

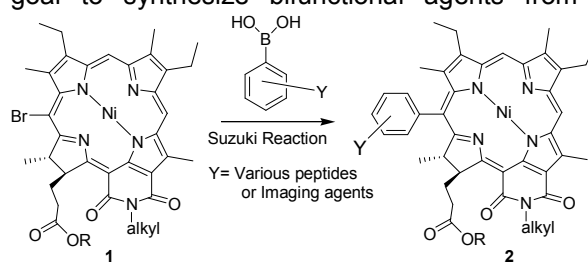
Application of Suzuki Reaction for the Regioselective Synthesis of Meso-Substituted Photosensitizers With and Without Tumor Imaging Ability

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Amongst the growing number of palladium-catalysed C-C-coupling reactions the Suzuki-Miyaura-reaction plays a leading role. Major advantages of the Suzuki-reaction are: (i) the stability of the boron-reagents, (ii) the easy access to a broad variety of boronic-acids and (iii) the tolerance for different functional groups. Due to its simple experimental conditions, this reaction has been widely used for the preparation of a variety of biologically active molecules. We herein present the utility of this synthetic approach in developing certain target-specific photosensitizers and bifunctional agents (PET/PDT, Fluorescence Imaging/PDT and MRI/PDT). This concept is based on our own findings that tumor-avid long wavelength photosensitizers can be used as vehicles to deliver the imaging agents to tumors. Thus, a single molecule can be used for tumor imaging and phototherapy.

In our approach, 20-bromo-meso purpurinimide **1** was reacted with functionalized-boronic acid, which on further reaction with a variety of targeting moieties (peptides) produced the corresponding peptide-linked photosensitizers as possible tumor targeting agents. For our goal to synthesize bifunctional agents from a single molecule, the intermediate 20-(carboxy/amino phenyl)-mesopurpurin imide was reacted with a variety of PET, MRI and fluorescence agents and the desired "bifunctional agents" were obtained in excellent yield. If required, the purpurinimide system **2** provides an opportunity to alter the overall lipophilicity of the molecule either by changing the length of N-alkyl chain or the ester functionality of the molecule. The synthesis and spectroscopic properties of



these novel structures will be discussed.