compound 1 following administration of 300 mg of Compound 1 BID and 436 mg Compound 1 BID as described in Example 1.

[0054] FIG. 9A shows the predicted mean plasma concentration (ng/mL) of Compound 1 (C1) and 5-HMT following administration of 300 mg of Compound 1 (extended release) and 3 mg of fesoterodine (immediate release) BID as described in Example 1.

[0055] FIG. 9B shows the predicted mean plasma concentration (ng/mL) of Compound 1 (C1) and 5-HMT following administration of 250 mg of Compound 1 and 6 mg of fesoterodine (12 hr extended release) BID as described in Example 1.

[0056] FIG. 9C shows the predicted mean plasma concentration (ng/mL) of Compound 1 (C1) and 5-HMT following administration of 436 mg of Compound 1 and 9.9 mg of fesoterodine (8 hr extended release) as described in Example 1.

[0057] **FIGS. 10A-10G** show the dissolution % of Compound 1 over time for certain tested compositions. Tested compositions: Compound 1 compositions containing 50% HPMC; 50% of PEO; or 25% HPMC/25% PEO (**FIG. 10A**); Compound 1 compositions containing 40 mg Compound 1; or 250 mg Compound 1 (**FIG. 10B**); Compound 1 compositions containing 50% HPMC in 1000 mg tablet; 50% HPMC in 1100 mg tablet; or 50% HPMC in 1300 mg tablet (**FIG. 10C**); Compound 1 compositions containing 50% HPMC without xylitol; 50% HPMC and 15% xylitol; or 50% HPMC and 25% xylitol (**FIG. 10D**); Compound 1 compositions containing 50% HPMC with microcrystalline cellulose; or 50% HPMC with dicalcium phosphate (**FIG. 10E**); 50% PEO in 1000 mg; 50% PEO in 1100 mg; and 50% PEO in 1300 mg tablets (**FIG. 10F**); Compound 1 compositions containing 50% PEO without xylitol; or 50% PEO and 23% xylitol (**FIG. 10G**).

[0058] **FIG. 11** shows a representative manufacturing process of the Compound 1 extended-release tablets (40 mg and 125 mg).

[0059] **FIG. 12** shows the dissolution % of the tablets of **FIG. 11** over time.

[0060] **FIG. 13A** shows the dissolution % of the 40 mg of Compound 1 tablet of **FIG. 11** measured by USP2 and USP3 methods.

[0061] **FIG. 13B** shows the dissolution % of the 125 mg Compound 1 tablet of **FIG. 11** measured by USP2 and USP3 methods.

[0062] **FIG. 14A** shows the dissolution % of the Compound 1 released from the Compound 1/fesoterodine ER/IR bilayer tablet formulations over time.

[0063] **FIG. 14B** shows the dissolution % of the Compound 1 and fesoterodine released from the ER/ER formulations of Table 5 in Example 4.

[0064] **FIG. 15** shows the plasma concentration ratio of Compound 1 to 5-HMT following oral administration of a composition containing 135 mg of Compound 1 and 6 mg of fesoterodine as described in Example 1.

[0065] **FIG. 16A** shows the mean distance traveled over a 30-minute in open-field locomotion test following 0.3 mg/kg, 0.6 mg/kg, and 1 mg/kg Compound 1 administration in amphetamine-treated mice as described in Example 7. $p < 0.0001$, 1-way ANOVA.

[0066] **FIG. 16B** shows the changes in distance traveled measured by open-field locomotion test in 5-minute intervals following 0.3 mg/kg, 0.6 mg/kg, and 1 mg/kg Compound 1 administration in amphetamine-treated mice as described in Example 7. $p < 0.0001$, 1-way ANOVA.

[0067] **FIG. 17A** shows the mean distance traveled over a 30-minute in open-field locomotion test following 0.3 mg/kg, 0.6 mg/kg, and 1 mg/kg Compound 1 administration in phencyclidine-treated mice as described in Example 8. $p < 0.0001$, 1-way ANOVA.

[0068] **FIG. 17B** shows the changes in distance traveled measured by open-field locomotion test in 5-minute intervals following 0.3 mg/kg, 0.6 mg/kg, and 1 mg/kg Compound 1 administration in phencyclidine-treated mice as described in Example 8. $p < 0.0001$, 1-way ANOVA.

DETAILED DESCRIPTION

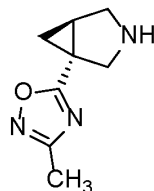
Definitions

[0069] Throughout this disclosure, various patents, patent applications and publications (including non-patent publications) are referenced. The disclosures of these patents, patent applications and publications in their entireties are incorporated into this disclosure by reference for all purposes in order to more fully describe the state of the art as known to those skilled therein as of the date of this disclosure. This disclosure will govern in the instance that there is any inconsistency between the patents, patent applications and publications cited and this disclosure.

[0070] For convenience, certain terms employed in the specification, examples and claims are collected here. Unless defined otherwise, all technical and scientific terms used in this disclosure have the same meanings as commonly understood by one of ordinary skill in the art to which this disclosure belongs.

[0071] The term “about” when immediately preceding a numerical value means a range (e.g., plus or minus 10% of that value). For example, “about 50” can mean 45 to 55, “about 25,000” can mean 22,500 to 27,500, etc., unless the context of the disclosure indicates otherwise, or is inconsistent with such an interpretation. For example, in a list of numerical values such as “about 49, about 50, about 55, ...”, “about 50” means a range extending to less than half the interval(s) between the preceding and subsequent values, e.g., more than 49.5 to less than 52.5. Furthermore, the phrases “less than about” a value or “greater than about” a value should be understood in view of the definition of the term “about” provided herein. Similarly, the term “about” when preceding a series of numerical values or a range of values (e.g., “about 10, 20, 30” or “about 10-30”) refers, respectively to all values in the series, or the endpoints of the range.

[0072] As used herein, the term “Compound 1” refers to 1-(3-methyl-[1,2,4]oxadiazol-5-yl)-(1*R*,5*R*)-3-aza-bicyclo[3.1.0]hexane having the following structural formula:



In embodiments, the present disclosure describes Compound 1 or any pharmaceutically acceptable salt thereof.

[0073] As used herein, “fesoterodine” refers to 2-[(1*R*)-3-(diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenyl isobutyrate, *R*-(+)-2-(3-(diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl isobutyrate or *R*-(+)-isobutyric acid 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl ester. In embodiments, the present disclosure describes fesoterodine or any pharmaceutically acceptable salt thereof, including fesoterodine fumarate, as described in U.S. Patent Publication Nos. US 2013/0287847 A1 and US 2010/0130606 A1, incorporated by reference in their entireties.

[0074] The phrase “pharmaceutically acceptable” as used herein refers to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

[0075] The term “portion” refers to a region of a composition of the present disclosure. In embodiments, a portion is a layer, a fragment, a segment, a granule, a particle, or a matrix. In embodiments, a portion is a layer comprising Compound 1 or a pharmaceutically acceptable salt thereof or fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, a portion is a matrix comprising of Compound 1 or a pharmaceutically acceptable salt thereof and fesoterodine or a pharmaceutically acceptable salt thereof.

[0076] The term “therapeutically effective amount” refers to that amount of a compound or pharmaceutical composition described herein that is sufficient to effect the intended application including, but not limited to, disease treatment, as illustrated below.

[0077] The term “treating” as used herein with regard to a patient, refers to improving at least one symptom of the patient’s disorder (for example, schizophrenia or Alzheimer’s Disease psychosis). Treating can be improving, or at least partially ameliorating a disorder.

Compositions

[0078] In embodiments, the present disclosure provides compositions comprising Compound 1 or a pharmaceutically acceptable salt thereof and fesoterodine or a pharmaceutically acceptable salt thereof.

[0079] In embodiments, the compositions of the present disclosure comprise about 10 mg to about 800 mg of Compound 1 or a pharmaceutically acceptable salt thereof, for example, about 10 mg, about 12 mg, about 14 mg, about 16 mg, about 18 mg, about 20 mg, about 22 mg, about 24 mg, about 26 mg, about 28 mg, about 30 mg, about 32 mg, about 34 mg, about 36 mg, about 38 mg, about 40 mg, about 42 mg, about 44 mg, about 46 mg, about 48 mg, about 50 mg, about 52 mg, about 54 mg, about 56 mg, about 58 mg, about 60 mg, about 62 mg, about 64 mg, about 66 mg, about 68 mg, about 70 mg, about 72 mg, about 74 mg, about 76 mg, about 78 mg, about 80 mg, about 82 mg, about 84 mg, about 86 mg, about 88 mg, about 90 mg, about 92 mg, about 94 mg, about 96 mg, about 98 mg, about 100 mg, about 102 mg, about 104 mg, about 105 mg, about 106 mg, about 108 mg, about 110 mg, about 112 mg, about 114 mg, about 116 mg, about 118 mg, about 120 mg, about 122 mg, about 124 mg, about 126 mg, about 128 mg, about 130 mg, about 132 mg, about 134 mg, about 136 mg, about 138 mg, about 140 mg, about 142 mg, about 144 mg, about 146 mg, about 148 mg, about 150 mg, about 152 mg, about 154 mg, about 156 mg, about 158 mg, about 160 mg, about 162 mg, about 164 mg, about 165 mg, about 166 mg, about 168 mg, about 170 mg, about 172 mg, about 174 mg, about 176 mg, about 178 mg, about 180 mg, about 182 mg, about 184 mg, about 186 mg, about 188 mg, about 190 mg, about 192 mg, about 194 mg, about 196 mg, about 198 mg, about 200 mg, about 201 mg, about 202 mg, about 204 mg, about 206 mg, about 208 mg, about 210 mg, about 212 mg, about 214 mg, about 216 mg, about 218 mg, about 220 mg, about 222 mg, about 224 mg, about 226 mg, about 228 mg, about 230 mg, about 232 mg, about 234 mg, about 236 mg, about 238 mg, about 240 mg, about 242 mg, about 244 mg, about 246 mg, about 248 mg, about 250 mg, about 252 mg, about 254 mg, about 256 mg, about 258 mg, about 260 mg, about 262 mg, about 264 mg, about 266 mg, about 268 mg, about 270 mg, about 272 mg, about 274 mg, about 276 mg, about 278 mg, about 280 mg, about 290 mg, about 300 mg, about 310 mg, about 320 mg, about 330 mg, about 340 mg, about 350 mg, about 360 mg, about 370 mg, about 380 mg, about 390 mg, about 400 mg, about 410 mg, about 420 mg, about 430 mg, about 440 mg, about 450 mg, about 460 mg, about 470 mg, about 480 mg, about 490 mg, about 500 mg, about 510 mg, about 520 mg, about 530 mg, about 540 mg, about 550 mg, about 560 mg, about 570 mg, about 580 mg,

about 590 mg, about 600 mg, about 610 mg, about 620 mg, about 630 mg, about 640 mg, about 650 mg, about 660 mg, about 670 mg, about 680 mg, about 690 mg, about 700 mg, about 710 mg, about 720 mg, about 730 mg, about 740 mg, about 750 mg, about 760 mg, about 770 mg, about 780 mg, about 790 mg, or about 800 mg, including any values or ranges between. In embodiments, the composition comprises about 10 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 10 mg to about 200 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

[0080] In embodiments, the composition comprises about 105 mg, about 165 mg, or about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 105 mg, about 135 mg, about 165 mg, or about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 105 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 135 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 165 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 270 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 330 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

[0081] In embodiments, the compositions of the present disclosure comprise about 1 mg to about 50 mg of fesoterodine or a pharmaceutically acceptable salt thereof, for example, about 1 mg, about 1.5 mg, about 2 mg, about 2.5 mg, about 3 mg, about 3.5 mg, about 4 mg, about 4.5 mg, about 5 mg, about 5.5 mg, about 6 mg, about 6.5 mg, about 7 mg, about 7.5 mg, about 8 mg, about 8.5 mg, about 9 mg, about 9.5 mg, about 10 mg, about 11 mg, about 12 mg, about 13 mg, about 14 mg, about 15 mg, about 16 mg, about 17 mg, about 18 mg, about 19 mg, about 20 mg, about 21 mg, about 22 mg, about 23 mg, about 24 mg, about 25 mg, about 26 mg, about 27 mg, about 28 mg, about 29 mg, about 30 mg, about 31 mg, about 32 mg, about 33 mg, about 34 mg, about 35 mg, about 36 mg, about 37 mg, about 38 mg, about 39 mg, about 40 mg, 41 mg, about 42 mg, about 43 mg, about 44 mg, about 45 mg, about 46 mg, about 47 mg, about 48 mg, about 49 mg, or about 50 mg, including any values or ranges therebetween. In embodiments, the composition comprises about 1 mg to about 30 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In

embodiments, the composition comprises about 1.5 mg to about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1.5 mg, about 3 mg, or about 4.5 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1.5 mg, about 3 mg, about 4.5 mg, about 6 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1.5 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 6 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

[0082] In embodiments, the compositions of the present disclosure comprise about 10 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 2 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 3 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

[0083] In embodiments, the composition comprises about 50 mg to about 600 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 100 mg to about 400 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 150 mg to about 350 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 200 mg to about 300 mg of Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 250 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

[0084] In embodiments, the compositions of the present disclosure comprise about 100 mg to about 200 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the compositions of the present disclosure comprise about 100 mg to about 200 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 1 mg to about 5 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

[0085] In embodiments, the compositions of the present disclosure comprise about 3 mg to about 8 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 3 mg to about 12 mg of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises about 1 mg to about 5 mg

of fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the composition comprises fesoterodine fumarate.

[0086] In embodiments, the compositions of the present disclosure comprise Compound 1 and fesoterodine at a weight % ratio of about 5:1 to about 100:1, for example, about 5:1, about 6:1, about 7:1, about 8:1, about 9:1, about 10:1, about 12:1, about 14:1, about 16:1, about 18:1, about 20:1, about 22:1, about 24:1, about 26:1, about 28:1, about 30:1, about 32:1, about 34:1, about 36:1, about 38:1, about 40:1, about 42:1, about 44:1, about 46:1, about 48:1, about 50:1, about 52:1, about 54:1, about 56:1, about 58:1, about 60:1, about 62:1, about 64:1, about 66:1, about 68:1, about 70:1, about 72:1, about 74:1, about 76:1, about 78:1, about 80:1, about 82:1, about 84:1, about 86:1, about 88:1, about 90:1, about 92:1, about 94:1, about 96:1, about 98:1, or about 100:1, including any values or ranges therebetween. In embodiments, the weight % ratio of the Compound 1 to fesoterodine in the composition is about 40:1 to about 90:1. In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 20:1 to about 40:1. In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 5:1 to about 15:1. In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 55:1 to about 70:1. In embodiments, the weight % ratio of the Compound 1 and fesoterodine in the composition is about 46:1 to about 70:1.

