

Publication

Applications of Catalytic Organometallic C(sp₃)–H Bond Functionalization

Book Item (Buchkapitel, Lexikonartikel, jur. Kommentierung, Beiträge in Sammelbänden)

ID 3692746

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Year 2016

Title Applications of Catalytic Organometallic C(sp₃)–H Bond Functionalization

Editor(s) Dix-neuf, Pierre H.; Doucet, Henri

Book title C-H bond activation and catalytic functionalization II

Publisher Springer International Publishing

Place of publication Cham

Pages 133-154

ISSN/ISBN 978-3-319-24802-8 ; 978-3-319-29319-6

Series title Topics in Organometallic Chemistry

Number 56

The transition-metal-catalyzed activation of C(sp₃)–H bonds has emerged as powerful strategy to create bonds and introduce functional groups in a direct fashion. This review focuses on recent applications of C(sp₃)–H bond functionalization strategies to the synthesis of biologically active and natural compounds.

edoc-URL <http://edoc.unibas.ch/51791/>

Full Text on edoc Restricted;

Digital Object Identifier DOI 10.1007/3418_2015_122

ISI-number WOS:000395387400008