**Feasibility of Adsorption-Based Amorphous Solid Dispersions for Mefloquine HCl**

*Kilyoung Kim1, Vibha Patel1, Shin-Yan (Andy) Lin1, Atsawin Thongsukmak1, Xiaoyi Ding1, Veeran Kadajji1*

*1 J-Star Research Inc.*

**Purpose:**

Mefloquine HCl is commercially available as an oral tablet for the treatment and prevention of malaria. The treatment regimen involves a single 1,250 mg dose (administered as five 250 mg tablets), while prophylaxis consists of a 250 mg tablet taken once weekly during travel to malaria-endemic regions. This study investigates the feasibility of adsorption-based amorphous solid dispersion (AASD) technology to enhance the solubility and bioavailability of Mefloquine HCl. Improved bioavailability may enable dose reduction in therapeutic applications, potentially minimizing side effects and improving patient compliance compared to the marketed product.

**Methods:**

AASDs of Mefloquine HCl were prepared using four porous adsorbents (Florite PS-200, Neusilin US2, Syloid 244FP, and Aeroperl 300) and three types of feed solutions: i) self-microemulsifying drug delivery system (SMEDDS), ii) solution of Mefloquine HCl in MeOH, and iii) solution of Mefloquine HCl with polymer (API:PVP K30=4:1) in MeOH. Drug loading (% DL) onto the adsorbents was quantified by HPLC. Solid-state characterization was conducted using X-ray powder diffraction (XRPD) and differential scanning calorimetry (DSC) to confirm the amorphous status of Mefloquine HCl.

**Results:**

All prototype AASDs were successfully prepared and confirmed to be amorphous forms by XRPD and DSC. Among the adsorbents, Florite PS-200 exhibited the highest capacity for feed solution adsorption, while Aeroperl 300 showed the lowest, independent of feed solution types.
SMEDDS-based AASDs yielded drug loadings of 6.7% - 8.2% across all adsorbents. For methanol-based feed solutions (with and without polymer), Florite PS-200 demonstrated the highest drug loading (39% and 27%, respectively), followed by Neusilin US2 (29% and 24%, respectively). These preliminary results were useful in identifying lead formulations for further evaluation of solubility enhancement, physical/chemical stability, and in vivo bioavailability test.

**Conclusions:**

This study demonstrates the feasibility of generating amorphous solid dispersions of Mefloquine HCl using adsorption onto porous silicates. The AASD approach enabled the production of physically stable amorphous intermediates with high drug loading up to 40%, depending on the feed solution and adsorbent type. By improving bioavailability, this strategy may support dose reduction and manufacturing processes, contributing to cost savings and improved patient adherence in malaria therapy.

**Keywords:**

Adsorption, Amorphous, Mefloquine HCl, Bioavailability