[0087] In embodiments, the oral administration of the compositions of the present disclosure provide substantially the same T_{max} for desfesoterodine and Compound 1. In embodiments, the compositions of the present disclosure comprise about 10 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 2 mg to about 20 mg or about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof, wherein the oral administration of the composition provides a t_{max} of Compound 1 of about 0.1 h to about 18 h (such as about 0.1 h to about 12 h), for example, about 0.1 h, about 0.2 h, about 0.3 h, about 0.4 h, about 0.5 h, about 0.6 h, about 0.7 h, about 0.8 h, about 0.9 h, about 1.0 h, about 1.2 h, about 1.4 h, about 1.6 h, about 1.8 h, about 2.0 h, about 2.2 h, about 2.4 h, about 2.6 h, about 2.8 h, about 3.0 h, about 3.2 h, about 3.4 h, about 3.6 h, about 3.8 h, about 4.0 h, about 4.2 h, about 4.4 h, about 4.6 h, about 4.8 h, about 5.0 h, about 5.2 h, about 5.4 h, about 5.6 h, about 5.8 h, about 6.0 h, about 6.2 h, about 6.4 h, about 6.6 h, about 6.8 h, about 7.0 h, about 7.2 h, about 7.4 h, about 7.6 h, about 7.8 h, about 8.0 h, about 8.2 h, about 8.4 h, about 8.6 h, about 8.8 h, about 9.0 h, about 9.2 h, about 9.4 h, about 9.6 h, about 9.8 h, about 10.0 h, about 10.2 h, about 10.4 h, about 10.6 h, about 10.8 h, about 11.0 h, about 11.2 h, about 11.4 h, about 11.6

h, about 11.8 h, about 12.0 h, about 12.2 h, about 12.4 h, about 12.6 h, about 12.8 h, about 13.0 h, about 13.2 h, about 13.4 h, about 13.6 h, about 13.8 h, about 14.0 h, about 14.2 h, about 14.4 h, about 14.6 h, about 14.8 h, about 15.0 h, about 15.2 h, about 15.4 h, about 15.6 h, about 15.8 h, about 16.0 h, about 16.2 h, about 16.4 h, about 16.6 h, about 16.8 h, about 17.0 h, about 17.2 h, about 17.4 h, about 17.6 h, about 17.8 h, or about 18.0 h, including any values or ranges therebetween, and a $t_{\max}$ of desfesoterodine (i.e., 5-HMT) of about 0.1 h to about 12 h, for example, about 0.1 h, about 0.2 h, about 0.3 h, about 0.4 h, about 0.5 h, about 0.6 h, about 0.7 h, about 0.8 h, about 0.9 h, about 1.0 h, about 1.2 h, about 1.4 h, about 1.6 h, about 1.8 h, about 2.0 h, about 2.2 h, about 2.4 h, about 2.6 h, about 2.8 h, about 3.0 h, about 3.2 h, about 3.4 h, about 3.6 h, about 3.8 h, about 4.0 h, about 4.2 h, about 4.4 h, about 4.6 h, about 4.8 h, about 5.0 h, about 5.2 h, about 5.4 h, about 5.6 h, about 5.8 h, about 6.0 h, about 6.2 h, about 6.4 h, about 6.6 h, about 6.8 h, about 7.0 h, about 7.2 h, about 7.4 h, about 7.6 h, about 7.8 h, about 8.0 h, about 8.2 h, about 8.4 h, about 8.6 h, about 8.8 h, about 9.0 h, about 9.2 h, about 9.4 h, about 9.6 h, about 9.8 h, about 10.0 h, about 10.2 h, about 10.4 h, about 10.6 h, about 10.8 h, about 11.0 h, about 11.2 h, about 11.4 h, about 11.6 h, about 11.8 h, or about 12.0 h, including any values or ranges therebetween.

[0088] In embodiments, the oral administration of the composition provides a $t_{\max}$ of Compound 1 of about 0.5 h to about 4 h following administration of the composition. In embodiments, the oral administration of the composition provides a $t_{\max}$ of Compound 1 of about 0.5 h to about 10 h, about 1 h to about 10 h, about 1.5 h to about 10 h, about 1.5 h to about 8 h, about 2 h to about 8 h, about 3 h to about 6 h, or about 3 h to about 4 h following administration of the composition.

[0089] In embodiments, the oral administration of the composition provides a $t_{\max}$ of desfesoterodine of about 0.5 h to about 4 h following administration of the composition. In embodiments, the oral administration of the composition provides a $t_{\max}$ of desfesoterodine of about 0.1 h to about 10 h, about 0.5 h to about 10 h, about 1 h to about 10 h, about 1 h to about 8 h, about 2 h to about 6 h, about 3 h to about 6 h, or about 3 h to about 4 h following administration of the composition.

[0090] In embodiments, the oral administration of the composition provides a $C_{\max,ss}$ of Compound 1 of about 200 ng/mL to about 5,000 ng/mL, for example, about 200 ng/mL, about 250 ng/mL, about 300 ng/mL, about 350 ng/mL, about 400 ng/mL, about 450 ng/mL, about 500 ng/mL, about 550 ng/mL, about 600 ng/mL, about 650 ng/mL, about 700 ng/mL, about 750 ng/mL, about 800 ng/mL, about 850 ng/mL, about 900 ng/mL, about 950 ng/mL, about

1000 ng/mL, about 1100 ng/mL, about 1200 ng/mL, about 1300 ng/mL, about 1400 ng/mL, about 1500 ng/mL, about 1600 ng/mL, about 1700 ng/mL, about 1800 ng/mL, about 1900 ng/mL, about 2000 ng/mL, about 2100 ng/mL, about 2200 ng/mL, about 2300 ng/mL, about 2400 ng/mL, about 2500 ng/mL, about 2600 ng/mL, about 2700 ng/mL, about 2800 ng/mL, about 2900 ng/mL, about 3000 ng/mL, about 3100 ng/mL, about 3200 ng/mL, about 3300 ng/mL, about 3400 ng/mL, about 3500 ng/mL, about 3600 ng/mL, about 3700 ng/mL, about 3800 ng/mL, about 3900 ng/mL, about 4000 ng/mL, about 4100 ng/mL, about 4200 ng/mL, about 4300 ng/mL, about 4400 ng/mL, about 4500 ng/mL, about 4600 ng/mL, about 4700 ng/mL, about 4800 ng/mL, about 4900 ng/mL, or about 5000 ng/mL, including any values or ranges therebetween, following administration of the composition. In embodiments, the oral administration of the composition provides a $C_{\max,ss}$ of desfesoterodine of about 2 ng/mL to about 60 ng/mL, for example, about 2 ng/mL, about 3 mg/mL, about 4 ng/mL, about 5 mg/mL, about 6 ng/mL, 7 ng/mL, about 8 ng/mL, about 9 mg/mL, about 10 ng/mL, about 11 mg/mL, about 12 ng/mL, about 13 mg/mL, about 14 ng/mL, about 15 mg/mL, about 16 ng/mL, 17 ng/mL, about 18 ng/mL, about 19 mg/mL, about 20 ng/mL, about 22 mg/mL, about 24 ng/mL, about 26 mg/mL, about 28 ng/mL, about 30 mg/mL, about 32 mg/mL, about 34 ng/mL, about 36 mg/mL, about 38 ng/mL, about 40 mg/mL, about 42 mg/mL, about 44 ng/mL, about 46 mg/mL, about 48 ng/mL, about 50 mg/mL, about 52 mg/mL, about 54 ng/mL, about 56 mg/mL, about 58 ng/mL, or about 60 mg/mL, including any values or ranges therebetween, following administration of the composition.

[0091] In embodiments, the oral administration of the composition provides a steady state $C_{\min}$ ($C_{\min,ss}$) of Compound 1 of about 50 ng/mL to about 1,000 ng/mL, for example, about 50 ng/mL, about 60 ng/mL, about 80 ng/mL, about 100 ng/mL, about 120 ng/mL, about 140 ng/mL, about 150 ng/mL, about 160 ng/mL, about 180 ng/mL, about 200 ng/mL, about 250 ng/mL, about 300 ng/mL, about 350 ng/mL, about 400 ng/mL, about 450 ng/mL, about 500 ng/mL, about 550 ng/mL, about 600 ng/mL, about 650 ng/mL, about 700 ng/mL, about 750 ng/mL, about 800 ng/mL, about 850 ng/mL, about 900 ng/mL, about 950 ng/mL, or about 1000 ng/mL, including any values or ranges therebetween, for about 8 h, about 10 h, about 12 h, about 14 h, about 16 h or about 18 h following administration of the composition.

[0092] In embodiments, the oral administration of the composition provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 80,000 ng·h/mL, for example, about 4000 ng·h/mL, about 5000 ng·h/mL, about 6000 ng·h/mL, about 7000 ng·h/mL, about 8000 ng·h/mL, about 9000 ng·h/mL, about 10,000 ng·h/mL, about 11,000 ng·h/mL, about 12,000

ng·h/mL, about 13,000 ng·h/mL, about 14,000 ng·h/mL, about 15,000 ng·h/mL, 16,000 ng·h/mL, about 17,000 ng·h/mL, about 18,000 ng·h/mL, about 19,000 ng·h/mL, about 20,000 ng·h/mL, about 21,000 ng·h/mL, about 22,000 ng·h/mL, about 23,000 ng·h/mL, about 24,000 ng·h/mL, about 25,000 ng·h/mL, 26,000 ng·h/mL, about 27,000 ng·h/mL, about 28,000 ng·h/mL, about 29,000 ng·h/mL, about 30,000 ng·h/mL, 31,000 ng·h/mL, about 32,000 ng·h/mL, about 33,000 ng·h/mL, about 34,000 ng·h/mL, about 35,000 ng·h/mL, 36,000 ng·h/mL, about 37,000 ng·h/mL, about 38,000 ng·h/mL, about 39,000 ng·h/mL, about 40,000 ng·h/mL, 45,000 ng·h/mL, about 50,000 ng·h/mL, about 55,000 ng·h/mL, about 60,000 ng·h/mL, about 65,000 ng·h/mL, 70,000 ng·h/mL, about 75,000 ng·h/mL, or about 80,000 ng·h/mL, including any values or ranges therebetween, following administration of the composition. In embodiments, the oral administration of the composition provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL following administration of the composition.

[0093] In embodiments, the oral administration of the composition provides a $AUC_{0-24h,ss}$ of desfesoterodine of about 20 ng·h/mL to about 1000 ng·h/mL, for example, about 20 ng·h/mL, about 30 ng·h/mL, about 40 ng·h/mL, about 50 ng·h/mL, about 60 ng·h/mL, about 70 ng·h/mL, about 80 ng·h/mL, about 90 ng·h/mL, about 100 ng·h/mL, about 120 ng·h/mL, about 140 ng·h/mL, about 160 ng·h/mL, about 180 ng·h/mL, about 200 ng·h/mL, about 220 ng·h/mL, about 240 ng·h/mL, about 260 ng·h/mL, about 280 ng·h/mL, about 300 ng·h/mL, about 320 ng·h/mL, about 340 ng·h/mL, about 360 ng·h/mL, about 380 ng·h/mL, about 400 ng·h/mL, about 420 ng·h/mL, about 440 ng·h/mL, about 460 ng·h/mL, about 480 ng·h/mL, about 500 ng·h/mL, about 520 ng·h/mL, about 540 ng·h/mL, about 560 ng·h/mL, about 580 ng·h/mL, about 600 ng·h/mL, about 620 ng·h/mL, about 640 ng·h/mL, about 660 ng·h/mL, about 680 ng·h/mL, about 700 ng·h/mL, about 720 ng·h/mL, about 740 ng·h/mL, about 760 ng·h/mL, about 780 ng·h/mL, about 800 ng·h/mL, about 820 ng·h/mL, about 840 ng·h/mL, about 860 ng·h/mL, about 880 ng·h/mL, about 900 ng·h/mL, about 920 ng·h/mL, about 940 ng·h/mL, about 960 ng·h/mL, about 980 ng·h/mL, or about 1000 ng·h/mL, including any values or ranges therebetween, following administration of the composition.

[0094] In embodiments, the oral administration provides a Compound 1 to desfesoterodine plasma concentration ratio of about 10:1 to about 1000:1, e.g., about 10:1, about 11:1, about 12:1, about 13:1, about 14:1, about 15:1, about 16:1, about 17:1, about 18:1, about 19:1, about 20:1, about 21:1, about 22:1, about 23:1, about 24:1, about 25:1, about 26:1, about 27:1, about 28:1, about 29:1, about 30:1, about 31:1, about 32:1, about 33:1, about 34:1, about 35:1, about

36:1, about 37:1, about 38:1, about 39:1, about 40:1, about 41:1, about 42:1, about 43:1, about 44:1, about 45:1, about 46:1, about 47:1, about 48:1, about 49:1, about 50:1, about 51:1, about 52:1, about 53:1, about 54:1, about 55:1, about 56:1, about 57:1, about 58:1, about 59:1, about 60:1, about 61:1, about 62:1, about 63:1, about 64:1, about 65:1, about 66:1, about 67:1, about 68:1, about 69:1, about 70:1, about 71:1, about 72:1, about 73:1, about 74:1, about 75:1, about 76:1, about 77:1, about 78:1, about 79:1, about 80:1, about 81:1, about 82:1, about 83:1, about 84:1, about 85:1, about 86:1, about 87:1, about 88:1, about 89:1, about 90:1, about 91:1, about 92:1, about 93:1, about 94:1, about 95:1, about 96:1, about 97:1, about 98:1, about 99:1, about 100:1, about 110:1, about 120:1, about 130:1, about 140:1, about 150:1, about 160:1, about 170:1, about 180:1, about 190:1, about 200:1, about 210:1, about 220:1, about 230:1, about 240:1, about 250:1, about 260:1, about 270:1, about 280:1, about 290:1, about 300:1, about 310:1, about 320:1, about 330:1, about 340:1, about 350:1, about 360:1, about 370:1, about 380:1, about 390:1, about 400:1, about 410:1, about 420:1, about 430:1, about 440:1, about 450:1, about 460:1, about 470:1, about 480:1, about 490:1, about 500:1, about 550:1, about 600:1, about 650:1, about 700:1, about 750:1, about 800:1, about 850:1, about 900:1, about 950:1, or about 1000:1, including all values and ranges in between. In embodiments, the administration provides a Compound 1 to desfesoterodine plasma concentration ratio of about 10:1 to about 200:1. In embodiments, the administration provides a Compound 1 to desfesoterodine plasma concentration ratio of about 100:1 to about 250:1. In embodiments, the administration provides a Compound 1 to desfesoterodine plasma concentration ratio of about 100:1 to about 800:1. In embodiments, the administration provides a Compound 1 to desfesoterodine plasma concentration ratio of about 100:1 to about 600:1.

[0095] In embodiments, the administration provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 20:1 to about 800:1 or about 20:1 to about 500:1, e.g., about 20:1, about 21:1, about 22:1, about 23:1, about 24:1, about 25:1, about 26:1, about 27:1, about 28:1, about 29:1, about 30:1, about 31:1, about 32:1, about 33:1, about 34:1, about 35:1, about 36:1, about 37:1, about 38:1, about 39:1, about 40:1, about 41:1, about 42:1, about 43:1, about 44:1, about 45:1, about 46:1, about 47:1, about 48:1, about 49:1, about 50:1, about 51:1, about 52:1, about 53:1, about 54:1, about 55:1, about 56:1, about 57:1, about 58:1, about 59:1, about 60:1, about 61:1, about 62:1, about 63:1, about 64:1, about 65:1, about 66:1, about 67:1, about 68:1, about 69:1, about 70:1, about 71:1, about 72:1, about 73:1, about 74:1, about 75:1, about 76:1, about 77:1, about 78:1, about 79:1, about 80:1, about 81:1, about 82:1, about 83:1, about 84:1, about 85:1, about 86:1, about 87:1, about 88:1, about 89:1, about 90:1, about 91:1, about 92:1,

about 93:1, about 94:1, about 95:1, about 96:1, about 97:1, about 98:1, about 99:1, about 100:1, about 110:1, about 120:1, about 130:1, about 140:1, about 150:1, about 160:1, about 170:1, about 180:1, about 190:1, about 200:1, about 210:1, about 220:1, about 230:1, about 240:1, about 250:1, about 260:1, about 270:1, about 280:1, about 290:1, about 300:1, about 310:1, about 320:1, about 330:1, about 340:1, about 350:1, about 360:1, about 370:1, about 380:1, about 390:1, about 400:1, about 410:1, about 420:1, about 430:1, about 440:1, about 450:1, about 460:1, about 470:1, about 480:1, about 490:1, about 500:1, about 510:1, about 520:1, about 530:1, about 540:1, about 550:1, about 560:1, about 570:1, about 580:1, about 590:1, about 600:1, about 610:1, about 620:1, about 630:1, about 640:1, about 650:1, about 660:1, about 670:1, about 680:1, about 690:1, about 700:1, about 710:1, about 720:1, about 730:1, about 740:1, about 750:1, about 760:1, about 770:1, about 780:1, about 790:1, or about 800:1, including all values and ranges therebetween. In embodiments, the administration provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 50:1 to about 250:1. In embodiments, the oral administration of the composition provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 50:1 to about 800:1. In embodiments, the oral administration of the composition provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 50:1 to about 500:1.

[0096] In embodiments, the compositions of the present disclosure comprise about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and about 3 mg to about 20 mg or about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof; wherein the composition releases: about 80 % of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 20 h, 2 h to 18 h, or 2 h to 12 h (e.g., 2 h, 3 h, 4 h, 5 h, 6 h, 7 h, 8 h, 9 h, 10 h, 11 h, 12 h, 13 h, 14 h, 15 h, 16 h, 17 h, 18 h, 19 h, or 20 h, including any values or ranges therebetween) and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 8 h (e.g., 0.2 h, 0.3 h, 0.4 h, 0.5 h, 0.6 h, 0.7 h, 0.8 h, 0.9 h, 1 h, 2 h, 3 h, 4 h, 5 h, 6 h, 7 h, or 8 h, including any values or ranges therebetween) when dissolution is tested using United States Pharmacopoeia Apparatus II (paddle speed 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[0097] In embodiments, the compositions of the present disclosure are formulated as tablets. In embodiments, the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt

thereof and an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the tablet of the present disclosure comprises a first ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and a second ER portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the first portion and second portion are configured in a bilayer tablet.

