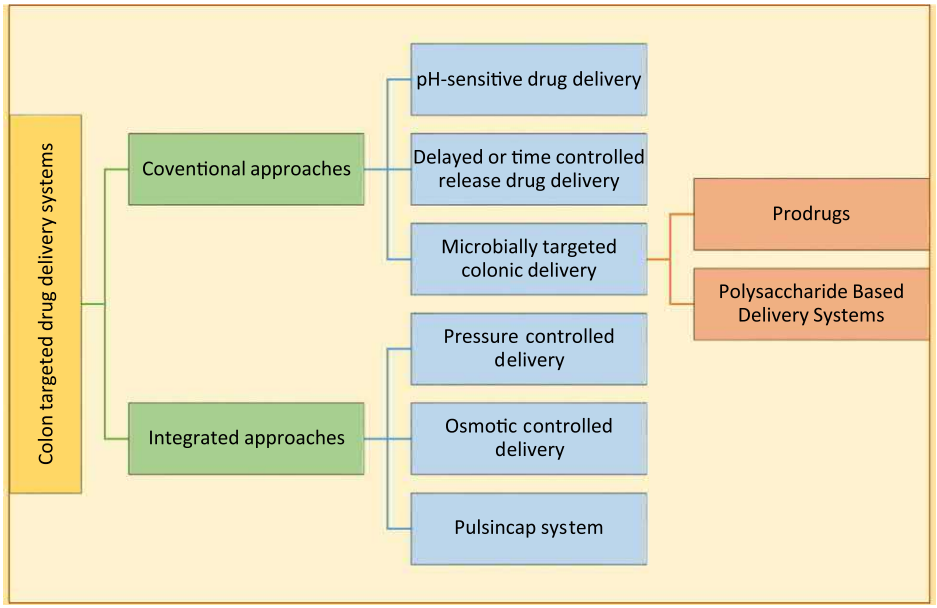

FIG. 3 Various approaches used for formulation of colon-targeted drug delivery systems.

upper GIT, such as doxorubicin, insulin, and proteins and peptides; drugs that undergo extensive first-pass metabolism, such as bleomycin and nicotine; and drugs that are used for targeting, such as prednisolone and somatropin [36].

Various approaches have been investigated for colon-targeted delivery systems (Fig. 3).

3.1. pH-Sensitive Drug Delivery

The basis of this approach is the use of a pH-sensitive polymer to coat the solid oral dosage form so that the release of the drug is delayed and is protected from degradation in the stomach or small intestine. The pH in the colon varies between 5.5 and 7. These differences in the pH levels determine the type of pH-dependent polymers. The selection of an appropriate polymer that has solubility at colonic pH would be suitable for delivering the drug at the site [36]. Polymethacrylate polymers were studied for delivery at colonic pH. Eudragit is a synthetic, methacrylic acid pH-dependent polymer. It is of three types: Eudragit L, S, and RS. Eudragit L is soluble above pH 6 and Eudragit S above pH 7. Eudragit L and S were used in the formulation of 5-aminosalicylic acid. Other pH-dependent polymers including hydroxypropyl ethylcellulose, polyvinyl acetate phthalate, cellulose acetate trimellitate (CAT), and cellulose acetate phthalate (CAP) are also explored for pH-dependent drug delivery [38, 39].

Khan et al. prepared mesalazine tablets coated with various combinations of Eudragit S100 and EudragitL100 polymer using spraying method. The study demonstrated that the drug release was altered using varying concentrations of the two polymers in the pH range of 6–7, in which both the polymers are soluble. A combination of the polymers resolved the issue of high GI pH variability among different individuals. For colon targeting, the proposed system that used the combination of polymers was found to be superior to any of the polymers used alone [40].

In a study, olsalazine was entrapped in pH-sensitive glycopolymers. These glycopolymers were developed by the mechanism of free-radical polymerization of 6-acryloyl-glucose-1, 2, 3, 4-tetraacetate with methacrylic acid, using cross-linking agents such as 1, 6-hexandiol propoxylate diacrylate and 1, 6-hexandiol diacrylate. It was found that the swelling and release of drug from the hydrogels was dependent on the amount of methacrylic acid groups. The methacrylic acid groups caused an increase in gel swelling in the simulated intestinal fluid (SIF) and a decrease in gel swelling in the simulated gastric fluid (SGF). The hydrogels containing propoxylate groups were hydrolyzed easily due to their polar nature. The release studies were performed at pH 1 and pH 7.4 at 37°C. The drug release profile demonstrated that the drug release was found to be faster in SIF, compared to SGF media because of sensitivity to