# Development and evaluation of omeprazole and esomeprazole, magnesium-based delayed-release, tablet formulations, for paediatric use

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## Introduction

Esomeprazole (ESO) is the *S*-isomer of Omeprazole (OME), which is a racemate of the *S*- and R-enantiomers. ESO has been shown to inhibit acid secretion to a similar extent as OME, without any significant differences between the two compounds *in vitro*. They both exert their stomach acid-suppressing effects by preventing the final step in gastric acid production by covalently binding to sulfhydryl groups of cysteines found on the ($H^+$, $K^+$)-ATPase enzyme at the secretory surface of gastric parietal cells. This effect leads to inhibition of both basal and stimulated gastric acid secretion, irrespective of the stimulus (1). This action, makes the two compounds very potent candidates for pathological conditions, such as gastroesophageal reflux disease and the treatment of acid-related diseases of children, which are common conditions seen in clinical practice (2). Moreover, these agents can produce faster and more complete symptomatic relief compared to other medicines (3). With respect to their dosage, adults are usually treated with 20 mg once a day before a meal and may be taken for more than 8 weeks for certain conditions. The dose for children, 1 year of age and older, is based on body weight and must be determined by the paediatrician. In view of the fact that currently there are no any children tailored-made formulations, we report herein our preliminary studies on the preparation and *in vitro* release characteristics of paediatric oral tablets of Omeprazole and Esomeprazole, using a gastroresistant coating. Children differ from adults in many aspects, including drug administration, toxicity, and taste preference. These particularities lead to the reduced production of paediatric medicines. Moreover, many formulations are not suitable for children, which leads to the unlicensed use of adult medicines (4).

## Materials and Methods

### Materials/Methods

The OME, and ESO magnesium matrix tablets, were prepared by direct compression, using the excipients shown in Table 1. The samples from the dissolution test were analyzed using a UV spectrophotometer at $\lambda_{max}$ 295 nm (pH 4.5) and $\lambda_{max}$ 301 nm (pH 6.8), in the case of OME, and for ESO magnesium, at $\lambda_{max}$ 293 nm for both pHs.

| **Ingredients (mg)** | Formulation1 | |
|---|---|---|
| API (OME or ESO magnesium) | 5 | core |
| Sodium Alginate | 4.3 | |
| Lactose Monohydrate | 10 | |
| Magnesium Stearate | 0.2 | |
| Eudragit L100-55 | 16 | dry coating |
| Lactose Monohydrate | 4 | |

**Table 1:** Formulation of tablets

## Results & Discussion

The % release of OME and ESO magnesium *vs.* time from F1 are presented in Figure 1. In both cases, an almost 10% release was observed at pH 4.5, due to the inclusion of Eudragit L100-55 in the tablets' dry coating. The formulation containing OME, showed an almost quantitative release at t=105 min, whereas the formulation containing ESO magnesium, 88%, at t=150 min.

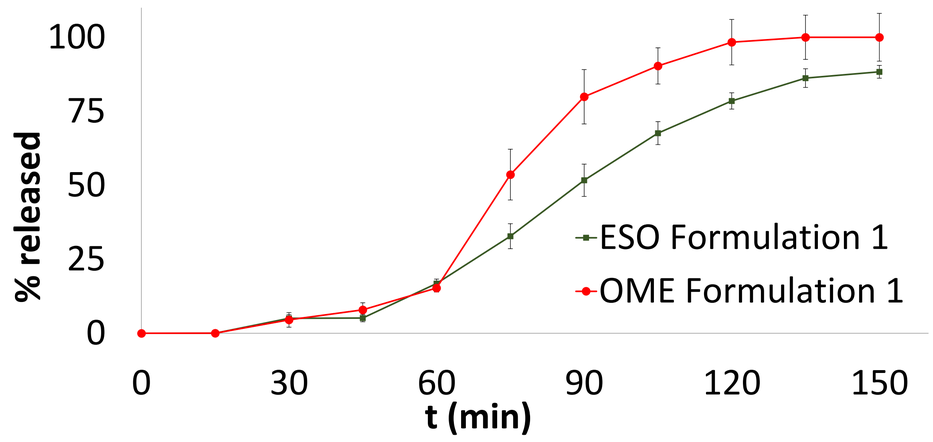


**Figure 1:** % drug release *vs* time

## Conclusions

The developed 5 mg paediatric formulations of OME and ESO magnesium seem to satisfy the requisite for children use release profile of these compounds. Yet, more experiments need to be conducted to verify this hypothesis.

## Questions about our study?

My LinkedIn account can be found by scanning the QR code. In addition you can contact steroump@pharm.uoa.gr

## References

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