Enhanced Solubility and Dissolution Rate of Telmisartan Using Supercritical Anti-solvent (SAS) Process
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Purpose
Telmisartan is a biopharmaceutical classification system (BCS) class II drug that has extremely low water solubility but is freely soluble in highly alkalized solutions. Few organic solvents can dissolve telmisartan. This solubility problem is the main obstacle achieving the desired bioavailability. Telmisartan was used as a model of poorly-water soluble drug, and the SAS process was used to prepare solid dispersions of telmisartan with the aim of increasing solubility.

Methods
Solid dispersions were prepared using hydroxypropylmethylcellulose/polyvinylpyrrolidone (HPMC/PVP) at 1:0.5, 1:1, and 1:2 weight ratios of drug to polymer, and pure telmisartan was also treated using the SAS process. Processed samples were characterized for morphology, particle size, crystallinity, solubility, dissolution rate and polymorphic stability.

Results
After the SAS process, all samples were converted to the amorphous form and were confirmed to be hundreds nm in size. Solubility and dissolution rate were increased compared to the raw material. Solubility tended to increase with increases in the amount of polymer used. However, unlike the solubility results, the dissolution rate decreased with increases in polymer concentration due to gel layer formation of the polymer. Processed pure telmisartan showed the best drug release even though it had lower solubility compared to other solid dispersions; however, because there were no stabilizers in processed pure telmisartan, it recrystallized after 1 month under severe conditions, while the other solid dispersion samples remained amorphous form.

Conclusion
We conclude that after controlling the formulation of solid dispersion, the SAS process could be a promising approach for improving the solubility and dissolution rate of telmisartan.