膵リパーゼ感受性腸容性錠剤の評価. Ⅰ. in vitro 崩壊試験

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Evaluation of Enteric Coated Tablet Sensitive to Pancreatic Lipase. I. In Vitro Disintegration Test

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ABSTRACT We designed a new enteric coated preparation which is pH independent and functions by pancreatic lipase activity in the duodenum. Triolein (TO) and trilaurin (TL) were selected as lipase sensitive components and ethylcellulose (EC) was used as the support film for TO and TL.

Tablets (330mg, d=10mm) containing a model drug, sulfamethizole (SMZ) were coated with 1% each of TO, TL and EC solution by the fluidized bed coating technique. Disintegration tests were carried out in the media including JPXI 1st fluid (pH1.2, JP-1), 2nd fluid (pH6.8, JP-2) and JP-2 with gall powder and pancreatic lipase (JP-2-GL). The lag time of disintegration of the tablet (TOTL-Tab) coated 5–7mg/tad with TO, TL and EC was about 10 min and all of the tablets disitegrated completely within 30 min in JP-2-GL. However, in the other media, which did not contain lipase, TOTL-Tab did not disintegrate for at least 2h. It was confrmed that TO and TL in the coating film were digested by lipase. In addition, the tensil strength of the film decreased quickly after incubation in JP-2-GL.

These results suggest that the application of TO, TL and EC to tablet coating is useful for an enteric release preparation sensitive to pancreatic lipase, even if patients have low gatric acidity or are taking antacids.

抄録　pH非依存性で腸管内の膵リパーゼにより崩壊する，これまでにない腸溶性錠剤の開発を試み，trilaurin，triolein および ethylcellulose を構成成分とする膜を被覆することにより所定の製剤の作成に成功した。この錠剤(TOTL-Tab)は，日本薬局方崩壊試験液の第1液(pH1.2)と第2液(pH6.8)中のいずれでも崩壊しないが，胆汁末とリパーゼを含む第2液中では速やかに崩壊する。

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