[0098] In embodiments, the ER/ER tablet releases the fesoterodine or a pharmaceutically acceptable salt thereof (anti-cholinergic agent) into the peripheral system prior to or at the same time as the release of Compound 1, thereby reducing the peripheral cholinergic effects of Compound 1. In embodiments, the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 20 h, 2 h to 18 h, 2 h to 12 h, or 5 h to 18 h (e.g., within 2 h, 3 h, 4h, 5 h, 6 h, 7 h, 8 h, 9 h, 10 h, 11 h, 12 h, 13 h, 14 h, 15 h, 16 h, 17 h, 18 h, 19 h, or 20 h, including any values or ranges therebetween) and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 1 h to 20 h, 1 h to 18 h, 1 h to 12 h, 1 h to 7 h, or 5 h to 12 h (e.g., within 1, 2, 3, 4, 5, 6, 7 h, 8 h, 9 h, 10 h, 11 h, 12 h, 13 h, 14 h, 15 h, 16 h, 17 h, 18 h, 19 h, or 20 h, including any values or ranges therebetween) when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C. In embodiments, the ER/ER tablet releases the fesoterodine or a pharmaceutically acceptable salt thereof (anti-cholinergic agent) into the peripheral system prior to or at the same time as the release of Compound 1, thereby reducing the peripheral cholinergic effects of Compound 1.

[0099] In embodiments, the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 5 h to 18 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 5 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00100] In embodiments, the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof. In embodiments, the tablet comprises an immediate-release (IR) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.

[00101] In embodiments, the ER/IR tablet immediately releases the fesoterodine or a pharmaceutically acceptable salt thereof (anti-cholinergic agent) into the peripheral system before release of Compound 1, thus reducing the peripheral cholinergic effects of Compound 1. In embodiments, the tablet comprises an ER portion comprising Compound 1 or a

pharmaceutically acceptable salt thereof; and an IR portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion and IR portion are configured in a bilayer tablet. In embodiments, the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 18 h, or 2 h to 10 h (e.g., 2 h, 3 h, 4 h, 5 h, 6 h, 7 h, 8 h, 9 h, 10 h, 11 h, 12 h, 13 h, 14 h, 15 h, 16 h, 17 h, 18 h, including any values or ranges therebetween) and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 0.1 h to 1 h (e.g., 0.1 h, 0.2 h, 0.3 h, 0.4 h, 0.5 h, 0.6 h, 0.7 h, 0.8 h, 0.9 h, or 1 h, including any values or ranges therebetween) when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00102] In embodiments, the tablets of the present disclosure further comprise a stabilizer, a controlled-release excipient, a lubricant, a diluent, or a mixture thereof.

[00103] In embodiments, the stabilizer is xylitol, sorbitol, polydextrose, isomalt, dextrose, microcrystalline cellulose, fructose, or a mixture thereof. In embodiments, the stabilizer is xylitol, fructose, or a mixture thereof. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to the stabilizer is about 1:20 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to the stabilizer is about 1:50 to about 1:1 in the tablet, for example, about 1:50, about 1:49, about 1:48, about 1:47, about 1:46, about 1:45, about 1:44, about 1:43, about 1:42, about 1:41, about 1:40, about 1:39, about 1:38, about 1:37, about 1:36, about 1:35, about 1:34, about 1:33, about 1:32, about 1:31, about 1:30, about 1:29, about 1:28, about 1:27, about 1:26, about 1:25, about 1:24, about 1:23, about 1:22, about 1:21, about 1:20, about 1:19, about 1:18, about 1:17, about 1:16, about 1:15, about 1:14, about 1:13, about 1:12, about 1:11, about 1:10, about 1:9, about 1:8, about 1:7, about 1:6, about 1:5, about 1:4, about 1:3, about 1:2, or about 1:1, including any values or ranges therebetween. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to a stabilizer is about 1:9 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to a stabilizer is about 1:1 to about 1:50, about 1:5 to about 1:45, about 1:10 to about 1:40, or about 1:18 to about 1:40 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol, sorbitol, or fructose is about 1:9 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol, sorbitol, or fructose is about 1:1 to about 1:50, about 1:5 to about 1:45, about 1:10 to about 1:40, or about 1:18 to

about 1:40 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol is about 1:9 to about 1:1 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol is about 1:1 to about 1:50, about 1:5 to about 1:45, about 1:10 to about 1:40, or about 1:18 to about 1:40 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol is about 1:9 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol is about 1:19 in the tablet. In embodiments, the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol is about 1:39 in the tablet.

[00104] In embodiments, the tablet of the present disclosure is formulated by wet granulation process. In embodiments, fesoterodine or a pharmaceutically acceptable salt thereof and a stabilizer selected from the group consisting of xylitol, fructose, sorbitol, polydextrose, isomalt, dextrose, or a combination thereof are mixed or combined in the presence of water, and the resulting granulate is dried and combined with one or more pharmaceutically acceptable excipient to form the tablet of the present disclosure. In embodiments, the tablet is formulated by dry granulation.

[00105] In embodiments, the tablet of the present disclosure further comprises a lubricant. In embodiments, the lubricant is talc, silicon dioxide, stearic acid, calcium stearate, sodium lauryl sulfate, hydrogenated vegetable oil, hydrogenated castor oil, sodium stearyl fumarate, macrogols, glyceryl behenate, corn starch, magnesium stearate, or a mixture thereof. In embodiments, the lubricant is talc, glyceryl behenate, glyceryl dibehenate, silicon dioxide, magnesium stearate, or a mixture thereof. In embodiments, the lubricant is talc, glyceryl behenate, or a mixture thereof.

[00106] In embodiments, the tablet of the present disclosure further comprises a glidant. In embodiments, the glidant is colloidal silicon dioxide.

[00107] In embodiments, the tablet of the present disclosure further comprises a controlled-release excipient. In embodiments, the controlled-release excipient is polyethylene oxide (PEO), methylcellulose (MC), ethyl cellulose, hydroxypropyl methylcellulose (HPMC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose, or a mixture thereof.

[00108] In embodiments, the tablet of the present disclosure further comprises a diluent. In embodiments, the diluent is microcrystalline cellulose (MCC), mannitol, lactose, dicalcium phosphate, xylitol, or a mixture thereof.

[00109] In embodiments, the tablet further comprises lactose, sodium starch glycolate, croscarmellose sodium, polyvinyl alcohol (PVA), polyvinylpyrrolidone (PVP), glyceryl behenate, talc, or a mixture thereof.

[00110] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof, comprises HPMC, microcrystalline cellulose (MCC), mannitol, lactose, dicalcium phosphate, xylitol, silicon dioxide, stearic acid, calcium stearate, sodium lauryl sulfate, hydrogenated vegetable oil, hydrogenated castor oil, sodium stearyl fumarate, macrogols, glyceryl behenate, corn starch, magnesium stearate, polyethylene oxide (PEO), methylcellulose (MC), ethyl cellulose, hydroxypropyl methylcellulose (HPMC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose, sodium starch glycolate, croscarmellose sodium, polyvinyl alcohol (PVA), polyvinylpyrrolidone (PVP), glyceryl behenate, talc, or any combinations thereof.

[00111] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof, comprises HPMC, xylitol, MCC, magnesium stearate. In embodiments, the tablet of the present disclosure further comprises about 0.01 wt.% to about 0.05 wt.% of a colorant (e.g., iron oxide pink pigment blend).

[00112] In embodiments, the ER portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises: about 30 wt.% to about 55 wt.% hydroxypropyl Methylcellulose (HPMC); about 10 wt.% to about 30 wt.% microcrystalline cellulose; about 5 wt.% to about 20 wt.% xylitol; about 0.1 wt.% to about 0.3 wt.% of magnesium stearate, and about 0.02 wt.% of colorant.

[00113] In embodiments, the tablet of the present disclosure comprises a portion comprising Compound 1 or a pharmaceutically acceptable salt thereof, wherein the portion comprises: about 40 wt.% to about 60 wt.% HPMC; about 20 wt.% to about 25 wt.% microcrystalline cellulose; and about 0.1 wt.% to about 0.4 wt.% of magnesium stearate.

[00114] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof, comprises hydroxypropyl Methylcellulose (HPMC), microcrystalline cellulose, glyceryl behenate, and talc. In embodiments, the tablet of the present disclosure comprises an ER portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof, comprises hydroxypropyl Methylcellulose (HPMC), microcrystalline cellulose, glyceryl behenate, xylitol, and talc. In embodiments, the tablet of the present disclosure comprises an ER portion comprising the

Compound 1 or a pharmaceutically acceptable salt thereof, comprises: about 10 wt.% to about 60 wt.% (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.%, or about 20 wt.% to about 60 wt.%, or about 20 wt.% to about 55 wt.%, or about 30 wt.% to about 55 wt.%, including any values or ranges therebetween) hydroxypropyl Methylcellulose (HPMC); about 2 wt.% to about 50 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, or about 4 wt.% to about 9 wt.%, or about 2 wt.% to about 10 wt.% or about 4 wt.% to about 50 wt.%, including any values or ranges therebetween) microcrystalline cellulose; about 2 wt.% to about 10 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, or about 2 wt.% to about 6 wt.% including any values or ranges therebetween) of glyceryl behenate; and about 1 wt.% to about 8 wt.% (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, or about 1 wt.% to about 4 wt.%, including any values or ranges therebetween) of talc. In embodiments, the portion further comprises about 4 wt.% to about 50 wt.% (e.g., about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%,

about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, or about 4 wt.% to about 50 wt.%, including any values or ranges therebetween) xylitol.

[00115] In embodiments, the portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises: about 30 wt.% to about 55 wt.% hydroxypropyl Methylcellulose (HPMC); about 4 wt.% to about 9 wt.% microcrystalline cellulose; about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00116] In embodiments, the portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises: about 20 wt.% to about 55 wt.% hydroxypropyl Methylcellulose (HPMC); about 4 wt.% to about 50 wt.% microcrystalline cellulose; about 2 wt.% to about 6 wt.% glyceryl behenate; about 4 wt.% to about 50 wt.% xylitol; and about 1 wt.% to about 4 wt.% of talc.

[00117] In embodiments, the tablet of the present disclosure comprises an IR portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, the IR portion comprising xylitol, lactose, microcrystalline cellulose, sodium starch glycolate, glyceryl behenate, and talc. In embodiments, the tablet of the present disclosure comprises an IR portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, comprising:

about 5 wt.% to about 60 wt.% xylitol (e.g., about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.%, including any values or ranges therebetween);

about 40 wt.% to about 90 wt.% (e.g., about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49

wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.%, about 61 wt.%, about 62 wt.%, about 63 wt.%, about 64 wt.%, about 65 wt.%, about 66 wt.%, about 67 wt.%, about 68 wt.%, about 69 wt.%, about 70 wt.%, about 71 wt.%, about 72 wt.%, about 73 wt.%, about 74 wt.%, about 75 wt.%, about 76 wt.%, about 77 wt.%, about 78 wt.%, about 79 wt.%, about 80 wt.%, about 81 wt.%, about 82 wt.%, about 83 wt.%, about 84 wt.%, about 85 wt.%, about 86 wt.%, about 87 wt.%, about 88 wt.%, about 89 wt.%, or about 90 wt.%, including any values or ranges therebetween) of 75% lactose/25% microcrystalline cellulose; about 2 wt.% to about 10 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, including any values or ranges therebetween) sodium starch glycolate; about 2 wt.% to about 10 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, including any values or ranges therebetween) of glyceryl behenate; and about 1 wt.% to about 10 wt.% (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, including any values or ranges therebetween) of talc.

[00118] In embodiments, the tablet of the present disclosure comprises an immediate release portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR portion comprises a) about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 3 wt.% to about 8 wt.% sodium starch glycolate; about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00119] In embodiments, the tablet of the present disclosure comprises an IR portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 2 wt.% to about 10 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, or about 3 wt.% to about 8 wt.% including any values or ranges therebetween) of croscarmellose sodium; about 2 wt.% to about 6 wt.% of glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00120] In embodiments, the tablet of the present disclosure comprises an immediate release portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof,

wherein the IR portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose; about 2 wt.% to about 10 wt.% (e.g., about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, or about 3 wt.% to about 8 wt.%, including any values or ranges therebetween) of polyvinylpyrrolidone (PVP); about 2 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00121] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion comprises about 10 wt.% to about 40 wt.% xylitol; about 10 wt.% to about 20 wt.% of lactose; about 10 wt.% to about 30 wt.% of MCC; about 20 wt.% to about 40 wt.% HPMC; about 2 wt.% to about 5 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc. In embodiments, the tablet of the present disclosure comprises an ER portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion comprises about 10 wt.% to about 40 wt.% xylitol; about 10 wt.% to about 25 wt.% of lactose; about 10 wt.% to about 30 wt.% of MCC; about 20 wt.% to about 40 wt.% HPMC; about 2 wt.% to about 5 wt.% glyceryl behenate; about 0.2 wt.% to about 1 wt.% colloidal silicon dioxide; and about 1 wt.% to about 4 wt.% of talc.

[00122] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion comprises:

about 10 wt.% to about 50 wt.% xylitol;

about 10 wt.% to about 50 wt.% (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, or about 50 wt.%, including any values or ranges therebetween) of 75% lactose/25% microcrystalline cellulose;

about 10 wt.% to about 60 wt.% (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24

wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.%, or about 10 wt.% to about 40 wt.%, including any values or ranges therebetween) of hydroxypropyl Methylcellulose (HPMC);

about 1 wt.% to about 10 wt.% (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, or about 1 wt.% to about 6 wt.%, including any values or ranges therebetween) of glyceryl behenate; and

about 1 wt.% to about 10 wt.% (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, or about 1 wt.% to about 4 wt.%, including any values or ranges therebetween) of talc.

[00123] In embodiments, the ER portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof further comprises about 0.1 wt.% to about 1 wt.% (e.g., about 0.1 wt.%, about 0.2 wt.%, about 0.3 wt.%, about 0.4 wt.%, about 0.5 wt.%, about 0.6 wt.%, about 0.7 wt.%, about 0.8 wt.%, about 0.9 wt.%, or about 1 wt.%, or about 0.2 wt.% to about 1 wt.%, including any values or ranges therebetween) of colloidal silicon dioxide.

[00124] In embodiments, the tablet of the present disclosure comprises an ER portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion comprises: about 10 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 10 wt.% to about 40 wt.% hydroxypropyl Methylcellulose (HPMC); about 1 wt.% to about 6 wt.% glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00125] In embodiments, the HPMC used in the tablet has a viscosity of about 4,000 mPas to about 100,000 mPas (e.g., about 4,000 mPas, about 6,000 mPas, about 8,000 mPas, about 10,000 mPas, about 20,000 mPas, about 30,000 mPas, about 40,000 mPas, about 50,000 mPas, about 60,000 mPas, about 70,000 mPas, about 80,000 mPas, about 90,000 mPas, or about 100,000 mPas, including any values or ranges therebetween) when dissolved about 2% by weight in water.

[00126] In embodiments, the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises about 8 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 10 wt.% to about 50 wt.% (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, or about 50 wt.%, or about 10 wt.% to about 40 wt.%, including any values or ranges therebetween) of cellulose ether; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00127] In embodiments, the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises about 8 wt.% to about 50 wt.% xylitol; about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose; about 10 wt.% to about 50 wt.% (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, or about 50 wt.%, or about 10 wt.% to about 40 wt.%, including any values or ranges therebetween) of 80% polyvinyl acetate (PVA)/19% povidone; about 1 wt.% to about 6 wt.% or glyceryl behenate; and about 1 wt.% to about 4 wt.% of talc.

[00128] In embodiments, the ER/ER or ER/IR tablet of the present disclosure further comprises a cosmetic coating.

[00129] In embodiments, the present disclosure provides a composition comprising an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and an immediate release (IR) coating comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR coating is disposed over the ER portion. In

embodiments, the IR coating comprises HPMC, triacetin, titanium dioxide, xanthan gum, lecithin, polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbates, methacrylate copolymers, talc, or a mixture thereof.

[00130] In embodiments, the IR coating comprises polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbate 80, and talc.

[00131] In embodiments, the IR coating comprises:

about 40 wt.% to about 60 wt. % (e.g., about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.% including any values or ranges therebetween) of polyvinyl alcohol (PVA);

about 10 wt.% to about 20 wt. % (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, or about 20 wt.%, including any values or ranges therebetween) of polyethylene glycol (PEG);

about 1 wt.% to about 5 wt. % (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, or about 5 wt.%, including any values or ranges therebetween) of polysorbate 80; and

about 20 wt.% to about 40 wt. % (e.g., about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, or about 40 wt.%, including any values or ranges therebetween) of talc.

