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In vitro and *In Vivo* Release Studies of Fluorouracil Acetic Acid – Dextran Conjugates

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SUMMARY. Fluorouracil acetic acid—dextran (FUD) conjugates were synthesized and its stability in buffer solution has been investigated previously in our laboratory. In this contribution, the *in vitro* and *in vivo* releases of FUD were investigated. The results revealed that no detectable 5-fluorouracil (5-FU, FU) found during *in vitro* and *in vivo* release studies. The *in vitro* release was dependent on both degree of substitution (DS) of 5-fluorouracil-1-acetic acid (5-FUA) in FUD and gastrointestinal tract section (GITs). 5-FUA can be completely released from the conjugates with DS of 10.3 % (wt/wt) in homogenates of cecum and colon content. After oral administration in rats, FUD with DS of 10.3 % showed colon specific delivery of 5-FUA compared with that of free 5-FUA. The target index (TI) of the conjugate was 1.93 in cecum contents and 2.09 in colon contents respectively within 24 h. The results indicated that the conjugates can be used as colon-specific delivery system of 5-FUA.

KEY WORDS: Colon specific, Dextran conjugates, Fluorouracil, Macromolecular prodrug.

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