PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 7.5

Coagulants and Anticoagulants

PPT CHAPTER COVER



Haemostasis: three drug categories

Vessel injury → Platelet plug → Fibrin stabilization

Haemostasis involves platelet-plug formation followed by a stabilizing fibrin network.

Coagulant or haemostatic agents

- Support selected defects.
- Vitamin K supports synthesis of functional clotting factors.

Anticoagulants

- Reduce coagulation-cascade activity.
- Warfarin and anisindione are vitamin-K antagonists.



Fibrin network

Antiplatelet agents

- Reduce platelet activation or aggregation.
- Clopidogrel is an antiplatelet P2Y12 inhibitor, not an anticoagulant.



Platelets

These are different targets, not interchangeable names. The source places several categories together, so correct classification is the first exam checkpoint.

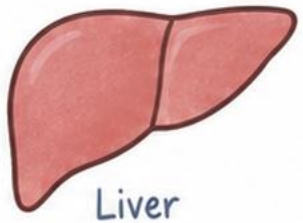
Vitamin K: biological chemistry

Role in haemostasis

Vitamin K is required for gamma-carboxylation of clotting factors II, VII, IX and X, and proteins C and S.

Clotting factors affected

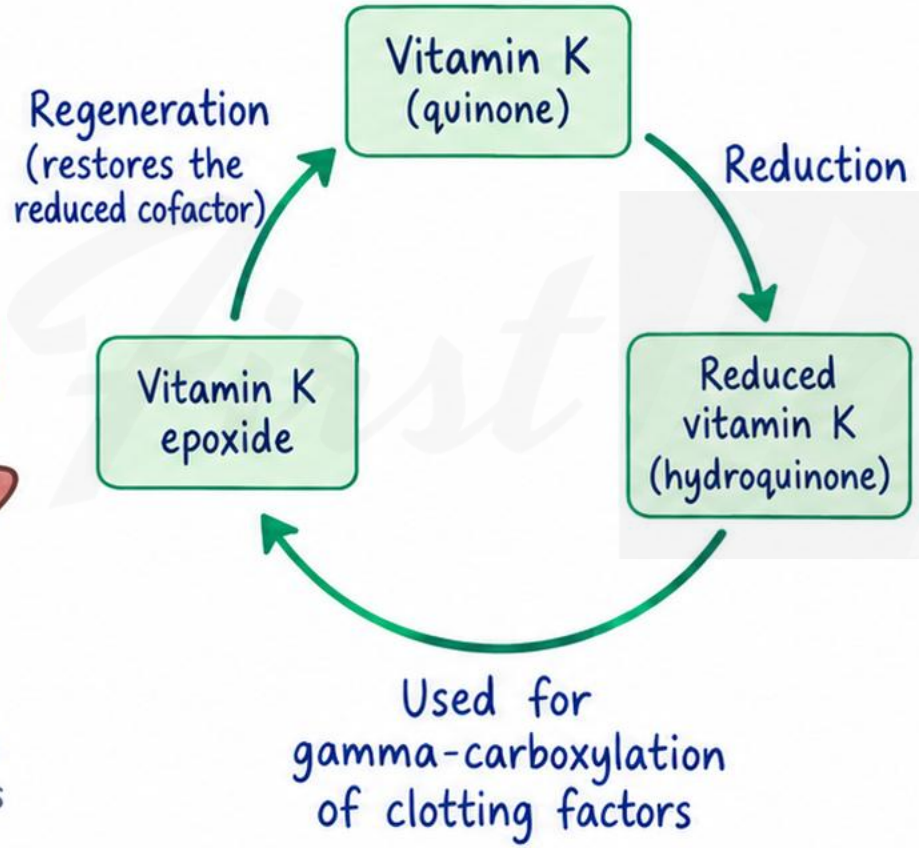
- Factor II
- Factor VII
- Factor IX
- Factor X
- Protein C
- Protein S



Liver

Site of synthesis of these proteins

The vitamin-K cycle



K_1: clinically established form

K_1, phytonadione, is the clinically established form for selected deficiency and anticoagulant-reversal contexts.



Vitamin K_1 (phytonadione)

K_3 and related compounds

Menadione, K_3, and menadiol derivatives are historical synthetic vitamin-K-related teaching compounds.



Menadione (K_3)

Key point

They should not be substituted for K_1 in modern newborn prophylaxis or reversal guidance. Their quinone/redox chemistry can also produce toxicity rather than universal antioxidant benefit.



