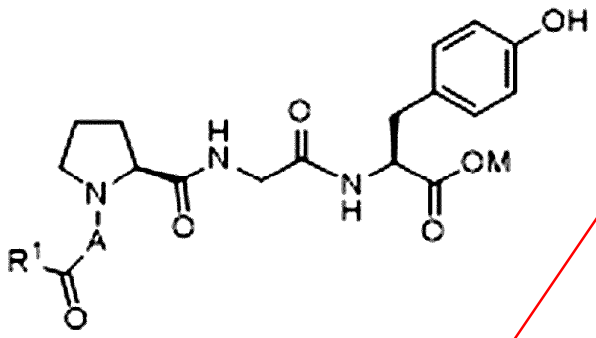
Claims

[Claim 1] A compound having Formula I,



Formula I

wherein

M is Li, Na, or K,

or M is SrX, MgX, CaX or ZnX, wherein X is a monovalent anion of Formula I-Acid;

A is a bond, or

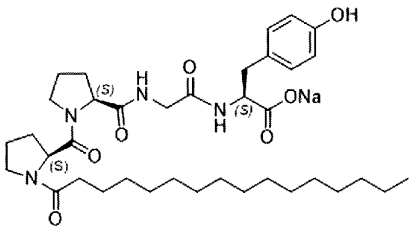
A represents a monopeptide or dipeptide linker, wherein the monopeptide or dipeptide is comprised of one or two amino acid units, each independently selected from the group consisting of alanine, (Ala, A), arginine (Arg, R), asparagine (Asn, N), aspartic acid (Asp, D), cysteine (Cys, C), glutamic acid (Glu, E), glutamine (Gln, Q), glycine (Gly, G), histidine (His, H), isoleucine (Ile, I), leucine (Leu, L), lysine (Lys, K), methionine (Met, M), phenylalanine (Phe, F), proline (Pro, P), serine (Ser, S), threonine (Thr, T), tryptophan (Trp, W), tyrosine (Tyr, Y), and valine (Val, V), wherein the N and C terminals of the monopeptide or dipeptide is linked to $R^1C(=O)$ and the pyrrolidine nitrogen atom through amide bonds, respectively; and

R^1 is a straight chain or branched chain C_{1-36} alkyl, a straight chain or branched chain C_{2-36} alkenyl, or a straight chain or branched chain C_{2-36} alkynyl.

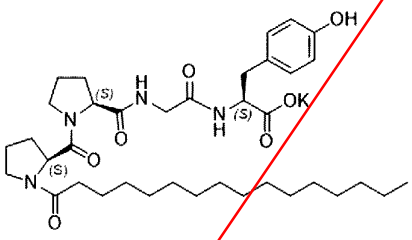
[Claim 2] The compound of claim 1, wherein M is Na.

[Claim 3] The compound of claim 1, wherein A is an L-proline linker.

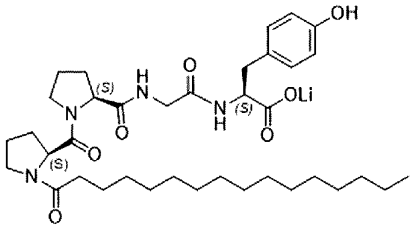
[Claim 4] The compound of claim 1, having a formula selected from compounds I-1 to I-10:



