Claims

1. Use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine or a pharmaceutically acceptable salt thereof, for preparing a medicament for oral administration for treating and/or preventing of metabolic diseases in patients ~~for whom metformin therapy is inappropriate due to contraindication against metformin and/or with renal disease, renal impairment or renal dysfunction~~with moderate or severe renal impairment or end-stage renal disease, wherein said DPP-4 inhibitor in the medicament is administered in an oral dose of 5 mg per day to said patients.

2. The use according to claim 1, wherein the patients are ineligible for metformin therapy due to contraindication against metformin.

3. The use according to claim 1, wherein the patients are in need of reduced dose metformin therapy due to contraindication against metformin.

~~4. The use according to any one of the claims 1 to 3, wherein the medicament is for use in the treatment and/or prevention of type 2 diabetes mellitus in patients with at least one contraindication against metformin therapy selected from:~~

~~renal disease, renal impairment or renal dysfunction,~~
~~dehydration,~~
~~unstable or acute congestive heart failure,~~
~~acute or chronic metabolic acidosis, and~~
~~hereditary galactose intolerance.~~

~~5~~4. The use according to claim ~~4~~3, wherein the medicament is for use in the treatment and/or prevention of type 2 diabetes mellitus in patients with moderate or severe renal impairment or end-stage renal disease~~renal disease, renal impairment or renal dysfunction~~.

65. The use according to any one of claims 1 to 54, wherein the DPP-4 inhibitor is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine.

~~7~~6. The use according to any one of claims 1-~~6~~5, wherein the medicament is for use in the treatment and/or prevention of type 2 diabetes mellitus in patients with renal disease, renal impairment or renal dysfunction, wherein said DPP-4 inhibitor in the medicament is used for said patients in the same dose as for patients with normal renal function.

~~8~~7. The use according to any one of claims 1-~~7~~6, wherein said DPP-4 inhibitor and its major active metabolite(s) have a relatively wide therapeutic window and/or are primarily eliminated via hepatic metabolism or biliary excretion.

~~9~~8. The use according to any one of claims 1-~~8~~7, wherein said DPP-4 inhibitor is excreted mainly via the liver.

~~10~~9. The use according to any one of claims 1-~~9~~8, wherein for said DPP-4 inhibitor excretion via the kidney represents a minor elimination pathway.

~~11~~10. The use according to any one of claims 1-~~10~~9, wherein said DPP-4 inhibitor is excreted mainly unchanged.

~~12~~11. The use according to any one of claims 1-~~11~~10, wherein for said DPP-4 inhibitor elimination via metabolism represents a minor elimination pathway.

~~13~~12. The use according to any one of claims 1-~~12~~11, wherein said DPP-4 inhibitor has placebo-like safety / tolerability and/or is eliminated primarily as the parent drug via the liver.

~~14~~13. The use according to any one of claims 1-~~13~~12, wherein the main metabolite of said DPP-4 inhibitor is pharmacologically inactive or has a relatively wide therapeutic window.

~~15~~14. The use according to any one of claims 1-~~14~~13, wherein the medicament is for use in patients with ~~mild, moderate or~~ severe renal impairment ~~or end-stage renal disease~~.

~~16~~15. The use according to any one of claims 1-~~15~~14, wherein the medicament is for use in combination with one or more further active substances selected from antidiabetics, active substances that lower the blood sugar level, active substances that lower the lipid level in the blood, active substances that raise the HDL level in the blood, active substances that lower the blood pressure, active substances that are indicated in the treatment of atherosclerosis, and active substances that are indicated in the treatment of obesity.

~~17~~16. The use according to any one of claims 1-~~16~~15, wherein the medicament is for use in combination with one or more further active substances selected from sulphonylureas, thiazolidinediones, glinides, alpha-glucosidase blockers, GLP-1 and GLP-1 analogues, and insulin and insulin analogues.

~~18~~17. The use according to any one of claims 1-~~17~~16, wherein the medicament is for use in combination with one or more further active substances selected from repaglinide, pioglitazone, and insulin and insulin analogues.

