

Solumer™ Bioperformance

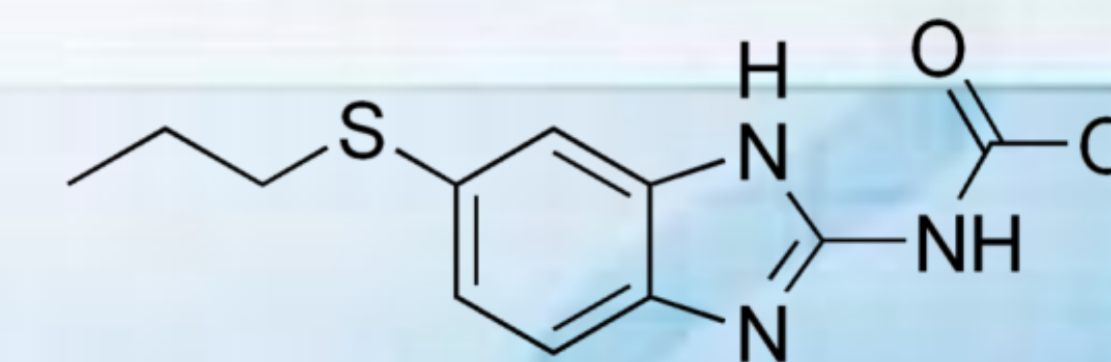
Albendazole – BCS Class II representative