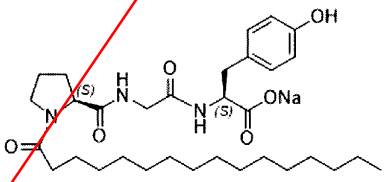
Compound I-1



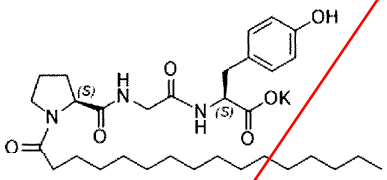
Compound I-2



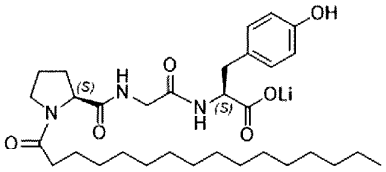
Compound I-3



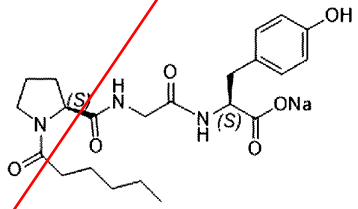
Compound I-4



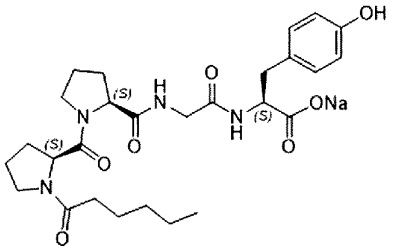
Compound I-5



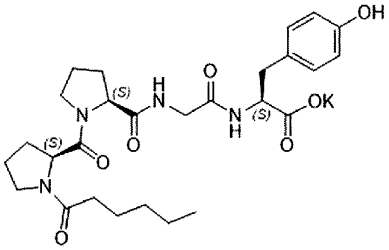
Compound I-6



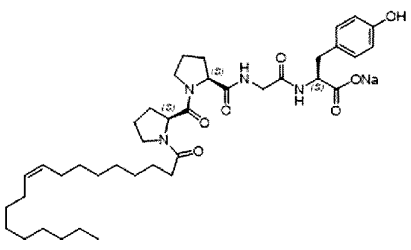
Compound I-7



Compound I-8



Compound I-9



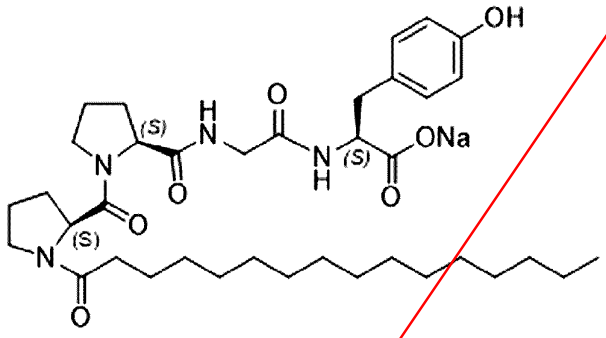
Compound I-10

[Claim 5] The compound of claim 4, wherein the compound is amorphous, Form A, Form B, Form C, Form D, Form E or Form F of compound I-1.

[Claim 6] The compound of claim 5, wherein the compound is amorphous of compound I-1.

[Claim 7] The compound of claim 6, wherein the amorphous of compound I-1 has an X-ray powder diffraction (XRPD) pattern substantially the same as shown in FIG. 3.

[Claim 8] A pharmaceutical composition comprising the compound I-1 in an amorphous form,

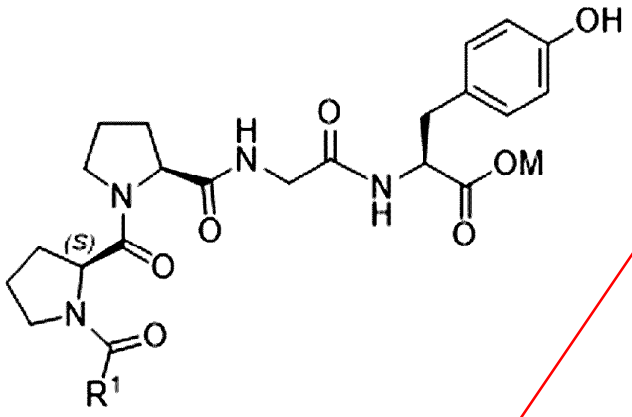


Compound I-1

wherein upon storage at 40 °C at a relative humidity of 75% or at 25 °C at a relative humidity of 60% for 6 month, the pharmaceutical composition is substantially free of the compound I-1 in a crystalline form.

[Claim 9] The pharmaceutical composition of claim 8, wherein upon storage at 40 °C at a relative humidity of 75% for 6 month, the pharmaceutical composition is characterized by an X-ray powder diffraction (XRPD) pattern substantially the same as shown in FIG. 12, at the respective time point.

