

# Profound Methyl Effects in Drug Discovery and a Call for

Angewandte Chemie - International Edition

52, 12256-12267

DOI: [10.1002/anie.201303207](https://doi.org/10.1002/anie.201303207)

Citation Report

#	ARTICLE	IF	CITATIONS
1	Ligand-Accelerated <i>ortho</i> -C-H Alkylation of Arylcarboxylic Acids using Alkyl Boron Reagents. <i>Journal of the American Chemical Society</i> , 2013, 135, 17508-17513.	6.6	151
2	Cross-Coupling of Remote <i>meta</i> -C-H Bonds Directed by a U-Shaped Template. <i>Journal of the American Chemical Society</i> , 2013, 135, 18056-18059.	6.6	248
3	Bayesian inference of conformational state populations from computational models and sparse experimental observables. <i>Journal of Computational Chemistry</i> , 2014, 35, 2215-2224.	1.5	22
4	Further evaluation of novel structural modifications to scaffolds that engender PLD isoform selective inhibition. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2014, 24, 5553-5557.	1.0	6
5	A highly efficient asymmetric synthesis of quaternary stereocenter-containing indolizidine and quinolizidine alkaloids using aldehydes, nitroalkenes, and unactivated cyclic ketimines. <i>Chemical Communications</i> , 2014, 50, 15913-15915.	2.2	19
6	C-H Methylation of Heteroarenes Inspired by Radical SAM Methyl Transferase. <i>Journal of the American Chemical Society</i> , 2014, 136, 4853-4856.	6.6	171
7	Late-Stage Functionalization of Biologically Active Heterocycles Through Photoredox Catalysis. <i>Angewandte Chemie - International Edition</i> , 2014, 53, 4802-4806.	7.2	413
8	Palladium-Catalyzed <i>ortho</i> -C-H Arylation/Alkylation of <i>N</i> -Benzoyl $\alpha$ -Amino Ester Derivatives. <i>Chemistry - A European Journal</i> , 2014, 20, 4548-4553.	1.7	61
9	Computational Screening and Selection of Cyclic Peptide Hairpin Mimetics by Molecular Simulation and Kinetic Network Models. <i>Journal of Chemical Information and Modeling</i> , 2014, 54, 1425-1432.	2.5	47
10	Iridium-catalyzed selective $\alpha$ -methylation of ketones with methanol. <i>Chemical Communications</i> , 2014, 50, 2491-2493.	2.2	143
11	A Free-Radical Cascade Methylation/Cyclization of <i>N</i> -Arylacrylamides and Isocyanides with Dicumyl Peroxide. <i>Organic Letters</i> , 2014, 16, 5670-5673.	2.4	128
12	Overcoming the limitations of directed C-H functionalizations of heterocycles. <i>Nature</i> , 2014, 515, 389-393.	13.7	279
13	Palladium-Catalyzed Decarboxylative Methylthiolation of Aromatic Carboxylic Acids by Using DMSO as the Sulfurizing Reagent. <i>European Journal of Organic Chemistry</i> , 2014, 2014, 7798-7802.	1.2	38
15	Highly Chemo-, Enantio-, and Regioselective Synthesis of $\alpha,\alpha$ -Disubstituted Furanones by Cu-Catalyzed Conjugate Addition. <i>Chemistry - A European Journal</i> , 2014, 20, 8893-8897.	1.7	6
16	Photoredox Catalysis in a Complex Pharmaceutical Setting: Toward the Preparation of JAK2 Inhibitor LY2784544. <i>Journal of Organic Chemistry</i> , 2014, 79, 11631-11643.	1.7	78
17	<i>tert</i> -Butyl Peroxybenzoate-Promoted $\alpha$ -Methylation of 1,3-Dicarbonyl Compounds. <i>Journal of Organic Chemistry</i> , 2014, 79, 11285-11289.	1.7	50
18	Directed functionalization of 1,2-dihydropyridines: stereoselective synthesis of 2,6-disubstituted piperidines. <i>Chemical Communications</i> , 2014, 50, 6883-6885.	2.2	27
19	Recent Advances in $\alpha$ -Alkylation Reactions using Alcohols with Hydrogen Borrowing Methodologies. <i>ACS Catalysis</i> , 2014, 4, 3972-3981.	5.5	390

