

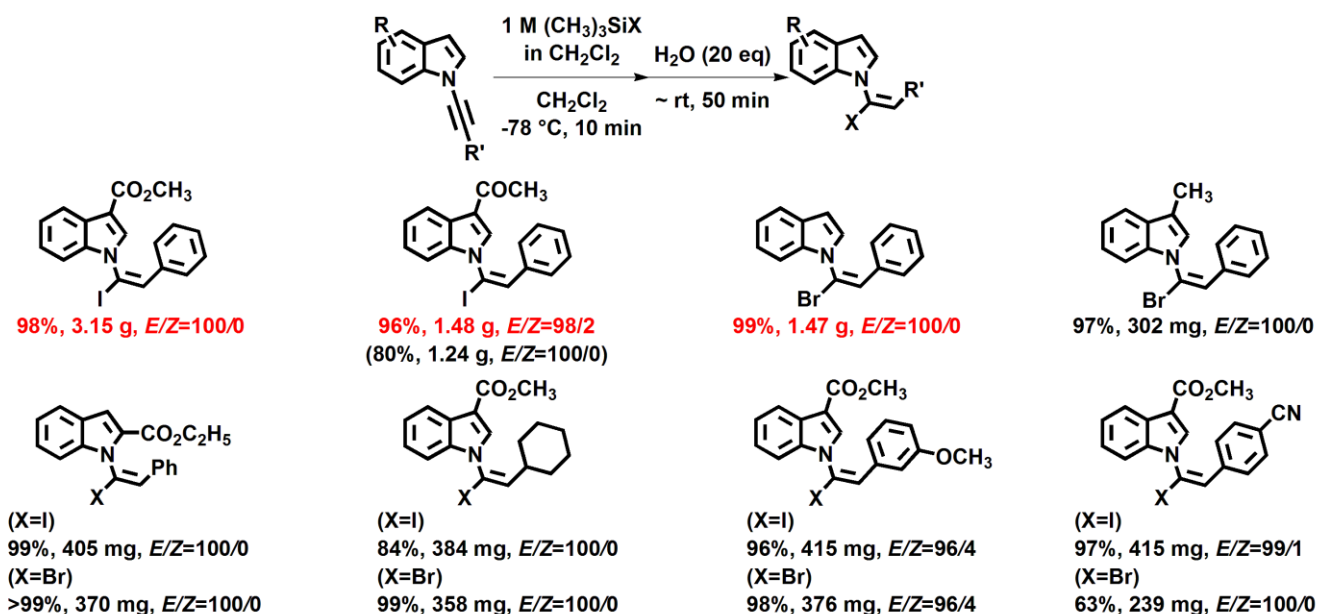


Facile synthesis of 1-(1-halovinyl)-1*H*-indoles via regio- and stereospecific hydrohalogenation

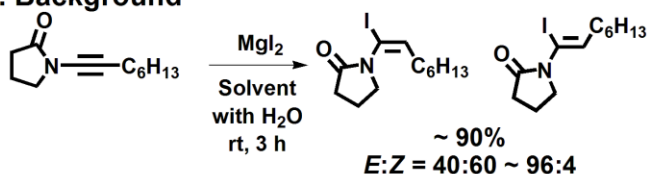
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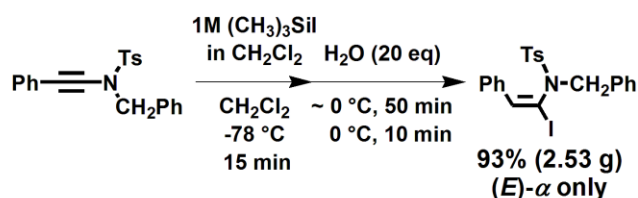
1. Summary a) Sato, A. H.; Ohashi, K.; Ito, K.; Iwasawa, T. *Tetrahedron Lett.* 2013, 54, 2878-2882.



2. Background

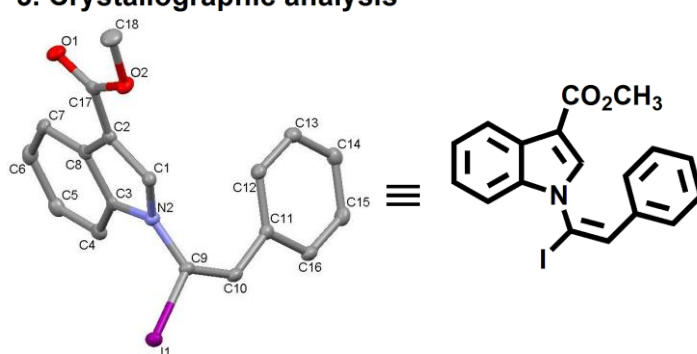


Mulder, J. A.; Kurtz, K. C. M.; Hsung, R. P.; Coverdale, H.; Frederick, M. O.; Shen, L.; Zifcick, C. A. *Org. Lett.* 2003, 5, 1547-1550.



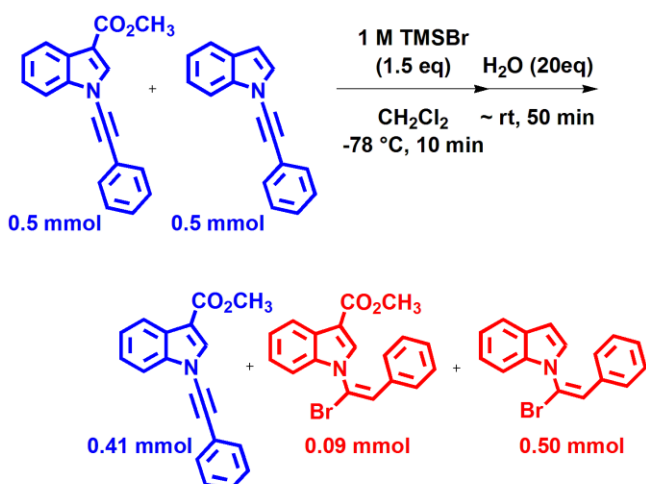
1. Sato, A. H.; Ohashi, K.; Iwasawa, T. *Tetrahedron Lett.* 2013, 54, 1309-1311.
2. 特願 2012-278613

3. Crystallographic analysis

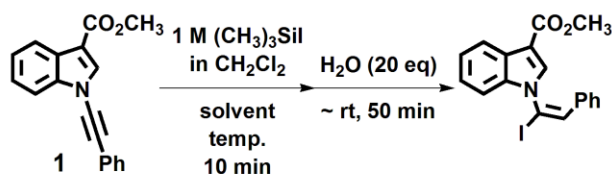


ORTEP drawing of 1-(1-iodovinyl)-1*H*-indole with thermal ellipsoids at the 50% probability level. Hydrogen atoms are omitted for clarity. Selected bond lengths (Å): N(2)-C(9) = 1.415, C(9)-I(1) = 2.125, C(9)-C(10) = 1.327, C(10)-C(11) = 1.479.

4. A competitive experiment



5. Evaluation of the reactivity of 1



TMSI (eq)	temp. (°C)	solvent	Yield (%) E/Z
1.2	-78	CH ₂ Cl ₂	73/0
1.5	-78	CH ₂ Cl ₂	99/0
2.0	-78	CH ₂ Cl ₂	>99/0
2.0	-45	CH ₂ Cl ₂	95/0
2.0	0	CH ₂ Cl ₂	94/0
2.0	-78	toluene	92/0
2.0	-78	CPME	96/0
2.0	-78	THF	68/0