INFLUENCE OF A BUFFERED MATRIX AND PROTEIN UPON ALLICIN BIOAVAILABILITY FROM A COMMERCIAL GARLIC POWDER

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Abstract

The ability of garlic powders to produce significant amounts of alliin upon disintegration in the stomach is unknown. We have assessed alliin bioavailability after garlic ingestion using breath analysis of alliyl methyl sulfide (AMS), the primary alliin metabolite. We compared the alliin bioavailability of a buffered garlic product (Garli-Eze®, Nutra Products, Inc., Fairfield, CA) to a non-buffered form (NBG), both delivered in non-enteric coated capsules containing 600 mg of garlic powder. Two subjects were fed a high protein meal (HP) with water. Fifteen minutes after they began the meal they were given 3 capsules of BGz. The impact of a low protein meal (LP) prior to ingesting BGz or NBG capsules was also evaluated. Breath was collected before capsule consumption and an additional 18 times over the next 32 h. AMS was analyzed and expressed as area under the curve (AUC32 in ng-h/L). Percent alliin bioavailability (%AB) was determined by comparison to the AUC32 for consuming a known amount of alliin from crushed raw garlic. Results are expressed as the mean of the two subjects: BGz Hp: 54 (51%), LP: 49 (50%); NBG (51%). Percent alliin bioavailability did not differ between HP and LP consumption conditions. Under the low protein meal conditions the mean allicin concentration was 51.0% (± 7.0%). These data suggest that a buffered matrix augments the delivery and/or bioavailability of alliin, which also appears to operate independent of the buffering load of a protein-rich meal.

Rationale

Garlic has been the subject of intense clinical research, especially in relation to its hypolipidemic effects. The existing evidence base yields equivocal data, which likely is due to both substantial differences in study design and in the variable chemoprophylactic effects of each of the garlic preparations that have been examined. This clinical evidence eclipses the number of clinical pharmacologic investigations performed to date. This may result from both the a priori assumption that any garlic preparation that yields garlic’s primary bioactive, alliin, within an in vitro system would do so in vivo, and the absence of sufficient specific and sensitive analytical methodologies. Alliin is a biologically ephemeral molecule that is produced only upon crushing, and some of the garlic enzymes acting upon its substrate, allin. Within dietary supplements, however, alliinase does not convert alliin to alliin until the dose form decreases in the body, requiring the preservation of alliinase activity throughout the manufacturing process as well as from the acidic and hydrolytic conditions accompanying gut transit. We have developed a novel composition that combines a natural alkalizing matrix with a suitably processed garlic extract (Garli-Eze®), designed to create a gut microenvironment fostering de novo alliin production and bioavailability, and obviating the need for enteric coating agents. We implemented a validated in vivo method shown to assess alliin bioavailability by capturing the breath evolution of the alliin end metabolite, Allyl Methyl Sulfide (AMS) (1).

Methods & Procedures

Allyl thiosulfinate potential analysis of garlic extract

This value was necessary in order to determine % allicin bioavailability. This is the maximum amount of alliin and other allyl thiosulfinates that can be formed; specifically when the capsule contents are dispersed in aqueous solution, provided the final pH is in the optimum range for alliinase activity (pH 4.5-7). For non-buffered garlic powder, dispersion in water gave a pH in the optimum range. For Garli-Eze, it was necessary to disperse the powder in 0.015 N HCl, as dispersion in water gave a final pH of 6.7, which caused a considerable reduction in thiosulfinate. Allyl and other thiosulfinates were analyzed using C18-HPLC upon elution with methanol/water (1:1) at 240 nm (2).

Breath collection and analysis

Subjects exhaled into 1-liter breath bags just before consuming the meal and capsules, then hourly for 8 hours, then every two hours (except during sleep) until 32 hours after capsule consumption. Each subject was given 4 breath bags to take home for breaths at 10, 12, 14 and 22 hours after consumption. Non-teak bags were used for overnight breaths.

Results

• The protein content of the meal appeared to have no impact upon mean alliin bioavailability of Garli-Eze, being 10% higher for the protein rich meal and 92.5% for the low protein meal (top right image). Under the low protein meal conditions the mean allicin bioavailability of the non-alcalized/non-buffered garlic composition was 51.0% (middle right image).

Conclusions

• Under the conditions employed in this pilot, proof of concept study, the Garli-Eze alkalized/buffered garlic composition demonstrated bioavailability comparable to a similar amount of alliin released from raw, crushed garlic (bottom right image).

• The protein content of the test meals appeared to have negligible impact upon alliin bioavailability.

• Alliin bioavailability of the non-alcalized/garlic composition, which lacked enteric coating, was unexpectedly high.

• Further investigations examining the pharmacokinetics and pharmacodynamics of Garli-Eze are warranted.

References


2. Lawson LD, Wood SG, Hughes BG.