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20	Iron-Catalyzed Coupling of Aryl Sulfamates and Aryl/Vinyl Tosylates with Aryl Grignards. <i>Organic Letters</i> , 2014, 16, 5080-5083.	2.4	69
21	Catalytic Methylation of C-H Bonds Using CO <sub>2</sub> and H <sub>2</sub> . <i>Angewandte Chemie - International Edition</i> , 2014, 53, 10476-10480.	7.2	108
22	GPR103 Antagonists Demonstrating Anorexigenic Activity in Vivo: Design and Development of Pyrrolo[2,3- <i>c</i> ]pyridines That Mimic the C-Terminal Arg-Phe Motif of QRFP26. <i>Journal of Medicinal Chemistry</i> , 2014, 57, 5935-5948.	2.9	19
23	Palladium-Catalyzed C8 Alkylation of 1-Naphthylamides with Alkyl Halides via Bidentate-Chelation Assistance. <i>Journal of Organic Chemistry</i> , 2014, 79, 6720-6725.	1.7	77
24	The carbomethylation of arylacrylamides leading to 3-ethyl-3-substituted indolin-2-one by cascade radical addition/cyclization. <i>Chemical Communications</i> , 2014, 50, 3865.	2.2	103
25	Di- <i>tert</i> -Butyl Peroxide-Promoted Sequential Methylation and Intramolecular Aromatization of Isonitriles. <i>Advanced Synthesis and Catalysis</i> , 2014, 356, 3341-3346.	2.1	63
26	Benzene construction via organocatalytic formal [3+3] cycloaddition reaction. <i>Nature Communications</i> , 2014, 5, 5027.	5.8	95
27	Tailoring 3,3'-Dihydroxyisorenieratene to Hydroxystilbene: Finding a Resveratrol Analogue with Increased Antiproliferation Activity and Cell Selectivity. <i>Chemistry - A European Journal</i> , 2014, 20, 8904-8908.	1.7	15
28	Discovery of Imigliptin, a Novel Selective DPP-4 Inhibitor for the Treatment of Type 2 Diabetes. <i>ACS Medicinal Chemistry Letters</i> , 2014, 5, 921-926.	1.3	36
31	Stereoselective Organocatalytic Synthesis of Oxindoles with Adjacent Tetrasubstituted Stereocenters. <i>Angewandte Chemie</i> , 2015, 127, 8311-8315.	1.6	28
32	Nickel-catalyzed Cross-coupling of Anisole Derivatives with Trimethylaluminum through the Cleavage of Carbon-Oxygen Bonds. <i>Chemistry Letters</i> , 2015, 44, 1729-1731.	0.7	57
33	Rational Design of Benzylidenehydrazinyl-Substituted Thiazole Derivatives as Potent Inhibitors of Human Dihydroorotate Dehydrogenase with in Vivo Anti-arthritis Activity. <i>Scientific Reports</i> , 2015, 5, 14836.	1.6	19
34	The Nickel(II)-Catalyzed Direct Benzoylation, Allylation, Alkylation, and Methylation of C-H Bonds in Aromatic Amides Containing an 8-Aminoquinoline Moiety as the Directing Group. <i>Bulletin of the Chemical Society of Japan</i> , 2015, 88, 438-446.	2.0	78
36	Regioselective Methylation of Catechols by Using Three-Enzyme Cascades. <i>ChemBioChem</i> , 2015, 16, 2576-2579.	1.3	37
37	Iron-Catalyzed Directed Alkylation of Alkenes and Arenes with Alkylzinc Halides. <i>Advanced Synthesis and Catalysis</i> , 2015, 357, 2175-2179.	2.1	67
38	Stereoselective Organocatalytic Synthesis of Oxindoles with Adjacent Tetrasubstituted Stereocenters. <i>Angewandte Chemie - International Edition</i> , 2015, 54, 8193-8197.	7.2	78
39	Iron-Catalyzed Directed C(sp <sup>2</sup> )-H and C(sp <sup>3</sup> )-H Functionalization with Trimethylaluminum. <i>Journal of the American Chemical Society</i> , 2015, 137, 7660-7663.	6.6	237
40	Benzimidazole-containing HCV NS5A inhibitors: Effect of 4-substituted pyrrolidines in balancing genotype 1a and 1b potency. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2015, 25, 944-947.	1.0	8

