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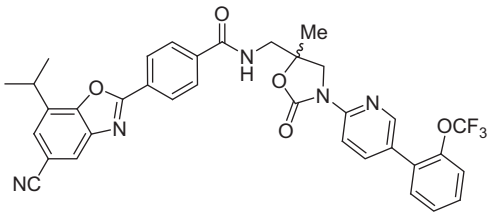
# Bioorganic & Medicinal Chemistry Letters Volume 21, Issue 9, 2011

Symposium-in-Print

## Recent Advances in Medicinal Chemistry

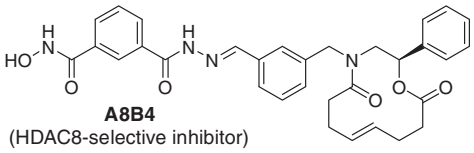
Guest Editors: Brian S. J. Blagg, Douglas S. Johnson and Robert M. Garbaccio

# Contents



Compounds **7e** and **7f** were the first in this series of benzoxazoles found to be a potent inhibitors of CETP ($IC_{50}$ = 22 and 18 nM), with robust in vivo efficacy (ΔHDLc = 33 and 27 mg/dL) and absent of any hERG binding liabilities.

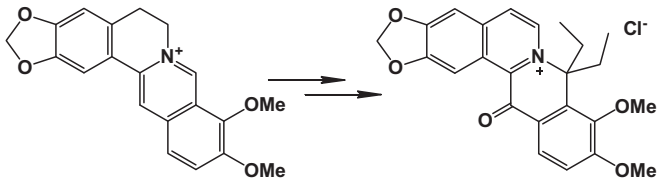




**A8B4**
(HDAC8-selective inhibitor)

| | $IC_{50}$ (μM) |
|---|---|
| HDAC2 | 3.6 |
| HDAC3/NCoR2 | 15 |
| HDAC8 | 0.023 |

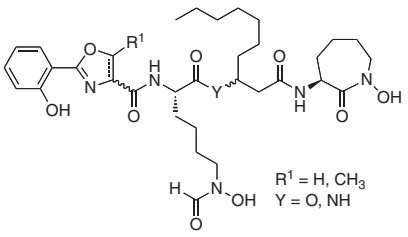




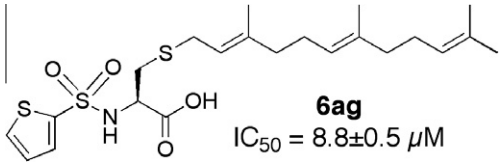
Berberine

5,6-didehydro-8,8-diethyl-13-oxodihydroberberine chloride (**1**)

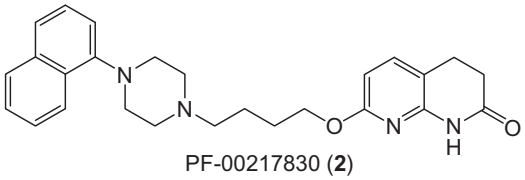




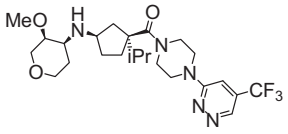
$R^1$ = H, $CH_3$
Y = O, NH



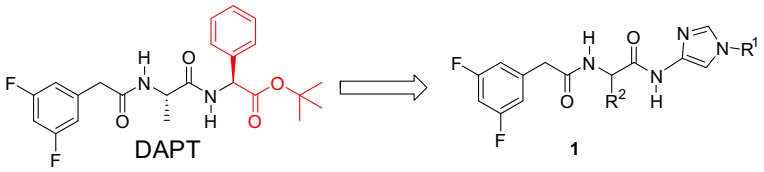


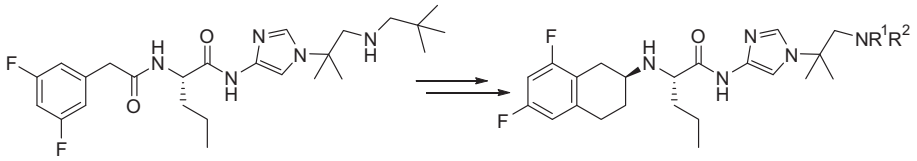





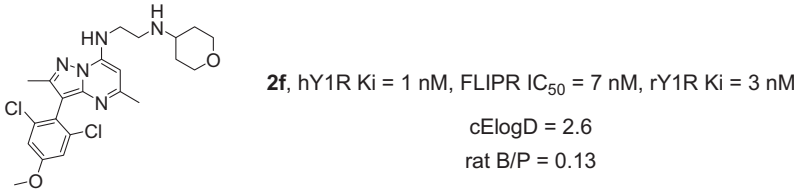





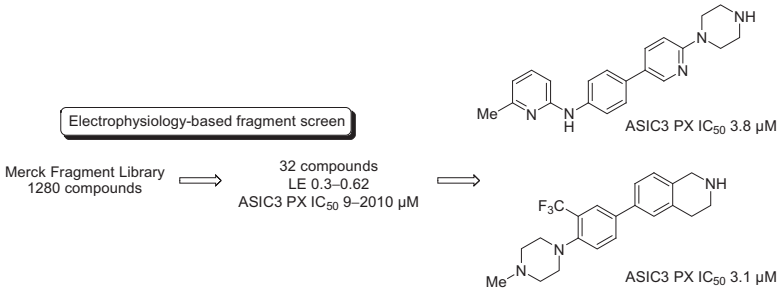




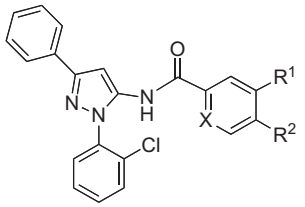


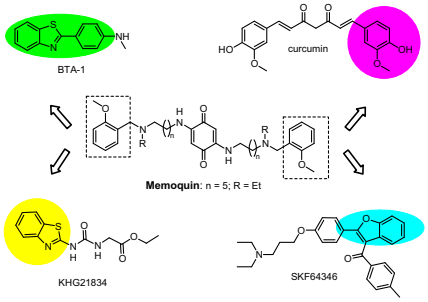

A novel series of pyrazolo[1,5-*a*]pyrimidine derivatives was synthesized and evaluated as NPY Y1R antagonists. Oral administration of **2f** resulted in modest reductions of food intake in several rodent models.



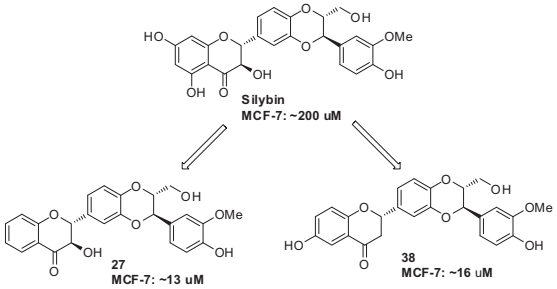




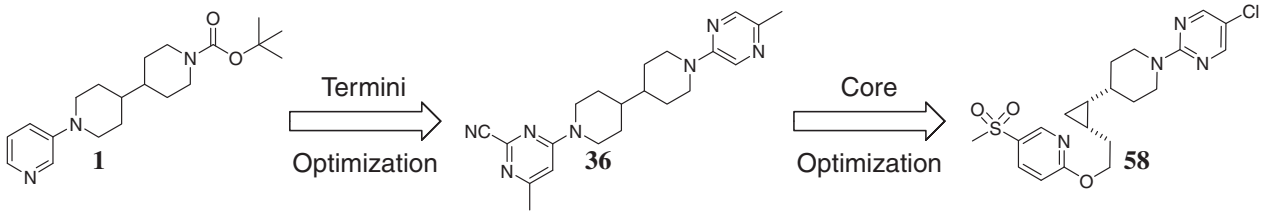





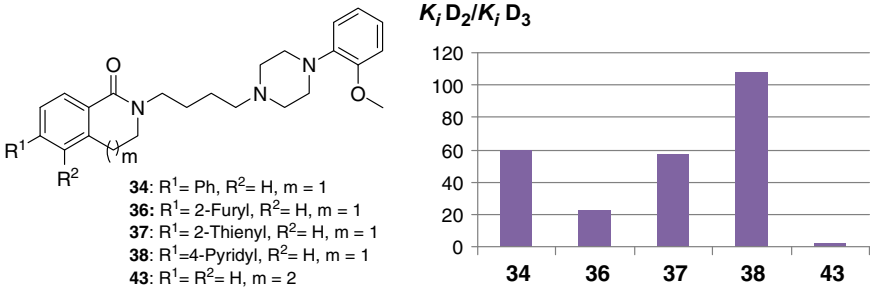


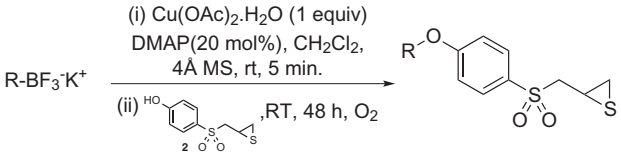





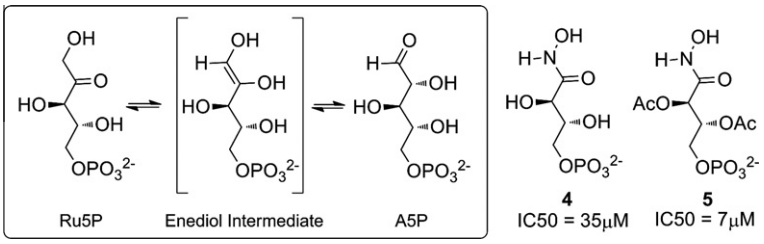





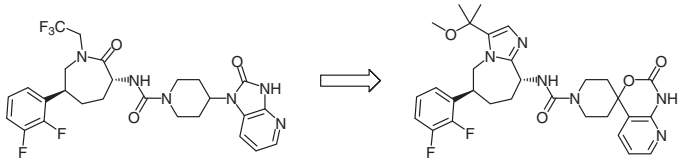





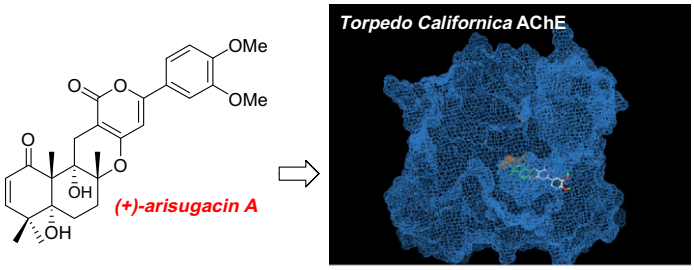


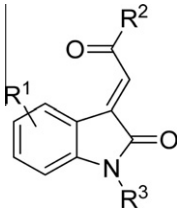





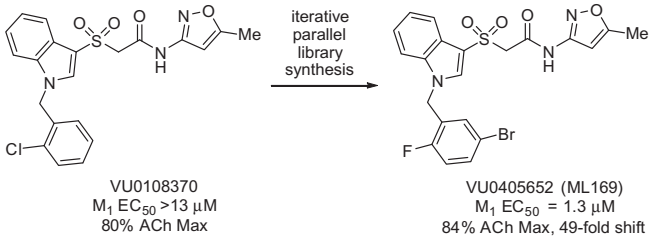





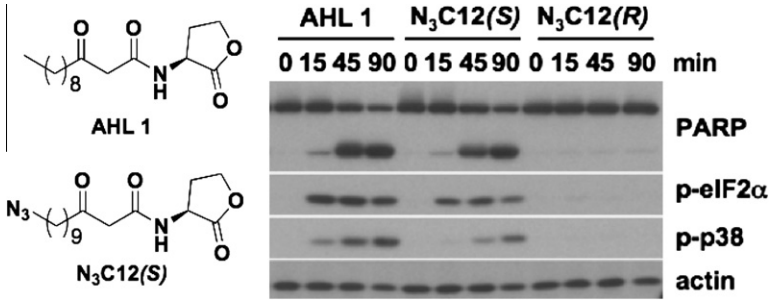





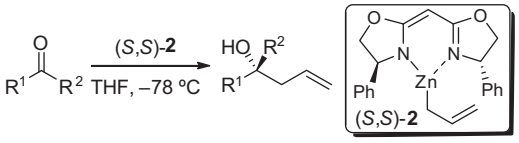


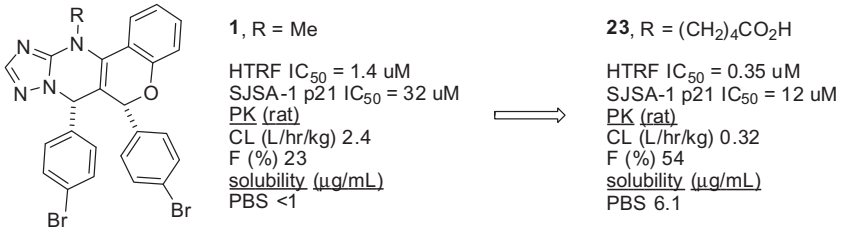


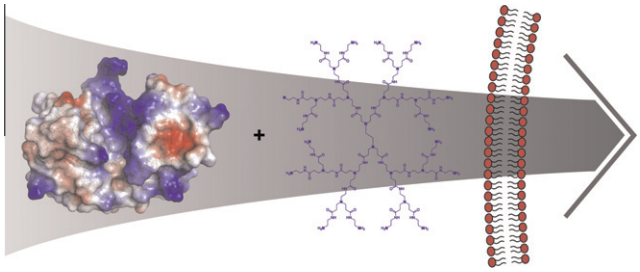



An investigation of the scope of enantioselective ketone allylations employing Nakamura's chiral allylzinc–bisoxazoline reagent is described, along with the development of a theoretical model to explain the results.

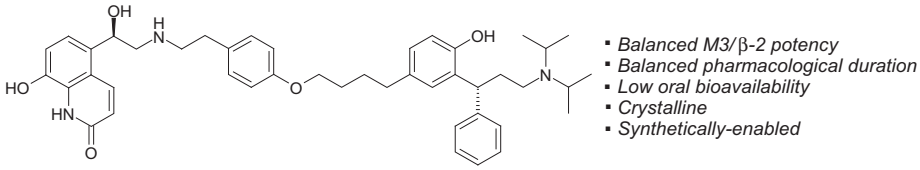




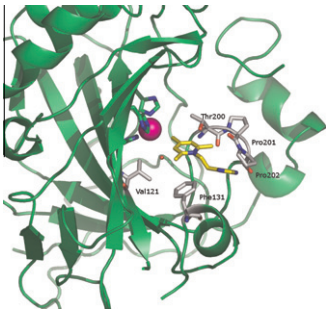



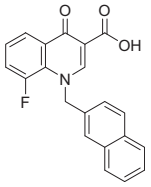
The toxicity of a cationic ribonuclease for cancer cells is increased by co-treatment with a cationic dendrimeter.