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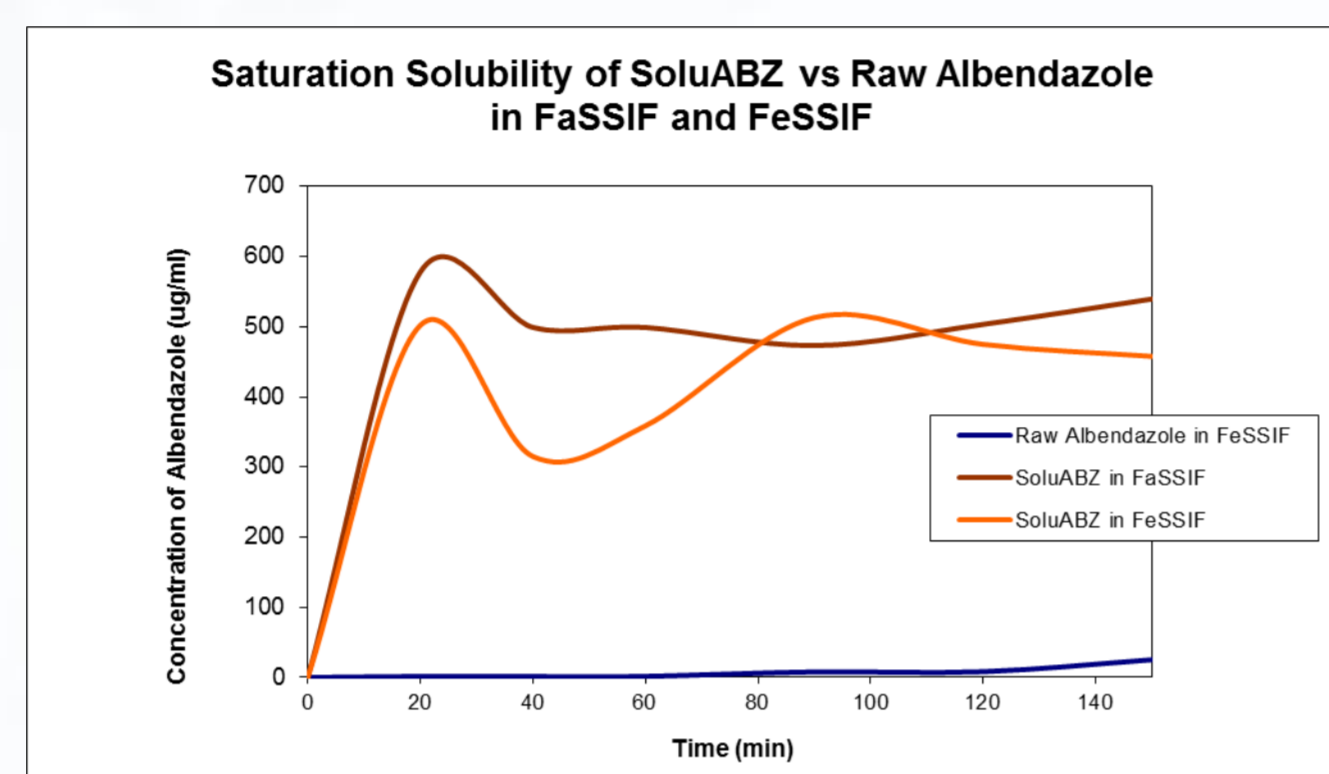
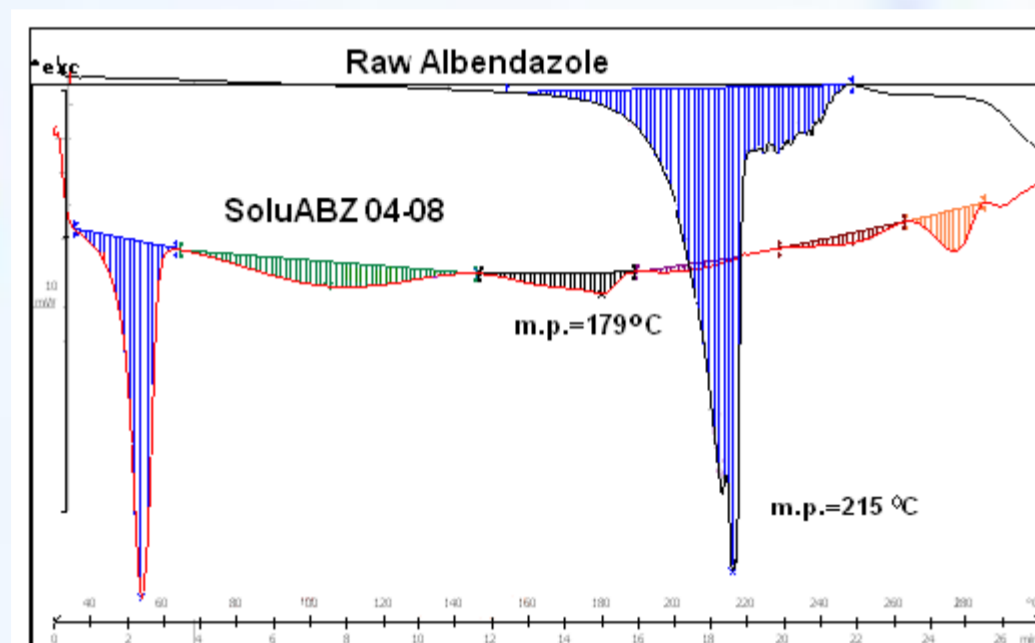
Introduction:

Albendazole (Albenza®) is a benzimidazole compound used for the treatment of a variety of worm infestations. Due to the poor water solubility of albendazole and its low bioavailability, it is prescribed for the administration with the fat food.



