Protein kinases are important targets for anticancer drugs. In the last twenty years at least 20 drugs acting as protein kinase inhibitors have been marketed. In this context, a library of pyrazolo[3,4-\(d\)]pyrimidines has been synthesized and biologically tested. Many compounds are potent anticancer agents acting as Src and/or Abl (two cytoplasmic tyrosine kinases) inhibitors. More recently some derivatives of the series resulted active on the serine-threonine kinase Sgk1 and a compound blocks the progression of hepatocellular carcinoma in a preclinical model.