

Case ID: Halistatins
Published: 3/22/2010

Halistatins 1, 2 & 3

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AzTE Cases # 626, 627, 701

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 4×10^{-4} $\mu\text{g/mL}$) and against 60 human cancer cell lines from the NCI's anti-tumor screening panel (average overall panel GI50 of 7×10^{-10} M).

Halistatin 2 has highly potent cytotoxic activity in vitro against P388 cells (ED50 of 4×10^{-4} $\mu\text{g/mL}$) and against 60 human cancer cell lines from the NCI's anti-tumor screening panel (average overall panel GI50 of 7×10^{-10} M).

Halistatin 3 strongly inhibits growth (ED50 of 3.5×10^{-5} $\mu\text{g/mL}$) of P388 leukemia cells and a 'mini' panel of human cancer cell lines (GI50, $\mu\text{g/mL}$): 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: