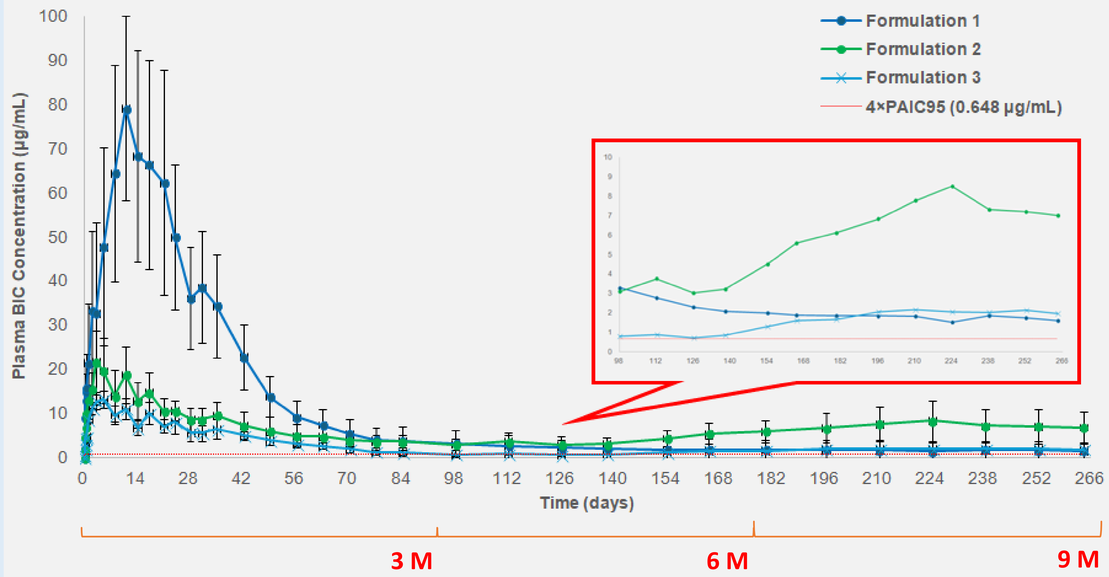
An enabling technology-based specialty pharmaceutical company with focus on long-acting injectables (LAIs)

Novel Ultra-Long-Acting Injectable Formulations of Bictegravir

Background

- The challenges from current HIV therapy include suboptimal adherence, adverse events, and viral resistance
- Developing ultra-long-acting (ULA) injectable formulations is beneficial to people living with HIV
- We present three ULA injectable formulations of bictegravir (BIC) that displayed sustained therapeutic concentrations in Sprague Dawley (SD) rats

Fig. 1 Plasma BIC concentration time curve in SD rats following single administration of ULA BIC formulations. Data are expressed as the mean ± SD (n = 6) and dashed horizontal red line represents 4 × PA-IC_{95}



Results

- All formulations achieved 4 × PA-IC_{95} within 30 min of administration and remain above it for over 264 days
- Formulations 2 & 3 showed 2nd upward trend after 138 days after administration
- Formulations 2 & 3 demonstrated smaller peak-to-trough ratio to effectively inhibit virus while minimizing systemic exposure
- Formulation 2 has lower risk of failing below the 4 × PA-IC_{95} concentration
- Formulation 1 exhibited unecessary overexposure, resulting in wasted drug dosing

Methods

- A BIC injectable micro-particle formulation 1 is created and subsequently injected through intra-muscular route into SD rats
- BIC injectable formulation 2 & 3 are developed with Bostal's proprietary long-acting injectable technologies, injected subcutaneously into SD rats

Advantages

- Maintained effective plasma BIC concentration longer than *9 Months* (targeting at *12 Months*)
- *No* oral lead-in stage is required
- *Minimize* systemic exposure: Formulations 2 & 3 (unnecessary overexposure: Formulation 1)

Conclusion

- Bostal's proprietary long-acting injectable technology suggests significant advantages over micro-particle formulation by maintaining plasma BIC concentration at levels sufficient to inhibit virus while keeping low peak-to-trough ratio
- Potentially lowers the therapeutic dose, benefiting drugs with narrow therapeutic windows

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