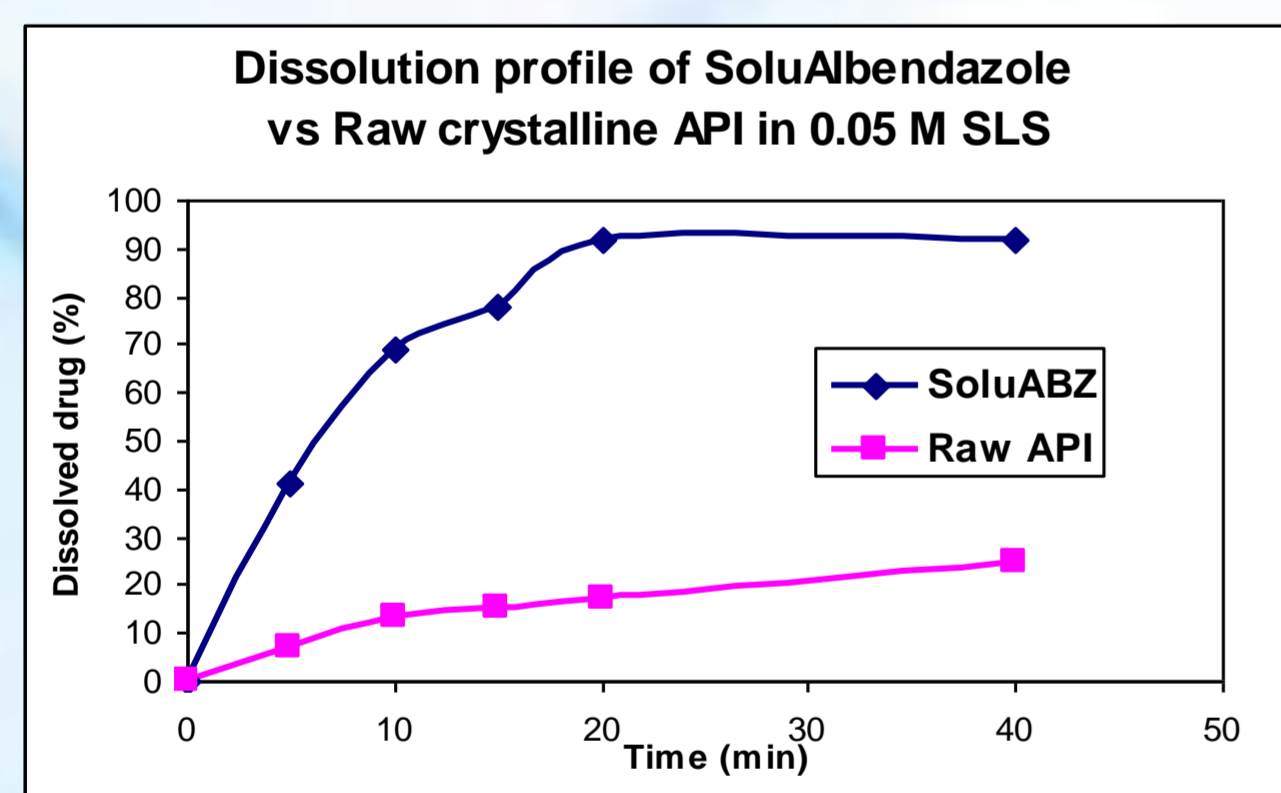
Solumer™ Albendazole characteristics

Implementation of the Solumer™ technology provides to formulated albendazole the inherent features required for the improvement of bioavailability:

