

# 12<sup>th</sup> World Congress on Pharmaceutical Sciences and Innovations in Pharma Industry

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## 9<sup>th</sup> Edition of International Conference on Alternative Medicine

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### Modified release studies from mesalazine dry coated tablets using D-optimal mixture experimental design

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**Statement of the Problem:** Aminosalicylates are a class of medications often used to treat ulcerative colitis and Crohn's Disease. The class includes among others, Mesalazine [5-aminosalicylic acid (5-ASA), an anti-inflammatory drug that is also used to treat a plethora of other gastrointestinal disorders. Mesalazine has a biological half-life of 5 hours and an oral bioavailability 20-30%. Aiming at exploring the *in vitro* modified release profile of Mesalazine from solid hydrophilic matrices using Design of Experiments (DoE), we report herein our preliminary results on its dissolution characteristics in gastric and intestinal-like fluids. The development of modified release dosage forms of Mesalazine is important because of its hydrophilic character (ClogP=1.0562). The hydrophilicity of 5-ASA peaks in the gastric HCl medium, due to the facile protonation of the 5-amino group.

**Methodology & Theoretical Orientation:** Dry coated tablets were prepared using HPMC K15M, microcrystalline cellulose and Xanthan Gum (used also for coating), according to the formulations proposed by DoE and more specifically D-optimal mixture design. A USP apparatus II was used for the dissolution experiments, which were carried out in three aqueous media: A: pH 1.2, 2 h, B: pH 7.4, 3h and C: pH 6.0, 7 h, using Pectinex Ultra SPL in order to simulate the conditions in the large intestine. Samples were analysed using a UV spectrophotometer.

**Conclusion & Significance:** The current study confirms the usefulness of DoE in optimizing mesalazine's tablets' composition, allowing for its release in a controlled way across the entire pH region. This information will be very useful in future *in vivo* studies.

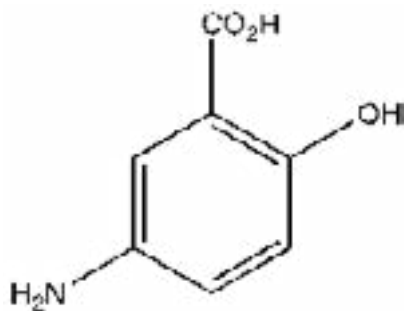


Figure 1: Chemical structure of Mesalazine [5-aminosalicylic acid (5-ASA)]

#### Recent Publications

1. Budavari Susan (1989) The Merck Index: an encyclopedia of chemicals, drugs, and biologicals. 11th Ed. Merck and Co. ISBN: 9780911910285.
2. Vlachou M, Siamidi A, Konstantinidou S, Dotsikas Y (2016) Optimization of controlled release matrix formulations of the chronobiotic hormone melatonin via experimental design. Journal of Pharmaceutics and Drug Delivery Research. 5:6
3. Grigori K, Loukas Y L, Malenović A, Samara V Kalaskani, Dimovasili E et al. (2017) Chemometrically assisted development and validation of LC-MS/MS method for the analysis of potential genotoxic impurities in meropenem active pharmaceutical ingredient. J. of Pharm. Biomed. Anal. 145:307-314.

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4. Bawa P, Choonara Y E, du Toit LV, Kumar P, Ndesendo V M K, Meyer L C R, Pillay V (2013) A novel stimuli-synchronized alloy-treated matrix for space-defined gastrointestinal delivery of mesalamine in the Large White pig model. *Journal of Controlled Release*. 166(3):234-245
5. Fernandez Hervas M J, Fell J T (1998) Pectin/chitosan mixtures as coatings for colon-specific drug delivery: an *in vitro* evaluation. *International Journal of Pharmaceutics*. 169(1):115-119.

**Biography**

Marilena Vlachou is an Assistant Professor at the National and Kapodistrian University of Athens (NKUoA), Greece. She obtained her Pharmacy degree and PhD in Pharmaceutical Technology from NKUoA. Prior to obtaining her PhD degree she moved to the University of Rhode Island, USA as a Visiting Research Scientist, to conduct research related to novel Pharmaceutical Technology techniques. In NKUoA, she teaches two undergraduate courses and one postgraduate, all related to the field of Pharmaceutical Technology. She has co-authored the textbook entitled "Pharmaceutical Technology I: Principles of Physical Pharmacy and Nanotechnology", 2007, and has presented her research work in more than 50 international and domestic scientific conferences. She has published 30 articles in peer-reviewed journals and is a Member of the Greek Pharmaceutical Society, Greek Society of Pharmaceutical Technology, Greek Dermatological and Venereological Society, the Greek Society of Cosmetology and Greek Section of the Society of Controlled Release of Drugs.

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