

Biologically Active Carbaborane Derivatives

» Prof. Dr. Evamarie Hey-Hawkins

Carbaboranes in BNCT

One approach for selective destruction of tumor tissue in the presence of normal cells is boron neutron capture therapy (BNCT). As boron-delivery agents, conjugates of carbaboranes are highly suitable due to their high boron content, low toxicity, and very high kinetic stability. Additionally, they can be easily integrated into organic and biochemical structures due to their organic reaction behavior.

New boron compounds have been developed which have dual tumor-targeting functionality: phosphonate groups as phosphate mimetics and galactosyl moieties for binding to lectins on the surface of tumor cells. Another approach is the integration of carbaborane-containing amino acids into carrier peptides.

Carbaboranes as phenyl ring analogues

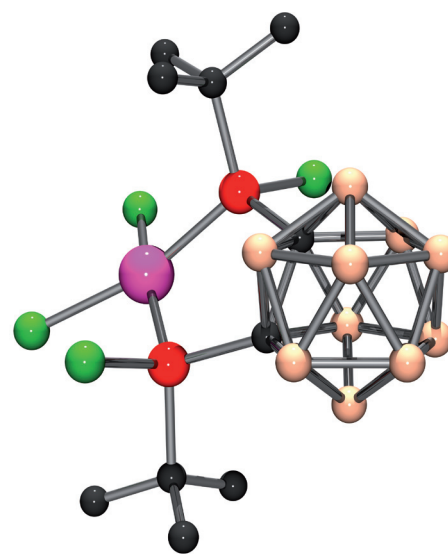
Besides three-dimensional aromaticity carbaboranes exhibit extremely high hydrophobicity. Therefore, they can replace phenyl groups as pharmacophoric moieties in biologically active structures, e. g. aspirin.

Phosphine-containing amino acids

Phosphine-containing amino acids are synthesized and introduced into proteins and then used to coordinate to catalytically active transition metals. The substrate binding site should permit stereoselective catalysis.

Keywords

- Carbaborane Conjugates in Tumor Therapy
- Carbaboranes as Pharmacophoric Moieties
- Phosphine-Substituted Amino Acids



Contact

Prof. Dr. Evamarie Hey-Hawkins
Professur für Organometallchemie/
Photochemie

Fakultät für Chemie und
Mineralogie
Institut für Anorganische Chemie
Johannisallee 29
04103 Leipzig

fon +49 341 97-36151
fax +49 341 97-39319
hey@rz.uni-leipzig.de
www.uni-leipzig.de/chemie/hh/

STADLBAUER, S.; HEY-HAWKINS, E.
Neue chemische Verbindung und deren Verwendung in der Medizin,
insbesondere für die Verwendung in der Tumorthherapie.
Patent 10 2007 038 930.4, PCT/EP2008/060649.

SCHOLZ, M.; HEY-HAWKINS, E.
Neue chemische Verbindungen, deren Herstellung und deren Verwendung.
Patent 10 2007 026 701.2.

STADLBAUER, S.; LÖNNECKE, P.; HEY-HAWKINS, E.
Phosphonate-substituted Carbaboranes for Potential Use in BNCT in Advances
in Neutron Capture Therapy 2006, Proceedings of ICNCT-12 Chemistry and
Pharmacy. Nakagawa, Y.; Kobayashi, T.; Fukuda H. (Eds.), (2006), 215.