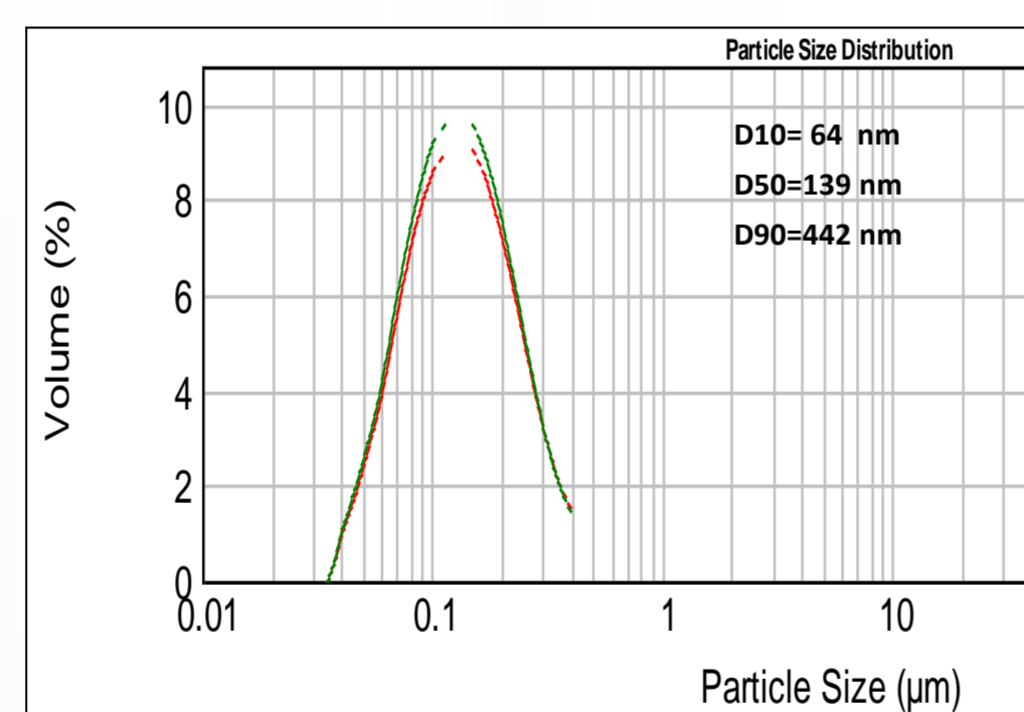


Reduction of melting temperature by 50°C and melting enthalpy 3-folds vs. unformulated raw material

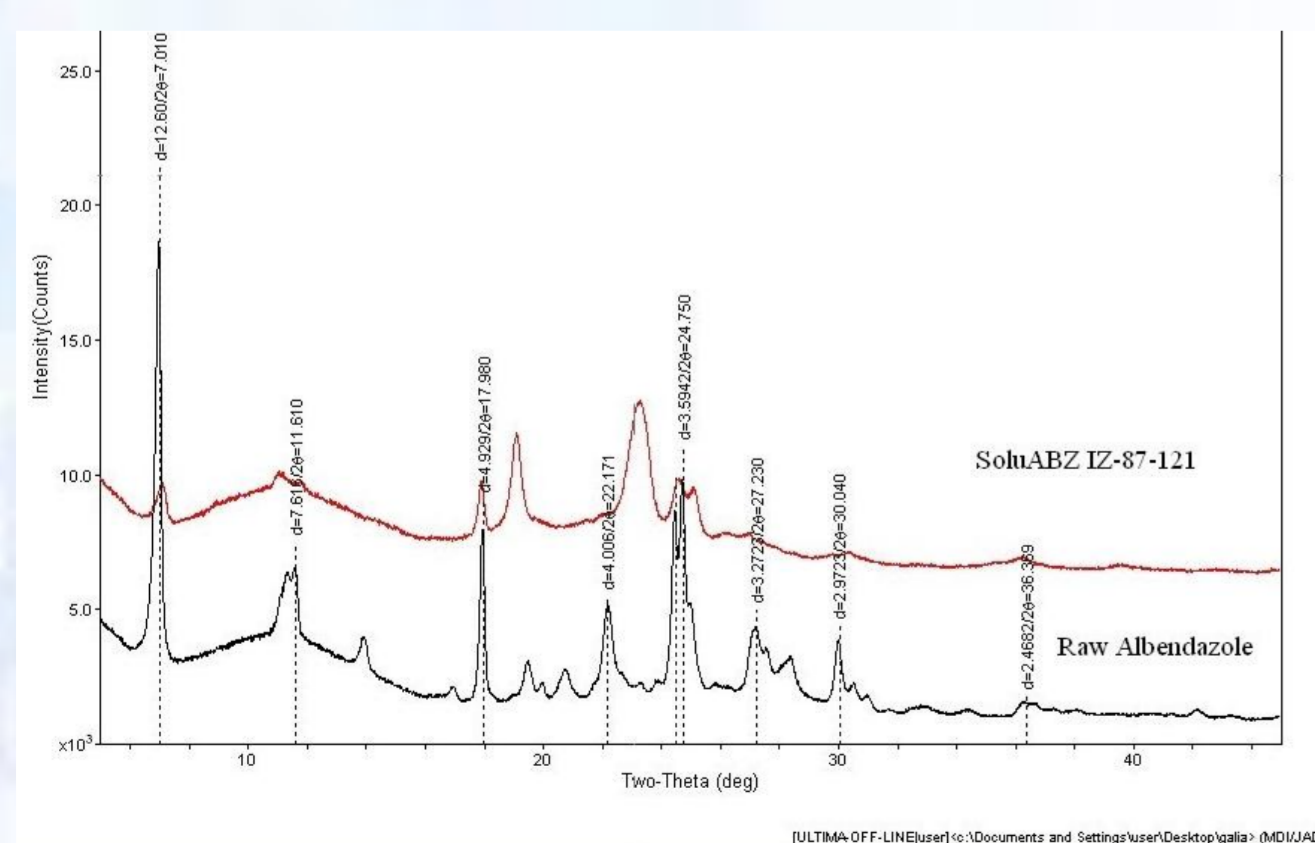
100-folds better dissolution in FaSSIF and FeSSIF; similar dissolution profiles in the fed and fasted simulated fluids



