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IL19 – Substrate and Catalyst Controlled Regioselective C–H Functionalization
of Five-Membered Heterocycles

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Herein, we disclose the sequential functionalization of 5-membered ring heterocycles. By employing a pH sensitive directing group both directed and non-directed C–H activation pathways are available, providing access to 2,3,4- and 2,4,-5 substituted thiophenes. Moreover, during the optimization process we discovered that the C–H arylation could be performed in water, and that using a surfactant greatly improved the yield and mass recovery. We believe that the use of directing groups with an on/off switch offers a potentially powerful means of generating diversity around medicinally relevant cores.