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41	Discovery of novel 2-(alkylmorpholin-4-yl)-6-(3-fluoropyridin-4-yl)-pyrimidin-4(3H)-ones as orally-active GSK-3 $\beta$ inhibitors for Alzheimer's disease. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2015, 25, 1086-1091.	1.0	25
42	Iodine-catalyzed ammoxidation of methyl arenes. <i>Chemical Communications</i> , 2015, 51, 5085-5088.	2.2	41
43	Synthesis of Bicyclic Proline Derivatives by the Aza-Cope-Mannich Reaction: Formal Synthesis of (±)-Acetylaranotin. <i>Chemistry - A European Journal</i> , 2015, 21, 4141-4147.	1.7	15
44	Transition-Metal-Catalyzed Arylation of Nitroimidazoles and Further Transformations of Manipulable Nitro Group. <i>Journal of Organic Chemistry</i> , 2015, 80, 2103-2119.	1.7	37
45	Academia-Industry Symbiosis in Organic Chemistry. <i>Accounts of Chemical Research</i> , 2015, 48, 712-721.	7.6	64
46	Stereospecific Nickel-Catalyzed Cross-Coupling Reactions of Benzylic Ethers and Esters. <i>Accounts of Chemical Research</i> , 2015, 48, 2344-2353.	7.6	236
47	Conformational Restriction and Steric Hindrance in Medicinal Chemistry. , 2015, , 279-299.		29
48	Novel oxazolidinone calcitonin gene-related peptide (CGRP) receptor antagonists for the acute treatment of migraine. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2015, 25, 4777-4781.	1.0	21
49	Methyl-substitution of an iminohydantoin spiropiperidine $\beta$ -secretase (BACE-1) inhibitor has a profound effect on its potency. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2015, 25, 4812-4819.	1.0	17
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51	Discovery, design, and synthesis of indole-based EZH2 inhibitors. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2015, 25, 3644-3649.	1.0	48
52	Insights into the importance for designing curcumin-inspired anticancer agents by a prooxidant strategy: The case of diarylpentanoids. <i>Free Radical Biology and Medicine</i> , 2015, 85, 127-137.	1.3	70
53	Recent Advances in Transition Metal-Catalyzed Methylation Reactions. <i>Advanced Synthesis and Catalysis</i> , 2015, 357, 1333-1350.	2.1	123
54	The Literature of Heterocyclic Chemistry, Part XIII, 2012-2013. <i>Advances in Heterocyclic Chemistry</i> , 2015, 116, 193-363.	0.9	12
55	Design and synthesis of analogues of natural products. <i>Organic and Biomolecular Chemistry</i> , 2015, 13, 5302-5343.	1.5	132
56	Iron-Catalyzed C(sp <sup>2</sup> ) $\text{H}$ and C(sp <sup>3</sup> ) $\text{H}$ Methylations of Amides and Anilides. <i>Chemistry - A European Journal</i> , 2015, 21, 8812-8815.	1.7	95
57	Strong Nonadditivity as a Key Structure-Activity Relationship Feature: Distinguishing Structural Changes from Assay Artifacts. <i>Journal of Chemical Information and Modeling</i> , 2015, 55, 483-494.	2.5	38
58	WONKA: objective novel complex analysis for ensembles of protein-ligand structures. <i>Journal of Computer-Aided Molecular Design</i> , 2015, 29, 963-973.	1.3	8