Menadione: naphthoquinone

Identity

- Menadione is 2-methyl-1,4-naphthoquinone, with formula $C_{11}H_8O_2$.
- Its two carbonyl groups and methyl-substituted fused-ring scaffold identify the quinone.

Historical name



- It is historically termed vitamin K_3.

Oxidative chemistry and toxicity



- Redox cycling and reactive oxygen species can cause oxidative toxicity, including haemolysis in susceptible circumstances.

Clinical limitations



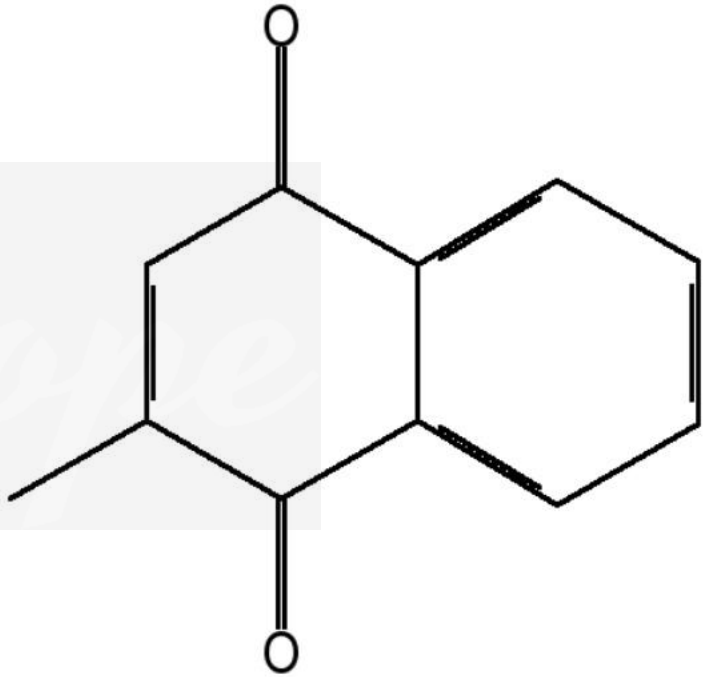
- It is not the recommended substitute for phytonadione in current newborn prophylaxis or warfarin reversal.

Key revision point



- Revise the naphthoquinone scaffold and vitamin-K-related history while keeping its clinical limitations and oxidative chemistry explicit.

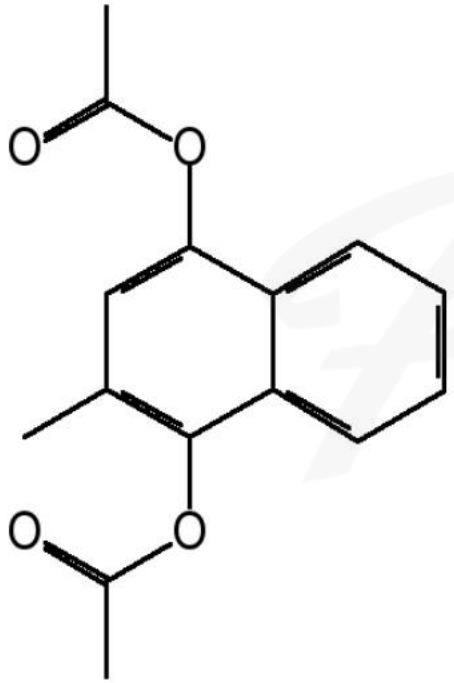
Parent/free form: $C_{11}H_8O_2$



Verified molecular structure

Acetomenadione: menadiol diacetate

Parent/free form: $C_{15}H_{14}O_4$



Verified molecular structure

Identity and names

- Acetomenadione, also called acetomenaphthone or menadiol diacetate, is a diacetate derivative of a reduced menadione-related scaffold.
- It is a historical synthetic vitamin-K-related compound, often discussed with K_4 derivatives.

Key structural feature

- Acetylation of the two hydroxy groups distinguishes it from menadione's 1,4-quinone carbonyls.
- The presence of two acetate substituents defines acetomenadione.

Chemical formula and structure

- Parent/free form: $C_{15}H_{14}O_4$.
- Structure shown: acetomenaphthone.
- This is the verified parent entity; salts and hydrates have different formulas.

Chemical relationships

- Ester hydrolysis and oxidation-state changes are separate chemical transformations.
- It is not equivalent to current phytonadione products.
- Names, oxidation state and acetate substituents must all agree with the displayed molecular identity.

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