請於此欄位填寫發明名稱

提案人：陳昆鋒主治醫師
單　位：國立臺灣大學醫學院附設醫院醫研部

技術摘要(含成果): The invention relates to new compounds having protein tyrosine phosphatase SHP-1 agonist activity and treatment methods using the same. STAT3 is a transcription factor which regulates inflammation, cell growth and survival by modulating the expression of target genes. It acts as an oncogene which is constitutively active in many cancers including liver, lung, head and neck, prostate, and breast as well as myeloma and leukemia. A key regulator of STAT3 activity is SHP-1. From a mechanistic perspective, SHP-1 exhibits protein phosphatase activity which reduces the level of Phospho-STAT3 (P-STAT) and subsequently blocks the dimerization of P-STAT3. Therefore, expression of target genes, such as cyclin D1 and survivin transcribed by STAT3, is significantly reduced. In addition, studies of SHP-1 protein and SHP-1 mRNA showed that expression level of SHP-1 was low in most cancer cells; and genetic increase in SHP-1 in cancer cells resulted in the suppression of cell growth, suggesting that the SHP-1 gene acts as a tumor suppressor. From the drug discovery point of view, development of a small molecule which can reduce P-STAT3 and increase SHP-1 level is a promising direction for cancer therapy. The newly designed compounds act as SHP-1 agonists are useful for treating a disease or condition characterized by decreased expression levels or biological activity of SHP-1, such as cancer (e.g. hepatocellular carcinoma, hepatocellular carcinoma, leukemia, lung cancer, breast cancer, renal cancer, thyroid cancer, head and neck cancer, sclerosis and osteoporosis).

競爭產品: Sorafenib, Regorafenib, Lenvatinib

專利現況: 蛋白酪胺酸磷酸酶 SHP-1 之增效劑/AGONISTS OF PROTEIN TYROSINE PHOSPHATASE SHP-1
中國專利申請號: 201680050087.0; 美國專利申請號: 15/754,838;
歐盟專利申請號: 16840834.2

聯絡方式(請不用填):
臺大產學合作總中心
Tel: 02-3366-9945, E-mail: ntuciac@ntu.edu.tw