[00132] In embodiments, the IR coating comprises HPMC, triacetin, and talc.

[00133] In embodiments, the IR coating comprises:

about 70 wt.% to about 85 wt. % (e.g., about 70 wt.%, about 71 wt.%, about 72 wt.%, about 73 wt.%, about 74 wt.%, about 75 wt.%, about 76 wt.%, about 77 wt.%, about 78 wt.%, about 79 wt.%, about 80 wt.%, about 81 wt.%, about 82 wt.%, about 83 wt.%, about 84 wt.%, or about 85 wt.%, including any values or ranges therebetween) of HPMC;

about 5 wt.% to about 10 wt. % (e.g., about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, including any values or ranges therebetween) of triacetin; and

about 5 wt.% to about 10 wt. % (e.g., about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, or about 10 wt.%, including any values or ranges therebetween) of talc.

[00134] In embodiments, the IR coating comprises PVA, xylitol, PEG, polysorbate 80, and talc.

[00135] In embodiments, the IR coating comprises:

about 25 wt.% to about 50 wt. % (e.g., about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, or about 50 wt.%, including any values or ranges therebetween) of PVA;

about 10 wt.% to about 40 wt. % (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, or about 40 wt.%, including any values or ranges therebetween) of xylitol;

about 5 wt.% to about 20 wt. % (e.g., about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, or about 20 wt.%, including any values or ranges therebetween) of PEG;

about 1 wt.% to about 5 wt. % (e.g., about 1 wt.%, about 2 wt.%, about 3 wt.%, about 4 wt.%, or about 5 wt.%, including any values or ranges therebetween) of polysorbate 80; and

about 10 wt.% to about 30 wt. % (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, or about 30 wt.%, including any values or ranges therebetween) of talc.

[00136] In embodiments, the IR coating comprises PVA, fructose, PEG, polysorbate 80, and talc.

[00137] In embodiments, the IR coating comprises:

about 20 wt.% to about 40 wt. % (e.g., about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, about 25 wt.%, about 26 wt.%, about 27 wt.%, about 28 wt.%, about 29 wt.%, about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about

35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, or about 40 wt.%, including any values or ranges therebetween) of PVA;

about 30 wt.% to about 40 wt. % (e.g., about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, or about 40 wt.%, including any values or ranges therebetween) of fructose;

about 5 wt.% to about 15 wt. % (e.g., about 5 wt.%, about 6 wt.%, about 7 wt.%, about 8 wt.%, about 9 wt.%, about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, or about 15 wt.%, including any values or ranges therebetween) of PEG;

about 1 wt.% to about 3 wt. % (e.g., about 1.0 wt.%, about 1.2 wt.%, about 1.4 wt.%, about 1.6 wt.%, about 1.8 wt.%, about 2.0 wt.%, about 2.2 wt.%, about 2.4 wt.%, about 2.6 wt.%, about 2.8 wt.%, or about 3 wt.%, including any values or ranges therebetween) of polysorbate 80; and

about 10 wt.% to about 25 wt. % (e.g., about 10 wt.%, about 11 wt.%, about 12 wt.%, about 13 wt.%, about 14 wt.%, about 15 wt.%, about 16 wt.%, about 17 wt.%, about 17 wt.%, about 18 wt.%, about 19 wt.%, about 20 wt.%, about 21 wt.%, about 22 wt.%, about 23 wt.%, about 24 wt.%, or about 25 wt.%, including any values or ranges therebetween) of talc.

[00138] In embodiments, the IR coating comprises copolymer of PVA and PEG at a 3:1 weight % ratio. In embodiments, the IR coating comprises about 85 wt.% to about 95 wt. % copolymer of polyvinyl alcohol and polyethylene glycol at a 3:1 weight % ratio in the coating.

[00139] In embodiments, the IR coating comprises HPMC. In embodiments, the IR coating comprises about 65 wt.% to about 95 wt. % of HPMC.

[00140] In embodiments, the IR coating comprises HPMC and xylitol.

[00141] In embodiments, the IR coating comprises:

about 40 wt.% to about 60 wt. % (e.g., about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.%, including any values or ranges therebetween) of HPMC; and

about 30 wt.% to about 45 wt. % (e.g., about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, or about 45 wt.%, including any values or ranges therebetween) of xylitol.

[00142] In embodiments, the IR coating comprises HPMC and fructose.

[00143] In embodiments, the IR coating comprises:
about 40 wt.% to about 60 wt. % (e.g., about 40 wt.%, about 41 wt.%, about 42 wt.%, about 43 wt.%, about 44 wt.%, about 45 wt.%, about 46 wt.%, about 47 wt.%, about 48 wt.%, about 49 wt.%, about 50 wt.%, about 51 wt.%, about 52 wt.%, about 53 wt.%, about 54 wt.%, about 55 wt.%, about 56 wt.%, about 57 wt.%, about 58 wt.%, about 59 wt.%, or about 60 wt.% including any values or ranges therebetween) of HPMC; and
about 30 wt.% to about 45 wt. % (e.g., about 30 wt.%, about 31 wt.%, about 32 wt.%, about 33 wt.%, about 34 wt.%, about 35 wt.%, about 36 wt.%, about 37 wt.%, about 38 wt.%, about 39 wt.%, or about 40 wt.%, including any values or ranges therebetween) of fructose.

[00144] In embodiments, the tablet comprises: (i) about 60 wt.% to about 70 wt.% polyvinyl alcohol (PVA), about 15 wt.% to about 25 wt.% talc, about 1 wt.% to about 5 wt.% lecithin, and about 0.1 wt.% to about 1.5 wt.% (e.g., about 0.1 wt.%, about 0.2 wt.%, about 0.3 wt.%, about 0.4 wt.%, about 0.5 wt.%, about 0.6 wt.%, about 0.7 wt.%, about 0.8 wt.%, about 0.9 wt.%, about 1.0 wt.%, about 1.1 wt.%, about 1.2 wt.%, about 1.4 wt.%, or about 1.5 wt.%, including any values or ranges therebetween) of xanthan gum.

[00145] In embodiments, the IR coating releases 80% of the fesoterodine within 0.5 h to 2 h (e.g., 0.5, 0.6, 0.7, 0.8, 0.9, 1.0, 1.1, 1.2, 1.3, 1.4, 1.5, 1.6, 1.7, 1.8, 1.9, or 2 h, including any values or ranges therebetween) when tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00146] In embodiments, the composition of the present disclosure is formulated as an osmotic tablet. In embodiments, the osmotic tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 4 h to 16 h (e.g., 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, or 16 h, including any values or ranges therebetween) and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 16 h (e.g., 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, or 16 h, including any values or ranges therebetween) when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00147] In embodiments, the composition of the present disclosure is formulated as a multiparticulate formulation. In embodiments, the multiparticulate formulation releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 8 h (e.g., 2, 3, 4, 5, 6, 7, or 8 h, including any values or ranges therebetween) and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 12 h (e.g., 4, 5, 6, 7, 8, 9, 10, 11, or

12 h, including any values or ranges therebetween) when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

Methods of treating neurological disorder

[00148] In embodiments, the present disclosure provides methods of treating a neurological disorder (such as schizophrenia or Alzheimer's disease psychosis) with reduced peripheral cholinergic effects by administering one or more compositions of the present disclosure (i.e., a co-formulation Compound 1 or a pharmaceutically acceptable salt thereof in combination with the peripherally acting anti-cholinergic agent fesoterodine or a pharmaceutically acceptable salt thereof) to a patient in need thereof.

[00149] In embodiments, the neurological disorder is schizophrenia. In embodiments, the patient is treated for acute schizophrenia. In embodiments, the patient is treated for chronic schizophrenia. In embodiments, the present disclosure provides methods of treating cognitive impairment in schizophrenia.

[00150] In embodiments, the neurological disorder is Alzheimer's disease. In embodiments, the neurological disorder is Alzheimer's disease psychosis. In embodiments, the patient is treated for Alzheimer's disease cognition. In embodiments, the treatment improves or maintains cognitive function in the Alzheimer's disease patient.

[00151] In embodiments, the neurological disorder is a dyskinesia. In embodiments, the dyskinesia is levodopa-induced dyskinesia. In embodiments, the patient is diagnosed with Parkinson's disease. In embodiments, the dyskinesia is Tardive dyskinesia.

[00152] In embodiments, the neurological disorder is a psychosis. In embodiments, the neurological disease is Parkinson's Disease Psychosis, Dementia Related Psychosis, brief psychotic disorder, Lewy body disease with psychosis or Acute delirium.

[00153] In embodiments, the present disclosure provides a method of treating agitation in Alzheimer's disease dementia, Lewy body disease with psychosis, Dementia with Lewy Bodies, bipolar manic episodes, bipolar mixed episodes, bipolar maintenance (bipolar 1 and/or 2), bipolar depression, or cognitive impairment in bipolar 1 and/or 2 disorder.

[00154] In embodiments, the present disclosure provides methods of treating the neurological disorders as described in International Application No. PCT/US2022/080429, which is hereby incorporated by reference in its entirety for all purposes.

[00155] In embodiments, the present application provides methods of treating a neurological disorder by orally administering a pharmaceutical composition comprising about 10 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 1 mg to about 20 mg fesoterodine or a pharmaceutically acceptable salt thereof to a patient in need thereof. In embodiments, the method comprises orally administering a composition comprising about 100 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof to a patient in need thereof.

[00156] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg based on free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg based on free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $t_{\max}$ of Compound 1 of about 0.1 h to about 8 h and a $t_{\max}$ of desfesoterodine of about 0.1 h to about 10 h .

[00157] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $t_{\max}$ of Compound 1 of about 1.5 h to about 8 h and a $t_{\max}$ of desfesoterodine of about 1 h to about 8 h.

[00158] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1

mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a steady state $C_{\max}$ ($C_{\max,ss}$) of Compound 1 of about 200 ng/mL to about 5,000 ng/mL and a $C_{\max,ss}$ of desfesoterodine of about 2 ng/mL to about 60 ng/mL.

[00159] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $C_{\max,ss}$ of Compound 1 of 600 ng/mL to about 4,000 ng/mL and a $C_{\max,ss}$ of desfesoterodine of about 1 ng/mL to about 20 ng/mL .

[00160] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL and a $AUC_{0-24h,ss}$ of desfesoterodine of about 5 ng·h/mL to about 600 ng·h/mL .

[00161] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL and a $AUC_{0-24h,ss}$ of desfesoterodine of about 20 ng·h/mL to about 200 ng·h/mL.

[00162] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of

free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 400:1 .

[00163] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 200:1.

[00164] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 50:1 to about 600:1.

[00165] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 100 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof, wherein the administration provides a $C_{\max}$ ratio of Compound 1 to desfesoterodine of about 50:1 to about 250:1.

[00166] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 40 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1

mg to about 20 mg or about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof; wherein the composition releases about 80 % of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 18 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 18 h when dissolution is tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00167] In embodiments, the methods of the present disclosure comprise orally administering a pharmaceutical composition comprising about 40 mg to about 500 mg of Compound 1 (e.g., 105 mg, 135 mg, 165 mg, 210 mg, 270 mg, 330 mg, 405 mg, or 495 mg of free base amount of Compound 1) or a pharmaceutically acceptable salt thereof and about 1 mg to about 20 mg or about 1 mg to about 10 mg of fesoterodine (e.g., 1.5 mg, 3 mg, 6 mg, or 9 mg of free base amount of fesoterodine) or a pharmaceutically acceptable salt thereof; wherein the composition releases about 80 % of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h; and about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 12 h when dissolution is tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

[00168] In embodiments, the methods of the present disclosure comprise orally administering one or more pharmaceutical compositions described in **Tables 5-5d**.

[00169] In embodiments, the administered pharmaceutical composition is a tablet. In embodiments, the administered tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof and an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof. In embodiments, the weight % ratio of the Compound 1 to fesoterodine in the administered composition is about 40:1 to about 90:1.

[00170] In embodiments, the compositions of the present disclosure are administered once per day. In embodiments, one composition of the present disclosure is administered once per day (e.g., one tablet containing 165 mg of Compound 1 and 3 mg of fesoterodine is administered once per day). In embodiments, two or more compositions of the present

disclosure is administered once per day (e.g., two tablets containing 165 mg of Compound 1 and 3 mg of fesoterodine are administered once per day).

[00171] In embodiments, the methods of the present disclosure comprise orally administering about 165 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily. In embodiments, the methods of the present disclosure comprise orally administering about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily. In embodiments, the methods of the present disclosure comprise orally administering about 270 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 6 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily. In embodiments, the methods of the present disclosure comprise orally administering 330 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 6 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily. In embodiments, the methods of the present disclosure comprise orally administering about 495 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 9 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily. In embodiments, the methods of the present disclosure comprise orally administering about 495 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 6 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient once daily.

[00172] In embodiments, the once-daily oral administration provides a mean steady-state plasma concentration of Compound 1 of at least about 50 ng/mL, at least about 100 ng/mL, at least about 150 ng/mL, at least about 200 ng/mL, at least about 250 ng/mL, at least about 300 ng/mL, at least about 350 ng/mL, or at least about 400 ng/mL for at least about 12 h, at least about 16 h, at least about 18 h, at least about 20 h, at least about 22 h, or at least about 24 h following administration. In embodiments, the once-daily oral administration provides a mean steady-state plasma concentration of Compound 1 of at least about 100 ng/mL for at least about 18 h, at least about 20 h, at least about 22 h, or at least about 24 h following administration. In embodiments, the once-daily oral administration provides a mean steady-state plasma concentration of Compound 1 of at least about 200 ng/mL for at least about 20 h following administration.

[00173] In embodiments, the composition of the present disclosure is administered twice per day. In embodiments, one composition of the present disclosure is administered twice per

day (e.g., one tablet containing 165 mg of Compound 1 and 3 mg of fesoterodine is administered twice per day). In embodiments, two or more compositions of the present disclosure is administered twice per day (e.g., two tablets containing 165 mg of Compound 1 and 3 mg of fesoterodine are administered twice per day).

[00174] In embodiments, the methods of present disclosure comprise administering about 105 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 1.5 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient twice daily (i.e., a total daily dose of 210 mg Compound 1 and 3 mg fesoterodine). In embodiments, the methods of present disclosure comprise administering about 165 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient twice daily (i.e., a total daily dose of 330 mg Compound 1 and 6 mg fesoterodine). In embodiments, the methods of present disclosure comprise administering about 210 mg of Compound 1 or a pharmaceutically acceptable salt thereof and about 3 mg of fesoterodine or a pharmaceutically acceptable salt thereof to the patient twice daily (i.e., a total daily dose of 420 mg Compound 1 and 6 mg fesoterodine).

[00175] In embodiments, the twice-daily administration provides a mean steady-state plasma concentration of Compound 1 of at least about 50 ng/mL, at least about 100 ng/mL, at least about 150 ng/mL, at least about 200 ng/mL, at least about 250 ng/mL, at least about 300 ng/mL, at least about 350 ng/mL, or at least about 400 ng/mL for at least about 12 h, at least about 16 h, at least about 18 h, at least about 20 h, at least about 22 h, at least about 24 h, at least about 26 h, at least about 28 h, at least about 30 h, at least about 32 h, at least about 34 h, at least about 36 h following administration. In embodiments, the twice-daily administration provides a mean steady-state plasma concentration of Compound 1 at least about 100 ng/mL for at least about 18 h, at least about 20 h, at least about 22 h, or at least about 24 h following administration. In embodiments, the twice-daily administration provides a mean steady-state plasma concentration of Compound 1 at least about 200 ng/mL for at least about 24 h following administration.

[00176] In embodiments, the composition of the present disclosure is administered three times per day

[00177] In embodiments, the administered compositions comprise a Compound 1 hydrochloride salt. In embodiments, the administered compositions comprise fesoterodine fumarate.