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59	Copper-catalyzed N-methylation/ethylation of sulfoximines. <i>Organic and Biomolecular Chemistry</i> , 2015, 13, 9934-9937.	1.5	35
60	Alcohols as alkylating agents in heteroarene C-H functionalization. <i>Nature</i> , 2015, 525, 87-90.	13.7	581
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62	Multigram Synthesis of Fluoroalkyl-Substituted Pyrazole-carboxylic Acids. <i>European Journal of Organic Chemistry</i> , 2015, 2015, 886-891.	1.2	27
63	[Cp*Ru]-catalyzed selective coupling/hydrogenation. <i>Catalysis Science and Technology</i> , 2015, 5, 1650-1657.	2.1	3
64	Opportunities and challenges for direct C-H functionalization of piperazines. <i>Beilstein Journal of Organic Chemistry</i> , 2016, 12, 702-715.	1.3	36
65	Photoredox-mediated Minisci C-H alkylation of N-heteroarenes using boronic acids and hypervalent iodine. <i>Chemical Science</i> , 2016, 7, 6407-6412.	3.7	272
67	Pd-Catalyzed C-H Alkylation of Arenes Using PyrDipSi, a Transformable and Removable Silicon-Tethered Directing Group. <i>Chemistry - A European Journal</i> , 2016, 22, 11201-11204.	1.7	23
68	High-Valent Cobalt-Catalyzed C-H Functionalization Based on Concerted Metalation-Deprotonation and Single-Electron-Transfer Mechanisms. <i>ChemCatChem</i> , 2016, 8, 1242-1263.	1.8	270
69	Palladium-catalysed direct C-2 methylation of indoles. <i>Organic and Biomolecular Chemistry</i> , 2016, 14, 7443-7446.	1.5	24
70	Mild and Efficient Palladium-Catalyzed Direct Trifluoroethylation of Aromatic Systems by C-H Activation. <i>Angewandte Chemie</i> , 2016, 128, 2028-2032.	1.6	15
71	An Efficient Synthesis of Polysubstituted Pyridines via C-H Oxidation and C-S Cleavage of Dimethyl Sulfoxide. <i>Advanced Synthesis and Catalysis</i> , 2016, 358, 218-225.	2.1	78
72	Cobalt-Catalyzed C(sp <sup>2</sup> )-H Methylation by using Dicumyl Peroxide as both the Methylating Reagent and Hydrogen Acceptor. <i>Chemistry - A European Journal</i> , 2016, 22, 12286-12289.	1.7	42
73	The Applications of Dimethyl Sulfoxide as Reagent in Organic Synthesis. <i>Advanced Synthesis and Catalysis</i> , 2016, 358, 336-352.	2.1	277
74	Synthesis of (pentafluorophenyl)benzenes via Pd-catalyzed C-H arylation of pentafluorobenzene with aryl iodine diacetates. <i>Journal of the Iranian Chemical Society</i> , 2016, 13, 1931-1936.	1.2	3
75	Visible-Light-Promoted (Phenylsulfonyl)methylation of Electron-Rich Heteroarenes and N-Arylacrylamides. <i>Journal of Organic Chemistry</i> , 2016, 81, 6972-6979.	1.7	32
76	Discovery of novel non-steroidal reverse indole mineralocorticoid receptor antagonists. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2016, 26, 2866-2869.	1.0	12
77	The Synthesis of Methyl-Substituted Spirocyclic Piperidine-Azetidine (2,7-Diazaspiro[3.5]nonane) and Spirocyclic Piperidine-Pyrrolidine (2,8-Diazaspiro[4.5]decane) Ring Systems. <i>Journal of Organic Chemistry</i> , 2016, 81, 3509-3519.	1.7	16

