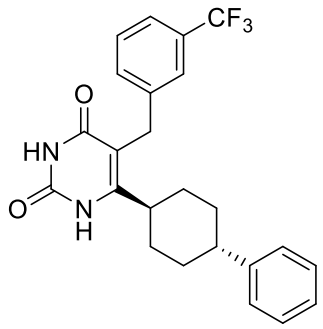
WHAT IS CLAIMED IS:

[Claim 1]. A composition comprising:

Compound I, (E)-6-(4-Phenylcyclohexyl)-5-(3-trifluoromethylbenzyl)-1H-pyrimidine-2,4-dione:



,

in an amount from 15.0 to 32.0% (w/w);

a poly[(methyl methacrylate)-co-(methacrylic acid)] in an amount from 15.0 to 32.0% (w/w);

a sustaining polymer in an amount from 10.0 to 32.0% (w/w);

microcrystalline cellulose in an amount from 10.0 to 25.0% (w/w); and

croscarmellose sodium (Ac-Di-Sol) in an amount from 5.0 to 11.0% (w/w).

[Claim 2]. The composition of claim 1, wherein

Compound I is present in an amount from 20.0 to 28.0% (w/w);

a poly[(methyl methacrylate)-co-(methacrylic acid)] in an amount from 20.0 to 28.0% (w/w); and

a sustaining polymer in an amount from 10.0 to 28.0% (w/w).

[Claim 3]. The composition of claims 1 or 2, wherein the poly[(methyl methacrylate)-co-(methacrylic acid)] is Eudragit L100.

[Claim 4]. The composition of claims 1 to 3, wherein the sustaining polymer is hydroxypropyl methylcellulose acetate succinate (HPMCAS).

[Claim 5]. The composition of claims 1 to 4, wherein the sustaining polymer is hydroxypropyl methylcellulose acetate succinate high fine grade (HPMCAS-H).

[Claim 6]. The composition of any one of claims 3 to 5, comprising

Compound I, in an amount from 22.0 to 28.0% (w/w);

Eudragit L100 in an amount from 22.0 to 28.0% (w/w);

hydroxypropyl methylcellulose acetate succinate high fine grade in an amount from 13.0 to 28.0% (w/w);

microcrystalline cellulose (Avicel PH102) in an amount from 13.0 to 20.0% (w/w); and

croscarmellose sodium (Ac-Di-Sol) in an amount from 5.0 to 11.0% (w/w).

[Claim 7]. The composition of any one of claims 1 to 6, further comprising sodium lauryl sulfate in an amount from 0.5 to 5.0% (w/w).

[Claim 8]. The composition of any one of claims 1 to 7, further comprising sodium lauryl sulfate in an amount from 1.25 to 1.75% (w/w).

[Claim 9]. The composition of any one of claims 1 to 8, further comprising sodium lauryl sulfate in an amount from 1.3 to 1.5% (w/w).

[Claim 10]. The composition of any one of claims 1 to 9, comprising

Compound I, in an amount of about 22.8% (w/w);

Eudragit L100 in an amount of about 22.8% (w/w);

sodium lauryl sulfate in an amount of about 1.4% (w/w);

hydroxypropyl methylcellulose acetate succinate high fine grade in an amount of about 23.0% (w/w);

microcrystalline cellulose (Avicel PH102) in an amount of about 19.4% (w/w);

croscarmellose sodium (Ac-Di-Sol) in an amount of about 10.0% (w/w); and

magnesium stearate in an amount of about 0.5% (w/w).

[Claim 11]. The composition of any one of claims 1 to 9, further comprising colloidal silicon dioxide (Cab-O-Sil MP5) in an amount from 0.1 to 2.0% (w/w).

[Claim 12]. The composition of claim 11, wherein the colloidal silicon dioxide (Cab-O-Sil MP5) is present in an amount from 0.50 to 1.5% (w/w).

[Claim 13]. The composition of any one of claims 1 to 9, 11 or 12, comprising

Compound I, in an amount of about 22.8% (w/w);

Eudragit L100 in an amount of about 22.8% (w/w);

sodium lauryl sulfate in an amount of about 1.4% (w/w);

hydroxypropyl methylcellulose acetate succinate high fine grade in an amount of about 23.0% (w/w);
microcrystalline cellulose (Avicel PH102) in an amount of about 18.4% (w/w);
croscarmellose sodium (Ac-Di-Sol) in an amount of about 10.0% (w/w);
colloidal silicon dioxide (Cab-O-Sil MP5) in an amount of about 1.0% (w/w); and
magnesium stearate in an amount of about 0.5% (w/w).