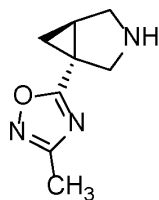
[00178] In embodiments, about 0.05 mg/kg to about 8 mg/kg of Compound 1, or a pharmaceutically acceptable salt thereof is administered to the patient, e.g., about 0.05 mg/kg, about 0.10 mg/kg, about 0.15 mg/kg, about 0.20 mg/kg, about 0.25 mg/kg, about 0.30 mg/kg, about 0.35 mg/kg, about 0.40 mg/kg, about 0.45 mg/kg, about 0.50 mg/kg, about 0.55 mg/kg, about 0.60 mg/kg, about 0.65 mg/kg, about 0.70 mg/kg, about 0.75 mg/kg, about 0.80 mg/kg, about 0.85 mg/kg, about 0.90 mg/kg, about 0.95 mg/kg, about 1.00 mg/kg, about 1.20 mg/kg, about 1.40 mg/kg, about 1.60 mg/kg, about 1.80 mg/kg, about 2.00 mg/kg, about 2.20 mg/kg, about 2.40 mg/kg, about 2.60 mg/kg, about 2.80 mg/kg, about 3.00 mg/kg, about 3.20 mg/kg, about 3.40 mg/kg, about 3.60 mg/kg, about 3.80 mg/kg, about 4.00 mg/kg, about 4.20 mg/kg, about 4.40 mg/kg, about 4.60 mg/kg, about 4.80 mg/kg, about 5.00 mg/kg, about 5.20 mg/kg, about 5.40 mg/kg, about 5.60 mg/kg, about 5.80 mg/kg, about 6.00 mg/kg, about 6.20 mg/kg, about 6.40 mg/kg, about 6.60 mg/kg, about 6.80 mg/kg, about 7.00 mg/kg, about 7.20 mg/kg, about 7.40 mg/kg, about 7.60 mg/kg, about 7.80 mg/kg, or about 8.00 mg/kg, including all values and ranges in between.

[00179] In embodiments, about 0.01 mg/kg to about 0.602 mg/kg of fesoterodine, or a pharmaceutically acceptable salt thereof is administered to the patient, e.g., about 0.010 mg/kg, about 0.011 mg/kg, about 0.012 mg/kg, about 0.013 mg/kg, about 0.015 mg/kg, about 0.016 mg/kg, about 0.018 mg/kg, about 0.019 mg/kg, about 0.021 mg/kg, about 0.024 mg/kg, about 0.026 mg/kg, about 0.029 mg/kg, about 0.031 mg/kg, about 0.035 mg/kg, about 0.038 mg/kg, about 0.042 mg/kg, about 0.046 mg/kg, about 0.051 mg/kg, about 0.056 mg/kg, about 0.061 mg/kg, about 0.067 mg/kg, about 0.074 mg/kg, about 0.081 mg/kg, about 0.090 mg/kg, about 0.098 mg/kg, about 0.108 mg/kg, about 0.119 mg/kg, about 0.131 mg/kg, about 0.144 mg/kg, about 0.159 mg/kg, about 0.174 mg/kg, about 0.192 mg/kg, about 0.211 mg/kg, about 0.232 mg/kg, about 0.255 mg/kg, about 0.281 mg/kg, about 0.309 mg/kg, about 0.340 mg/kg, about 0.374 mg/kg, about 0.411 mg/kg, about 0.453 mg/kg, about 0.498 mg/kg, about 0.548 mg/kg, about 0.602 mg/kg, including all values and ranges in between.

NUMBERED EMBODIMENTS

1. A composition comprising:

(a) about 10 mg to about 500 mg of Compound 1



Compound 1

or a pharmaceutically acceptable salt thereof; and

(b) about 2 mg to about 20 mg, about 1 mg to about 20 mg, or 1 mg to about 10 mg of fesoterodine or a pharmaceutically acceptable salt thereof;

wherein the oral administration of the composition provides a $t_{\max}$ of Compound 1 of about 0.1 h to about 8 h and a $t_{\max}$ of fesoterodine of about 0.1 h to about 8 h following administration of the composition.

2. The composition of embodiment 1, wherein the oral administration of the composition provides a $t_{\max}$ of Compound 1 of about 0.5 h to about 4 h following administration of the composition.

3. The composition of embodiment 1 or 2, wherein the oral administration of the composition provides a $t_{\max}$ of fesoterodine of about 0.5 h to about 4 h following administration of the composition.

4. The composition of any one of embodiments 1-3, wherein the oral administration of the composition provides a $C_{\max,ss}$ of Compound 1 of about 200 ng/mL to about 5,000 ng/mL following administration of the composition.

5. The composition of any one of embodiments 1-4, wherein the oral administration of the composition provides a $C_{\max,ss}$ of fesoterodine of about 2 ng/mL to about 60 ng/mL following administration of the composition.

6. The composition of any one of embodiments 1-5, wherein the oral administration of the composition provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL following administration of the composition.

7. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a $AUC_{0-24h,ss}$ of desfesoterodine of about 20 ng·h/mL to about 600 ng·h/mL following administration of the composition.

7a. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 8 h following administration.

7b. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 12 h following administration.

7c. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 24 h following administration.

7d. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 8 h following administration.

7e. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 12 h following administration.

7f. The composition of any one of embodiments 1-6, wherein the oral administration of the composition provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 24 h following administration.

8. The composition of any one of embodiments 1-7, wherein the oral administration of the composition provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 200:1.

9. The composition of any one of embodiments 1-8, wherein the oral administration of the composition provides a C_{max} ratio of Compound 1 to desfesoterodine of about 50:1 to about 250:1.

10. A composition comprising:

(a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and

(b) about 1 mg to about 10 mg, about 1 mg to about 20 mg, or about 3 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof;

wherein the composition releases:

about 80 % of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h; and

about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 8 h or 0.2 h to 12 h

when dissolution is tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

11. The composition of any one of the preceding embodiments, wherein the composition comprises about 50 mg to about 600 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

12. The composition of any one of the preceding embodiments, wherein the composition comprises about 100 mg to about 400 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

13. The composition of any one of the preceding embodiments, wherein the composition comprises about 150 mg to about 350 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

13a. The composition of any one of the preceding embodiments, wherein the composition comprises about 100 mg to about 300 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

14. The composition of any one of the preceding embodiments, wherein the composition comprises about 200 mg to about 300 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

14a. The composition of any one of the preceding embodiments, wherein the composition comprises about 100 mg to about 200 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

15. The composition of any one of the preceding embodiments, wherein the composition comprises about 105 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

15a. The composition of any one of the preceding embodiments, wherein the composition comprises about 165 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

15b. The composition of any one of the preceding embodiments, wherein the composition comprises about 250 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

16. The composition of any one of the preceding embodiments, wherein the composition comprises about 1 mg to about 12 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

16a. The composition of any one of the preceding embodiments, wherein the composition comprises about 3 mg to about 12 mg of fesoterodine or a pharmaceutically acceptable salt thereof.

17. The composition of any one of the preceding embodiments, wherein the composition comprises fesoterodine fumarate.

18. The composition of any one of the preceding embodiments, wherein the weight % ratio of the Compound 1 to fesoterodine in the composition is about 40:1 to about 90:1.

19. The composition of any one of the preceding embodiments, wherein the weight % ratio of the Compound 1 and fesoterodine in the composition is about 20:1 to about 40:1, about 46:1 to about 70:1, or about 55:1 to about 70:1.

20. The composition of any one of the preceding embodiments, wherein the composition is a tablet.

21. The tablet of embodiment 20, wherein the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof.
22. The tablet of embodiment 20 or 21, wherein the tablet comprises an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.
23. The tablet of any one of embodiments 20-22, wherein the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof and an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.
24. The tablet of embodiment 23, comprising:
- (a) a first ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and
 - (b) a second ER portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the first portion and second portion are configured in a bilayer tablet.
25. The tablet of embodiment 21, wherein the tablet comprises an immediate-release (IR) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.
26. The tablet of embodiment 25, comprising:
- (a) an ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and
 - (b) an IR portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion and IR portion are configured in a bilayer tablet.
27. The tablet of any one of embodiments 20-26, wherein the tablet further comprises a stabilizer, a controlled-release excipient, a lubricant, a diluent, or a mixture thereof.
28. The tablet of embodiment 27, wherein the stabilizer is xylitol, sorbitol, polydextrose, isomalt, dextrose, microcrystalline cellulose, fructose, or a mixture thereof.
29. The tablet of embodiment 27 or 28, wherein the stabilizer is xylitol, fructose, or a mixture thereof.

30. The tablet of any one of embodiments 27-29, wherein the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to the stabilizer is about 1:20 to about 1:1 or about 1:10 to about 1:50 in the tablet.

31. The tablet of embodiment 30, wherein the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 1:9 to about 1:1 or about 1:18 to about 1:39 in the tablet.

32. The tablet of embodiment 27, wherein the lubricant is talc, silicon dioxide, stearic acid, calcium stearate, sodium lauryl sulfate, hydrogenated vegetable oil, hydrogenated castor oil, sodium stearyl fumarate, macrogols, glyceryl behenate, corn starch, magnesium stearate, or a mixture thereof.

33. The tablet of embodiment 32, wherein the lubricant is talc, glyceryl behenate, silicon dioxide, magnesium stearate, or a mixture thereof.

34. The tablet of embodiment 33, wherein the lubricant is talc, glyceryl behenate, or a mixture thereof.

35. The tablet of embodiment 27, wherein the controlled-release excipient is polyethylene oxide (PEO), methylcellulose (MC), ethyl cellulose, hydroxypropyl methylcellulose (HPMC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose, or a mixture thereof.

36. The tablet of embodiment 27, wherein the diluent is microcrystalline cellulose (MCC), mannitol, lactose, dicalcium phosphate, xylitol, or a mixture thereof.

37. The tablet of any one of embodiments 20-36, wherein the tablet further comprises lactose, sodium starch glycolate, croscarmellose sodium, polyvinyl alcohol (PVA), polyvinylpyrrolidone (PVP), glyceryl behenate, talc, or a mixture thereof.

38. The tablet of any one of embodiments 21-37, wherein the portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises:

about 20 wt.% to about 55 wt.% hydroxypropyl Methylcellulose (HPMC);

about 4 wt.% to about 50 wt.% microcrystalline cellulose;

about 2 wt.% to about 6 wt.% glyceryl behenate;

about 1 wt.% to about 4 wt.% of talc; and

optionally about 4 wt.% to about 50 wt.% xylitol .

39. The tablet of any one of embodiments 25-38, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 10 wt.% to about 50 wt.% xylitol;

about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;

about 3 wt.% to about 8 wt.% sodium starch glycolate;

about 2 wt.% to about 6 wt.% glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

40. The tablet of any one of embodiments 25-38, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 10 wt.% to about 50 wt.% xylitol;

about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;

about 3 wt.% to about 8 wt.% croscarmellose sodium;

about 2 wt.% to about 6 wt.% glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

41. The tablet of any one of embodiments 25-38, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 10 wt.% to about 50 wt.% xylitol;

about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;

about 3 wt.% to about 8 wt.% polyvinylpyrrolidone (PVP);

about 2 wt.% to about 6 wt.% glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

42. The tablet of any one of embodiments 22-24 and 27-38, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 10 wt.% to about 50 wt.% xylitol;

about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;

about 10 wt.% to about 40 wt.% hydroxypropyl Methylcellulose (HPMC);

about 1 wt.% to about 6 wt.% glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

43. The tablet of any one of embodiments 22-24 and 27-38, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 8 wt.% to about 50 wt.% xylitol;

about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;

about 20 wt.% to about 50 wt.% cellulose ether;

about 1 wt.% to about 6 wt.% or glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

44. The tablet of any one of embodiments 22-24 and 27-38, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

about 8 wt.% to about 50 wt.% xylitol;

about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;

10 wt.% to about 40 wt. % of 80% polyvinyl acetate (PVA)/19% povidone;

about 1 wt.% to about 6 wt.% or glyceryl behenate; and

about 1 wt.% to about 4 wt.% of talc.

45. The tablet of any one of embodiments 25-41, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 10 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 0.1 h to 1 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

46. The tablet of any one of embodiments 22-24, 27-38 and 42-44, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 1 h to 7 h or 5 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

47. The tablet of embodiment 20 or 21, wherein the composition comprises:

an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and

an immediate release (IR) coating comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR coating is disposed over the ER portion.

48. The tablet of embodiment 47, wherein the IR coating comprises HPMC, triacetin, titanium dioxide, xanthan gum, lecithin, polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbates, methacrylate copolymers, talc, or a mixture thereof.

49. The tablet of embodiment 47 or 48, wherein the IR coating comprises:

(a) polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbate 80, and talc;

(b) HPMC, triacetin, and talc;

(c) PVA, xylitol, PEG, polysorbate 80, and talc;

(d) PVA, fructose, PEG, polysorbate 80, and talc;

(e) copolymer of PVA and PEG at a 3:1 weight % ratio;

(f) HPMC;

(g) HPMC and xylitol; or

(h) HPMC and fructose.

50. The tablet of claim 49, wherein the IR coating comprises:

(a) about 40 wt.% to about 60 wt. % polyvinyl alcohol (PVA), about 10 wt.% to about 20 wt. % polyethylene glycol (PEG), about 1 wt.% to about 5 wt. % polysorbate 80, and about 20 wt.% to about 40 wt. % talc;

(b) about 70 wt.% to about 85 wt. % HPMC, about 5 wt.% to about 10 wt. % triacetin, and about 5 wt.% to about 10 wt. % talc;

(c) about 25 wt.% to about 50 wt. % PVA, about 10 wt.% to about 40 wt. % xylitol, about 5 wt.% to about 20 wt. % PEG, about 1 wt.% to about 5 wt. % polysorbate 80, and about 10 wt.% to about 30 wt. % talc;

(d) about 20 wt.% to about 40 wt. % PVA, about 30 wt.% to about 40 wt. % fructose, about 5 wt.% to about 15 wt. % PEG, about 1 wt.% to about 3 wt. % polysorbate 80, and about 10 wt.% to about 25 wt. % talc;

(e) about 85 wt.% to about 95 wt. % copolymer of polyvinyl alcohol and polyethylene glycol at a 3:1 weight % ratio in the coating;

(f) about 65 wt.% to about 95 wt. % HPMC;

(g) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % xylitol; or

(h) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % fructose.

51. The tablet of any one of embodiments 47-50, wherein the tablet further comprises:

(i) about 60 wt.% to about 70 wt.% polyvinyl alcohol (PVA), about 15 wt.% to about 25 wt.% talc, about 1 wt.% to about 5 wt.% lecithin, and about 0.1 wt.% to about 1.5 wt.% xanthan gum.

52. The tablet of any one of embodiments 47-51, wherein the IR coating releases 80% of the fesoterodine within 0.5 h to 2 h when tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

53. The composition of any one of embodiments 1-19, wherein the composition is an osmotic tablet.

54. The osmotic tablet of embodiment 53, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 4 h to 16 h and

about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 16 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

55. The composition of any one of embodiments 1-19, wherein the composition is a multiparticulate formulation.

56. The multiparticulate formulation of embodiment 55, wherein the formulation releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 8 h and

about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

57. A method of treating dyskinesia in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of embodiments 1-20 and 53-56, or the tablet of any one of embodiments 21-52.

58. The method of embodiment 57, wherein the dyskinesia is levodopa-induced dyskinesia.

58a. The method of embodiment 57, wherein the dyskinesia is Tardive dyskinesia.

59. The method of embodiment 57 or 58, wherein the patient is diagnosed with Parkinson's disease.

60. A method of treating schizophrenia in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of embodiments 1-19 and 53-56, or the tablet of any one of embodiments 20-52.

61. A method of treating Alzheimer's Disease psychosis in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of embodiments 1-19 and 53-56, or the tablet of any one of embodiments 20-52.

62. A method of treating a neurological disorder in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of embodiments 1-19 and 53-56, or the tablet of any one of embodiments 20-52, wherein the neurological disorder is agitation in Alzheimer's disease dementia, Lewy body disease with psychosis, Dementia with Lewy Bodies, bipolar manic episodes, bipolar mixed episodes, bipolar maintenance (bipolar 1 and/or 2), bipolar depression, or cognitive impairment in bipolar 1 and/or 2 disorder.

63. The method of any one of embodiments 57-62, wherein the composition is administered once per day.

63a. The method of any one of embodiments 57-62, wherein one composition is administered once per day.

63b. The method of any one of embodiments 57-62, wherein two compositions are administered once per day.

64. The method of any one of embodiments 57-61, wherein the composition is administered twice per day.

64a. The method of any one of embodiments 57-61, wherein one composition is administered twice per day.

64b. The method of any one of embodiments 57-61, wherein two compositions are administered twice per day.

65a. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 8 h following administration.

65b. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 12 h following administration.

65c. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of greater than 100 ng/mL of Compound 1 for at least 24 h following administration.

65d. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 8 h following administration.

65e. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 12 h following administration.

65f. The method of any one of embodiments 57-64, wherein the oral administration provides a steady-state C_{avg} concentration of about 350 ng/mL to about 850 ng/mL of Compound 1 for at least 24 h following administration.

65g. The method of any one of embodiments 57-64, wherein the oral administration provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 200:1.

65h. The method of any one of embodiments 57-64, wherein the oral administration provides a C_{max} ratio of Compound 1 to desfesoterodine of about 50:1 to about 250:1.

