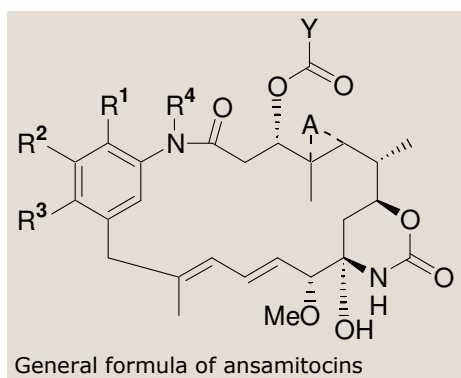


New Ansamitocin analogues for the treatment of cancer

Reference Number: TO 02-00252

Challenge

Cancer diseases are the second frequent cause of death in Europe and the USA. From a total of 58 million deaths worldwide in 2005, cancer accounts for 7.6 million (or 13%) of all deaths according to the World Health Organisation (WHO). Due to the significant adverse effects of the common used anti-cancer drugs there is an ongoing demand for new efficient substances with minor adverse effects.



Technology

Ansamitocins are natural compounds known for their extraordinarily potent anti-tumor activity due to blocking the assembly of tubulin into functional microtubules. Clinical development of the so far known derivatives was stopped in phase II due to gastrointestinal side effects and neurotoxicity. Nowadays some analogues like maytansinoids are currently developed in new targeting approaches as antibody conjugates and immunoconjugates and are tested in early clinical studies.

The present invention discloses novel and highly potent ansamitocin analogues for tumor therapy that have been achieved through mutasynthesis. By this approach new functional groups and further chemical derivatizations could be introduced. Among others new 19-deschloro and demethoxy derivatives of known AP-3 ansamitocin could be synthesized, showing a strong anti-proliferative effect, comparable or even better than known ansamitocins. These novel ansamitocin analogues are anticipated to have fewer side effects than the ones previously tested in clinical studies.

Commercial Opportunity

The technology is offered for co-development or in-licensing.

Developmental Status

The status of the development is still research. Data showing the cytotoxic activity were obtained in different cultured human tumor cell lines, especially in leukemia and ovarian cancer cell lines the activity was remarkably strong.

Patent Situation

European patent application (EP08 005327.5) and US patent application (US12/408,568) filed in 2008, pending.

Further Reading

Taft et al. ChemBioChem (2008) 9, 1057-1060. DOI:10.1002/cbic.200700742

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