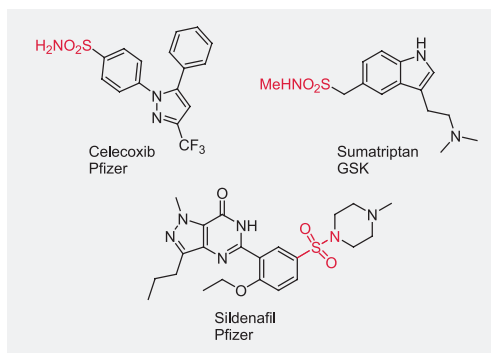


New synthetic approach to diverse heterocyclic sulfonyl chlorides

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Introduction

- Sulfonyl chlorides are basically used for the syntheses of sulfonamides
- Sulfonamide-group has great importance in medicinal chemistry with various biological activities including anti-bacterial, hypoglycemic, diuretic and anti-cancer activities.
- Sulfonamide moiety is present in many structures of commercialized drugs and drug-candidates.
- SO₂NH-fragment is considered to be convenient bioisoster of amide and carboxylic groups.
- Lots of simple heterocyclic sulfonyl chlorides are still unknown and/or inaccessible for medicinal chemists



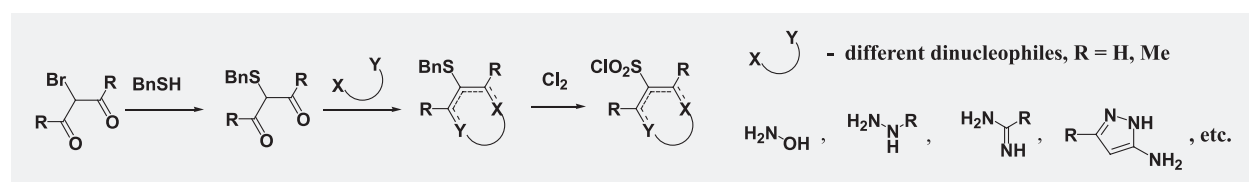
Aim

Multi-gram scale synthesis of diverse heterocyclic sulfonyl chlorides.

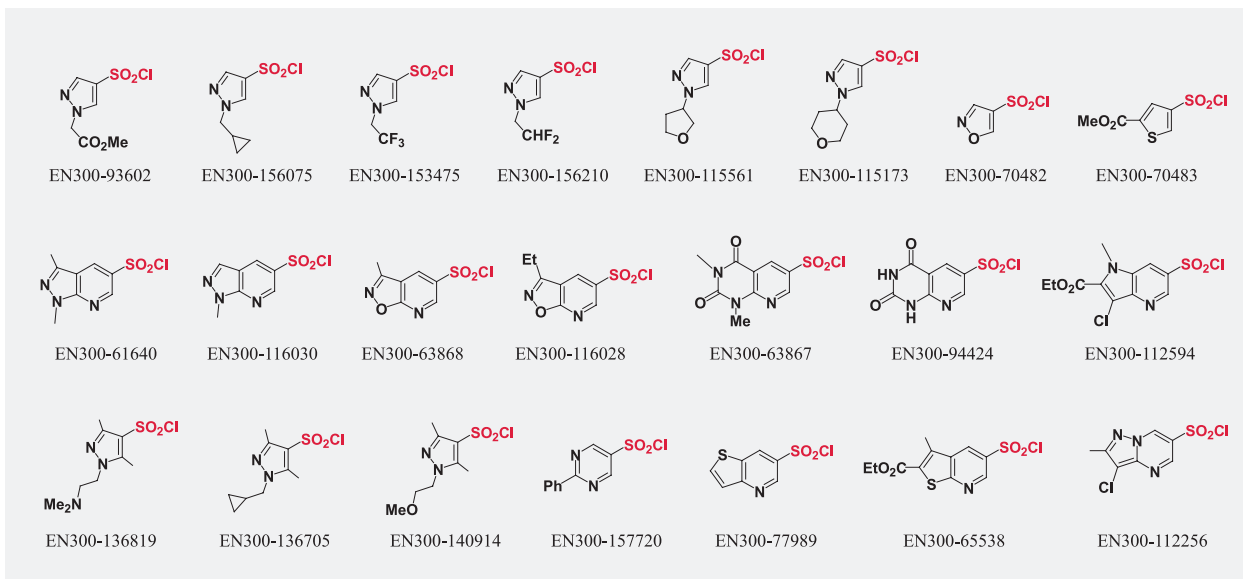


Developed synthetic approaches

An efficient multi-gram scale synthetic protocol for a variety of sulfonyl chlorides starting from 2-benzylthio malondialdehyde with yields ranging from 45% to 78% was developed.



Results



Conclusions

- Effective synthetic approaches to various heterocyclic sulfonyl chlorides.
- Library of diverse sulfonyl chlorides as perspective building blocks for drug discovery.

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