66. The composition of any one of embodiments 1-19 and 53-56, or the tablet of any one of embodiments 20-52, wherein the D_{50} of the Compound 1 is about 40 μm to about 50 μm .

67. The composition of any one of embodiments 1-19 and 53-56, or the tablet of any one of embodiments 20-52, wherein the D_{90} of the Compound 1 is about 200 μm to about 300 μm .

68. The tablet of embodiment 20, wherein the tablet comprises:
- about 20 wt.% to about 40 wt.% of microcrystalline cellulose;
 - about 5 wt. % to about 25 wt. % of xylitol;
 - about 40 wt.% to about 60 wt. % of Hypromellose; and
 - about 0.25 wt.% to about 0.75 wt.% of magnesium stearate.
69. The tablet of any embodiment 20, wherein the tablet comprises:
- about 5 wt.% to about 15 wt.% of lactose;
 - about 3 wt.% to about 20 wt.% microcrystalline cellulose;
 - about 0.1 wt.% to about 0.6 wt.% of sodium starch glycolate;
 - about 0.04 wt.% to about 0.2 wt.% of magnesium stearate;
 - about 0.5 wt.% to about 8 wt.% of xylitol; and
 - about 2 wt.% to about 8 wt.% of fructose.

EXAMPLES

[00180] The present invention is further illustrated by reference to the following Examples. The Examples are illustrative and are not to be construed as restricting the scope of the invention in any way.

Example 1. Pharmacokinetics of Compound 1 and Fesoterodine formulations

[00181] The pharmacokinetics of Compound 1- and Fesoterodine-containing formulations were evaluated. The observed and predicted (by Power Model) C_{max} and AUC_{inf} values of Compound 1 following administration of the Compound 1 formulations (no fesoterodine) with various doses are shown in **FIGS. 1A-B, 2, and 3A-C**.

[00182] The mean plasma concentrations of Compound 1 and 5-hydroxymethyl tolterodine (5-HMT) were measured following administration of Compound 1, fesoterodine, or Compound 1 in combination with fesoterodine (fesoterodine administered 30 min prior to Compound 1) (**FIGS. 4A-C**).

[00183] The mean plasma concentrations of 5-HMT and Compound 1 following fesoterodine only and concomitant administration of fesoterodine and Compound 1 are shown in **FIGS 5A-B, FIGS. 6A-B and 7A-B** provide exemplary Compound 1 and 5-HMT metabolite

exposure corrections in different subjects following administration of 60 mg, 90 mg, or 135 mg of Compound 1 and 6 mg or 9 mg of fesoterodine.

[00184] As shown in **FIG. 15**, the concentration ratio of Compound 1 and 5-HMT in plasma was maintained consistently over time following administration of 135 mg of Compound 1 and 6 mg of fesoterodine.

[00185] Based on a PK modeling, the plasma $C_{\max}$ of Compound 1 reached over 3000 ng/mL and 328 ng/mL at CSF. The target plasma concentration of the Compound 1 was 300 ng/mL, and the tolerated CSF level was predicted to be a 10-fold higher than the minimum efficacious exposure concentration level. The $C_{\max}$ would enable BID dosing with the formulations of the present disclosure (**FIG. 8A**). The simulation based on Phase 1 SAD/MAD data predicted that a $C_{\max}$ of 1200 ng/mL or above is required to keep the Compound 1 at or above therapeutic level for 12 hours. The plasma $C_{\min}$ of compound 1 was predicted to be 300 ng/mL (and 25 ng/mL in CSF) based on the efficacy data from multiple animal studies (**FIG. 8B**).

[00186] Predicted exposure concentration levels of Compound 1 and 5-HMT following administration of Compound 1 (ER) and fesoterodine (IR, 12 hr ER, or 8 hr ER) formulations are shown in **FIGS. 9A-C**.

Example 2. Compound 1 Extended-Release Core

[00187] Polymer matrix formulations of Compound 1 were prepared. The target in vitro release (IVR) profile 80 % release of the Compound 1 within 8 hours using the USP Apparatus Type 2, 0.1 N HCl acidic solution (or pH 6.8 phosphate buffer), Paddle Speed 50 rpm). Formulations containing different polymer types (e.g., high molecular weight HPMC, PEO, and mixtures thereof); fillers (soluble/insoluble fillers), tablet sizes (1000 to 1300 mg), and dose strengths (40 mg to 250 mg Compound 1) were prepared. The dissolution test results for the test formulations are shown in **Figures 10A-G**.

[00188] Based on these data, 40 mg and 125 mg extended release (ER) tablets (Compound 1) were prepared for clinical testing (**Table 1**). The manufacturing process for these formulations is shown in **Figure 11**.

Table 1. 40 mg and 125 mg ER formulation compositions

Ingredient	Function	40mg	125mg
------------	----------	------	-------

		mg/tab	wt. %	mg/tab	wt. %
Compound 1 HCl salt	Active	48.8	4.44	152.6	13.87
Microcrystalline cellulose (Avicel PH 200)	Filler	294.5	26.77	294.5	26.77
Xylitol (Xylisorb 300)	Filler	201.2	18.29	97.4	8.85
Hypromellose (Methocel K100M)	Controlled release polymer	550.0	50.00	550.0	50.00
Magnesium Stearate	Lubricant	5.5	0.50	5.5	0.50
Total tablet weight (mg)		1100	--	1100	--

[00189] Test results for these formulations are summarized in **Table 2**. Results from the dissolution tests are shown in **Figure 12**.

Table 2. 40 mg and 125 mg ER tablet release testing

Test	Specification	40mg Compound 1	125mg Compound 1
Appearance	Oval, white to off-white tablet	Conforms	Conforms
ID	Conforms to standard (HPLC and UV)	Conforms	Conforms
Assay	90.0-110.0% LC	99.4	100.7
Purity			
Individual unspecified	≤0.5%	ND	ND
Total	≤3.0%	ND	ND
Uniformity of dosage units	Conforms to USP <905> (AV < 15)	Mean 101.9 %LC RSD 3.6% AV: 9.3	Mean 104.1 %LC RSD 1.4% AV: 6.2
Dissolution	Report results	See plot	See plot

Water content	Report results (% w/w)	3.0	3.0
Microbial Enumeration			
TAMC	$\leq 10^3$ CFU/g	<10 CFU/g	40 CFU/g
TYMC	$\leq 10^2$ CFU/g	<10 CFU/g	<10 CFU/g
E. coli	Absent in 1g	Absent in 1g	Absent in 1g

The robustness of these formulations to agitation conditions was tested using dissolution apparatus USP 3 at 30 dpm, as shown in **Figures 13A-B**. USP 3 at 30 dpm method provided the same dissolution profile as the one obtained using the USP2 dissolution test.

Example 3. Extended-Release Compound 1 and Immediate Release Fesoterodine Compositions (bilayer tablet)

[00190] Four bilayer tablet formulations with and without stabilizers were prepared and subjected to accelerated stability studies. The composition of the formulations is shown in **Table 3**.

Table 3. Compound 1 Extended-Release and fesoterodine Immediate Release bilayer tablets

Component	F1	F2	F3	F4
ER Layer				
Compound 1 HCl salt	55.0	55.0	55.0	55.0
Microcrystalline cellulose (Avicel PH 200)	275	275	275	275
Xylitol (Xylisorb 300)	550	550	550	550
Hypromellose (Methocel K100M)	214.5	214.5	214.5	214.5
Magnesium Stearate	5.5	5.5	5.5	5.5
Subtotal	1100	1100	1100	1100
IR Layer				
Fesoterodine Fumarate*	6.41	6.41	6.41	6.41

Lactose	125.0	115.0	95.0	95.0
Microcrystalline Cellulose	112.3	103.1	84.7	84.7
Sodium Starch Glycolate	5.00	5.00	5.00	5.00
Magnesium Stearate	1.25	1.25	1.25	1.25
Xylitol		19.23	57.69	
Fructose				57.69
Subtotal	250	250	250	250
Total	1350	1350	1350	1350

* 6.41 mg fesoterodine fumarate (salt) is equivalent to 5.0 mg of fesoterodine (free-base)

[00191] **Figure 14A** compares the release profiles for a formulation containing xylitol as a stabilizer compared to a stabilizer-free formulation measured by USP Apparatus Type 2, pH 6.8 phosphate buffer and Paddle Speed 50 rpm. No significant difference in fesoterodine and Compound 1 release profiles for these formulations was observed.

[00192] The formulations described in **Table 4** were prepared. In these formulations, xylitol and fesoterodine fumarate were used at 9:1 weight % ratio (wet granulation). The Compound 1 blend was prepared via direct compression process.

Table 4. Compound 1 Extended-Release and fesoterodine Immediate Release bilayer tablets

Component	Formulation 1	Formulation 2	Formulation 3	Formulation 4	Formulation 5	Formulation 6	Formulation 7	Formulation 8
Fesoterodine fumarate, d50 ~ 10-15 µm	6.4	6.4	6.4	6.4	-	-	-	-
Fesoterodine fumarate, d50 ~ 50 µm	-	-	-	-	6.4	6.4	6.4	6.4
Xylitol	57.6	57.6	57.6	57.6	57.6	57.6	57.6	57.6
MicroceLac 100	218.0	233.0	218.0	218.0	218.0	206.0	206.0	206.0
Compritrol 888 ATO	10.5	-	10.5	10.5	10.5	10.5	10.5	10.5
Talc	7.5	-	7.5	7.5	7.5	7.5	7.5	7.5
Magnesium Stearate	-	3.0	-	-	-	-	-	-
Sodium Starch Glycolate	-	-	-	-	-	12.0	-	-
Croscarmellose Sodium	-	-	-	-	-	-	12.0	-
Crospovidone	-	-	-	-	-	-	-	12.0
Subtotal	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0
Compound 1 HCl	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2
Methocel K100M	400.0	400.0	360.0	320.0	400.0	360.0	320.0	320.0
Avicel PH200	54.8	54.8	94.8	134.8	54.8	94.8	134.8	134.8
Compritrol 888 ATO	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0
Talc	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0
Subtotal	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0
Total	1100	1100	1100	1100	1100	1100	1100	1100

Example 4. Extended-Release Compound 1 and Extended-Release Fesoterodine Compositions (bilayer tablet)

[00193] The formulations shown in **Table 5** were prepared. The *in vitro* release (IVR) target for these formulations was 80% release of fesoterodine within 2 to 8 hours and 80% release of Compound 1 within 2 to 12 hours as tested using the USP Apparatus Type 2, at pH 6.8 phosphate buffer, Paddle speed 50 rpm (**FIG. 14B**).

[00194] Additional formulations were prepared as shown in **Tables 5a-d**.

Table 5. ER/ER tablet formulations

Component (in mg)	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
Fesoterodine fumarate, d50 ~ 10-15 µm	6.4	6.4	6.4	15.4	15.4	15.4	15.4	10.3	10.3	10.3
Xylitol	57.6	57.6	57.6	138.6	138.6	138.6	138.6	92.3	92.3	34.7
MicrocelLac 100	128.0	128.0	128.0	38.0	38.0	38.0	38.0	89.4	-	89.4
Avicel PH200	-	-	-	-	-	-	-	-	89.4	-
FlowLac100	-	-	-	-	-	-	-	-	-	64.1
Methocel K100M	30.0	-	-	-	-	-	90.0	90.0	90.0	90.0
Methocel K4M	60.0	-	-	-	45.0	90.0	-	-	-	-
Methocel K100LV	-	-	-	90.0	45.0	-	-	-	-	-
ETHOCEL	-	90.0	-	-	-	-	-	-	-	-
Kollidon SR	-	-	90.0	-	-	-	-	-	-	-
Compritrol 888 ATO	10.5	10.5	10.5	10.5	10.5	10.5	10.5	10.5	10.5	10.5
Talc	7.5	7.5	7.5	7.5	7.5	7.5	7.5	7.5	7.5	7.5

Subtotal	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0	300.0
Compound 1 HCl	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2	305.2
Methocel K100M	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0	400.0
Xylitol	-	-	-	-	-	-	-	-	-	-	-	-	207
Avicel PH200	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8	54.8
Compritrol 888 ATO	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0	24.0
Talc	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0	16.0
Subtotal	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0	800.0
Total	1100	1100	1100	1100	1100	1100	1100	1100	1100	1100	1100	1100	1100

TABLE 5a. ER/ER Formulations

Component	F11 (250 mg / 3 mg)	F12 (165 mg / 1.5 mg)	F13 (165 mg / 1.5 mg)	F14 (165 mg / 1.5 mg)	F15 (105 mg / 1.5 mg)	F16 (165 mg / 3 mg)	F17 (105 mg / 3 mg)
	Fesoterodine Layer (in mg)						
Fesoterodine Fumarate	3.85	1.92	1.92	1.92	1.92	3.85	3.85

Xylisorb® 300	98.8	100.7	100.7	17.3	75.0	73.1	73.1
MicroceLac® 100	-	89.4	89.4	-	-	-	-
SuperTab 14SD	67.1	-	-	97.8	40.1	40.1	40.1
Avicel® PH200	22.3	-	-	75.0	75.0	75.0	75.0
METHOCEL™ K100M DC2	90.0	90.0	90.0	-	-	-	-
METHOCEL™ K100M CR	-	-	-	90.0	90.0	90.0	90.0
Compritrol® 888 ATO	10.5	10.5	10.5	10.5	10.5	10.5	10.5
Talc	7.5	7.5	7.5	7.5	7.5	7.5	7.5
Subtotal	300.0	300.0	300.0	300.0	300.0	300.0	300.0
Compound 1 Layer (in mg)							
Compound 1 HCl	305.2	201.4*	201.4*	201.4	128.2	201.4	128.2
METHOCEL™ K100M DC2	400.0	400.0	400.0	-	-	-	-
METHOCEL™ K100M CR	-	-	-	400.0	400.0	400.0	400.0
Xylisorb® 300	-	80.0	42.9	-	128.2	55.0	128.2
Avicel® PH200	54.0	113.8	150.9	196.4	181.4	181.4	181.4
Compritrol® 888 ATO	24.0	-	-	-	-	-	-
Talc	16.0	-	-	-	-	-	-
Magnesium Stearate	-	4.0	4.0	2.0	2.0	2.0	2.0
FD&C Blue Lake	0.8	0.8	0.8	-	-	-	-

Iron Oxide Pink Pigment Blend	-	-	-	0.16	0.16	0.16	0.16
Subtotal	800.0	800.0	800.0	800.0	800.0	800.0	800.0
Total Core Tablet	1100.0	1100.0	1100.0	1100.0	1100.0	1100.0	1100.0

TABLE 5b. ER/ER Formulations

Component (in mg)	F18 (105 mg / 1.5 mg)	F19 (165 mg / 3 mg)	F20 (105 mg / 3 mg)	F21 (210 mg / 3 mg)
Fesoterodine Fumarate	1.92	3.85	3.85	3.85
Xylisorb® 300	75.0	73.1	73.1	73.1
SuperTab 14SD	40.1	40.1	40.1	40.1
Avicel® PH200	75.0	75.0	75.0	75.0
METHOCEL™ K100M CR	90.0	90.0	90.0	90.0
Compritrol® 888 ATO	10.5	10.5	10.5	10.5
Talc	7.5	7.5	7.5	7.5
Fesoterodine layer subtotal	300.0	300.0	300.0	300.0
Compound 1 HCl	128.2	201.4	128.2	256.4
METHOCEL™ K100M CR	360.0	360.0	360.0	360.0
Xylisorb® 300	128.2	55.0	128.2	-
Avicel® PH200	181.4	181.4	181.4	181.4

Magnesium Stearate	2.0	2.0	2.0	2.0
Iron Oxide Pink Pigment Blend	0.16	0.16	0.16	0.16
Compound 1 layer subtotal	800.0	800.0	800.0	800.0
Total Core Tablet	1100.0	1100.0	1100.0	1100.0

TABLE 5c. ER/ER Formulations

Component (in mg)	F22 (105 mg / 1.5 mg)	F23 (165 mg / 3 mg)	F24 (105 mg / 3 mg)
Fesoterodine Fumarate	1.92	3.85	3.85
Xylisorb® 300	75.0	73.1	73.1
SuperTab 14SD	40.1	40.1	40.1
Avicel® PH200	72.0	72.0	72.0
METHOCEL™ K100M CR	90.0	90.0	90.0
Compritrol® 888 ATO	13.5	13.5	13.5
Talc	7.5	7.5	7.5
Fesoterodine layer subtotal	300.0	300.0	300.0
Compound 1 HCl	128.2	201.4	128.2
METHOCEL™ K100M CR	360.0	360.0	360.0
Xylisorb® 300	128.2	55.0	128.2

Avicel® PH200	181.4	181.4	181.4
Magnesium Stearate	2.0	2.0	2.0
Iron Oxide Pink Pigment Blend	0.16	0.16	0.16
Compound 1 layer subtotal	800.0	800.0	800.0
Total Core Tablet	1100.0	1100.0	1100.0

TABLE 5d. ER/ER Formulations

Component	F25 (105/1.5 mg)	F26 (105/3 mg)	F27 (165/3 mg)	F28 (135/3 mg)
Fesoterodine Fumarate	1.92	3.85	3.85	3.85
Xylitol (Xylisorb® 300)	64.50	62.57	62.57	62.57
Lactose monohydrate (SuperTab 14SD)	50.58	50.58	50.58	50.58
Microcrystalline cellulose (Avicel® PH200)	72.0	72.0	72.0	72.0
Hypromellose (METHOCEL™ K 100M CR)	90.0	90.0	90.0	90.0
Talc	7.5	7.5	7.5	7.5
Glyceryl dibehenate (Compritol® 888 ATO)	13.5	13.5	13.5	13.5
Colloidal silicon dioxide (Cab-O-Sil MSP)	1.5	1.5	1.5	1.5
Fesoterodine layer subtotal	300	300	300	300
Compound 1 HCl	128.18	128.18	201.42	164.8

Xylitol (Xylisorb® 300)	128.18		128.18	54.94	91.54
Hypromellose (METHOCEL™ K100M CR)	360.0		360.0	360.0	360.0
Microcrystalline cellulose (Avicel® PH200)	181.48		181.48	181.48	181.48
Magnesium stearate (Hyqual 2257)	2.0		2.0	2.0	2.0
PB Pink 140011	0.16		0.16	0.16	0.16
Compound 1 layer subtotal	800		800	800	800
Opadry II Pink 85F140006	33.0		33.0	33.0	33.0
Total Tablet	1133.0		1133.0	1133.0	1133.0

Example 5. Extended release (ER) Compound 1 and immediate release (IR) fesoterodine coating formulations

[00195] Tablets containing an immediate-release fesoterodine coating over extended release (ER) Compound 1 core portion were prepared.