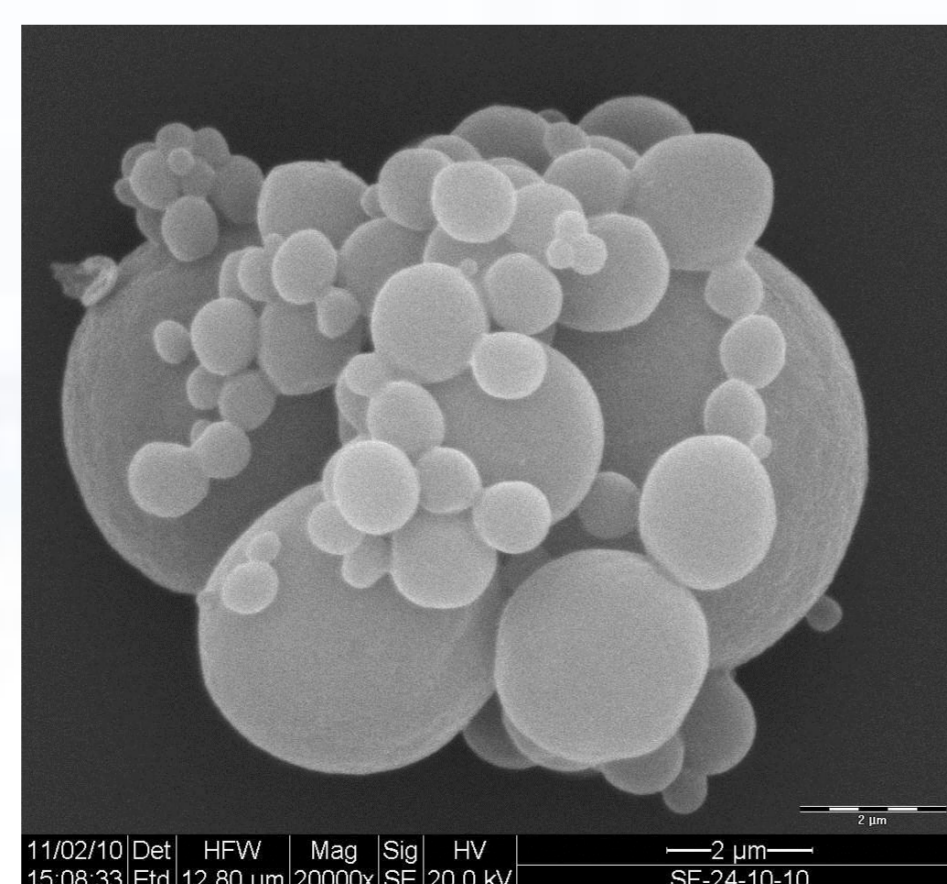
5-folds better dissolution in 0.05 M SLS



