

STAT3 Inhibitors and Their Anti-Cancer Usage

Novel non-peptidomimetic molecules for use as anti-cancer inhibitors of signal transducer and activator of transcription 3.

The Need

The search for more potent drug delivery candidates for cancer therapy remains a challenge within the medical community. Efforts to target cancer at the genetic level have led to numerous discoveries, including the role that constitutive activation of signal transducer and activator of transcription 3 (STAT3) plays. STAT3 has been found in a wide variety of cancers, including breast cancer and sarcomas, which makes the protein an attractive therapeutic target. As STAT3 monomers have the potential to bind to another's Src Homology 2 (SH2) domain to form the STAT3 dimer, which then can bind to DNA and result in transcription. This process can result in cell proliferation, anti-apoptosis and even the formation of cancers. Ultimately cancer therapies are some of the most researched technologies in medicine, and the broad potential use of STAT3 inhibitors would create new market opportunities across a rapidly growing field.

The Technology

Researchers at the Ohio State University, led by Dr. Chenglong Li, have designed novel, non-peptidomimetic molecules for use as anti-cancer inhibitors of STAT3, a protein involved in gene expression and associated with various cancers. The molecules were developed using Fragment-based Drug Design (FBDD) and tested for half maximal inhibitory concentration (IC50).

Commercial Applications

- Drug-based treatment of various human cancers, including many carcinomas and sarcomas, through competitive inhibition of STAT3 dimerization.
- Inhibition of the transcription factor induces natural apoptosis in proliferative cancerous tissues.

Benefits/Features

- High affinity for STAT3 and low effective IC50 increase clinical potential for cellular anti-cancer treatment.
- Ease of small molecule synthesis and higher in vivo stability compared to peptidomimetic and phosphopeptide inhibitors compared to existing antibiotics.

Patents

Patent #	Title	Country
9,783,513	STAT3 Inhibitors and their Anticancer Use	United States of America



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