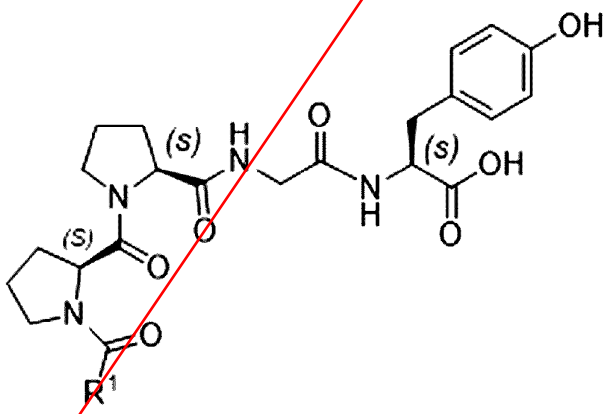
[Claim 10] A method of preparing a compound having Formula I-C,



Formula I-C

comprising the steps of

(a) providing a mixture comprising the compound I-C-Acid in water;



Compound I-C-Acid

(b) adding M_2CO_3, $MHCO_3$ or MOH to the mixture of step (a);

(c) heating and stirring the mixture of step (b);

(d) cooling the mixture of step (c); and

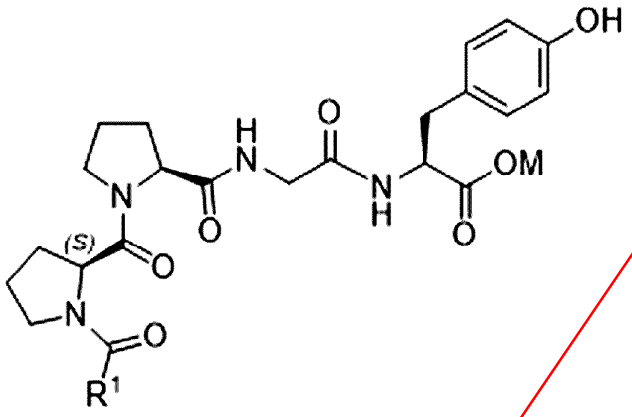
(e) filtering the mixture of step (d),

wherein M is Li, Na, or K; and

R^1 is a straight chain or branched chain C_{1-36} alkyl, a straight chain or branched chain C_{2-36} alkenyl, or a straight chain or branched chain C_{2-36} alkynyl.

[Claim 11] The method of claim 10, wherein M is Na.

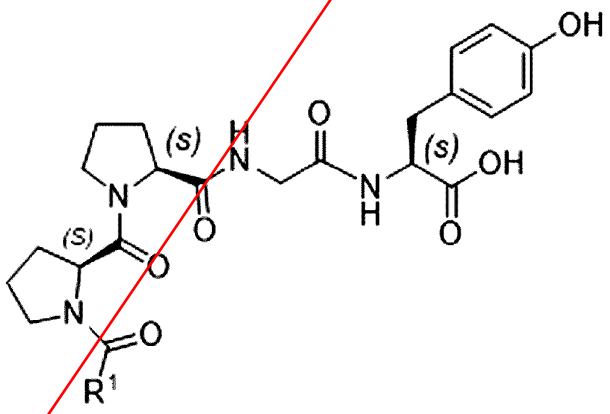
[Claim 12] A method of preparing a compound having Formula I-C,



Formula I-C

comprising the steps of

(a) providing a mixture comprising the compound I-C-Acid in protic organic solvents with or without water;



Compound I-C-Acid

(b) adding M_2CO_3, $MHCO_3$ or MOH to the mixture of step (a);

(c) stirring the mixture of step (b);

(d) removing solvents from the mixture of step (c) under reduced pressure; and

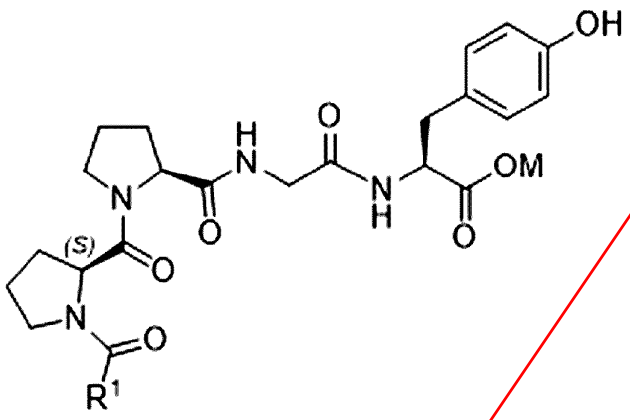
(e) removing water from the mixture of step (d) by lyophilization,

wherein M is Li, Na, or K; and

R^1 is a straight chain or branched chain C_{1-36} alkyl, a straight chain or branched chain C_{2-36} alkenyl, or a straight chain or branched chain C_{2-36} alkynyl.

