

Originally intended for use as solutions in organic solvents, these polymers are commonly used today as aqueous polymer dispersions.

Methacrylic acid copolymers

The special functionality conferred by the presence of carboxylic acid groups enables this class of polymer to function as gastro-resistant coatings (Dittgen et al 1997). This is because the polymer is insoluble in water at the low pH that typifies conditions in the stomach but gradually becomes soluble as the pH rises towards neutrality, a condition that is more typical of the upper part of the small intestine. Currently, methacrylic acid copolymers are also commonly used as aqueous polymer dispersions. An example of the molecular structure of this type of acrylic polymer is shown in Figure 32.6.

Phthalate esters

In terms of functionality, phthalate ester polymers exhibit similar properties to methacrylic acid copolymers (Chang 1990), in that they are most suited to delayed-release applications. Chemically, they are formed by the substitution of phthalic acid (or similar) groups into polymers that have been commonly used in other film-coating applications. Thus common examples of phthalate ester polymers are *hydroxypropylmethylcellulose phthalate* (HPMCP), *cellulose acetate phthalate* (CAP), and *polyvinyl acetate phthalate* (PVAP). Phthalate ester polymers may be applied as solutions in organic solvents or as aqueous polymer dispersions.

Plasticizers

Plasticizers are generally added to film-coating formulations to modify physical properties of the polymer. This is necessary because most acceptable film-coating polymers can be brittle and inflexible in nature. It is generally accepted that the mechanism by which plasticizers exert their effect is for

plasticizer molecules to interpose themselves between the polymer molecules, thus increasing free volume and facilitating increased polymer chain motion within the structure of the coating. The positive benefits of this interaction include:

- increased film flexibility
- reduced residual stresses within the coating as it shrinks around the core during drying.

Examples of commonly used plasticizers are:

- polyols, such as polyethylene glycols and propylene glycol
- organic esters, such as diethyl phthalate and triethyl citrate
- oils/glycerides, such as fractionated coconut oil.

For a given application, it is generally desirable to use plasticizers that are soluble in the solvent system being used.

Colourants

Pharmaceutically acceptable colourants are available in both water-soluble form (known as *dyes*) and water-insoluble form (known as *pigments*). The insoluble form is preferred in film-coating formulations, based on the fact that pigments tend to be more chemically stable towards light, provide better opacity and covering power, and provide a means of optimizing the permeability properties of the applied film coating. In addition, water-insoluble pigments will not suffer from the disadvantageous phenomenon of mottling (caused by solute migration, as discussed in Chapter 29) that can be observed with water-soluble dyes.

Examples of colourants are:

- iron oxide pigments
- titanium dioxide
- aluminium lakes (a pigment formed by bonding water-soluble colourants to approved substrata, such as fine alumina hydrate particles).

While the selection of a colourant is typically based on the need to achieve a certain visual effect and, to a lesser extent, the potential influence on film mechanical properties, an underlying selection criterion is that of regulatory acceptance. While there are many colourants that can be used, few have the full global regulatory acceptance required to facilitate the world-wide use of the same coating formulation.



Fig. 32.6 • Methacrylic acid copolymer.