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PAIN-ALLEVIATING COMPOSITION

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5 Claims. (Cl. 167—65)

This invention relates to a therapeutically-active composition for internal administration, and it particularly relates to a composition of the aforesaid type which is highly effective in the alleviation of peripheral pain and irritation.

This application is a continuation-in-part of co-pending application Serial No. 137,003, filed September 11, 1961 and now abandoned, said application Serial No. 137,003 having itself been a continuation-in-part of application Serial No. 734,110, which was filed May 9, 1958 and issued as U.S. Patent No. 3,019,165 on January 30, 1962.

The present invention basically comprises a composition wherein the essential therapeutically-active components, similarly to the compositions disclosed in the aforementioned co-pending application, are (1) an analgesic such as a salicylate or its functional equivalents, (2) para aminobenzoic acid or its metal salts including the salts of the alkaline metals such as sodium and potassium; alkaline earth metals such as calcium and barium; magnesium, aluminum, iron, tin, antimony, etc., and (3) an antihistamine.

The essential factor in the composition is the relative proportions of the three therapeutically active components. When these proportions are within a certain range, there is a synergistic reaction which leads to completely unexpected and novel results whereby the pain-alleviating effect is greatly enchanced while deleterious side effects are almost entirely eliminated.

In the aforementioned co-pending application, the essential relative proportions of the active components were set forth as being about 12 parts by weight of the analgesic, about 3 parts by weight of the para aminobenzoic acid or its salts and about 0.24–2 parts by weight of the antihistamine. It has now been discovered, however, that certain antihistamines may be effectively utilized in the above composition at concentrations lower than 0.24 part by weight, specifically at a concentration of not less than 0.04 but less than 0.24 part by weight.

Among the antihistaminically-active compounds which are effective at the aforesaid lower concentrations, particularly outstanding are pyridines and pyridine maleates having a tertiary amino ethyl group attached to a non-reactive cyclic ring through either an oxygen or carbon atom. Examples of this type of compound are 2[α-(2-dimethylaminoethyl) benzyl] pyridine and pyridine maleate (prophenpyridamine and prophenpyridamine maleate), both in the halogenated and unhalogenated form, 2-[p-chloro-α-(2-dimethylaminoethoxy) benzyl] pyridine maleate and di-2{1[2-(2-dimethylaminoethyl)-3-indenyl] ethyl} pyridine maleate.

A specific composition embodying the present invention comprises a tablet containing as the therapeutically-active components about 300–325 mg. of acetyl salicylic acid, about 75 mg. of para aminobenzoic acid and about 1 mg. of di-2{1[2-(2-dimethylaminoethyl)-3-indenyl] ethyl} pyridine maleate. This composition has been found to be highly effective in the relief of peripheral pain, such as may result from sunburn or other causes, while being

substantially free of such side effects as nausea, vomiting, anorexia, fever, dermatitis medicamentosa, etc.

The present composition may include various diluents, fillers, neutralizers, etc. such as are common in the art. For example, it may include among other ingredients bonding agents such as starch, neutralizing agents such as $MgCO_3$ and diluents such as lactose, stearate, acacia, glucose, dextrose, etc.

For the relief of peripheral pain and irritation, the tablets of the present invention are preferably orally administered in a dosage of about 1–2 tablets every 3, 4 or 6 hours.

To avoid the undesirable effects of sunburn, the initial dose is preferably 1 tablet taken not less than 1 hour prior to exposure to the sun followed by 1 tablet in successive doses at four hour intervals. The same dosage may be used to alleviate pain and edema by after-treatment of sunburn or other burns.

Obviously many modifications and variations of the present invention are possible in the light of the above teachings. It is, therefore, to be understood that within the scope of the appended claims, the invention may be practiced otherwise than as specifically described.

The invention claimed is:

1. A pharmaceutical composition consisting essentially of about 12 parts by weight acetyl salicylic acid, about 3 parts by weight para aminobenzoic acid and an amount not less than about 0.04 but less than 0.24 part by weight of an antihistaminically-active compound selected from the group consisting of pyridines and pyridine maleates having a tertiary amino ethyl group attached to a non-reactive cyclic ring through an atom selected from the group consisting of oxygen and carbon atoms.

2. A pharmaceutical composition consisting essentially of about 12 parts by weight acetyl salicylic acid, about 3 parts by weight para aminobenzoic acid and an amount not less than about 0.04 but less than 0.24 part by weight of 2[α-(2-dimethylaminoethyl) benzyl] pyridine.

3. A pharmaceutical composition consisting essentially of about 12 parts by weight acetyl salicylic acid, about 3 parts by weight para aminobenzoic acid and an amount not less than about 0.04 but less than 0.24 part by weight of 2[α-(2-dimethylaminoethyl) benzyl] pyridine maleate.

4. A pharmaceutical composition consisting essentially of about 12 parts by weight acetyl salicylic acid, about 3 parts by weight para aminobenzoic acid and an amount not less than about 0.04 but less than 0.24 part by weight of 2-p-chloro-α-(2-dimethylaminoethoxy) benzyl] pyridine maleate.

5. A pharmaceutical composition consisting essentially of about 12 parts by weight acetyl salicylic acid, about 3 parts by weight para aminobenzoic acid and an amount not less than about 0.04 but less than 0.24 part by weight of di-2{1[2-(2-dimethylaminoethyl)-3-indenyl] ethyl} pyridine maletate.

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