[Claim 13] The method of claim 12, wherein M is Na.

[Claim 14] A method of preparing amorphous form of a compound having Formula I-C



Formula I-C

comprising the steps of

(a) providing a crystalline form of the Formula I-C in organic solvents;

(b) heating and stirring the mixture of step (a); and

(c) removing organic solvents from the mixture of step (b)

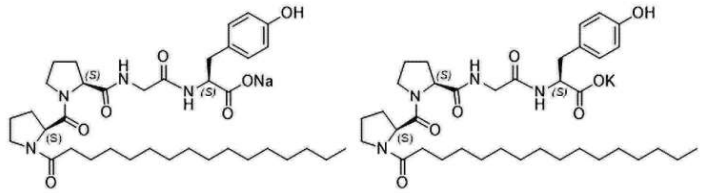
wherein M is Li, Na, or K; and

R^1 is a straight chain or branched chain C_{1-36} alkyl, a straight chain or branched chain C_{2-36} alkenyl, or a straight chain or branched chain C_{2-36} alkynyl.

[Claim 15] The method of claim 14, wherein M is Na.

Claims

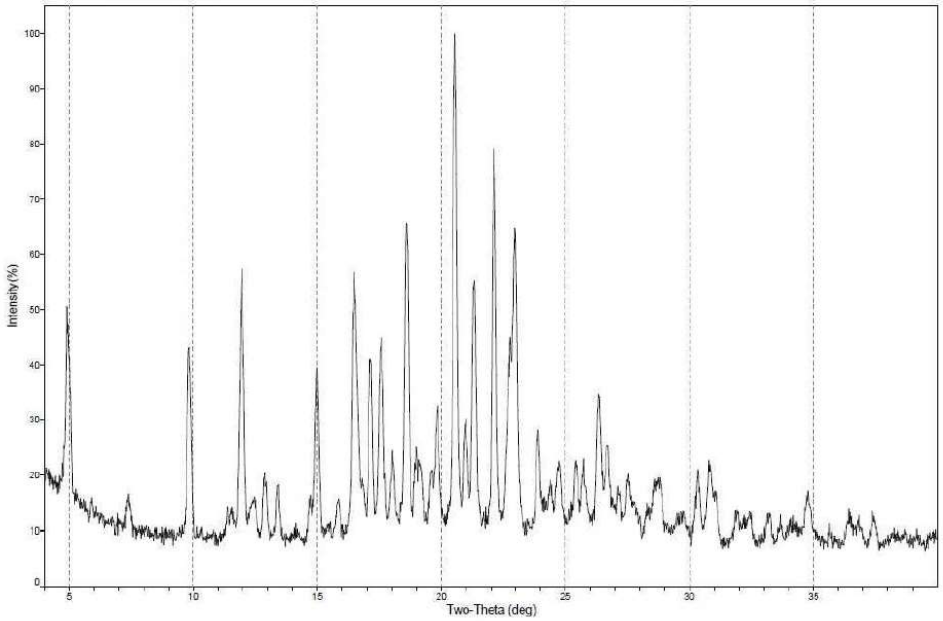
[Claim 1] A compound having Formula I-1 or I-2:



