Ethylene Glycol and Vinyl Alcohol Grafted Copolymer

1 Nonproprietary Names

PhEur: Macrogol Poly(Vinyl Alcohol) Grafted Copolymer

USP–NF: Ethylene Glycol and Vinyl Alcohol Grafted Copolymer

2 Synonyms

Copolymerum macrogolo et alcoholi poly(vinylico) constatum; ethenol graft polymer with oxirane; *Kollicoat IR*; polyvinyl alcohol-polyethylene glycol graft copolymer; polyvinylalcohol-co-polyethylene glycol; PVA-co-PEG; PVA-PEG graft copolymer.

3 Chemical Name and CAS Registry Number

Polyvinyl alcohol polyethylene glycol copolymer, poly(ethan-1,2-diol-grafted-ethenol) [96734-39-3]

4 Empirical Formula and Molecular Weight

Ethylene glycol and vinyl alcohol grafted copolymer is a synthetic branched copolymer. On average, 2-4 polyvinyl alcohol side chains are grafted onto a polyethylene glycol backbone. The polymer comprises approx. 25% w/w polyethylene glycol and 75% polyvinyl alcohol units. The mean molecular weight of the polymer is 40 000 to 50 000.

Ethylene glycol and vinyl alcohol grafted copolymer may contain a glidant such as colloidal silicon dioxide to improve flowability.

5 Structural Formula

See Section 4.

6 Functional Category

Coating agent; film-forming agent; tablet and capsule binder.

7 Applications in Pharmaceutical Formulation or Technology

Ethylene glycol and vinyl alcohol grafted copolymer is a synthetic polymer that was introduced to the market as an excipient for oral pharmaceutical applications in 2002. It is mainly used as a film-forming agent in instant-release tablet coatings.

The hydrophilic polymer is readily soluble in water, independent of the pH value. The polyvinyl alcohol part of the copolymer provides good film-forming properties, whereas the polyethylene glycol part acts as an internal plasticizer. The grafted copolymer offers functional advantages over the individual components, such as high flexibility of the polymer and low viscosity in aqueous solutions. The low viscosity of aqueous ethylene glycol and vinyl alcohol grafted copolymer solutions allows the processing of highly concentrated coating suspensions.[1,2] Owing to the high flexibility of the polymer, it may be suitable as a carrier in drug-loaded film strips or wafers.[3] It also presents advantages as a hydrophilic pore former in combination with different sustained-release coating agents (e.g. ethylcellulose and polyvinyl acetate), where drug release rates can be adjusted by adding varying amounts of the polymer.[4–8]

Ethylene glycol and vinyl alcohol grafted copolymer has been investigated as a wet binder[2] and as a carrier in solid dispersions.[9–11] It acts as a protective colloid and effectively stabilizes dispersions (e.g. ethylcellulose dispersions) and emulsions (e.g. medium-chain triglycerides/water).

8 Description

Ethylene glycol and vinyl alcohol grafted copolymer occurs as a free-flowing white to slightly yellowish powder. It is an amorphous polymer with partially crystalline domains.[11]

9 Pharmacopeial Specifications

See Table I.

Table I: Pharmacopeial specifications for ethylene glycol and vinyl alcohol grafted copolymer.

Test	PhEur 9.2	USP 40–NF 35 S1
Characters	+	–
Identification	+	+
pH	5.0–8.0	5.0– 8.0
Ester value	10–75	10–75
Ethylene oxide	≤ 1 ppm	≤ 1 ppm
Dioxan	≤ 10 ppm	≤ 10 ppm
Impurity A (vinyl acetate)	≤ 100 ppm	≤ 100 ppm
Impurity B (acetic acid)	≤ 1.5%	≤ 1.5%
Sulfated ash	≤ 3%	–
Residue on ignition	–	≤ 3%
Loss on drying	≤ 5%	≤ 5%
Viscosity	≤ 250 mPa s[a]	25–250 mPa s

(a) Functionality-related characteristic in PhEur 9.2.

10 Typical Properties

Solubility Dissolves quickly in water and aqueous systems, e.g. weak acids or alkalis up to 40% w/w; solutions of up to 25% w/w can be prepared in a 1 : 1 ethanol–water mixture. Solubility in nonpolar solvents is low. If silicon dioxide is present, solutions are slightly turbid.

11 Stability and Storage Conditions

Ethylene glycol and vinyl alcohol grafted copolymer is slightly hygroscopic. Store in a tightly closed container.

12 Incompatibilities

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13 Method of Manufacture

Ethylene glycol and vinyl alcohol grafted copolymer is produced using polyethylene glycol and vinyl acetate as starting materials. Polyethylene glycol forms the polymer backbone onto which polyvinyl acetate is grafted. Saponification of the polyvinyl acetate moieties leads to formation of polyvinyl alcohol grafted chains.

14 Safety

Ethylene glycol and vinyl alcohol grafted copolymer is used in oral pharmaceutical preparations, and is generally regarded as a safe material. It has been found to be nonirritating to rabbit eyes and skin, and also nonmutagenic.

LD_{50} (rat, oral): > 2.0 g/kg[12]