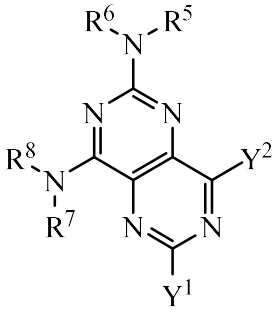
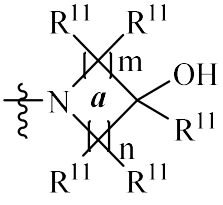
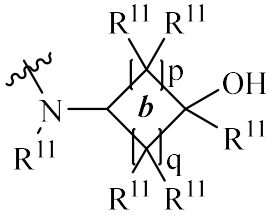
CLAIMS

1. A composition comprising a compound of formula (I):



(I), wherein in (I):

one of the substituents selected from the group consisting of Y^1 and Y^2 is selected from the group consisting of $–N(R^1)\text{-}L\text{-}C(R^9)(R^{10})OH$,  , and

 , and the other substituent is $–N(R^1)R^2$;

L is optionally substituted C_1-C_3 alkylene;

R^1, R^5 and R^7 are independently selected from the group consisting of hydrogen and optionally substituted C_1-C_3 alkyl;

R^2 is selected from the group consisting of alkyl, cycloalkyl, alkenyl, alkynyl, phenyl, phenylalkyl, aryl, arylalkyl, heteroarylalkyl and heteroaryl, wherein the alkyl, cycloalkyl, alkenyl, alkynyl, phenyl, phenylalkyl, aryl, arylalkyl, heteroarylalkyl or heteroaryl group is independently optionally substituted;

R^6 and R^8 are independently selected from the group consisting of alkyl, cycloalkyl, alkenyl, alkynyl, phenyl, phenylalkyl, aryl, arylalkyl, heteroarylalkyl and heteroaryl, wherein the alkyl, cycloalkyl, alkenyl, alkynyl, phenyl, phenylalkyl, aryl, arylalkyl, heteroarylalkyl or heteroaryl group is independently optionally substituted;

R^9 and R^{10} are independently selected from the group consisting of H and optionally substituted C_1-C_3-alkyl; or R^9 and R^{10} combine with the carbon atom to which they

are bound so as to form an optionally substituted C_3-C_6 cycloalkyl group;

each instance of R^{11} is independently selected from the group consisting of H and optionally substituted C_1-C_3-alkyl; wherein a -$C(R^{11})_2$-$C(R^{11})_2$- group within ring ***b*** is optionally replaced by an optionally substituted 1,2-phenylene group that is fused with ring ***b***;

m and n are independently selected from the group consisting of 1, 2, 3 and 4, such that $2 \leq (m+n) \leq 4$;

p and q are independently elected from the group consisting of 0, 1, 2, 3 and 4, such that $2 \leq (p+q) \leq 4$;

with the proviso that the alkyl group is not substituted with a hydroxy group, or a pharmaceutically acceptable salt, solvate, hydrate, enantiomer, stereoisomer, tautomer, and a pharmaceutically acceptable carrier or excipient;

for use in a method of:

a) reducing excess body weight in a subject in need thereof;
b) treating a subject having diabetes or at risk of developing diabetes;
c) treating a subject having hyperphagia;
d) treating a subject having hyperlipidemia; or
e) treating a subject having insulin resistance.

2. A composition comprising GAL475 (l-(2,6-Bis-methylamino-8-propylamino-pyrimido[5,4-d]pyrimidin-4-yl amino)-2-methyl-propan-2-ol), or a pharmaceutically acceptable salt, solvate, hydrate, enantiomer, stereoisomer, tautomer, and a pharmaceutically acceptable carrier or excipient, for use in a method of:

a) reducing excess body weight in a subject;
b) treating a subject having diabetes or at risk of developing diabetes;
c) treating a subject having hyperphagia;
d) treating a subject having hyperlipidemia; or
e) treating a subject having insulin resistance.

