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Singapore

PATENT SPECIFICATION

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International Classification :—A61k.

COMPLETE SPECIFICATION.

New Pharmaceutical Compositions.

We, IMPERIAL CHEMICAL INDUSTRIES LIMITED, of Imperial Chemical House, Millbank, London, S.W.1, a British Company, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to new pharmaceutical compositions and more particularly it relates to new pharmaceutical compositions comprising 4:6-dimethyl-2-sulphanilamidopyrimidine and ethylenediamine tetra-acetic acid which are stable on storage.

It is known that a fungus of the Tilachlidium genus is capable of growing in aqueous solutions of the sodium salt of 4 : 6 - dimethyl-2-sulphanilamidopyrimidine. It is also known to prepare pharmaceutical formulations of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine which are aqueous solutions of the said sodium salt containing 8-hydroxyquinoline as a fungicidal agent. These aqueous pharmaceutical formulations suffer from the disadvantage that the heavy metal chelates of 8-hydroxyquinoline are insoluble in water and thus when formed are precipitated from such aqueous formulations during storage.

We have now found that ethylenediamine tetra-acetic acid is an effective fungicidal agent against a fungus of the Tilachlidium genus in aqueous solutions of 4:6-dimethyl-2-sulphanilamidopyrimidine and furthermore that the heavy metal chelates of ethylenediamine tetra-acetic acid are not precipitated from such aqueous solutions during storage.

According to the invention therefore we provide pharmaceutical compositions which are aqueous solutions comprising 4:6-dimethyl-2-sulphanilamidopyrimidine and/or the salts thereof and ethylenediamine tetra-acetic acid and/or the salts thereof.

The 4 : 6 - dimethyl - 2 - sulphanilamidopyrimidine may be present for example as an alkali metal salt thereof for example as the sodium salt and the ethylenediamine tetra-acetic acid may be present for example as an alkali metal salt thereof for example as the tetra-sodium salt.

The preferred compositions are those wherein for every 100 parts by weight of the said composition there is present between 10 parts and 20 parts by weight of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and between 0.05 part and 1.5 parts by weight of ethylenediamine tetra-acetic acid. More particularly we prefer a composition wherein for every 100 parts by weight of the said composition there is present about 15 parts by weight of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and about 0.3 part by weight of ethylenediamine tetra-acetic acid.

The said compositions may contain other suitable excipients for example colouring matters for example the dyestuff which is the disodium salt of 2-(4-sulpho-1-napthylazo)-1-naphthol-4-sulphonic acid.

The said formulations may be prepared in any suitable way known to the art for example the ingredients may be dissolved all at once or separately, in the aqueous medium. The tetra-sodium ethylenediamine tetra-acetate may be added to the formulation as such or it may be formed in situ by dissolving the required amount of ethylenediamine tetra-acetic acid in the presence of an equivalent proportion of sodium carbonate to form the tetra-sodium salt thereof.

The invention is illustrated but not limited by the following Examples in which the parts are by weight:—

[*Price* 3*s.* 6*d.*]

EXAMPLE 1.

152 parts of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and 0.19 part of dyestuff which is the di-sodium salt of 2-(4-sulpho-1-naphthylazo)-1-naphthol-4-sulphonic acid are dissolved in 800 parts of water. To the solution so obtained there is added a solution of 2.85 parts of ethylenediamine tetra-acetic acid and 2.1 parts of anhydrous sodium carbonate in 20 parts of water. The solution so obtained is diluted with water to provide 1,000 parts of a composition which is satisfactory for use and stable on storage.

EXAMPLE 2.

152 parts of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and 0.19 part of the dyestuff which is the di-sodium salt of 2-(4-sulpho-1-naphthylazo)-1-naphthol-4-sulphonic acid are dissolved in 800 parts of water. To the solution so obtained is added an aqueous solution containing 3.7 parts of the tetra-sodium salt of ethylenediamine tetra-acetate. The solution so obtained is diluted with water to provide 1,000 parts of a composition which is satisfactory for use and is stable on storage.

WHAT WE CLAIM IS:—

1. Pharmaceutical compositions which are aqueous solutions comprising 4:6-dimethyl-2-sulphanilamidopyrimidine and/or the salts thereof and ethylenediamine tetra-acetic acid and/or the salts thereof.

2. Compositions as claimed in Claim 1 wherein the 4:6-dimethyl-2-sulphanilamidopyrimidine is present as an alkali metal salt thereof for example as the sodium salt.

3. Compositions as claimed in Claims 1 and 2 wherein the ethylenediamine tetra-acetic acid is present as an alkali metal salt thereof for example as the tetra-sodium salt.

4. Compositions as claimed in Claims 1—3 wherein for every 100 parts by weight of the said composition there is present between 10 parts and 20 parts by weight of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and between 0.05 part and 1.5 parts by weight of ethylenediamine tetra-acetic acid.

5. Compositions as claimed in Claim 4 wherein for every 100 parts by weight of the said composition there is present about 15 parts by weight of the sodium salt of 4:6-dimethyl-2-sulphanilamidopyrimidine and about 0.3 part by weight of ethylenediamine tetra-acetic acid.

6. Compositions as claimed in Claims 1—5 wherein there are present suitable excipients for example colouring matters for example the dyestuff which is the di-sodium salt of 2-(4-sulpho-1-naphthylazo)-1-naphthol-4-sulphonic acid.

7. Pharmaceutical compositions, claimed in Claim 1, as hereinbefore particularly described and especially with reference to the foregoing Examples 1 and 2.

ALFRED O. BALL,
Agent for the Applicants.

10/6/59.

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The 4:6-dimethyl-2-sulphanilamidopyrimidine may be present for example as an alkaline metal salt thereof for example as the sodium salt and the ethylenediamine tetra-acetic acid may be present for example

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