[00196] **Tables 6a and 6b** show the composition of exemplary IR fesoterodine coated Compound 1 (ER) tablets.

Table 6a. IR Fesoterodine coated Compound 1 (ER) tablets

Component	Formulation 1		Formulation 2		Formulation 3		Formulation 4		Formulation 5		Formulation 6		Formulation 7	
	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%
Tablet Core														
HPMC	550	50	550	50	550	50	550	50	550	50	550	50	550	50
MCC	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3
Magnesium Stearate	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5
Compound 1	300	27.2	300	27.2	300	27.2	300	27.2	300	27.2	300	27.2	300	27.2
Sub-Coating														
HPMC							27.8	84.1						
Triacetin							2.8	8.4						
Talc	9.9	30	9.9	30	9.9	30	2.5	7.5	9.9	30	9.9	30	9.9	30
Polyvinyl alcohol	17.2	52.3	17.2	52.3	17.2	52.3			17.2	52.3	17.2	52.3	17.2	52.3
Polyethylene glycol	4.9	14.7	4.9	14.7	4.9	14.7			4.9	14.7	4.9	14.7	4.9	14.7
Polysorbate 80	1	3	1	3	1	3			1	3	1	3	1	3
3:1 PVA:PEG Copolymer														

Active Coating													
Fesoterodine Fumarate	6.4	6.4	6.4	6.4	6.4	6.4	6.4	6.4	6.4	6.4	5.4	4.1	4.1
HPMC						78.7	78.7						
Polyvinyl alcohol	48.9	48.9	48.9	48.9	48.9				48.9		41	31	31
Triacetin							7.9	7.9					
Xylitol									19.2	16.1	57.7	36.6	
Fructose												57.7	36.6
Polyethylene glycol	13.8	13.8	13.8	13.8	13.8				13.8	11.5	13.8	8.7	8.7
Polysorbate 80	2.8	2.8	2.8	2.8	2.8				2.8	2.4	2.8	1.8	1.8
Talc	28.1	28.1	28.1	28.1	28.1		7	7	28.1	23.6	28.1	17.8	17.8
3:1 PVA:PEG Copolymer													
Seal Coating													
Polyvinyl alcohol			29.5	66.9									
Talc			12.9	22.4									
Lecithin			1.3	2.9									
Xanthan Gum			0.3	0.7									

Eudragit E PO ReadyMix					44	100									
Total Tablet Weight	1233		1277		1277		1233		1252		1291			1291	

Table 6b. IR Fesoterodine coated Compound 1 (ER) tablets

Component	Formulation 8		Formulation 9		Formulation 10		Formulation 11		Formulation 12		Formulation 13	
	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%	mg/tab	%
Tablet Core												
HPMC	550	50	550	50	550	50	550	50	550	50	550	50
MCC	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3	244.5	22.3
Magnesium Stearate	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5	5.5	0.5
Compound 1	300	27.2	300	27.2	300	27.2	300	27.2	300	27.2	300	27.2
Sub-Coating												
HPMC			22	100	22	100	22	100	22	100	22	100
Triacetin												
Talc												
Polyvinyl alcohol												

[illegible]

[illegible]

Example 6. Osmotic tablets and Multiparticulates PK study

[00197] Osmotic tablets and multiparticulate formulations containing Compound 1 and fesoterodine will be prepared.

[00198] The release profile for the osmotic tablets will be as follows: 80 % release of both fesoterodine and Compound 1 in 4 to 16 hours (zero-order release) as determined by the USP Apparatus Type 2, pH 6.8 phosphate buffer, Paddle Speed = 50 rpm.

[00199] The release profile for the multiparticulate formulations will be as follows: 80% release of fesoterodine in 2 to 8 hours and 80% release of Compound 1 in 4 to 12 hours as determined by the USP Apparatus Type 2, pH 6.8 phosphate buffer, Paddle Speed = 50 rpm.

Example 7. Compound 1 Effect on Amphetamine-Induced Hyperlocomotion (AIH)

[00200] The effect of Compound 1 in a mouse model of Amphetamine-Induced Hyperlocomotion (AIH) was evaluated.

[00201] Compound 1 dose-dependently reduced AIH with significant effects at 0.3, 0.6, and 1 mg/kg, as measured over a 30-minute open-field locomotion test ($p < 0.0001$, 1-way ANOVA). (FIGS. 16A-B). The results demonstrated that Compound 1 reverses hyperdopaminergic-mediated motor behavior in a dose-dependent manner. These data provide evidence of the treatment potential of Compound 1 for psychosis disorders, such as schizophrenia, Alzheimer's disease and Parkinson's disease as well levodopa-induced dyskinesia.

[00202] The effect of coadministration of Compound 1 and fesoterodine on AIH was also evaluated. Compound 1 alone at 1.0 mg/kg and coadministration with fesoterodine 0.3 and 1.0 mg/kg inhibited AIH to a similar extent, demonstrating that fesoterodine coadministration has no significant inhibitory effect on Compound 1 activity in the CNS.

Example 8. Compound 1 Effect on Phencyclidine-Induced Hyperlocomotion

[00203] The effect of Compound 1 in phencyclidine (PCP)-induced hyperdopaminergic motor behavior was evaluated.

[00204] Mice were allowed to habituate to the behavioral testing room for at least 30 mins prior to testing. An illumination of 50 ± 20 LUX was maintained during testing. Mice were weighed and administered IP with either Vehicle, 0.3, 0.6 or 1 mg/kg of Compound 1 and sequentially administered with 5 mg/kg of PCP subcutaneously in rapid succession and then immediately placed in an open field arena (44 cm x 44 cm x 20 cm) and allowed to freely

explore the space while an automated video tracking system monitored location and distance travelled for 30 minutes.

[00205] Locomotive behavior was measured using an automated video tracking system (Noldus EthoVision v15). Data was presented as a time course as well as summarized as the average total distance travelled following treatment. Statistical significance was tested by 1-way ANOVA followed by Dunnett's multiple comparisons test, n=16/group.

[00206] As shown in **FIGS 17A-B**, Compound 1 dose-dependently reduced hyperlocomotion induced by 5 mg/kg PCP with significant effects at 0.3, 0.6 and 1 mg/kg as measured during 30 mins ($p<0.0001$, 1-way ANOVA Test).

Example 9. Compound 1 Effect on Alzheimer's Disease

[00207] The effect of Compound 1 on resident intruder aggression in the Tg2576 mouse model of Alzheimer's disease was evaluated. Compound 1 reduced both the number and duration of attacks in the Tg2576 mice at 0.5 mg/kg ($p<0.05$, paired t-test), supporting the conclusion that Compound 1 has anti-aggression activity.

Example 10: Compound 1 Effect on MPTP-Induced Dyskinesia

[00208] The effect of Compound 1 in 1-Methyl-4-phenyl-1,2,3,6-tetrahydropyridine-induced dyskinesia was evaluated.

[00209] The neurotoxin 1-Methyl-4-phenyl-1,2,3,6-tetrahydropyridine (MPTP) was identified as a compound that selectively induced degeneration of dopaminergic neurons in the substantia nigra when it was discovered as a contaminant in heroin and users presented with clinical symptoms indistinguishable from PD. It is now used in non-human primates to model primary parkinsonian motor symptom phenotypes and subsequent response to therapies such as L-dopa including dyskinesia- thus it can be used as foundational model for LID. See Huat 2012. (Huot P, Johnston TH, Koprach JB, Fox SH, Brotchie JM. L-DOPA pharmacokinetics in the MPTP-lesioned macaque model of Parkinson's disease. *Neuropharmacology*. 2012 Oct;63(5):829-36.) Having both face validity and construct validity, the MPTP non-human primate model is considered the animal model of PD motor symptoms with the highest translational relevance.

[00210] A study was conducted in seven female MPTP-lesioned cynomolgus macaques that received chronic repeat treatment with L-dopa and in response manifested stable and reproducible dyskinesia that was choreic and dystonic in nature. Macaque behaviors were

assessed following a single 1 mg/kg dose of Compound 1 or vehicle in combination with high-dose of L-dopa delivered as MadoparTM with a dose titrated for LID symptoms in each test animal. The 'on time' therapeutic effects and abnormal LID movements were assessed for 6 hours following administration of L-dopa. A single Compound 1 oral dose of 1 mg/kg or vehicle was administered at the same time as L-dopa at the start of behavioral observations. All animals received all treatments in a cross-over design with a minimum of 72 hours between repeat treatments in each animal. The therapeutic and LID behaviors were scored by trained raters supervised by a neurologist in a blinded fashion using high-definition video recordings and the non-human primate dyskinesia rating scale. See Fox 2012. (Fox SH, Johnston TH, Li Q, Brotchie J, Bezard E. A critique of available scales and presentation of the Non-Human Primate Dyskinesia Rating Scale. *Mov Disord*. 2012 Sep 15;27(11):1373-8.)

[00211] Compound 1 (1 mg/kg, PO) provided significant anti-dyskinetic actions reducing median levels of dyskinesia during the 0-1 h period by 91% (median levels 11 cf. 1; vehicle cf. Compound 1, $P < 0.001$). A robust reduction in LID was apparent in six of the seven animals included in final analyses. Cumulated over the 0-2 h period, median levels of dyskinesia were reduced by 42% such that levels were non-disabling (median levels 33 cf. 19; vehicle cf. Compound 1, $P = 0.0156$). This sizeable decrease in peak-effect dyskinesia was further apparent as a beneficial decrease (by 41%) in the duration of 'bad' on-time (on-time associated with disabling dyskinesia) and a significant increase (by 143%) in the duration of 'good' on-time (on-time associated with a lack of, or only non-disabling dyskinesia). Compound 1 produced no reduction in the anti-parkinsonian benefit of L-DOPA or the overall duration of on-time associated with L-DOPA.

[00212] These results of the MPTP-induced dyskinesia macaque study provide strong evidence of the treatment potential of Compound 1 for dyskinesia, such as LID in PD patients.

Example 11. Effect of Compound 1 in Tardive Dyskinesia

[00213] The effect of Compound 1 in the chronic haloperidol mouse model of Tardive Dyskinesia was evaluated.

[00214] Tardive dyskinesia (TD) can be a side effect of treatment with atypical antipsychotics. Chronic haloperidol treatment induces symptoms of TD in mice. These symptoms include vacuous chewing movement (VCM), which consist of subtle chewing movements, high frequency jaw/mouth tremors, and purposeless mouth openings in the vertical plane with or without tongue protrusion.

[00215] Compound 1 at 0.5 mg/kg significantly reduced VCMs in the chronic haloperidol mouse model of TD ($p < 0.001$, 1-tailed paired t-test).

Example 12. Comparative PK study of orally administered Compound 1 with or without fesoterodine in healthy adult subjects

[00216] This study is an open-label, comparative PK/bioavailability study of orally administered Compound 1 with or without fesoterodine in healthy adult subjects under fed and fasted conditions.

[00217] The objectives of this study are to evaluate the single or multiple dose PK of Compound 1, fesoterodine, and active metabolite desfesoterodine in plasma and cerebrospinal fluid (CSF); to evaluate the safety and tolerability of Compound 1 formulation with or without fesoterodine; and to evaluate the effects of fesoterodine on PK of Compound 1. The endpoint of this study is to measure noncompartmental PK parameters of Compound 1, fesoterodine, and bioactive metabolite desfesoterodine, including area under the concentration-time curve (AUC) and maximum observed concentration ($C_{\max}$), and time to reach $C_{\max}$ ($T_{\max}$).

[00218] *Doses:* 32 mg of Compound 1 in solution will be administered. In an orally disintegrating tablet (ODT), doses up to 32 mg of Compound 1 (e.g., 8 mg, 16 mg, 24 mg, and 32 mg) will be administered. In an extended-release formulation, the starting dose of 40 mg Compound 1 will be administered, and the doses can increase up to 375 mg (including 80 mg, 125 mg, 165 mg, 375 mg). When Compound 1 is co-administered with fesoterodine, 3 mg of fesoterodine will be administered.

Example 13. Clinical Observations and Pharmacokinetics of Orally Administered Compound 1/Fesoterodine Formulations

[00219] A study was conducted to characterize the pharmacokinetics (PK), safety, and tolerability profiles of Compound 1 and fesoterodine fumarate in healthy adult human subjects. Subjects received a single dose of Compound 1 HCl and fesoterodine fumarate (ER/ER tablet, **F25-F28**, Example 4) at a dose strength combination selected from **Table 7**. The once daily (QD) dosing cohorts received 165 mg/3 mg, 210 mg/3 mg, 270 mg/6 mg, and 330 mg/6 mg. The twice daily (BID) dosing cohorts received 105 mg/1.5 mg, 165 mg/3 mg, and 210 mg/3 mg.

Table 7. Dose strength combinations

1 Tablet (Compound 1/Fesoterodine)	2 Tablets (Compound 1/Fesoterodine)	3 Tablets (Compound 1/Fesoterodine)
105 mg/ 1.5 mg	210 mg/ 3 mg	315 mg/ 4.5 mg
105 mg/ 3 mg	210 mg/ 4.5 mg	315 mg/ 6 mg
165 mg/ 3 mg	210 mg/ 6 mg	315 mg/ 7.5 mg
	270 mg/ 4.5 mg	315 mg/ 9 mg
	270 mg/ 6 mg	
	330 mg/ 6 mg	

Dose amount based on the free-base amount of Compound 1 and fesoterodine.

[00220] Results: The steady-state PK data for 7 dosing cohorts (165 mg/3mg QD, 210 mg/3 mg QD, 270 mg/6 mg QD, 330 mg/6 mg QD, 105 mg/1.5 mg BID, 165 mg/3 mg BID, and 210 mg/3 mg BID) are summarized in **Tables 8A-B**. In all cohorts, the therapeutically effective target plasma Compound 1 concentration of greater than 100 ng/mL was maintained for 24 hr following administration (observed steady-state C_{avg} 350 ng/mL to 850 ng/mL). All doses were well tolerated, and no serious treatment-emergent adverse events (TEAEs) were reported.

