Title:	Cocrystals of telmisartan: characterization, structure elucidation, in vivo and toxicity studies
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Abstract:	The present study reports novel cocrystals of telmisartan (TEL) with saccharin and glutaric acid. Crystal engineering approaches such as solution crystallization, solid-state grinding and slurry method have been utilized with the ultimate objective of improving the solubility of this BCS class II drug. The physical characterization revealed that the cocrystals are unique vis-à-vis thermal, spectroscopic and X-ray diffraction properties. Structural characterization showed that the cocrystals with saccharin and glutaric acid exist in monoclinic P21/c and triclinic P1 space groups, respectively. The improved solubility of telmisartan-saccharin (TEL-SAC) (nine-fold) and telmisartan-glutaric acid (two-fold) cocrystals in comparison with the free drug has been demonstrated in solubility experiments in phosphate buffer, pH 7.5. The TEL-SAC cocrystal remained stable in the aqueous medium for 6 hours as confirmed by PXRD. The AUC0-24 of TEL-SAC and TEL-GA was found to be 2-fold and 1.4-fold increased in terms of bioavailability than pure TEL, respectively. The in vivo antihypertensive activity of TEL-SAC in DOCA salt-induced hypertensive rats showed two-fold improved efficacy, while acute toxicity studies revealed no signs of toxicity in rats even at doses of 2000 mg kg-1 of body weight (BW). The new solid phase of telmisartan with saccharin represents a promising and viable opportunity for the manufacture of a drug product with improved therapeutic outcomes.
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