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DECREASE IN DEFOAMING POTENCY OF COMMERCIAL SIMETHICONE ANTACID TABLETS OVER TIME

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ABSTRACT

Simethicone by itself is effective indefinitely with respect to in vitro antifoaming or antibubbling activity. However, simethicone antacid preparations must have an impermeable barrier between the simethicone and the antacid portion of the tablet to be stable with respect to this activity. There appears to be a significant deterioration of the antifoaming or antibubbling in vitro effectiveness over time without such a barrier. The results of this study suggest that manufacturers of commercially available simethicone antacid preparations have not paid sufficient attention to this phenomenon.

INTRODUCTION

In 1960, the first reports were published concerning the efficacy of a mixture of simethicone consisting of a major proportion of dimethylpolysiloxane and a minor proportion of silicon dioxide and lactose for treating intestinal gas and bloating.[1,2] Since then, numerous studies have demonstrated the in vitro and in vivo effectiveness of this formulation. The probable mechanism of action is that the simethicone changes the surface tension of gas and mucus bubbles, enabling them to coalesce so that the free gas formed is more effectively eliminated by belching or passing of flatus or more easily absorbed into the bloodstream.

Soon after the original works were published, tablets containing simethicone and antacids were developed. When simethicone was first mixed with antacids, the preparations were effective in vitro and in vivo with respect to their antifoaming or antibubbling effect. However, over time, in some cases as short as 1 to 2 weeks, these properties decreased.[3] Many manufacturers tried to solve this problem by developing a simple two-layered or sandwich tablet. Without an impermeable barrier, however, there appears to be migration of the simethicone into the antacid portion, thus decreasing the antifoaming or antibubbling properties.

The purpose of this study was to compare the in vitro defoaming effect

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of commercially available simethicone antacid preparations with a "target tablet" antacid preparation that contained a central simethicone core separated from the antacid portion by an impermeable membrane.

MATERIALS AND METHODS

In January 1992, commercially available antacid tablets were purchased at a local pharmacy. Several simethicone preparations and a charcoal tablet were also purchased. The target tablet was manufactured in 1979. It consisted of a central core of 40 mg of simethicone in 360 mg of lactose, which was covered with a plastic film coat consisting primarily of inert cellulose material (cellulose acetate phthalate). The outer part of the tablet contained 200 mg of magnesium hydroxide and 200 mg of aluminum hydroxide. A Mylicon® tablet manufactured in 1982 that contained simethicone without any antacid was also tested. The formulas of the products tested are shown in Table I.

In vitro studies, as previously reported,[1,3] were conducted as follows: 250 ml of a detergent solution (7 gm of Alconox® in 2 L of tap water) were

Table I. Formulas of the products tested.

Product Name	Calcium CO_3 (mg)	Magnesium OH_2 (mg)	Simethicone (mg)	Magaldrate (mg)	Aluminum OH_3 (mg)	Activated Charcoal (mg)
Charco Caps®*	—	—	—	—	—	260
Di-Gel®†	280	128	20	—	—	—
Gas-X®‡	—	—	80	—	—	—
Gelusil®§	—	200	25	—	200	—
Maalox Plus®‖	—	200	25	—	200	—
Mylanta®¶	—	200	20	—	200	—
Mylanta®-II#	—	400	40	—	400	—
Mylicon 80®**	—	—	80	—	—	—
Riopan Plus®††	—	—	20	480	—	—
Riopan Plus® 2§§	—	—	20	1080	—	—
Tempo®‖‖	414	81	20	—	133	—
Titralac Plus®¶¶	420	—	21	—	—	—
Tums Plus®##	500	—	20	—	—	—
Mylicon®***	—	—	40	—	—	—
Target tablet	—	200	40	—	200	—

* Trademark: Charco Caps® (Requa, Inc., Greenwich, CT).
† Trademark: Di-Gel® (Plough, Inc., Memphis, TN).
‡ Trademark: Gas-X® (Sandoz Pharmaceuticals, East Hanover, NJ).
§ Trademark: Gelusil® (Parke-Davis, Morris Plains, NJ).
‖ Trademark: Maalox Plus® (Rorer Consumer Pharmaceuticals, Fort Washington, PA).
¶ Trademark: Mylanta® (Stuart Pharmaceuticals, Wilmington, DE).
# Trademark: Mylanta®-II (Stuart Pharmaceuticals).
** Trademark: Mylicon 80® (Stuart Pharmaceuticals).
†† Trademark: Riopan Plus® (Whitehall Laboratories Inc., New York, NY).
§§ Trademark: Riopan Plus® 2 (Whitehall Laboratories Inc.).
‖‖ Trademark: Tempo® (Vicks Health Care, Wilton, CT).
¶¶ Trademark: Titralac Plus® (3M Company, St. Paul, MN).
Trademark: Tums Plus® (SmithKline Beecham Consumer Brands, Pittsburgh, PA).
*** Trademark: Mylicon® (Stuart Pharmaceuticals).

put into a 1-liter, glass-stoppered reagent bottle. The bottle was shaken so that foam filled the bottle. The tablet to be tested was crushed and added to the reagent bottle. The detergent solution and the crushed tablet were mixed by shaking the bottles vigorously six times over approximately 3 seconds. After numerous tests, it was apparent that it made no difference if the bottles were shaken more than six times. However, to minimize any discrepancies, all bottles were shaken by the same person in as identical a manner as possible. The results were consistently reproducible. Without further handling, observations were recorded after 1, 3, and 30 minutes. The height of the foam remaining after the crushed tablet was added to the solution was measured with a centimeter ruler. By comparing this height with that of the control, the percent of foam inactivated could be calculated (figure).

