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Halistatins 1, 2 and 3

AzTE Cases # 626, 627, 701

Inventors

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Intellectual Property Status:

U.S. Patent 5,426,194 U.S. Patent 5,352,804 U.S. Patent 5,519,050

Invention Description

Halistatins are highly potent polyether macrolides with anti-mitotic actions that were originally isolated from certain marine sponges. Similar polyether macrolides, such as, Bryostatin 1 (Ph2), Halichondrin B (PC) and its analog, E7389 (Ph 2), are being tested both preclinically and clinically as anti-cancer agents.

Halistatin 1 has highly potent cytotoxic activity *in vitro* against P388 cells (ED₅₀ of $4x10^{-4} \ \mu g/mL$) and against 60 human cancer cell lines from the NCI's anti-tumor screening panel (average overall panel GI₅₀ of $7x10^{-10}$ M).

Halistatin 2 has highly potent cytotoxic activity *in vitro* against P388 cells (ED_{50} of $4x10^{-4} \mu g/mL$) and against 60 human cancer cell lines from the NCI's anti-tumor screening panel (average overall panel GI_{50} of $7x10^{-10}$ M).

Halistatin 3 strongly inhibits growth (ED_{50} of $3.5 \times 10^{-5} \mu g/mL$) of P388 leukemia cells and a 'mini' panel of human cancer cell lines (GI_{50} , $\mu g/mL$): brain (SF-295, 3.5×10^{-5}), lung (NCI-460, 2.5×10^{-5}), colon (KM 2062, 5.1×10^{-6}), ovary (OVCAR-3, 1.3×10^{-5}), renal (A498, 5.6×10^{-5}) and melanoma (SK-MEL-5, 2.5×10^{-5}).

Potential Applications

These novel compounds have applications as:

• Anti-cancer therapeutic agents

Benefits and Advantages

- **Diversity** The new compounds are structural analogs of confirmed very potent candidates for anti-cancer drugs.
- Efficacy The new compounds also possess promising anti-mitotic activities.

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