~~19~~18. The use according to any one of claims 1-~~18~~17, wherein the medicament is for use in combination with pioglitazone.

~~20. The use according to any one of claims 1-19, wherein the renal impairment is chronic renal impairment.~~

~~21~~19. The use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine, for preparing a pharmaceutical composition for oral administration for treating and/or preventing of metabolic diseases in patients ~~for whom metformin therapy is inappropriate due to contraindication against metformin and/or with renal disease, renal impairment or renal dysfunction~~with moderate or severe renal impairment or end-stage renal disease, said composition being for use in combination with one or more further active substances selected from sulphonylureas, thiazolidinediones, glinides, alpha-glucosidase blockers, GLP-

1 and GLP-1 analogues, and insulin and insulin analogues, wherein said DPP-4 inhibitor in the composition is administered in an oral dose of 5 mg per day to said patients.

~~22~~20. The use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine, for preparing a pharmaceutical composition for oral administration for use in the treatment ~~and/or prevention~~ of type 2 diabetes mellitus in patients with moderate or severe renal impairment or end-stage renal disease~~, renal impairment or renal dysfunction~~, said composition being for use in combination with one or more further active substances selected from repaglinide, pioglitazone, and insulin and insulin analogues, wherein said DPP-4 inhibitor in the composition is administered in an oral dose of 5 mg per day to said patients.

~~23. Use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine, for preparing a pharmaceutical composition for oral therapeutic use in diabetic patients wherein < 10%, preferably ≤ 7%, of the administered oral dose of the DPP-4 inhibitor is excreted via the kidneys, wherein said DPP-4 inhibitor in the composition is administered in an oral dose of 5 mg per day to said patients.~~

~~24. The use of the DPP-4 inhibitor according to claim 23 wherein the DPP-4 inhibitor is primarily excreted unchanged via the bile.~~

~~25. The use of the DPP-4 inhibitor according to claim 23 or 24 wherein > 80%, preferably ≥ 90%, of the administered oral dose of the DPP-4 inhibitor is excreted unchanged as parent drug.~~

~~26. The use of the DPP-4 inhibitor according to any one of claims 23 to 25 wherein DPP-4 inhibitor's main metabolite is pharmacologically inactive.~~

~~27~~21. Use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine, for preparing a medicament for oral administration for use in the treatment ~~and/or prevention~~ of type 2 diabetes mellitus in patients with moderate or severe renal impairment or end-stage renal disease~~, renal impairment or renal dysfunction~~, wherein said DPP-4 inhibitor in the medicament is administered in an oral dose of 5 mg per day to said patients.

~~28~~22. Use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(*R*)-amino-piperidin-1-yl)-xanthine, for preparing a medicament for oral administration for use in the treatment of type 2 diabetes mellitus in patients with moderate or severe renal impairment or end-stage renal disease~~, renal impairment or renal dysfunction~~, wherein said DPP-4 inhibitor is used for said patients in the same dose as for patients with normal renal function, wherein said DPP-4 inhibitor in the medicament is administered in an oral dose of 5 mg per day to said patients.

~~29~~23. The use according to claim ~~27~~ 21 or ~~28~~22, wherein the patient has ~~moderate or~~ severe renal impairment or end-stage renal disease.

~~30~~24. The use according to claim ~~27~~ 21 or ~~28~~22, wherein the patient has severe renal impairment.

~~34~~25. Use of a DPP-4 inhibitor, which is 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(R)-amino-piperidin-1-yl)-xanthine, for preparing a medicament for oral administration for use in the treatment and/or prevention of metabolic diseases, particularly diabetes (especially type 2 diabetes mellitus), in patients having chronic renal insufficiency or impairment of moderate, severe or terminal stage, wherein said DPP-4 inhibitor in the medicament is administered in an oral dose of 5 mg per day to said patients.

~~32~~26 . Use according to any of the preceding claims, wherein 1-[(4-methyl-quinazolin-2-yl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-(R)-amino-piperidin-1-yl)-xanthine is used in a dosage of 5 mg for oral once daily administration.