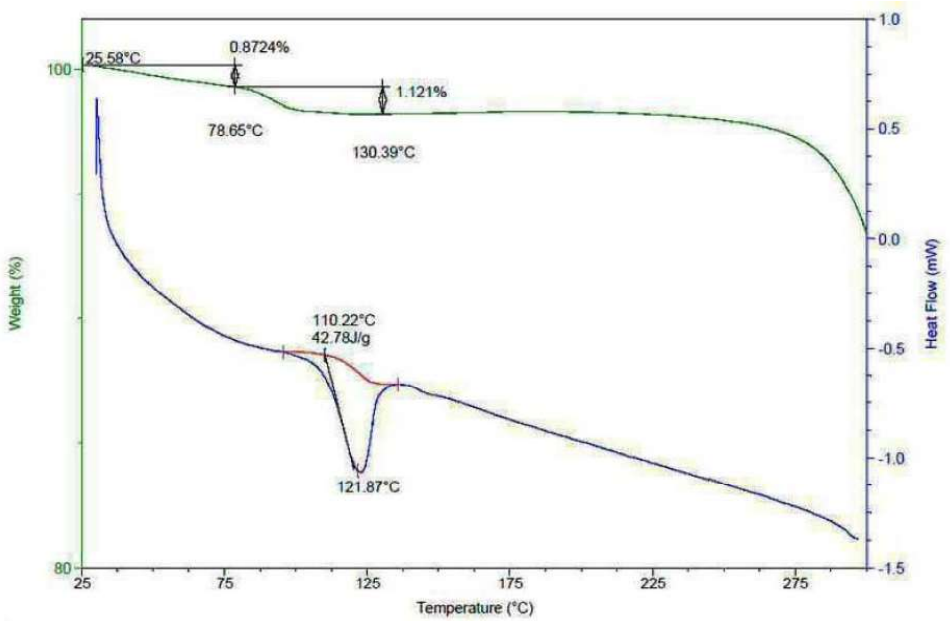
[Compound I-1] [Compound I-2].

[Claim 2] The compound of claim 1, wherein the compound is amorphous, Form A, Form B, Form C, Form D, Form E or Form F of compound I-1, and the Form A, Form B, Form C, Form D, Form E or Form F is one of the following crystalline forms of compound I-1:

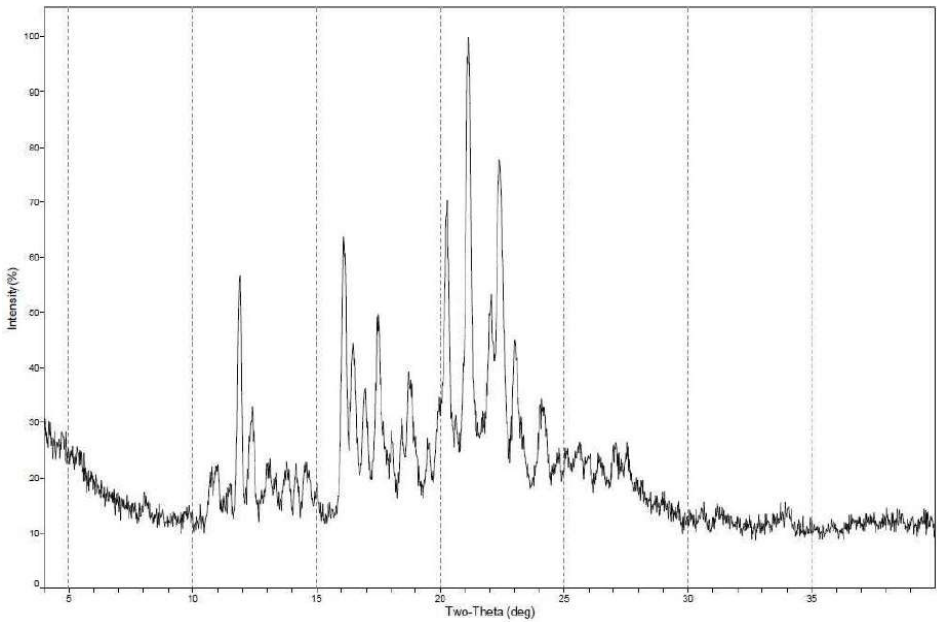
1) Form A having the following X-ray powder diffraction (XRPD) pattern;



