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Aryl iodides from aryllithiums using an iodolactone as an iodine electrophile

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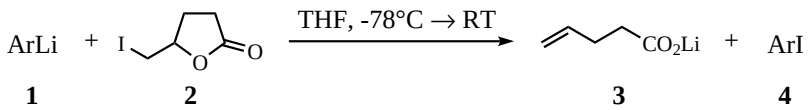
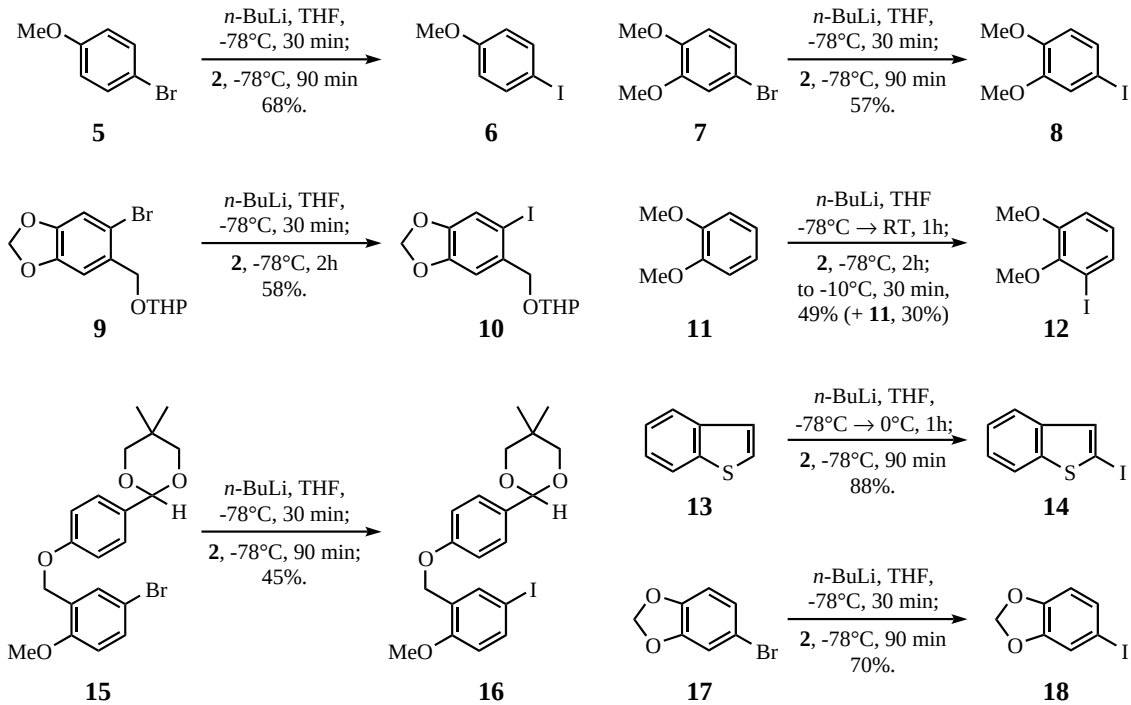
Abstract—The paper describes the use of iodolactone **2** as an iodine electrophile for transforming aryllithiums into aryl iodides.

The synthesis of aryl iodides from aryllithiums is usually accomplished using 1,2-diiodoethane or iodine as the halogen electrophile.[1,2] The fact that each method has found widespread application bares testiment to their utility and effectiveness. In this letter we report our finding that iodolactone **2**[3] also serves as an iodine



1 **2** **3** **4**

Scheme 1.



Scheme 2.

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electrophile for the preparation of aryl iodides and hetaryl iodides from the corresponding organolithiums (Scheme 1). Notably, reactions are easily accomplished, reliable for a wide range of substrates and yields compare favourably with the aforementioned procedures.[4]

Several examples have been realised (Scheme 2) and in each case products were formed in moderate to good yield. Though this new procedure is primarily presented as interesting curiosity, the ease with which it can be effected makes it a worthwhile addition to existing protocols.[4] We are presently examining the reaction with other readily available iodolactones in the hope of suppressing the dominant side reaction, protonation.

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4. All compounds gave satisfactory spectral and analytical characteristics. At the request of a referee we have conducted the following comparative studies using 1,2-diiodoethane as the iodine electrophile. Thus, **5**→**6** proceeded in 69% yield; **7**→**8** proceeded in 55% yield; **15**→**16** proceeded in <35% yield; **17**→**18** proceeded in 60% yield. The lithiation and iodination of **11** to **12** with iodine has been reported to proceed in 90% yield (see: (a) Marti, T.; Peterson, B. R.; Fuerer, A.; Mordasini-Denti, T.; Zarske, J.; Jaun, B.; Diederich, F.; Gramlich, V. *Helv. Chim. Acta* **1998**, *81*, 109; (b) Essamkaoui, M.; Mayrargue, J.; Vierfond, J.-M.; Reynet, A.; Moskowitz, H.; Thal, C. *Synth. Commun.* **1992**, *22*, 2723). The lithiation and iodination of **13** to **14** with iodine has been reported in yields ranging from 29 to 90% (see (c) Vallgarda, J.; Appelberg, U.; Arvidsson, L.-E.; Hjorth, S.; Svensson, B. E.; Hacksell, U. *J. Med. Chem.* **1996**, *39*, 1485; (d) Gaertner, R. *J. Am. Chem. Soc.* **1952**, *74*, 4950; (e) Rossi, R.; Carpita, A.; Lezzi, A. *Tetrahedron* **1984**, *40*, 2773; (f) Ilagouma, A. T.; Dornand, J.; Liu, C. F.; Zenone, F.; Mani, J. C.; Kamenka, J. M. *Eur. J. Med. Chem.* **1990**, *25*, 609.)