[Claim 14]. The composition of claim 11 or 12, wherein the microcrystalline cellulose (Avicel PH102) in an amount from 10.0 to 30.0% (w/w).

[Claim 15]. The composition of claim 14, wherein the microcrystalline cellulose (Avicel PH102) in an amount from 13.0 to 20.0% (w/w).

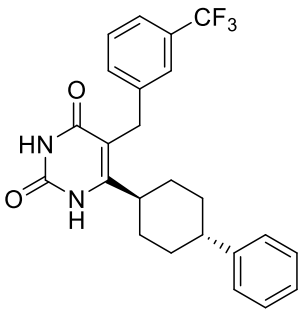
[Claim 16]. The composition of claims 14 or 15, wherein the weight ratio of Compound I to the poly[(methyl methacrylate)-co-(methacrylic acid)] is about 1:1.

[Claim 17]. The composition of any one of claims 14 to 16, comprising
Compound I, in an amount of about 25.0% (w/w);
Eudragit L100 in an amount of about 25.0% (w/w);
hydroxypropyl methylcellulose acetate succinate high fine grade in an amount of about 25.0% (w/w);
microcrystalline cellulose (Avicel PH102) in an amount of about 13.75% (w/w);
croscarmellose sodium (Ac-Di-Sol) in an amount of about 10.0% (w/w);
colloidal silicon dioxide (Cab-O-Sil MP5) in an amount of about 0.75% (w/w); and
magnesium stearate in an amount of about 0.5% (w/w).

[Claim 18]. The composition of any one of claims 14 to 16, comprising
Compound I, in an amount of about 22.9% (w/w);
Eudragit L100 in an amount of about 22.9% (w/w);
hydroxypropyl methylcellulose acetate succinate high fine grade in an amount of about 22.9% (w/w);
microcrystalline cellulose (Avicel PH102) in an amount of about 19.8% (w/w);
croscarmellose sodium (Ac-Di-Sol) in an amount of about 10.0% (w/w);
colloidal silicon dioxide (Cab-O-Sil MP5) in an amount of about 1.0% (w/w); and
magnesium stearate in an amount of about 0.5% (w/w).

[Claim 19]. A method of preparing a composition of any one of claims 1 to 18, comprising:

a) forming a mixture comprising a solvent, poly[(methyl methacrylate)-co-(methacrylic acid)], and Compound I, (E)-6-(4-Phenylcyclohexyl)-5-(3-trifluoromethylbenzyl)-1H-pyrimidine-2,4-dione:



;

b) spray-drying the mixture to form an intermediate mixture;

c) blending a first intragranular mixture comprising the intermediate mixture, a sustaining polymer, microcrystalline cellulose, and croscarmellose sodium;

d) roller compacting the first intragranular mixture to form a roller compacted mixture; and

e) blending a first extragranular mixture comprising the roller compacted mixture and croscarmellose sodium, thereby preparing the composition.

[Claim 20]. The method of claim 19, wherein the solvent comprises methanol and dichloromethane.

[Claim 21]. The method of claims 19 or 20, wherein the poly[(methyl methacrylate)-co-(methacrylic acid)] is Eudragit L100.

[Claim 22]. The method of any one of claims 19 to 21, wherein Compound I is a crystalline anhydrate.

[Claim 23]. The method of any one of claims 19 to 22, wherein the sustaining polymer is hydroxypropyl methylcellulose acetate succinate (HPMCAS).

[Claim 24]. The method of any one of claims 19 to 23, wherein the sustaining polymer is hydroxypropyl methylcellulose acetate succinate high fine grade (HPMCAS-H).

