

HEY-HAWKINS-1: Development of Tumour-selective Agents for Boron Neutron Capture Therapy (BNCT)

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High and selective accumulation of boron-based drugs in tumour cells is an important requirement for a reagent to be applicable in boron neutron capture therapy (BNCT). Up to now, only L-*para*-boronophenyl-alanine (BPA), its fructose complex and sodium mercapto-*closo*-dodecaborate (BSH) made it into clinical trials. In the past few years, promising approaches in the area of tumour targeting have been established, in which the combination of a tumour-specific ligand with a boron-based molecule is envisioned for selective accumulation of the pharmaceutical in tumour cells and their destruction by BNCT without harming the healthy tissue. Carboranes are highly hydrophobic and extremely stable icosahedral carbon-containing boron clusters. The cage framework of these clusters can easily be modified with a variety of substituents both at the carbon and at the boron atoms. Synthetic strategies and biochemical studies will be presented; one example is given below.