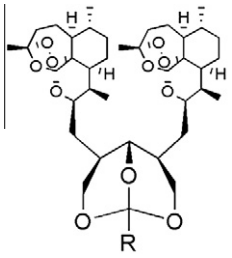




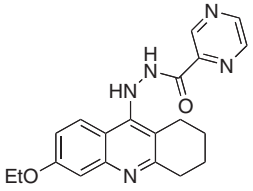

Fused aromatics such as naphthalene were identified as highly potent and CNS penetrant $M_1$ positive allosteric modulators during an SAR study to replace the phenyl B-ring linkage.





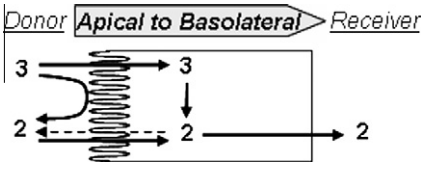

**6a**, R = H
**6b**, R = Ph
**6c**, R = $(CH_2)_3Br$
**6d**, R = $(CH_2)_3S(O_2)Ph$






$K_i$ NS3h = 20 nM





*Corresponding author
Supplementary data available via ScienceDirect

**COVER**

Overall view of the hCA II–**8** (in yellow) adduct. The protein is shown as ribbon, the Zn(II) ion is the violet sphere, with its three histidine ligands (His94, 96 and 119) in blue and green, whereas residues 121, 131, and 200–202 are shown in gray. [Khyati, D.; Ilies, M. A.; Scozzafava, A.; Temperini, C.; Vullo, D.; Supuran, C. T. *Bioorg. Med. Chem. Lett.* **2011,** *21,* 2764.]



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