New phenylphosphates for cancer treatment

**Background /Medical Problem**
Expression of tyrosin kinase Bcr-Abl is the molecular cause of more than 90% of all chronic myeloid leukemias (CML). Although clinically used protein kinase inhibitors of Bcr-Abl show good activity in the beginning, a large portion of the patients develop resistance during therapy, negating all therapy success. Therefore new strategies in leukemia treatment are needed.

The transcription factor STAT5b has been validated extensively as preferable target protein for the treatment of leukemia and other cancer types with constitutive activation of STAT5b, e.g. breast cancer, head and neck cancers. (H. Yu, R. Jove, The STATs of cancer--new molecular targets come of age. Nat Rev Cancer. 2004 Feb;4(2):97-105). So far no low-molecular inhibitors of STAT5b have been available.

**Technology /Solution**
We developed the first selective low-molecular inhibitor of STAT5b. The compounds show unprecedented selectivity towards other STAT-proteins. The compound produgs inhibit the activation of STAT5b in cultured human leuemic cells. The prodrug of Capstafin induces the death of human leuemic cells selectively via inhibition of the STAT5b signal transduction. In order to verify clinical efficacy, we seek for cooperations with clinically oriented research teams. Subsequently we aim to develop a new drug in cooperation with industry partners.

*Further reading:*

**Benefits**
Our compounds represent the first selective small-molecule inhibitors of the antitumor target STAT5b.

STAT5b inhibitors can be used as first-line treatment for tumors with activated STAT5b and/or as second-line treatment for tumors that have acquired resistance to clinically used protein kinase inhibitors.

**Potential Application**
Anticancer therapy
Basic research: tool compounds for studying the overlapping and distinct functions of STAT5b and STAT5a in cells.
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Project: 16005

Development Status

Idea
Develop selective small-molecule inhibitors of STAT5b

 Demonstrator
Solid proof-of-concept in biochemical assays and in cultured human leukemia cells

 Prototype
Synthesis of additional development candidates accomplished

 Series Production
Synthesis of larger quantities for extensive biological testing is possible.

Intellectual Property Rights
Patent pending

Cooperation Options
- License Agreement
- R&D Agreement
- Ownership Agreement

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