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Potential Bioactive *N*-Heterocyclic/Spirocyclic Sulfonamides Building Blocks

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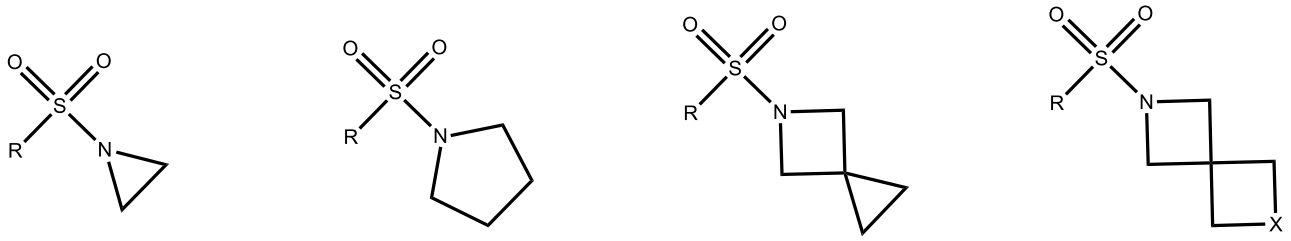
ABSTRACT

The suggestion by Lovering that compounds with higher fraction of sp^3 (Fsp^3= number of sp^3 hybridized carbon/ total hydrocarbon count) have a higher probability to transition from discovery, through clinical trial to drugs[1], has resulted in increased exploration of the vast chemical space[2] for saturated scaffolds. Particular attention has been given to quaternary carbon in small molecules which give them conformational restriction and structural complexity that are shown to impart increased potency, selectivity and metabolic stability.[3] As sulfonamides are a privileged structural class, widely represented in bioactive compounds,[4,5] we decided to synthesize aromatics and aliphatic sulfonamides presenting cyclic and spyrocyclic amines in its structures (Scheme I). These building blocks will be appended to chalcones scaffold and their biological activity will be evaluated.



R= $BrCH_2Ph$-, $BrCH_2$-

X= CH_2, NAr, NR, S, SO_2

Scheme I Sulfonamides from cyclic/spirocyclic amines

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