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78	Palladium-catalyzed Catellani-type couplings using methylating reagents for the synthesis of highly substituted ortho-methyl-arenes and heteroarenes. <i>Tetrahedron Letters</i> , 2016, 57, 5053-5056.	0.7	25
79	Vinyl Esters as Acetaldehyde Surrogates: Potential Utility in Some Common Multicomponent Sequences. <i>ChemistrySelect</i> , 2016, 1, 4672-4681.	0.7	1
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81	Asymmetric Synthesis of Chiral $\beta$ -Methyl- $\beta$ , $\gamma$ -diamino Acid Derivatives via Group-Assisted Purification Chemistry Using <i>N</i> -Phosphonyl Imines and a Ni(II)-Complexed Alanine Schiff Base. <i>Journal of Organic Chemistry</i> , 2016, 81, 7654-7661.	1.7	20
82	Regio- and Enantioselective Copper-Catalyzed 1,4-Conjugate Addition of Trimethylaluminium to Linear $\alpha,\beta,\gamma$ -Unsaturated Alkyl Ketones. <i>Advanced Synthesis and Catalysis</i> , 2016, 358, 2510-2518.	2.1	15
83	Crystal Structure of Carboxyltransferase from <i>Staphylococcus aureus</i> Bound to the Antibacterial Agent Moiramide B. <i>Biochemistry</i> , 2016, 55, 4666-4674.	1.2	14
84	Iron-Catalyzed <i>Ortho</i> -C-H Methylation of Aromatics Bearing a Simple Carbonyl Group with Methylaluminum and Tridentate Phosphine Ligand. <i>Journal of the American Chemical Society</i> , 2016, 138, 10132-10135.	6.6	133
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88	Identification of Ligand Binding Hot Spots of the Histamine H <sub>1</sub> Receptor following Structure-Based Fragment Optimization. <i>Journal of Medicinal Chemistry</i> , 2016, 59, 9047-9061.	2.9	26
89	A Convenient Ruthenium-Catalysed $\alpha$ -Methylation of Carbonyl Compounds using Methanol. <i>Advanced Synthesis and Catalysis</i> , 2016, 358, 3373-3380.	2.1	59
90	Nickel-Catalyzed Methylation of Aryl Halides with Deuterated Methyl Iodide. <i>Angewandte Chemie</i> , 2016, 128, 9895-9899.	1.6	13
91	Transition-Metal-Free Regioselective Alkylation of Pyridine <i>N</i> -Oxides Using 1,1-Diborylalkanes as Alkylating Reagents. <i>Angewandte Chemie - International Edition</i> , 2016, 55, 9690-9694.	7.2	169
92	Nickel-Catalyzed Methylation of Aryl Halides with Deuterated Methyl Iodide. <i>Angewandte Chemie - International Edition</i> , 2016, 55, 9743-9747.	7.2	64
93	Transition-Metal-Free Regioselective Alkylation of Pyridine <i>N</i> -Oxides Using 1,1-Diborylalkanes as Alkylating Reagents. <i>Angewandte Chemie</i> , 2016, 128, 9842-9846.	1.6	63
94	Cobalt-Catalyzed Monoselective <i>Ortho</i> -C-H Functionalization of Carboxamides with Organoaluminum Reagent. <i>Organic Letters</i> , 2016, 18, 5628-5631.	2.4	37
95	Hydrogen-Bonding-Induced Fluorescence: Water-Soluble and Polarity-Independent Solvatochromic Fluorophores. <i>Journal of Organic Chemistry</i> , 2016, 81, 10922-10929.	1.7	35

