calibrated dosing aids such as dosage sprays, pipettes, spoons or cups. There is also the possibility of making the formulation more acceptable to children and even pets by the addition of flavour improvers, which is advantageous particularly for long-term administration for treating hypertension.

Summary

In a first aspect, there is provided a pharmaceutical solution having a pH of 10 or higher, containing telmisartan, characterised in that one or more sugar alcohols are present in a total concentration of 40 wt.% to 70 wt.%, preferably 60 wt.% to 70 wt.%, wherein the sugar alcohol or alcohols have a maximum content of 1000 ppm of reducing sugar before they are added to the solution.

In a second aspect, there is provided a method of preparing a pharmaceutical solution as defined above, characterised by a) dissolving telmisartan and a sugar alcohol having a content of reducing sugars of less than 1000 ppm, preferably less than 300 ppm, in an excess of a pharmaceutically acceptable base until a pH of at least 10 or higher is obtained; and b) adjusting the concentration of telmisartan to 1 to 10 mg/ml.

In a third aspect, there is provided a glass or plastics container with or without dosing aid containing the drinkable solution as defined above.

Description of the invention

The aim of the present invention is to provide a pharmaceutical solution, preferably a drinkable pharmaceutical solution containing an angiotensin-II-receptor antagonist. Preferred angiotensin II receptor antagonists are the substances known by the following INN names: candesartan, eprosartan, irbesartan, losartan, olmesartan, tasosartan, telmisartan and valsartan, and the pharmaceutically acceptable salts, hydrates or polymorphs thereof. The concentration of the angiotensin-II-receptor antagonist, preferably telmisartan, needed in the solution in order to achieve therapeutic doses should be between 1 mg/ml and 10 mg/ml. Moreover, because of the strongly pH-dependent solubility profile of telmisartan an aqueous formulation should have a pH that is either less than 2 or more than 10, in order to ensure a physically stable solution.

Based on these requirements, attempts were made first of all to provide a formulation in the acid pH range, as significantly more preservatives are available for this pH range, if needed (the use of multi-dose containers for this intended application is clearly preferable).

The following main ingredients of the formulation were used:

a) pH-active components for ensuring solubility in the desired concentration range;
b) flavour-correcting components (sugars, sugar alcohols, sugar substitutes, flavour additives) to mask the bitter, rasping taste of telmisartan;
c) texture enhancers, usually viscosity-increasing adjuvants such as for example cellulose derivatives, PVP, glycerol;
d) preservatives for ensuring microbiological quality in the multi-dose container
e) optionally antioxidants such as for example BHA, BHT, EDTA or propylgallate for stabilising the formulation.

During this development work it was surprisingly found that for concentrations of active substance greater than 1 mg/ml a pH of less than 1.5 is needed, which requires the use of strong organic acids such as for example methanesulphonic acid or phosphoric acid. The very powerfully astringent effect of such acidic solutions makes acidic formulations of this

Patent Claims

1. Pharmaceutical solution having a pH of 10 or higher, containing telmisartan, characterised in that one or more sugar alcohols are present in~~up to~~ a total concentration of 40 wt.% to 70 wt.%, preferably 60 wt.% to 70 wt.%, wherein the sugar alcohol or alcohols have a maximum content of 1000 ppm of reducing sugar before they are added to the solution.

2. The pharmaceutical solution according to claim 1, characterised in that the solution is a drinkable solution.

3. The pharmaceutical solution according to claim 1 or 2, characterised in that the pharmaceutical solution has a maximum content of 1000 ppm of reducing sugars.

4. The pharmaceutical solution according to one of claims 1 to 3, characterised in that the pharmaceutical solution has a minimum content of 250 ppm of reducing sugars.

5. The pharmaceutical solution according to one of claims 1 to 4, characterised in that the pH is obtained by the presence of meglumine, sodium hydroxide, potassium hydroxide, or a basic amino acid such as arginine or glutamine.

6. The pharmaceutical solution according to one of claims 1 to 5, characterised in that telmisartan is present in a concentration of 1 to 10 mg/ml, preferably 1 to 5 mg/ml.

7. The pharmaceutical solution according to one of claims 1 to 6, characterised in that the proportion of reducing sugars in the solution based on the quantity of active substance of the telmisartan is less than 20 % by weight.

8. The pharmaceutical solution according to one of claims 1 to 7, characterised in that the sugar alcohol or alcohols are selected from among xylitol, maltitol, sorbitol, mannitol, erythritol and isomaltol.