RESULTS

The results of a typical series of tests performed on the same day within a few minutes of each other are shown in Table II. For comparative purposes, a control was always used. A Mylicon® tablet manufactured in 1982 was also tested, as were Charco Caps®, which do not contain simethicone, and Gas X® and Mylicon 80®, which contain simethicone only.

DISCUSSION

Simethicone is a very effective in vitro antifoaming or antibubbling preparation. Numerous experiments have shown that it makes no difference

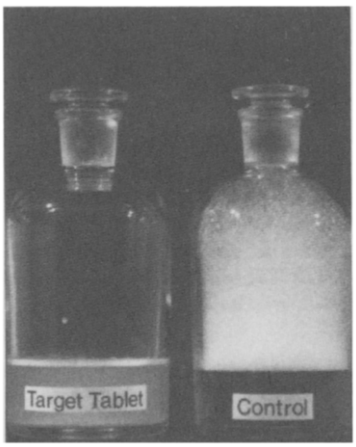

Figure. Defoaming action of the target tablet after 3 minutes when added to the foaming solution, compared with control.

Table II. Percent of foam inactivated by the products tested.

Product	Expiration Date	Minutes after Mixing		
		1	3	30
Control	—	0%	0%	0%
Charco Caps®*	1/96	4%	4%	16%
Di-Gel®†	7/94	32%	32%	60%
Gas-X®‡	5/94	88%	90%	90%
Gelusil®§	11/93	0%	0%	80%
Maalox Plus®‖	6/93	20%	36%	88%
Mylanta®¶	7/94	4%	12%	76%
Mylanta®-II#	7/93	8%	12%	74%
Mylicon 80®**	4/93	100%	100%	100%
Riopan Plus®††	3/95	24%	32%	88%
Riopan Plus® 2§§	5/93	26%	33%	92%
Tempo®‖‖	11/92	40%	48%	68%
Titralac Plus®¶¶	5/92	32%	40%	40%
Tums Plus®##	8/93	60%	84%	97%
Mylicon®***	7/82	98%	98%	98%
Target tablet	1/79†††	92%	97%	97%

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*** Trademark: Mylicon® (Stuart Pharmaceuticals).
††† Date manufactured.

whether 20 or 40 mg of simethicone are used in test solutions. Some of the products in this series of experiments contained 20 to 80 mg of simethicone. The antacid simethicone preparations contained 20 to 40 mg of simethicone, and the target tablet contained 40 mg. When one half of a tablet containing 20 mg of simethicone was tested, there was no change in the antifoaming or antibubbling effect. This finding indicates that the results reported were not influenced by differences in the simethicone content of the various antacids.

Furthermore, when various antacids were first mixed with simethicone and immediately tested, there was no decrease in the antifoaming or antibubbling effect.[3] However, over time, this effect decreases.

The commercial simethicone antacid tablets used in this study were purchased at a local pharmacy, and the expiration dates were duly noted. Every tablet tested was within its expiration date. When the antifoaming or antibubbling effects of a Mylicon® tablet, which contains no antacid and had an expiration date of 1982, was tested, there was no significant decrease in the antifoaming effect; this tablet was 98% effective at 1, 3, and 30 minutes. The target tablet manufactured in 1979 showed very little

decrease in antifoaming action; it was 92% effective at 1 minute and 97% effective at 3 and 30 minutes. Thus its effect was undiminished after 13 years.

The antifoaming effectiveness of the commercially available simethicone antacids ranged from 0% to 60% at 1 minute, 0 to 84% at 3 minutes, and 40% to 97% at 30 minutes. Thus there was a significant decrease in antifoaming or antibubbling effectiveness when compared with the target tablet. These tests confirm studies that were first reported in 1981, which indicated that simethicone antacid preparations with an impermeable barrier between the simethicone and antacid remain effective indefinitely. Similar results can be accomplished in other ways, such as by making a sandwich tablet that has an impermeable barrier between the simethicone and antacid portions or by using a microencapsulation technique, in which the simethicone or antacid is coated with an impermeable barrier and the two components are separated so that they have no physical contact.

It appears that the expiration dates on commercially available simethicone antacids do not reflect the antifoaming or antibubbling properties; they refer only to the antacid effect. It is recommended that the decrease in antifoaming or antibubbling activity be reflected in the expiration date listed on the package or that the manufacturers consider changing their present formulations.

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