PREZCOBIX® (darunavir/cobicistat)
PREZCOBIX - Tablet Splitting, Crushing, or Chewing

SUMMARY

- PREZCOBIX tablets are immediate-release tablets.
- Studies have only been performed on whole tablets with respect to in vitro/in vivo release profiles, absorption, and pharmacokinetics.
- Janssen has no internal stability data regarding dissolving crushed tablets into water, juice, or other liquids, or mixing with food (i.e., applesauce).
- In addition, no studies have been conducted to determine if adsorption of drug to plastic material may occur when crushed tablets are administered via NG or PEG tubes.
- In a study conducted in Italy, when PREZCOBIX was crushed and suspended in either a commercially available base vehicle (Syrspend®) or a 1% w/v carboxymethylcellulose (CMC) aqueous suspension, both darunavir (DRV) and cobicistat (COBI) remained within ±20% of the initial value for 7 days when stored at 4°C and at room temperature (~25 °C).[1]

CLINICAL DATA

Zanon et al (2020)[1] evaluated the chemical stability of PREZCOBIX suspended in either Syrspend® or a 1% w/v CMC aqueous suspension.

- In this study, 2 tablets of PREZCOBIX were crushed in a mortar to obtain a fine and homogenous powder.
- The powder was mixed with 20 mL of the suspension vehicle (Syrspend® or a 1% w/v CMC solution in pH 4.2 citrate buffer) to obtain a suspension containing 20 mg/mL of DRV and 3.75 mg/mL of COBI.
- Aliquots of the suspensions (1.5 mL each) were stored at 4 °C and room temperature (~25 °C) for 1 week.
- On days 0, 3, and 7, samples were analyzed using high pressure liquid chromatography (HPLC).
- Data on the chemical stability of DRV and COBI are presented in Table. Chemical Stability of DRV and COBI in Syrspend®-based Extemporaneous Suspension and Table. Chemical Stability of DRV and COBI in CMC-based Extemporaneous Suspension.

Chemical Stability of DRV and COBI in Syrspend®-based Extemporaneous Suspension[1]

Storage condition	Sampling times (days)	Drug assay (%)		RSD (%)	
		DRV	COBI	DRV	COBI
at 4 °C	0	100.0	100.0	7.4	7.0
	3	120.2	121.8	12.5	7.8
	7	120.4	120.0	8.5	8.2
at room temperature (~25 °C)	0	100.0	100.0	7.4	7.0
	3	112.5	111.4	17.9	9.0
	7	104.3	104.6	1.9	2.1

Abbreviations: COBI, cobicistat; DRV, darunavir; RSD, relative standard deviation.

Chemical Stability of DRV and COBI in CMC-based Extemporaneous Suspension[1]

Storage condition	Sampling times (days)	Drug assay (%)		RSD (%)	
		DRV	COBI	DRV	COBI
at 4 °C	0	100.0	100.0	1.9	2.5
	3	93.4	92.8	11.4	4.2
	7	105.4	91.1	22.4	2.4
at room temperature (~25 °C)	0	100.0	100.0	1.9	2.5
	3	115.6	113.7	3.6	2.8
	7	123.0	106.4	13.3	7.3

Abbreviations: COBI, cobicistat; CMC, carboxymethylcellulose; DRV, darunavir; RSD, relative standard deviation.

LITERATURE SEARCH

A literature search of MEDLINE®, EMBASE®, BIOSIS Previews®, DERWENT® (and/or other resources, including internal/external databases) was conducted on 08 May 2024.

REFERENCES

1. Zanon D, Manca A, De Nicolò A, et al. Data on the stability of darunavir/cobicistat suspension after tablet manipulation. *Data Brief*. 2020;30:105552.