[Claim 25]. The method of any one of claims 19 to 24, comprising

a) forming the mixture comprising the solvent, Eudragit L100, sodium lauryl sulfate (SLS), and Compound I;

b) spray-drying the mixture to form the intermediate mixture;

c1) blending the first intragranular mixture comprising the intermediate mixture, HPMCAS-H, microcrystalline cellulose, and croscarmellose sodium;

c2) blending a second intragranular mixture comprising the first intragranular mixture and magnesium stearate;

d) roller compacting the second intragranular mixture to form a roller compacted mixture;

e1) blending the first extragranular mixture comprising the roller compacted mixture and croscarmellose sodium; and

e2) blending a second extragranular mixture comprising the first extragranular mixture and magnesium stearate, thereby preparing the composition.

[Claim 26]. The method of any one of claims 19 to 25, wherein the first intragranular mixture further comprises a first glidant; and the first extragranular mixture further comprises a second glidant.

[Claim 27]. The method of claim 26, wherein the first glidant and second glidant each comprise colloidal silicon dioxide.

[Claim 28]. The method of claim 27, comprising

a) forming the mixture comprising the solvent, Eudragit L100, sodium lauryl sulfate (SLS), and Compound I;

b) spray-drying the mixture to form the intermediate mixture;

c1) blending the first intragranular mixture comprising the intermediate mixture, HPMCAS-H, microcrystalline cellulose, croscarmellose sodium and colloidal silicon dioxide;

c2) blending a second intragranular mixture comprising the first intragranular mixture and magnesium stearate;

d) roller compacting the second intragranular mixture to form a roller compacted mixture;

e1) blending the first extragranular mixture comprising the roller compacted mixture, croscarmellose sodium and colloidal silicon dioxide; and

e2) blending a second extragranular mixture comprising the first extragranular mixture and magnesium stearate, thereby preparing the composition.

[Claim 29]. The method of claim 27, comprising

a) forming the mixture comprising the solvent, poly[(methyl methacrylate)-co-(methacrylic acid)], and Compound I;

b) spray-drying the mixture to form the intermediate mixture;

c1) blending the first intragranular mixture comprising the intermediate mixture, HPMCAS-H, microcrystalline cellulose, croscarmellose sodium and colloidal silicon dioxide;

c2) blending a second intragranular mixture comprising the first intragranular mixture and magnesium stearate;

d) roller compacting the second intragranular mixture to form a roller compacted mixture;

e1) blending the first extragranular mixture comprising the roller compacted mixture, croscarmellose sodium and colloidal silicon dioxide; and

e2) blending a second extragranular mixture comprising the first extragranular mixture and magnesium stearate, thereby preparing the composition.

[Claim 30]. A ~~method of treating a disorder or condition through modulating a glucocorticoid receptor, comprising administering to a subject in need of such treatment, a therapeutically effective amount of a~~ composition of any one of claims 1 to 18, ~~thereby~~ for use in treating ~~the~~ a disorder or condition through modulating a glucocorticoid receptor.

[Claim 31]. A ~~method of treating a disorder or condition through antagonizing a glucocorticoid receptor, comprising administering to a subject in need of such treatment, a therapeutically effective amount of a~~ composition of any one of claims 1 to 18, ~~thereby~~ for use in treating ~~the~~ a disorder or condition through antagonizing a glucocorticoid receptor.

[Claim 32]. ~~A method of treating fatty liver disease, comprising administering to a subject in need thereof, a therapeutically effective amount~~Use of a composition of any one of claims 1 to 18, ~~thereby~~ in the preparation of a medicament for treating fatty liver disease.

[Claim 33]. The ~~method~~ use of claim 32, wherein the fatty liver disease is alcohol related liver disease (ARLD) or nonalcoholic fatty liver disease (NAFLD).

[Claim 34]. The ~~method~~ use of claim~~s~~ 33, wherein the alcohol related liver disease is alcohol fatty liver disease (AFL), alcoholic steatohepatitis (ASH) or alcoholic cirrhosis.

[Claim 35]. The ~~method~~ use of claim~~s~~ 33 ~~or 34~~, wherein the nonalcoholic fatty liver disease is nonalcoholic steatohepatitis (NASH) or nonalcoholic cirrhosis.

[Claim 36]. The method of claim 33 or 35, wherein the nonalcoholic fatty liver disease is nonalcoholic steatohepatitis.

[Claim 37]. ~~A method of treating antipsychotic induced weight gain, comprising administering to a subject in need thereof, a therapeutically effective amount~~Use of a composition of any one of claims 1 to 18, in the preparation of a medicament for~~thereby~~ treating antipsychotic induced weight gain.