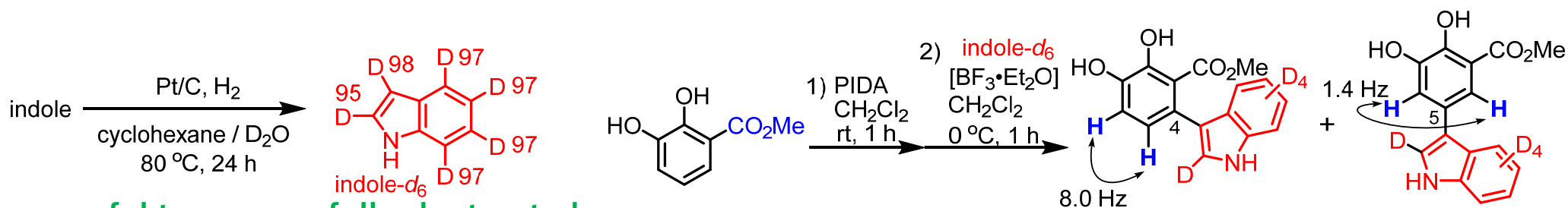
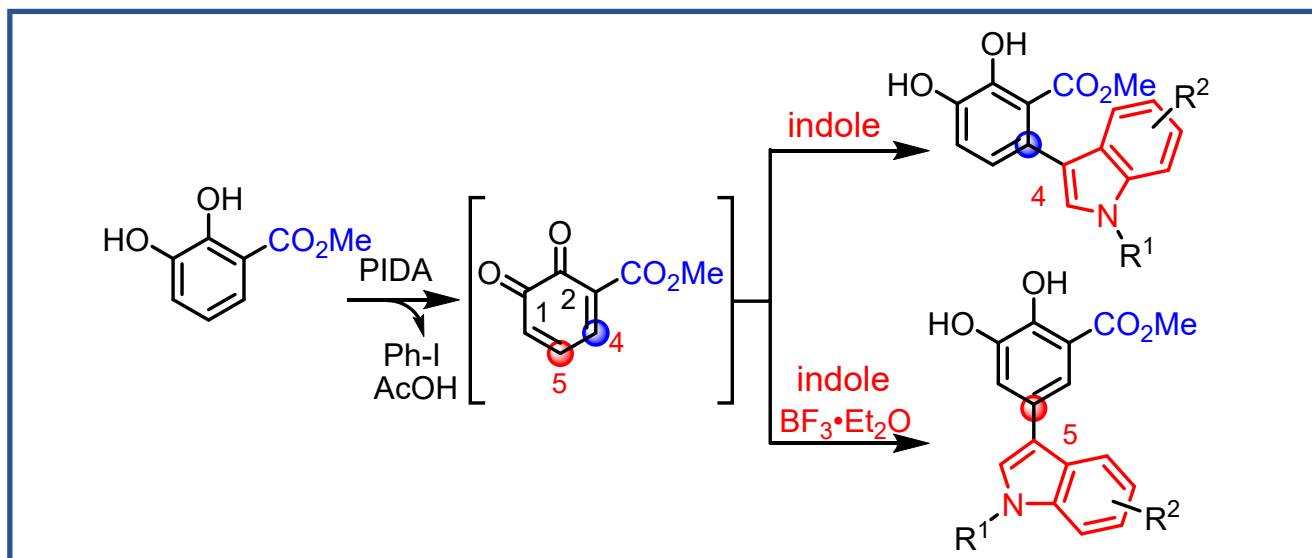


Oxidative Two-way Regiocontrolled Coupling of 3-Methoxycarbonylcatechol and Indoles to Arylindoles

Yoshinari Sawama,* Shoko Kuwata, Miyu Mae, Taro Udagawa, Shuji Akai and Hironao Sajiki

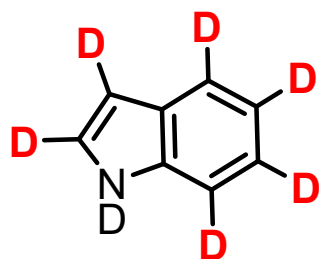
Chemical Communications, 2022, DOI: 10.1039/D2CC04843D



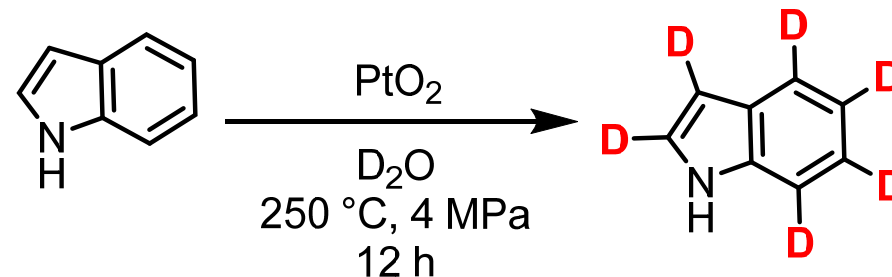
Successful to prepare fully-deuterated indole (indole-*d*₆) with high D contents.

Simplification of ¹H NMR spectra.

