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3,274,057

STABLE HEXYLRESORCINOL COMPOSITIONS

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This invention relates to a stabilized solution containing hexylresorcinol, such germicidal solutions demonstrating stability when administered in aerosol form.

Heretofore stability problems have been encountered when attempting to incorporate hexylresorcinol into an aerosol solution, arising in part because of the difficulty of dissolving it in fluorinated hydrocarbons such as freons. A typical use of Freons in aerosol solutions is described in U.S. Patent No. 3,039,929, granted June 19, 1962, the disclosure of which is hereby incorporated by reference and made a part of hereof. Such hexylresorcinol solutions when formed are rapidly degraded, resulting in discoloration.

An object of this invention is to provide a stable solution capable of being applied in aerosol form, which provides a mild anesthesia and analgesia as well as germicidal effectiveness at the site of application.

It has been discovered in accordance with this invention that hexylresorcinol can be dissolved in a combination consisting essentially of anhydrous alcohol, trichloromonofluoromethane (Freon 11) and ascorbyl palmitate, thus producing a stable solution. It has further been discovered that the stable solution referred to above may be incorporated with Freons such as Freon 12 (dichlorodifluoromethane) and Freon 114 (dichlorotetrafluoroethane) as a mixture, and may be maintained for an indefinite period of time in a usual aerosol container, and applied by spraying as a result of expansion of the dichlorodifluoromethane and dichlorotetrafluoroethane.

Specifically, the ingredients which are combined with hexylresorcinol for the purpose of forming the stable solution are incorporated into the composition in the following proportions:

	Percent by weight
Hexylresorcinol	0.01 to 0.50
Anhydrous ethyl alcohol	5.00 to 50.00
Trichloromonofluoromethane	15.00 to 60.00
Ascorbyl palmitate not greater than	0.03.

The solution prepared in accordance with the above disclosure is then prepared for dispensing as an aerosol by addition of predetermined quantities of dichlorodifluoromethane and dichlorotetrafluoroethane. It is to be understood that other compatible pharmaceuticals and adjuvants can be included in the above composition if desired.

It will further be understood that the ascorbyl palmitate is a necessary ingredient of the compositions in accordance with this invention, but that it must be present in a preferred proportion no greater than about 0.03% by weight, in order to provide an effective stabilizer for the system.

The following specific examples are illustrative of preferred compositions for carrying out this invention:

Example 1

	Percent by weight
Hexylresorcinol	0.10
Tyrosine (defatted)	0.02
Alcohol, anhydrous, SD38B	30.00
Freon 11	40.00
Ascorbyl palmitate	0.03
Saccharin	0.06
Freon 12/Freon 114, qs. (30-70 mixture),	100.00.

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Example 2

	Percent by weight
Hexylresorcinol	0.01
Tyrosine (defatted)	0.02
Alcohol, anhydrous, SD38B	50.00
Freon 11	15.00
Ascorbyl palmitate	0.03
Saccharin	0.06
Freon 12/Freon 114, qs. (30-70 mixture),	100.00.

Example 3

	Percent by weight
Hexylresorcinol	0.25
Tyrosine (defatted)	0.02
Alcohol, anhydrous, SD38B	5.00
Freon 11	60.00
Ascorbyl palmitate	0.03
Saccharin	0.06
Freon 12/Freon 114, qs. (30-70 mixture),	100.00.

Example 4

	Percent by weight
Hexylresorcinol	0.50
Tyrosine (defatted)	0.02
Alcohol, anhydrous, SD38B	20.00
Freon 11	30.00
Ascorbyl palmitate	0.03
Saccharin	0.06
Freon 12/Freon 114, qs. (30-70 mixture),	100.00.

Example 5

	Percent by weight
Hexylresorcinol	0.15
Tyrosine (defatted)	0.02
Alcohol, anhydrous, SD38B	15.00
Freon 11	35.00
Ascorbyl palmitate	0.03
Saccharin	0.06
Freon 12/Freon 114, qs. (30-70 mixture),	100.00.

The above examples of compositions produced in accordance with this invention are readily prepared by simply dissolving the hexylresorcinol, tyrosine and anhydrous alcohol with the trichloromonofluoromethane at room temperature, forming a clear solution. This solution is then mixed with the ascorbyl palmitate and saccharin and placed in an aerosol container. Following this, the dichlorodifluoromethane and dichlorotetrafluoroethane are incorporated into the aerosol container under pressure and the container is then sealed. When suitably mixed, the product is stable and may readily be applied in aerosol form.

Although this invention has been disclosed in connection with specific ingredients in particular proportions, it will be appreciated that equivalents may be substituted for specific compounds and that other changes may be made all without departing from the spirit and scope of this invention as defined in the appended claims.

Having thus described our invention, we claim:

1. A stabilized germicidal composition suitable for administration as an aerosol consisting essentially of about 0.01 to 0.5% by weight hexylresorcinol, about 5 to 50% by weight anhydrous ethanol, about 15 to 60% by weight trichloromonofluoromethane, and a maximum of about 0.03% by weight ascorbyl palmitate.

2. A stabilized germicidal composition in aerosol form consisting essentially of the following ingredients in about the weight proportions specified:

	Percent of weight	
Hexylresorcinol -----	0.10	5
Tyrothricin (defatted) -----	0.02	
Anhydrous ethanol, SD38B -----	30.00	
Trichloromonofluoromethane -----	40.00	
Ascorbyl palmitate -----	0.03	10
Saccharin -----	0.06	
Dichlorodifluoromethane and dichlorotetrafluoroethane, qs. 100.00.		

References Cited by the Examiner

UNITED STATES PATENTS

2,675,293	4/1954	Baker -----	21—53
2,801,201	7/1957	Kipnis -----	167—58
3,039,929	6/1962	Stanko -----	167—65
3,160,555	12/1964	Hamill et al. -----	167—39

OTHER REFERENCES

Registered Trademark No. 426,346, "Tyotocin" (Sharpe & Dohme), registered Dec. 24, 1946.

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