

**RECENT ADVANCES IN HETEROCYCLIC SYNTHESIS IN
AstraZeneca ONCOLOGY**

Stuart Pearson

AstraZeneca, Oncology iMEDAlderley Edge, England, United Kingdom

The ability to rapidly synthesise new building blocks is essential to generating new chemical equity in a pharmaceutical research program. We present a number of syntheses of novel heterocycles from recent projects in our Oncology department, including tetrahydroisoquinolines via Pictet-Spengler cyclisation, pyrrololactams via silver-catalysed cycloadditions of isocyanoacetates and aminoalkynes and 3-amino-5-arylisothiazoles via cyclisation of propynenitriles with sodium sulfide and chloramine. Further derivatisation of these ring systems will also be described, including iridium-catalysed borylation and alkylations using the Tsunoda reagent (CBMP) where Mitsunobu conditions were unsuccessful.