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UNITED STATES PATENT OFFICE

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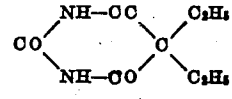
SYNERGIZED HYPNOTIC AND SEDATIVE

No Drawing. Application filed April 17, 1928. Serial No. 270,806.

My invention relates particularly to improved pharmaceuticals having enhanced economic value and more extended use in chemotherapy, but especially, it has relation to improved hypnotics and sedatives containing one or more magnesium compounds which synergize the drugs present.

In my co-pending application, Serial No. 178,152, filed March 24, 1927, Patent Number 1,716,686, granted July 11, 1929, I have disclosed pharmaceuticals having enhanced therapeutic value owing to the synergizing of the drugs therein, having known therapeutic properties, with magnesium compounds. As set forth therein, the therapeutic range of the drugs is increased by their potentiation due to the magnesium compounds present. That is to say, a given therapeutic effect can be attained, accordingly, with less of the potentiated drug than when unpotentiated, and with less danger to the patient from any toxic action on the human organism. As I have shown therein, furthermore, the potentiated drugs have a distinct economic advantage as compared with the unpotentiated drugs. Likewise, there is less tendency, when using the same, to cause secondary effects than in the case of the unpotentiated drug, and, accordingly, the human system is better able to tolerate the drugs. I find, furthermore, that the drugs are also less liable to accumulate in the system inasmuch as the desired therapeutic effect can be obtained with smaller doses, which is a very decided advantage, especially in the case of habit-forming drugs.

The object of my invention is to provide improved pharmaceuticals, and particularly those used because of their hypnotic and sedative properties, in which the drugs have their therapeutic properties potentiated due to the presence of one or more magnesium compounds. The object of my invention is more particularly, furthermore, to provide a composition of this character containing a drug of the barbituric acid series, the formula for barbituric acid being $CO(NHCO)_2CH_2.2H_2O$, and more especially barbital, the composition of which is

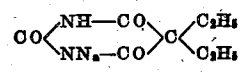


which, by reason of the presence of a magnesium compound will produce their own therapeutic effects with much less of the drug and in a shorter time than in the case of the unpotentiated drug. It is found, furthermore, that in using the composition made in accordance with my invention, the narcosis which results from the drug is less prolonged than with the unpotentiated drug. Also, the composition is less toxic in therapeutic doses than with the unpotentiated drug. With these compositions containing hypnotic and sedative drugs, such, for example, as the drugs of the barbituric acid series, one example of which is bartital or some other hypnotic and sedative such as bromatone, bromural, carbromal, chloral, sulfonal, etc., and containing one or more magnesium compounds as above referred to, such, for example, as magnesium chloride, magnesium sulfate, magnesium oxide, magnesium lactate, magnesium gluconate, etc., I may use other additional compositions which may or may not have a therapeutic effect. Such substances which may be added to enhance the absorptive properties of the composition are, for example, ethyl alcohol, glycerol, glycol, etc. Also, in all such compositions the magnesium compound may vary in amount through wide limits, but I have found very effective results to be obtained by the use of the magnesium compound in an amount in which the magnesium present is at least 25% by weight of the weight of the drug present.

My invention is capable of being carried out in many different ways but for the pur-

pose of illustration I shall describe only certain forms of carrying out the same in detail hereinafter.

For example, an effective composition made in accordance with my invention may contain 2 parts by weight of magnesium chloride and 1 part by weight of sodium barbital, the formula of which is—



