

From Aromatic Iodides to Heterocycles of Interest

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Aromatic heterocycles are present in a myriad of molecules used for numerous applications. In the group, synthetic methodologies are developed to selectively introduce iodine onto heteroaromatic compounds. Besides direct iodination [1], deprotometalation reactions followed by iodolysis have been applied to substrates sensitive to nucleophilic attacks [2]. To overcome the low tolerance of some functional groups toward organolithiums, hindered lithium amide-metal trap tandems have been designed. The generated aromatic iodides have been converted by transition metal-catalyzed cross-couplings, for instance combined with cyclizations, to access original heterocycles. Their properties have been evaluated in the frame of collaborations, and a few showed valuable bioactivities [1-3].

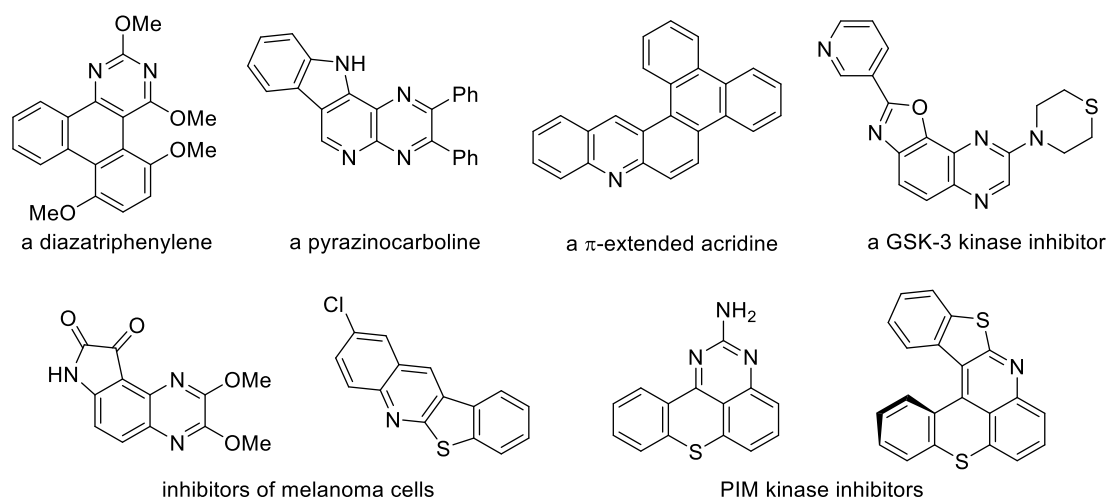


Figure 1. Heterocycles synthesized from aromatic iodides.

References:

- [1] Lassagne, F.; Sims, J.M.; Erb, W.; Mongin, O.; Richy, N.; El Osmani, N.; Fajloun, Z.; Picot, L.; Thiéry, V.; Robert, T.; Bach, S.; Dorcet, V.; Roisnel, T.; Mongin, F. Thiazolo[5,4-*f*]quinoxalines, Oxazolo[5,4-*f*]quinoxalines and Pyrazino[*b,e*]isatins: Synthesis from 6-Aminoquinoxalines and Properties. *Eur. J. Org. Chem.* **2021**, 2756–2763.
- [2] Mokhtari Brikci-Nigassa, N.; Bentabed-Ababsa, G.; Erb, W.; Mongin, F., In situ 'trans-metal trapping': An efficient way to extend the scope of aromatic deprotometalation. *Synthesis* **2018**, 50, 3615–3633.
- [3] Mokhtari Brikci-Nigassa, N.; Nauton, L.; Moreau, P.; Mongin, O.; Duval, R.E.; Picot, L.; Thiéry, V.; Souab, M.; Baratte, B.; Ruchaud, S.; Bach, S.; Le Guevel, R.; Bentabed-Ababsa, G.; Erb, W.; Roisnel, T.; Dorcet, V.; Mongin, F., Functionalization of 9-thioxanthone at the 1-position: From arylamino derivatives to [1]benzo(thio)pyrano[4,3,2-*de*]benzothieno[2,3-*b*]quinolines of biological interest. *Bioorg. Chem.* **2020**, 94, 103347. Bouarfa, S.; Jéhan, P.; Erb, W.; Mongin, O.; Porée, F.-H.; Roisnel, T.; Bentabed-Ababsa, G.; Le Yondre, N.; Mongin, F., Aza-aromatic polycycles based on triphenylene and acridine or acridone: Synthesis and properties. *New J. Chem.* **2021**, 45, 14414–14424.