Table 8A. Steady-State PK of Compound 1

	165/3 mg QD (N=8)	210/3 mg QD (N=6)	270/6 mg QD (N=6)	330/6 mg QD (N=6)	105/1.5 mg BID (N=8)	165/3 mg BID (N=8)	210/3 mg BID (N=6)
Compound 1 Dose (mg)	165	210	270	330	105	165	210
C_{max} (ng/mL)	1070 (778, 1830)	1355 (1028, 1880)	1364 (1088, 1703)	1724 (1035, 3935)	801 (705, 930)	1070 (778, 1830)	1355 (1028, 1880)
T_{max} (h)	3 (1.5, 4)	3 (4, 6)	4 (2, 6)	4 (2, 8)	3 (1.5, 6)	3 (1.5, 4)	4 (2, 6)
C_{avg} (ng/mL)	369 (200, 714)	443 (264, 610)	494 (433, 766)	748 (701, 1513)	483 (403, 662)	657 (424, 1321)	813 (511, 1130)

PK parameter values presented as median (min, max)

Table 8B. Steady-State PK of 5-HMT

	165/3 mg	210/3 mg	270/6 mg	330/6 mg	105/1.5	165/3 mg	210/3 mg
Treatment	QD (N=8)	QD (N=6)	QD (N=6)	QD (N=6)	mg BID (N=8)	BID (N=8)	BID (N=6)
Fesoterodine							
Dose (mg)	3	3	6	6	1.5	3	3
C _{max} (ng/mL)	2.53 (1.29, 3.16)	1.98 (1.42, 4.64)	4.50 (2.02, 6.60)	3.51 (2.7, 6.07)	1.62 (0.95, 3.51)	2.51 (1.72, 6.07)	3.39 (2.44, 4.28)
T _{max} (h)	6 (4, 8)	6 (4, 8)	5 (3, 8)	4 (4, 8)	4 (2, 4)	4 (2, 4)	5 (4, 6)
C _{avg} (ng/mL)	1.05 (0.48, 1.74)	1.03 (0.60, 1.97)	2.23 (1.07, 3.91)	1.88 (1.49, 3.34)	1.26 (0.67, 2.38)	2.05 (1.11, 4.34)	2.40 (1.42, 2.99)

PK parameter values presented as median (min, max)

INCORPORATION BY REFERENCE

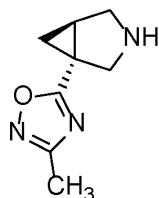
[00221] All references, articles, publications, patents, patent publications, and patent applications cited herein are incorporated by reference in their entireties for all purposes. However, mention of any reference, article, publication, patent, patent publication, and patent application cited herein is not, and should not be taken as, an acknowledgment or any form of suggestion that they constitute valid prior art or form part of the common general knowledge in any country in the world.

CLAIMS

What is claimed is:

1. A composition comprising:

(a) about 10 mg to about 500 mg of Compound 1



Compound 1

or a pharmaceutically acceptable salt thereof; and

(b) about 1 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof;

wherein the oral administration of the composition to a patient in need thereof provides a $t_{\max}$ of Compound 1 of about 0.1 h to about 10 h and a $t_{\max}$ of desfesoterodine of about 0.1 h to about 10 h following administration of the composition.

2. The composition of claim 1, wherein the oral administration of the composition provides a $t_{\max}$ of Compound 1 of about 1.5 h to about 8 h following administration of the composition.

3. The composition of claim 1 or 2, wherein the oral administration of the composition provides a $t_{\max}$ of desfesoterodine of about 1 h to about 8 h following administration of the composition.

4. The composition of any one of claims 1-3, wherein the oral administration of the composition provides a $C_{\max,ss}$ of Compound 1 of about 200 ng/mL to about 5,000 ng/mL following administration of the composition.

5. The composition of any one of claims 1-4, wherein the oral administration of the composition provides a $C_{\max,ss}$ of desfesoterodine of about 2 ng/mL to about 60 ng/mL following administration of the composition.

6. The composition of any one of claims 1-5, wherein the oral administration of the composition provides a $AUC_{0-24h,ss}$ of Compound 1 of about 4000 ng·h/mL to about 40,000 ng·h/mL following administration of the composition.
7. The composition of any one of claims 1-6, wherein the oral administration of the composition provides a $AUC_{0-24h,ss}$ of desfesoterodine of about 5 ng·h/mL to about 600 ng·h/mL following administration of the composition.
8. The composition of any one of claims 1-7, wherein the oral administration of the composition provides a plasma concentration ratio of Compound 1 to desfesoterodine of about 10:1 to about 400:1.
9. The composition of any one of claims 1-8, wherein the oral administration of the composition provides a C_{max} ratio of Compound 1 to desfesoterodine of about 50:1 to about 600:1.
10. A composition comprising:
- (a) about 40 mg to about 500 mg of Compound 1 or a pharmaceutically acceptable salt thereof; and
 - (b) about 1 mg to about 20 mg of fesoterodine or a pharmaceutically acceptable salt thereof;
- wherein the composition releases:
- about 80 % of the Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h; and
 - about 80 % of the fesoterodine or a pharmaceutically acceptable salt thereof within 0.2 h to 12 h
- when dissolution is tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.
11. The composition of any one of the preceding claims, wherein the composition comprises about 50 mg to about 600 mg of Compound 1 or a pharmaceutically acceptable salt thereof.
12. The composition of any one of the preceding claims, wherein the composition comprises about 100 mg to about 400 mg of Compound 1 or a pharmaceutically acceptable salt thereof.

13. The composition of any one of the preceding claims, wherein the composition comprises about 100 mg to about 350 mg of Compound 1 or a pharmaceutically acceptable salt thereof.
14. The composition of any one of the preceding claims, wherein the composition comprises about 100 mg to about 400 mg of Compound 1 or a pharmaceutically acceptable salt thereof.
15. The composition of any one of the preceding claims, wherein the composition comprises about 105 mg, about 165 mg, about 210 mg, about 270 mg, or 330 mg of Compound 1 or a pharmaceutically acceptable salt thereof.
16. The composition of any one of the preceding claims, wherein the composition comprises about 1.5 mg to about 12 mg of fesoterodine or a pharmaceutically acceptable salt thereof.
17. The composition of any one of the preceding claims, wherein the composition comprises fesoterodine fumarate.
18. The composition of any one of the preceding claims, wherein the weight % ratio of the Compound 1 to fesoterodine in the composition is about 40:1 to about 90:1.
19. The composition of any one of the preceding claims, wherein the weight % ratio of the Compound 1 and fesoterodine in the composition is about 46:1 to about 70:1.
20. The composition of any one of the preceding claims, wherein the composition is a tablet.
21. The tablet of claim 20, wherein the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof.
22. The tablet of claim 20 or 21, wherein the tablet comprises an extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.
23. The tablet of any one of claims 20-22, wherein the tablet comprises an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof and an

extended-release (ER) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.

24. The tablet of claim 23, comprising:

- (a) a first ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and
- (b) a second ER portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the first portion and second portion are configured in a bilayer tablet.

25. The tablet of claim 21, wherein the tablet comprises an immediate-release (IR) portion comprising fesoterodine or a pharmaceutically acceptable salt thereof.

26. The tablet of claim 25, comprising:

- (a) an ER portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and
- (b) an IR portion comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the ER portion and IR portion are configured in a bilayer tablet.

27. The tablet of any one of claims 20-26, wherein the tablet further comprises a stabilizer, a controlled-release excipient, a lubricant, a diluent, or a mixture thereof.

28. The tablet of claim 27, wherein the stabilizer is xylitol, sorbitol, polydextrose, isomalt, dextrose, microcrystalline cellulose, fructose, or a mixture thereof.

29. The tablet of claim 27 or 28, wherein the stabilizer is xylitol, fructose, or a mixture thereof.

30. The tablet of any one of claims 27-29, wherein the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to the stabilizer is about 1:20 to about 1:1 in the tablet.

31. The tablet of claim 30, wherein the weight % ratio of the fesoterodine or a pharmaceutically acceptable salt thereof to xylitol or fructose is about 1:18 to about 1:39 in the tablet.
32. The tablet of claim 27, wherein the lubricant is talc, silicon dioxide, stearic acid, calcium stearate, sodium lauryl sulfate, hydrogenated vegetable oil, hydrogenated castor oil, sodium stearyl fumarate, macrogols, glyceryl behenate, corn starch, magnesium stearate, or a mixture thereof.
33. The tablet of claim 32, wherein the lubricant is talc, glyceryl behenate, silicon dioxide, magnesium stearate, or a mixture thereof.
34. The tablet of claim 33, wherein the lubricant is talc, glyceryl behenate, or a mixture thereof.
35. The tablet of claim 27, wherein the controlled-release excipient is polyethylene oxide (PEO), methylcellulose (MC), ethyl cellulose, hydroxypropyl methylcellulose (HPMC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose, or a mixture thereof.
36. The tablet of claim 27, wherein the diluent is microcrystalline cellulose (MCC), mannitol, lactose, dicalcium phosphate, xylitol, or a mixture thereof.
37. The tablet of any one of claims 20-36, wherein the tablet further comprises lactose, sodium starch glycolate, croscarmellose sodium, polyvinyl alcohol (PVA), polyvinylpyrrolidone (PVP), glyceryl behenate, talc, or a mixture thereof.
38. The tablet of any one of claims 21-37, wherein the portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises:
- about 20 wt.% to about 55 wt.% hydroxypropyl methylcellulose (HPMC);
 - about 4 wt.% to about 50 wt.% microcrystalline cellulose;
 - about 2 wt.% to about 6 wt.% glyceryl behenate;
 - about 1 wt.% to about 4 wt.% of talc; and
 - optionally about 4 wt.% to about 50 wt.% xylitol.

39. The tablet of any one of claims 21-37, wherein the portion comprising the Compound 1 or a pharmaceutically acceptable salt thereof comprises:

- about 40 wt.% to about 60 wt.% HPMC
- about 20 wt.% to about 25 wt.% microcrystalline cellulose;
- about 5 wt.% to about 20 wt.% xylitol; and
- about 0.1 wt.% to about 0.4 wt.% of magnesium stearate.

40. The tablet of any one of claims 25-39, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 3 wt.% to about 8 wt.% sodium starch glycolate;
- about 2 wt.% to about 6 wt.% glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

41. The tablet of any one of claims 25-39, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 3 wt.% to about 8 wt.% croscarmellose sodium;
- about 2 wt.% to about 6 wt.% glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

42. The tablet of any one of claims 25-39, wherein the portion comprising the fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 50 wt.% to about 80 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 3 wt.% to about 8 wt.% polyvinylpyrrolidone (PVP);
- about 2 wt.% to about 6 wt.% glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

43. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 10 wt.% to about 40 wt.% hydroxypropyl Methylcellulose (HPMC);
- about 1 wt.% to about 6 wt.% glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

44. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 8 wt.% to about 50 wt.% xylitol;
- about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 20 wt.% to about 50 wt.% cellulose ether;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

45. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 8 wt.% to about 50 wt.% xylitol;
- about 10 wt.% to about 50 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 10 wt.% to about 40 wt.% of 80% polyvinyl acetate (PVA)/19% povidone;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

46. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 10 wt.% to about 40 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 20 wt.% to about 40 wt.% of HPMC;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

47. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 50 wt.% xylitol;
- about 20 wt.% to about 40 wt.% wt.% of MCC;
- about 20 wt.% to about 40 wt.% of HPMC;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

48. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 8 wt.% to about 20 wt.% xylitol;
- about 10 wt.% to about 40 wt.% of 75% lactose/25% microcrystalline cellulose;
- about 10 wt.% to about 30 wt.% of lactose;
- about 10 wt.% to about 40 wt.% of HPMC;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

49. The tablet of any one of claims 22-24 and 27-39, wherein the portion comprising fesoterodine or a pharmaceutically acceptable salt thereof comprises:

- about 10 wt.% to about 40 wt.% xylitol;
- about 10 wt.% to about 20 wt.% of lactose;
- about 10 wt.% to about 40 wt.% of MCC;
- about 20 wt.% to about 40 wt.% of HPMC;
- about 1 wt.% to about 6 wt.% or glyceryl behenate; and
- about 1 wt.% to about 4 wt.% of talc.

50. The tablet of any one of claims 25-42, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 10 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 0.1 h to 1 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

51. The tablet of any one of claims 22-24, 27-38 and 43-49, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 12 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 1 h to 7 h or 5 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

52. The tablet of claim 20 or 21, wherein the composition comprises:

- an extended-release (ER) portion comprising Compound 1 or a pharmaceutically acceptable salt thereof; and
- an immediate release (IR) coating comprising fesoterodine or a pharmaceutically acceptable salt thereof, wherein the IR coating is disposed over the ER portion.

53. The tablet of claim 52, wherein the IR coating comprises HPMC, triacetin, titanium dioxide, xanthan gum, lecithin, polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbates, methacrylate copolymers, talc, or a mixture thereof.

54. The tablet of claim 52 or 53, wherein the IR coating comprises:

- (a) polyvinyl alcohol (PVA), polyethylene glycol (PEG), polysorbate 80, and talc;
- (b) HPMC, triacetin, and talc;
- (c) PVA, xylitol, PEG, polysorbate 80, and talc;
- (d) PVA, fructose, PEG, polysorbate 80, and talc;
- (e) copolymer of PVA and PEG at a 3:1 weight % ratio;
- (f) HPMC;
- (g) HPMC and xylitol; or
- (h) HPMC and fructose.

55. The tablet of claim 54, wherein the IR coating comprises:

- (a) about 40 wt.% to about 60 wt. % polyvinyl alcohol (PVA), about 10 wt.% to about 20 wt. % polyethylene glycol (PEG), about 1 wt.% to about 5 wt. % polysorbate 80, and about 20 wt.% to about 40 wt. % talc;
- (b) about 70 wt.% to about 85 wt. % HPMC, about 5 wt.% to about 10 wt. % triacetin, and about 5 wt.% to about 10 wt. % talc;

- (c) about 25 wt.% to about 50 wt. % PVA, about 10 wt.% to about 40 wt. % xylitol, about 5 wt.% to about 20 wt. % PEG, about 1 wt.% to about 5 wt. % polysorbate 80, and about 10 wt.% to about 30 wt. % talc;
- (d) about 20 wt.% to about 40 wt. % PVA, about 30 wt.% to about 40 wt. % fructose, about 5 wt.% to about 15 wt. % PEG, about 1 wt.% to about 3 wt. % polysorbate 80, and about 10 wt.% to about 25 wt. % talc;
- (e) about 85 wt.% to about 95 wt. % copolymer of polyvinyl alcohol and polyethylene glycol at a 3:1 weight % ratio in the coating;
- (f) about 65 wt.% to about 95 wt. % HPMC;
- (g) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % xylitol; or
- (h) about 40 wt.% to about 60 wt. % HPMC and about 30 wt.% to about 45 wt. % fructose.

56. The tablet of any one of claims 52-55, wherein the tablet further comprises:

- (i) about 60 wt.% to about 70 wt.% polyvinyl alcohol (PVA), about 15 wt.% to about 25 wt.% talc, about 1 wt.% to about 5 wt.% lecithin, and about 0.1 wt.% to about 1.5 wt.% xanthan gum.

57. The tablet of any one of claims 52-56, wherein the IR coating releases 80% of the fesoterodine within 0.5 h to 2 h when tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

58. The composition of any one of claims 1-19, wherein the composition is an osmotic tablet.

59. The osmotic tablet of claim 58, wherein the tablet releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 4 h to 16 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 16 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) in 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

60. The composition of any one of claims 1-19, wherein the composition is a multiparticulate formulation.

61. The multiparticulate formulation of claim 60, wherein the formulation releases about 80% of Compound 1 or a pharmaceutically acceptable salt thereof within 2 h to 8 h and about 80 % of fesoterodine or a pharmaceutically acceptable salt thereof within 4 h to 12 h when dissolution tested using United States Pharmacopoeia Apparatus II (paddles @ 50 rpm) 900 mL of 0.1N HCl or pH 6.8 phosphate buffer at 37 °C.

62. A method of treating dyskinesia in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of claims 1-19 and 58-61, or the tablet of any one of claims 20-57.

63. The method of claim 62, wherein the dyskinesia is levodopa-induced dyskinesia or Tardive dyskinesia.

64. The method of claim 62 or 63, wherein the patient is diagnosed with Parkinson's disease.

65. A method of treating Parkinson's disease psychosis in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of claims 1-19 and 58-61, or the tablet of any one of claims 20-57.

66. A method of treating schizophrenia in a patient in need thereof, comprising administering a therapeutically effective amount of the composition of any one of claims 1-19 and 58-61, or the tablet of any one of claims 20-57.

67. A method of treating Alzheimer's disease in a patient in need thereof, the method comprising administering a therapeutically effective amount of the composition of any one of claims 1-19 and 58-61, or the tablet of any one of claims 20-57.

68. The method of any one of claims 62-66, wherein the composition is administered once per day.

69. The method of any one of claims 62-66, wherein the composition is administered twice per day.