Instead of the magnesium chloride I may use an equivalent weight of magnesium oxide. I have found that a composition containing approximately 300 mg. of magnesium chloride and 150 mg. of sodium barbital per kilo of body weight effects narcosis in a rabbit on the average in 40 minutes, whereas 300 mg. per kilo body weight of sodium barbital alone require 54 minutes to produce narcosis of a similar degree of intensity. Not only is the onset of the narcosis accelerated when using said composition, but it is found that the animal recovers much more quickly as the narcosis is less prolonged. In many cases I have found that the narcotic condition produced by the synergic combination is over in about one half the time required for the recovery by using the unpotentiated dose required to produce a similar degree of narcosis. Barbital alone is usually administered in 5 grain tablets. I have found that, instead, a tablet containing approximately 2½ grains of barbital and 5 grains of magnesium chloride or an equivalent weight of magnesium oxide, can be used with the same therapeutic effects. It will be understood that the proportion of the magnesium compound used may be widely varied and may, for example, be increased to as large a quantity as found effective for the purpose desired, or substituted by any other magnesium compound as above described. It will also be understood that any other one of the hypnotic and sedative drugs may be substituted for the barbital, if desired. Also, while the composition above described, as well as those hereinafter referred to, or their components, may be administered per os, they may be administered in any other way, as, for example, by injection (intravenously or intramuscularly) or by any suitable method employed in medicine.

Again, it will be understood the synergic compositions may be made of the above character, but containing, also, some substance to increase the absorption, as, for example, alcohol, glycerine or glycol or some other suitable polyhydroxyl alcohol. A composition of this character may be comprised of 0.2 parts by weight of sodium barbital, 0.4 parts by weight of magnesium chloride, and 30 parts by weight of 22% alcohol. If desired, there may be added, also, 10 parts by weight of a sweetening agent, such as sucrose syrup. In this composition it will be understood, of course, that any other hypnotic and sedative drug may be substituted for the sodium barbital. Also, any other one of the above mentioned magnesium compounds may be substituted in an equivalent quantity for the magnesium chloride, and that the quantity of the magnesium compound may be varied in the manner referred to in the above mentioned compositions. Also, the alcohol may be substituted by any other one of the substances hereinabove referred to for increasing the absorption of the composition. In fact any of the above constituents may be substituted by others of a similar character for similar purposes.

It will be understood, of course, that in all of the above compositions the proportions of the various constituents may be varied widely without departing from the spirit of my invention.

Synergic compositions of the above character are particularly effective in the case of insomnia and similar maladies.

While I have described my invention above in detail I wish it to be understood that many changes may be made therein without departing from the spirit of the same.

I claim:

1. A pharmaceutical product comprising a synergic composition containing a magnesium compound and a synthetic hypnotic and sedative drug, the therapeutic properties of which are increased by the magnesium compound.

2. A pharmaceutical product comprising a synergic composition containing a magnesium compound and a drug of the barbituric acid group, the therapeutic properties of which are increased by the magnesium compound.

3. A pharmaceutical product comprising a synergic composition containing a magnesium compound and barbital, the therapeutic properties of which are increased by the magnesium compound.

4. A pharmaceutical product comprising a synergic composition containing magnesium chloride, a synthetic hypnotic and sedative drug, the therapeutic properties of which are increased by the magnesium chloride, and an aliphatic alcohol.

5. A pharmaceutical product comprising a synergic composition containing magnesium chloride, a drug of the barbituric acid group, the therapeutic properties of which are increased by the magnesium chloride, and an aliphatic alcohol.

6. A pharmaceutical product comprising a synergic composition containing magnesium chloride, barbital, the therapeutic properties of which are increased by the magnesium chloride, and an aliphatic alcohol.

7. A pharmaceutical product comprising a synergic composition containing a magne-

sium compound and a synthetic hypnotic and sedative drug, the therapeutic properties of which are increased by the magnesium compound, the magnesium compound being present in an amout such that the magnesium is at least 25% by weight of the drug present.

8. A pharmaceutical product comprising a synergic composition containing a magnesium compound and a drug of the barbituric acid group, the therapeutic properties of which are increased by the magnesium compound, the magnesium compound being present in an amout such that the magnesium is at least 25% by weight of the drug present.

9. A pharmaceutical product comprising a synergic composition containing a magnesium compound and barbital, the therapeutic properties of which are increased by the magnesium compound, the magnesium compound being present in an amout such that the magnesium is at least 25% by weight of the drug present.

In testimony that I claim the foregoing, I have hereunto set my hand this 12th day of April, 1928.

MOSES L. CROSSLEY.