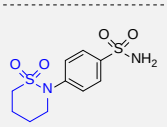
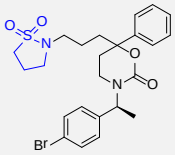
Cyclic Sulfonamides for Drug Design

Introduction

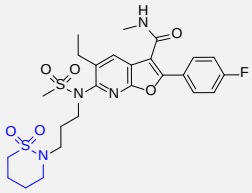
Sulfonamides are popular in drug discovery: more than 100 FDA-approved drugs on the market are sulfonamide-containing. Bioactive cyclic sulfonamides (sultams) include the anticonvulsant *Sultiame* (Bayer) and the anti-inflammatory drug *Piroxicam* (Pfizer).[1-5] Mostly, the *N*-aryl substituted sultams are synthesized from the corresponding anilines. Herein, we present a library of aliphatic sultams that can be easily alkylated at the *N*-atom. These compounds can be considered as water-soluble mimics of common cyclic amines – pyrrolidines, piperidines, *etc*.



Sultiame
anticonvulsant drug
Bayer



US 2011/9402 A1
Boehringer Ingelheim

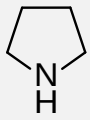


WO 2011/131709 A1
Novartis

Sultam group:

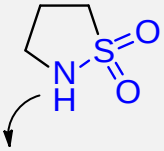
- easy *N*-alkylation (with K_2CO_3 as a base);
- metabolically stable;
- water soluble.

Design

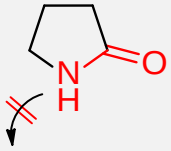


Pyrrolidine
>50 drugs

solubility
metabolic stability

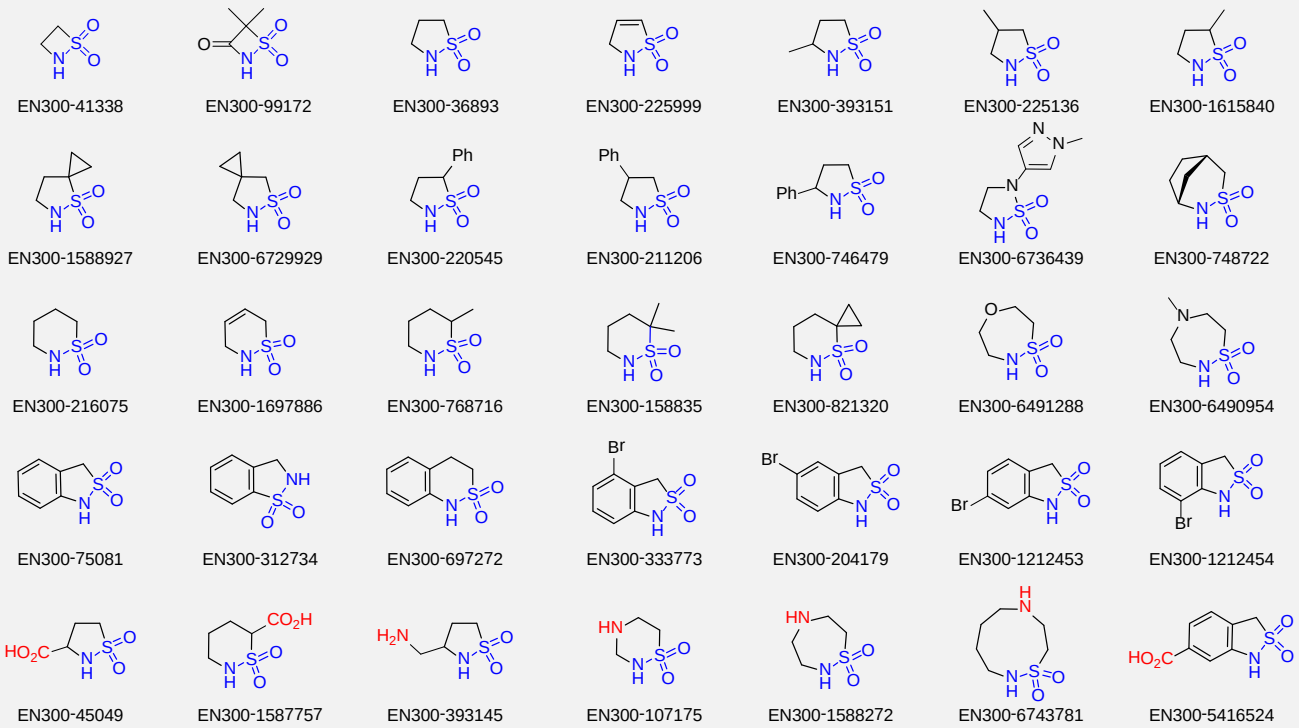


N-alkylation: easy
(with K_2CO_3 as a base)



N-alkylation: difficult

We offer >50 unique sultams on 5-50 g scale in stock.



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EN300-45049	EN300-1587757	EN300-393145	EN300-107175	EN300-1588272	EN300-6743781	EN300-5416524

References

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2. A. Scozzafava et al. *Curr. Med. Chem.* **2003**, *10*, 925.
3. M. I. Page et al. *J. Phys. Org. Chem.* **2006**, *19*, 446.
4. M. Inagaki et al. *J. Med. Chem.* **2000**, *43*, 2040.
5. M. Jiménez-Hopkins et al. *Org Lett.* **2008**, *10*, 2223.