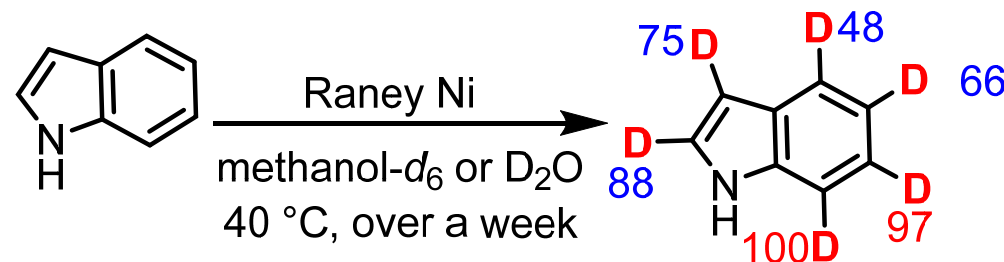
背景；重水素化インドール



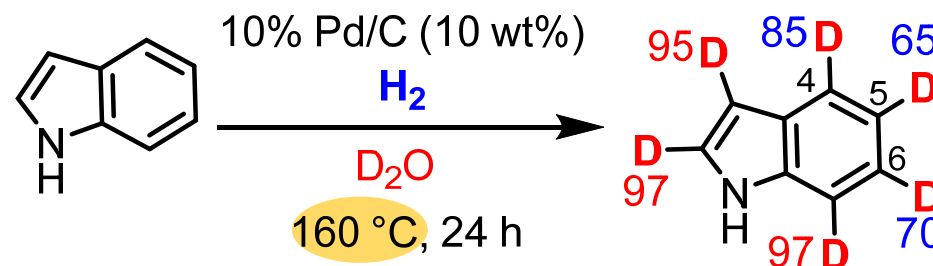
関東化学
250 mg, ¥61,600



S. Matsubara et al, *Synthesis* **2007**, 13, 2055.



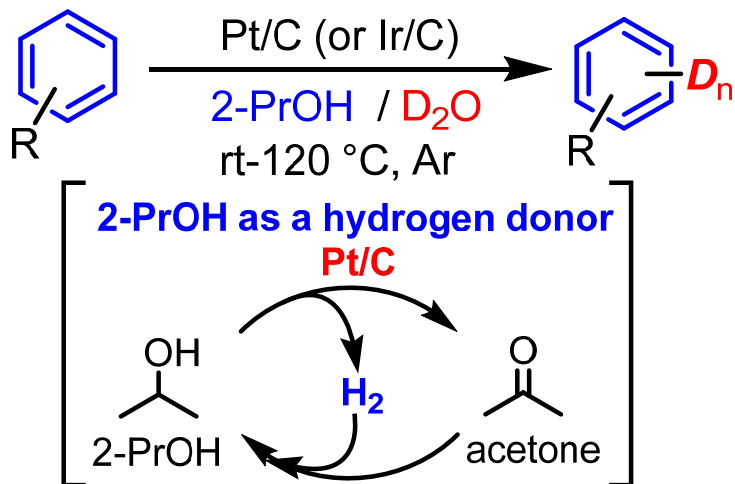
Yau, Y.-M. et al, *J. Labelled Cpd. Radiopharm.* **1999**, 42, 709



多様な芳香族化合物に適用可

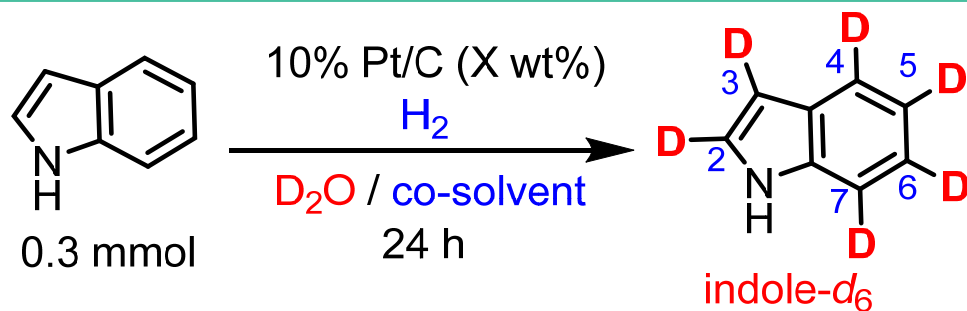
H. Sajiki et al, *Tetrahedron* **2006**, 62, 47

芳香族化合物の多重重水素化

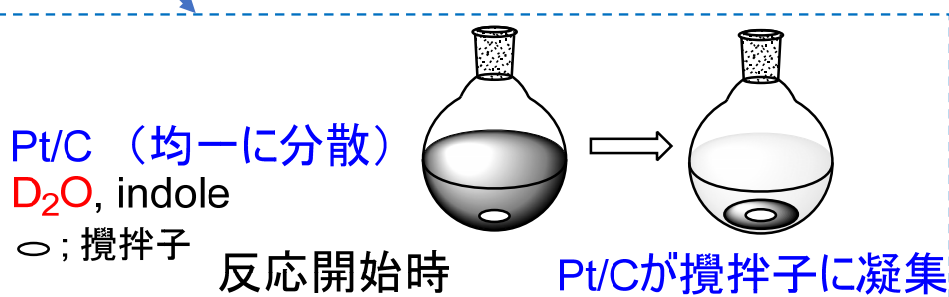


Y. Sawama, H. Sajiki et al, *Org. Process. Res. Dev.* **2019**, 23, 648.
(Recent Review) 澤間善成, ‘低分子モダリティの進化-元素・光・分子機能に注目して’重水素置換低分子化合物の創薬利用と効率的合成, 月刊「細胞」2022年8月号特集 **2022**, 54, 43.

インドールの重水素化：反応条件の最適化(cyclohexaneの添加が肝)



entry	10% Pt/C (wt%)	D ₂ O (mL)	temp (°C)	co-solvent	Deuterium content(%)					yield (%)
					2	3	4	5,6	7	
1	10	1.5	80	—	74	40	97	95	99	-
2	10	3.0	80	—	39	71	60	65	80	87
3	20	1.5	80	—	75	78	88	84	90	79
4	10	1.5	100	—	58	31	63	72	77	79
5	10	1.5	80	cyclohexane	95	93	96	96	96	73



Pt/Cの攪拌子への凝集が抑制