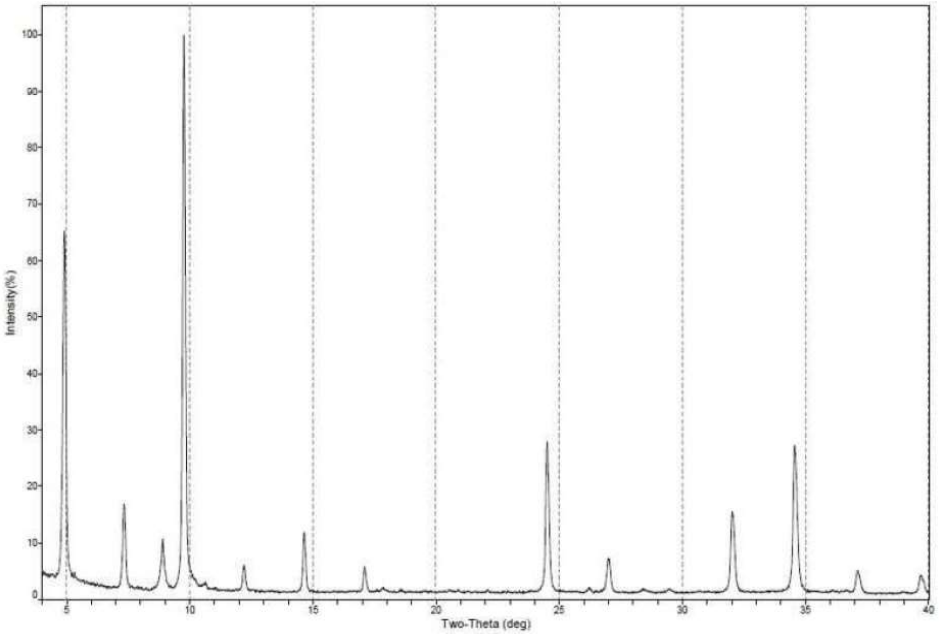
2) Form B having the following thermogravimetric analysis (TGA) and differential scanning calorimetry (DSC) properties;



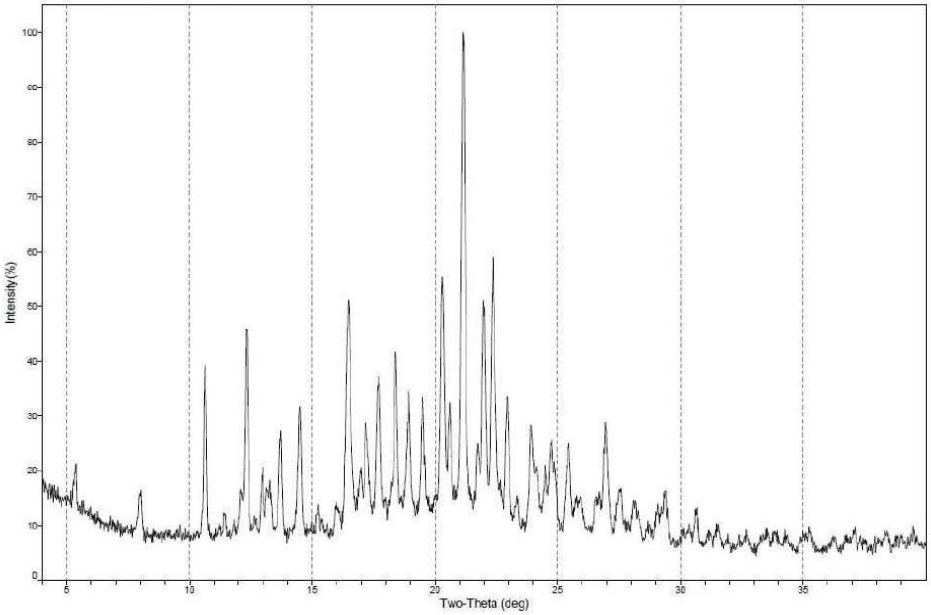
3) Form C having the following X-ray powder diffraction (XRPD) pattern;



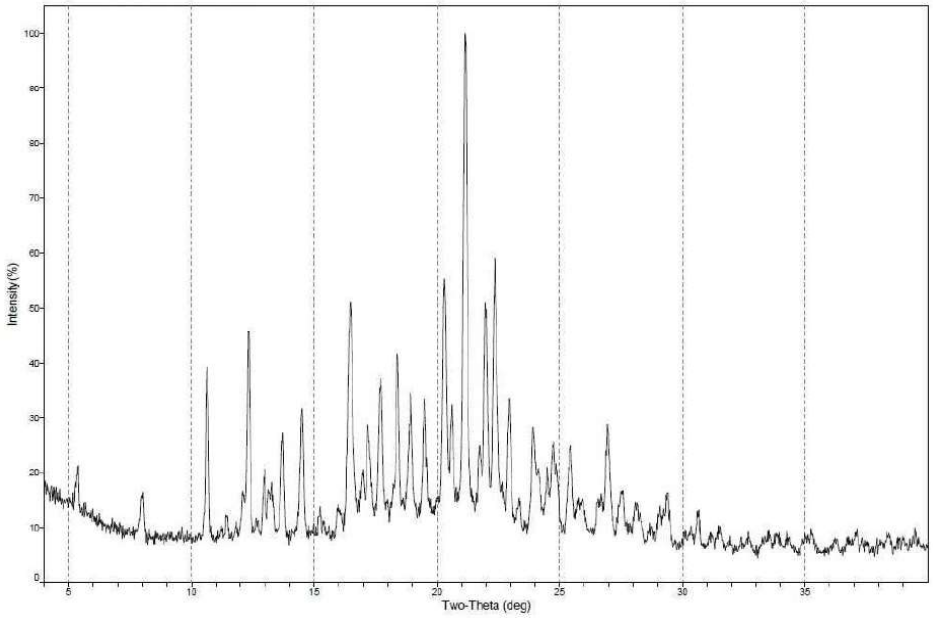
4) Form D having the following X-ray powder diffraction (XRPD) pattern;



