Phthalocyanines

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- **Photosensitizer:** Phthalocyanine
- **Tradename:** CGP55847, Photosense, Pc4
- **Company Photosensitizer:** Ciba–Geigy
- **Clinical Application:** Squamous cell carcinoma of upper aerodigestive tract, psoriasis, skin, breast, oropharingeal, lung, larynx and gastrointestinal cancer, psoriasis, sterilization of blood products, endobronchial lung cancer and cutaneous
- **Wavelength (nm):** 670–680
- **Extinction Coefficient (M^{-1} cm^{-1}):** 4.2 10^4
- **Mode of Delivery:** Intravenous
- **Delivery vehicle:** Liposomal or Water-soluble
- **Typical Dose (mg kg^{-1}):** 0.5–2.0
- **Light Dose (J cm^{-2}):** 100
- **Time Post-Injection:** 24–72 h
- **Duration of Skin Photosensitivity:** 8–10 days

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- **Photosensitizer:** Naphthalocyanines
- **Tradename:** -
- **Company Photosensitizer:** Bulgarian Academy of Sciences
- **Clinical Application:** Sterilization of blood products, endobronchial lung cancer and cutaneous
- **Wavelength (nm):** 750–780
- **Extinction Coefficient (M^{-1} cm^{-1}):** $>10^5$
- **Mode of Delivery:** Intravenous
- **Delivery vehicle:** Liposomal or Water-soluble
- **Typical Dose (mg kg^{-1}):** -
- **Light Dose (J cm^{-2}):** -
- **Time Post-Injection:** -
- **Duration of Skin Photosensitivity:** -

Phthalocyanines are tetrapyrrolic macrocycles that, unlike the porphyrins, have nitrogen atoms linking the individual pyrrole units instead of methine bridges. The periphery of the macrocycle is extended by benzene rings, which strengthens the absorption at longer wavelengths compared to porphyrins such as Photofrin.

Phthalocyanines have long been used as dyes and colouring agents and have recently also been used as photoconducting agents in photocopying machines. They have been extensively studied as PDT agents because of their favourable photophysical properties and because their properties (such as solubility) can be altered through the addition of substituents to the periphery of the macrocycle[90]. Ciba–Geigy Ltd (Basel, Switzerland), in partnership with QLT PhotoTherapeutics, has developed a liposomal preparation of zinc phthalocyanine (CGP55847) that has been in Type I/II clinical trials in Switzerland for patients with squamous cell carcinomas of the upper aerodigestive tract. Attempts have also been made to develop a topical formulation of this photosensitizer for use in treating psoriasis.

The oncological centre of the Russian Academy of Medical Sciences (Moscow, Russia) and the surgical clinic of the Moscow Medical Academy (Moscow, Russia) are currently carrying out trials using a mixture of sulphonated aluminium phthalocyanine derivatives (Photosense) against malignancies such as skin, breast, lung and gastrointestinal cancer[91]. The addition of the sulphonate groups to the periphery of the phthalocyanine greatly increases the

solubility of these compounds, removing the need for liposomal delivery vehicles, and success with Photosense has been relatively promising.

A silicon-based phthalocyanine (Pc4) is also being studied for the sterilization of blood components by V.I. Technologies (Vitex, Melville, NY, USA), who are based at the New York Blood Center. Preclinical results with this drug have been very promising and it is hoped that it will enter clinical trials by the end of 1999.

Addition of a second benzene ring to the periphery of the phthalocyanine produces naphthalocyanines. These compounds absorb at a higher wavelength than phthalocyanines (770 nm versus 680 nm), thus increasing the therapeutic depth that can be achieved and rendering them potential photosensitizers for highly pigmented tumours such as melanomas. Significant work has been carried out evaluating these compounds as photosensitizers for PDT [92] and they are being pushed towards clinical trials in Bulgaria by the Bulgarian Academy of Sciences (Sofia, Bulgaria).

Photofrin®	Methylene Blue	5-Aminolaevulinic acid	Verteporfin	Tin Etiopurpurin	Temoporfin
Texaphyrins	Phthalocyanines	N-aspartyl chlorin e6	Rhodamines	Porphycenes	Hypericin

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