Formation of drug colloids with D90 < 500 nm

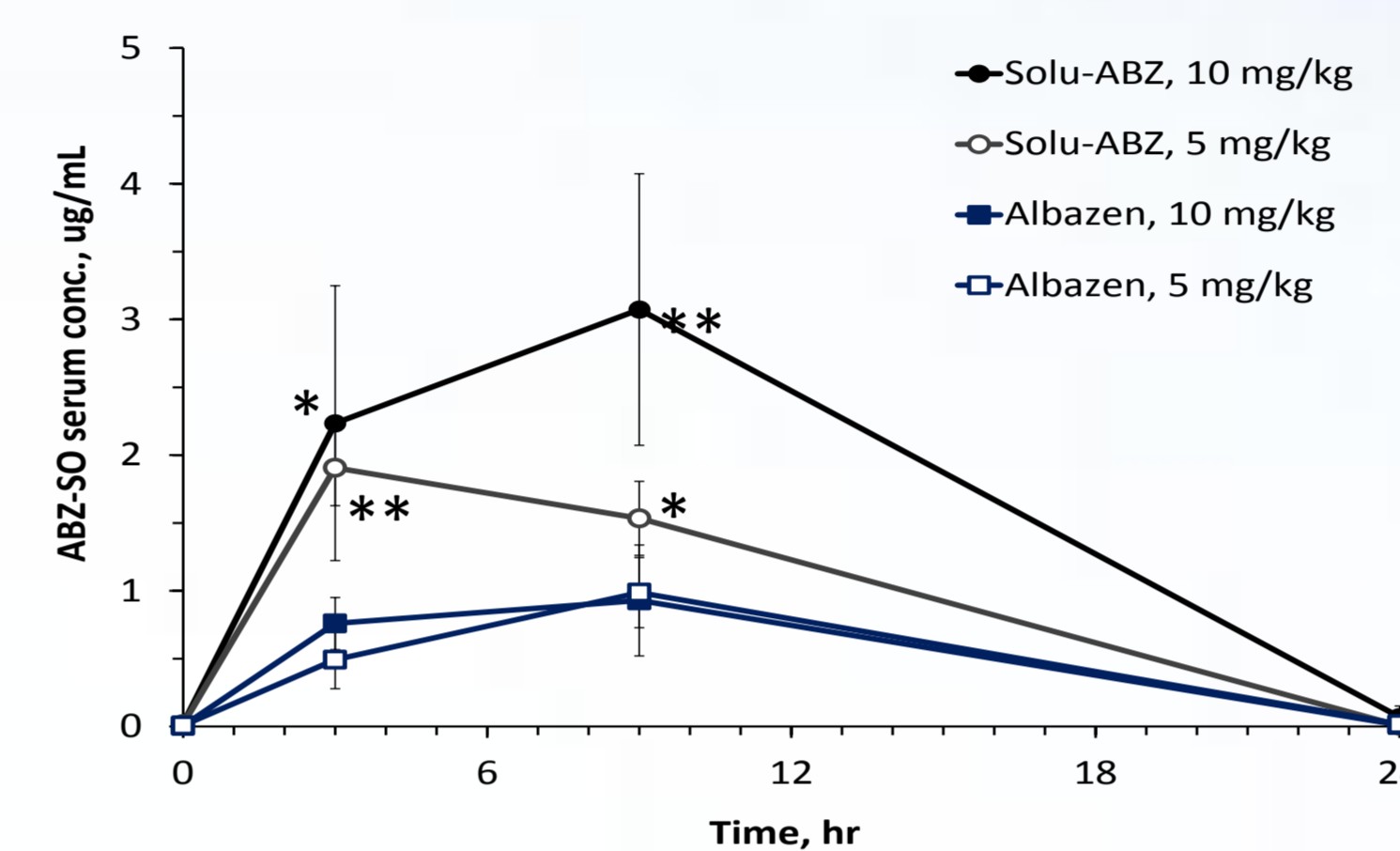


Reduction of crystallites effective sizes



Drug nanoparticles are homogeneously embedded into polymer hollow spheres

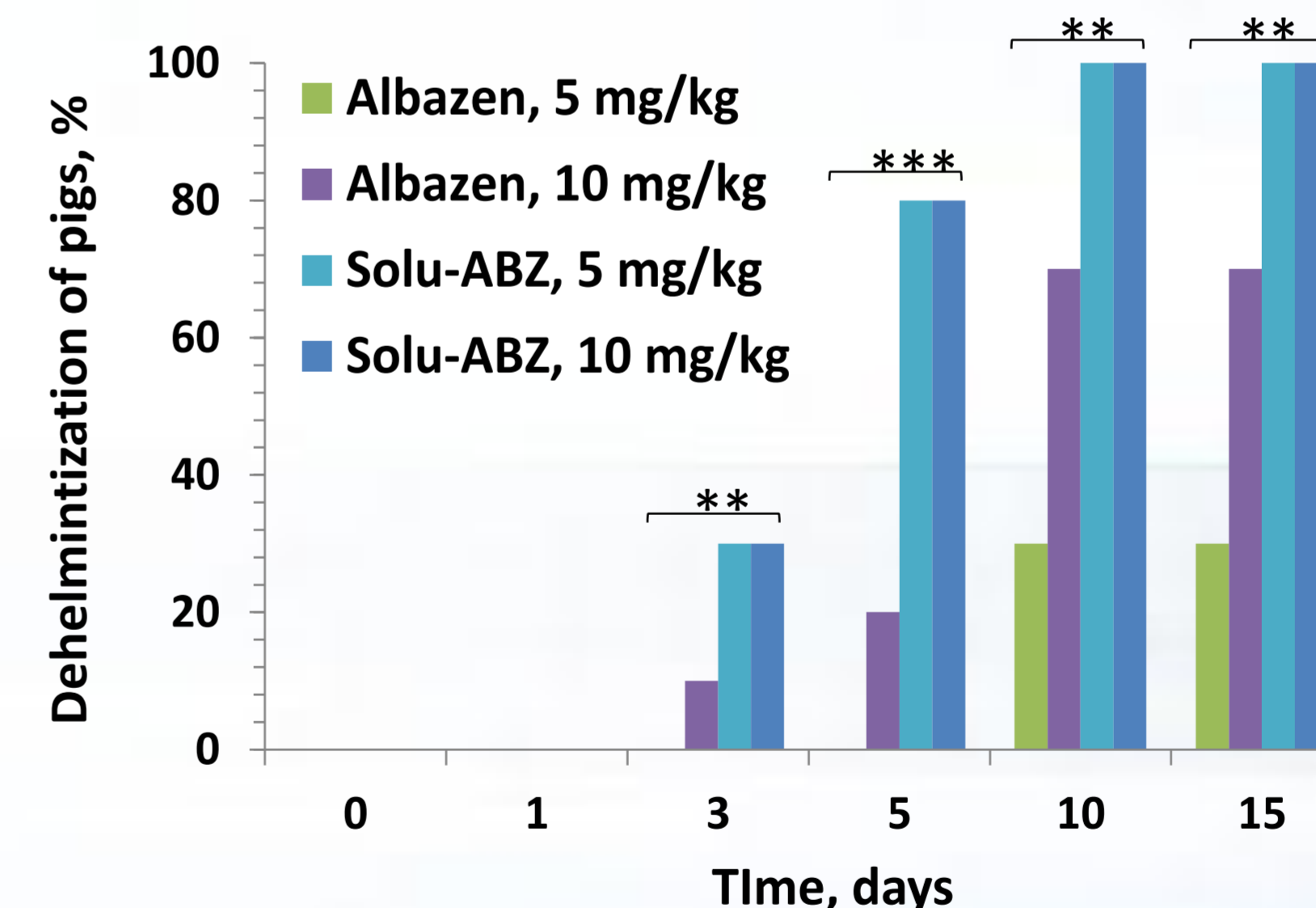
Pharmacokinetic outcomes



Tested Formulations (10 pigs in each group)	AUC _{0-t} (µg·hr/mL)
Albazen, 5 mg/kg	12.7 ± 3.0
Albazen, 10 mg/kg	13.3 ± 5.1
Solu-ABZ, 5 mg/kg	24.8 ± 4.1 ***
Solu-ABZ, 10 mg/kg	42.9 ± 14.2 **

Significant difference between the Solu-ABZ and Albazen formulations based on the two-tailed t-test analysis of data for the same doses: * p<0.05; ** p<0.01, *** p<0.001
 Concentration of albendazole sulfoxide in serum analyzed by HPLC-UV

Therapeutic Efficacy:



Outcomes of the two-tailed Fisher's exact test: ** p<0.01, *** p<0.001

Summary

- Albendazole formulated with Solumer™ technology (Solu-ABZ) has a significantly higher bioavailability and pharmacological efficiency than a commercial Albazen formulation, in the experimental animals.
- MED improvement can be translated into dose decrease that is important for the pediatric population and reduction of drug GI toxicity.
- Solumer™ technology can potentially improve the solubility, food effect, bioavailability and efficiency of other BCS class II drugs that are incompletely absorbed from the gastrointestinal tract.