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## MEETING ABSTRACTS

# SYNTHESIS OF STATTIC-CARBOXAMIDES AND EVALUATION OF ITS BIOLOGICAL ACTIVITY AS STAT3 INHIBITORS

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Signal transducer and activator of transcription-3 (STAT3) is the most studied member from seven latent cytoplasmatic transcription factors (STATs 1-4, 5a, 5b and 6) that are closely associated with the occurrence of many types of cancer (breast, leukemia, lung, lymphoma, ovarian and prostate). STAT3 contains four functional domains, from which the Src homology 2 domain (SH2 domain) is the major target for inhibition of these proteins. Inhibition results in STAT3 tyrosine 705 (Y705) phosphorylation, dimerization, nuclear transport, DNA binding and transcription induction. The benzo[*b*]thiophene 1,1-dioxide (BTP) as a pharmacophore is part of many STAT3 inhibitors, such as Stattic, HJC0123, HJC0149 and HJC0416 (1,2,3). Stattic (6-nitro derivate of BTP) is a small molecule which selectively inhibited STAT3 SH2 domain function *in vitro* (3). HJC0123, HJC0149 and HJC0416 are carboxamides made from 6-amino derivate of BTP and substituted aromatic carboxylic acids (1,2). In this study we have *in silico* designed, synthesized and purificated 8 new Stattic-carboxamides. Their physical chemical properties were predicted *in silico* and then experimentally evaluated. Their biological activity was tested on murine and human cancer cell lines. Results were evaluated and compared to Stattic as a reference compound.

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*Keywords: STAT3; benzo[b]thiophene 1,1-dioxide; Stattic carboxamides; physical chemical properties; biological activity*

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