3. The composition of any preceding claim, wherein the composition is a modified-release composition, optionally wherein the composition is an oral modified-release composition.

4. The composition of any preceding claim, wherein the composition comprises an enteric

coating or a gelatin coating, optionally wherein the composition comprises an excipient, optionally wherein the excipient comprises a binder, disintegrant, diluent, buffer, lubricant, glidant, antioxidant, antimicrobial preservative, colorant, or flavorant.

5. The composition of any preceding claim, wherein the composition is coated onto a base particle so as to form a core, optionally wherein the core is coated with an enteric coating, thereby forming an enterically coated bead.

6. The composition of any preceding claim, wherein the dosage form is an oral dosage form, optionally wherein the oral dosage form is in the form of a capsule, a tablet, or a pharmaceutically acceptable solution.

7. The composition of any preceding claim, wherein the composition comprises about 2 mg to about 5000 mg, about 5 mg to about 3000 mg, about 10 mg to about 2000 mg, about 20 mg to about 1500 mg, about 30 mg to about 1000 mg, about 40 mg to about 900 mg, about 50 mg to about 800 mg, about 100 mg to about 700 mg, about 150 mg to about 600 mg, about 200 mg to about 500 mg, about 250 mg to about 400 mg, or about 300 mg to about 350 mg of the compound.

8. The composition of any preceding claim, wherein the composition is encapsulated in a capsule, wherein the capsule contains granules or powders of the compound, or granules or powder comprising a mixture of the compound with the pharmaceutically acceptable carrier or excipient, and optionally wherein:

a) the capsule is enterically coated but the granules or powders are not enterically coated; or

b) at least a portion of the granules or powders are enterically coated, optionally prior to encapsulation in the capsule.

9. The composition of claim 8, comprising a first subportion of the granules or the powders coated with one enteric coating and at least a second subportion of the granules or the coated with a different enteric coating, wherein the first subportion is released in a different region of the intestine of the subject than the second subportion.

10. The composition of claim 8 or 9, wherein

(i) the capsule is a liquid-filled capsule further comprising the composition and a pharmaceutically acceptable liquid mixed to form a liquid formulation; or

(ii) the capsule is enterically coated, and wherein the liquid formulation in the capsule does not comprise an enteric coating.

11. The composition of any preceding claim, further comprising:

(i) administering at least one agent known for treating a disease selected from the diseases or conditions of claim 1; or

(ii) at least one additional agent selected from the group consisting of an antidiabetic agent, a weight loss agent, a metabolic syndrome treatment agent, and an anti-obesity agent.

12. The composition of claim 10 or 11, wherein the composition or capsule is:

a) for use separately from at least one agent; or

b) for use in combined administration form with at least one agent.

13. The composition of any one of claims 1-5, comprising 100 to 500 mg of the compound in an oral dosage form for use in reducing excess body weight.

14. The composition of claim 11 or 12, wherein the administering is by at least one route selected from the group consisting of nasal, inhalation, topical, oral, buccal, rectal, pleural, peritoneal, vaginal, intramuscular, subcutaneous, transdermal, epidural, intrathecal, and intravenous.

15. The composition of any preceding claim, wherein the pharmaceutically acceptable salt comprises an acid addition salt selected from the group consisting of sulfuric, hydrochloric, hydrobromic, hydroiodic, nitric, carbonic, phosphoric, formic, acetic, propionic, succinic, glycolic, gluconic, lactic, malic, tartaric, citric, ascorbic, glucuronic, maleic, fumaric, pyruvic, aspartic, glutamic, benzoic, anthranilic, 4- hydroxybenzoic, phenylacetic, mandelic, pamoic, methanesulfonic, ethanesulfonic, benzenesulfonic, pantothenic, sulfanilic, stearic, alginic, trifluoromethanesulfonic, 2- hydroxyethanesulfonic, p-tolucncsul ionic,

cyclohexylaminosulfonic, β-hydroxybutyric, salicylic, galactaric and galacturonic, or a combination thereof.