SUSTAINED RELEASE OF BIMATOPROST, BIMATOPROST ANALOGS, PROSTAMIDES AND PROSTAGLANDINS FOR FAT REDUCTION

CROSS REFERENCE TO RELATED APPLICATION

This application claims the benefit of United States Provisional Patent Application Serial No. 61/811,682, filed April 12, 2013, the entire disclosure of which is incorporated herein by reference.

SUMMARY OF THE INVENTION

The present invention is directed to compositions and methods for the sustained release of bimatoprost, bimatoprost analogs, bimatoprost prodrugs, prostamides, prostaglandins, prostaglandin analogs and prostaglandin derivatives from injectable and implantable depots for the purpose of fat reduction including localized fat reduction.

Topical bimatoprost has been shown to effectively prevent apidocyte formation and maturation and to atrophy adipocytes in animal models after topical administration. Furthermore, clinical evidence of fat reduction after topical administration of bimatoprost has been reported. The present invention is directed to sustained release methods and formulations of bimatoprost, bimatoprost analogs, bimatoprost prodrugs, prostamides, prostaglandins, prostaglandin analogs and derivatives and prostaglandin analogs such as latanoprost and travoprost for localized fat reduction.

In one aspect, there is provided a method of fat reduction comprising injecting a sustained release formulation of a compound selected from the group consisting of bimatoprost, bimatoprost analogs, bimatoprost prodrugs, prostamides, prostaglandins, prostaglandin analogs, latanoprost and travoprost and prostaglandin derivatives and mixtures thereof into a fat deposit.

In one aspect, there is provided a composition for use in localized fat reduction wherein the composition is a sustained release composition selected from the group consisting of injectable depots, gel suspensions, a ReGel delivery system, a hyaluronic acid based platform, implants, microspheres, macrospheres and injectable solvents.

In one aspect, there is provided the use of a sustained release formulation of a compound selected from the group consisting of bimatoprost, bimatoprost analogs, bimatoprost prodrugs, prostamides, prostaglandins, prostaglandin analogs, latanoprost and travoprost and prostaglandin derivatives and mixtures thereof in the manufacture of a medicament for fat reduction.

BRIEF DESCRIPTION OF THE DRAWINGS

Figure 1 shows i*n vitro* release profiles of brimatoprost with injectable PLGA implants;

Figure 2 shows i*n vitro* release profiles of brimatoprost with injectable SynBiosys implants;

Figures 3A and 3B show 100 day ReGel 100 or ReGel B release data;

Figures 4A – 4C show a comparison of latanoprost release data of ReGel 100 or ReGel B delivery systems;

Figure 5 shows a 2-D MR image 40 minutes post-injection oriented longitudinal through the gastrocnemius muscle to show the MGL and MGM muscle groups in each leg. The right leg was injected with HA/Albumin-Gadolinium, the left leg with Albumin-Gadolinium alone;

Figure 6A shows the release rate of bimatoprost in a formulation of 20% Bimatoprost, 45% R203s, 20% RG752s 10% R202H, 5% PEG-3350;

Figure 6B shows the release rate of bimatoprost in a formulation shown at the bottom of Figure 6B;

20) The composition of claim 18, wherein injection of the composition results in atrophy of adipocytes in the localized fat deposit and reduction of localized fat.

21) Use of a sustained release formulation of a compound selected from the group consisting of bimatoprost, bimatoprost analogs, bimatoprost prodrugs, prostamides, prostaglandins, prostaglandin analogs, latanoprost and travoprost and prostaglandin derivatives and mixtures thereof in the manufacture of a medicament for fat reduction.

22) The use of claim 21, wherein the compound is selected from the group consisting of bimatoprost, latanoprost, travoprost and Compound # 1 and mixtures thereof.

23) The use of claim 21, wherein the medicament is selected from the group consisting of injectable depots, gel suspensions, a ReGel delivery system, a hyaluronic acid release platform, implants, microspheres, macrospheres and injectable solvents.

24) The use of claim 23, wherein the compound is bimatoprost.

25)The use of claim 21, wherein the medicament is to be injected directly into the fat deposit.

26) The use of claim 21, wherein the fat reduction is localized fat reduction at and around the injection site.

27) The use of claim 23, wherein the medicament is an implant with the formulation of about 20% bimatoprost, about 45% R203s, about 20% RG752s, about 10% R202H and about 5% PEG-3350.

28) The use of claim 21, wherein the use results in atrophy of both brown and white adipocytes and results in localized fat reduction

29) The use of claim 27, wherein the implant releases bimatoprost in the fat deposit for over a period of 100 days.

30) The use of claim 21, wherein the medicament releases the compound systemically to target a fat deposit at a location in the body that is not at the location of the sustained release formulation.

31) The use of claim 21 or 30, wherein the medicaments are to be injected or implanted at a location that permits reduction of abdominal fat deposits, visceral fat deposits, epicardial fat deposits, subcutaneous fat deposits and ectopic fat deposits are to be liquefied.