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96	Mild and Efficient Palladium-Catalyzed Direct Trifluoroethylation of Aromatic Systems by C-H Activation. <i>Angewandte Chemie - International Edition</i> , 2016, 55, 1988-1992.	7.2	69
97	Phenyltrimethylammonium Salts as Methylation Reagents in the Nickel-Catalyzed Methylation of C-H Bonds. <i>Angewandte Chemie - International Edition</i> , 2016, 55, 3162-3165.	7.2	120
98	Building Bridges: Biocatalytic C-C Bond Formation toward Multifunctional Products. <i>ACS Catalysis</i> , 2016, 6, 4286-4311.	5.5	155
99	Metal-Free Oxidative 1,2-Arylmethylation Cascades of <i>N</i> -(Arylsulfonyl)acrylamides Using Peroxides as the Methyl Resource. <i>Organic Letters</i> , 2016, 18, 3198-3201.	2.4	63
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101	Phenyltrimethylammonium Salts as Methylation Reagents in the Nickel-Catalyzed Methylation of C-H Bonds. <i>Angewandte Chemie</i> , 2016, 128, 3214-3217.	1.6	27
102	Methyltransferases: Green Catalysts for Friedel-Crafts Alkylations. <i>ChemCatChem</i> , 2016, 8, 1354-1360.	1.8	22
103	C-C Activation by Retro-Aldol Reaction of Two $\beta$ -Hydroxy Carbonyl Compounds: Synergy with Pd-Catalyzed Cross-Coupling To Access Mono- $\alpha$ -arylated Ketones and Esters. <i>Journal of Organic Chemistry</i> , 2016, 81, 57-65.	1.7	39
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107	Molecular inflation, attrition and the rule of five. <i>Advanced Drug Delivery Reviews</i> , 2016, 101, 22-33.	6.6	144
108	Unveiling Secrets of Overcoming the "Heteroatom Problem" in Palladium-Catalyzed Aerobic C-H Functionalization of Heterocycles: A DFT Mechanistic Study. <i>Journal of the American Chemical Society</i> , 2016, 138, 2712-2723.	6.6	65
109	C-Alkylation by Hydrogen Autotransfer Reactions. <i>Topics in Current Chemistry</i> , 2016, 374, 11.	3.0	50
110	Functionalization of C(sp <sup>3</sup> )-H Bond by Visible-Light Photoredox Catalysis. <i>Springer Briefs in Molecular Science</i> , 2016, , 61-81.	0.1	1
111	Preclinical characterization of substituted 6,7-dihydro-[1,2,4]triazolo[4,3- <i>a</i> ]pyrazin-8(5H)-one P2X7 receptor antagonists. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2016, 26, 257-261.	1.0	20
112	Ultra-High-Throughput Structure-Based Virtual Screening for Small-Molecule Inhibitors of Protein-Protein Interactions. <i>Journal of Chemical Information and Modeling</i> , 2016, 56, 399-411.	2.5	44
113	The medicinal chemist's toolbox for late stage functionalization of drug-like molecules. <i>Chemical Society Reviews</i> , 2016, 45, 546-576.	18.7	1,243



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115	Hydrazinyldiene-chroman-2,4-diones in inducing growth arrest and apoptosis in breast cancer cells: Synergism with doxorubicin and correlation with physicochemical properties. Acta Pharmaceutica, 2017, 67, 35-52.	0.9	8
116	Transition-Metal-Catalyzed Utilization of Methanol as a C <sub>1</sub> ...Source in Organic Synthesis. Angewandte Chemie - International Edition, 2017, 56, 6384-6394.	7.2	227
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129	Katalytische Desymmetrisierung durch C-H-Funktionalisierung: eine Lösung für das Problem der stereogenen Methylgruppe. Angewandte Chemie, 2017, 129, 7460-7462.	1.6	2
130	Catalytic Desymmetrization by C-H Functionalization as a Solution to the Chiral Methyl Problem. Angewandte Chemie - International Edition, 2017, 56, 7354-7356.	7.2	10
131	Conformational control in structure-based drug design. Bioorganic and Medicinal Chemistry Letters, 2017, 27, 2825-2837.	1.0	38



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132	Catalytic $\text{sp}^3 \rightarrow \text{sp}^3$ Functionalisation of Sulfonamides: Late-Stage Modification of Drug-Like Molecules. <i>Chemistry - A European Journal</i> , 2017, 23, 1494-1497.	1.7	7
133	Enantioselective Formal $\alpha$ -Methylation and $\alpha$ -Benzoylation of Aldehydes by Means of Photoorganocatalysis. <i>Angewandte Chemie</i> , 2017, 129, 4518-4522.	1.6	22
134	Selective $\text{sp}^3$ C-H alkylation via polarity-match-based cross-coupling. <i>Nature</i> , 2017, 547, 79-83.	13.7	396
135	Mild C(sp) $\alpha$ -H functionalization of dihydrosanguinarine and dihydrochelerythrine for development of highly cytotoxic derivatives. <i>European Journal of Medicinal Chemistry</i> , 2017, 138, 1-12.	2.6	7
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