5) Form E having the following X-ray powder diffraction (XRPD) pattern; and

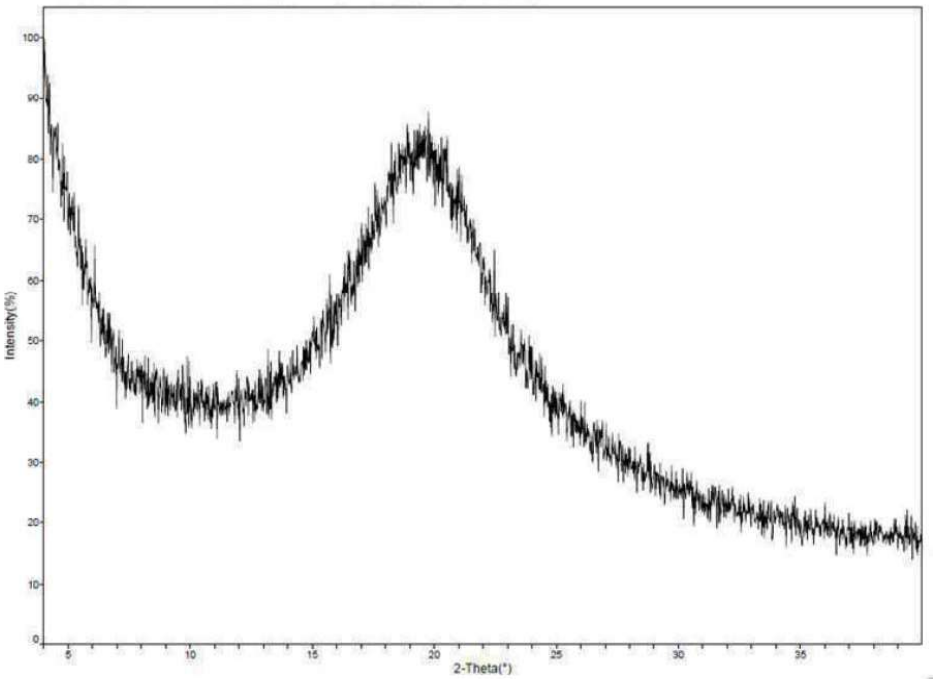


6) Form F having the following X-ray powder diffraction (XRPD) pattern.

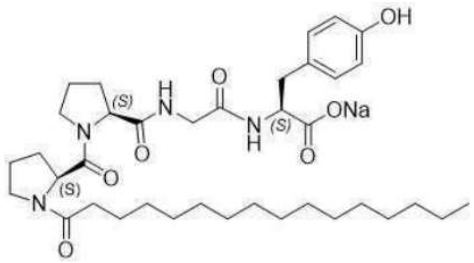


[Claim 3] The compound of claim 2, wherein the compound is amorphous of compound I-1.

[Claim 4] The compound of claim 3, wherein the amorphous of compound I-1 has the following X-ray powder diffraction (XRPD) pattern:



[Claim 5] A pharmaceutical composition for inflammatory bowel disease comprising the compound I-1 in an amorphous form, wherein upon storage at 40°C at a relative humidity of 75% or at 25°C at a relative humidity of 60% for 6 month, the pharmaceutical composition has less than 10 wt % of the compound I-1 in a crystalline form:



[Compound I-1].