

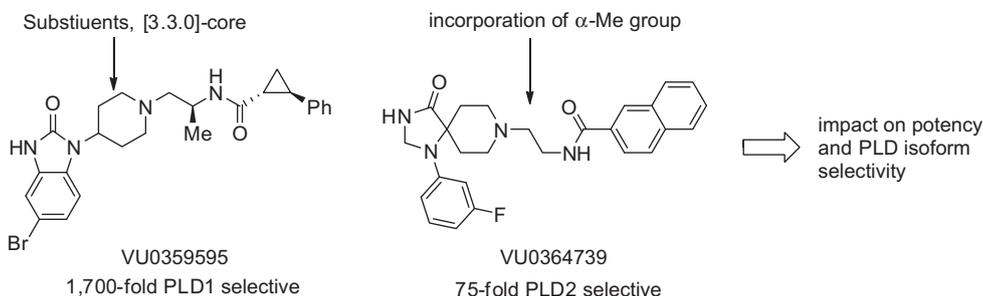


## Bioorganic &amp; Medicinal Chemistry Letters Volume 24, Issue 24, 2014

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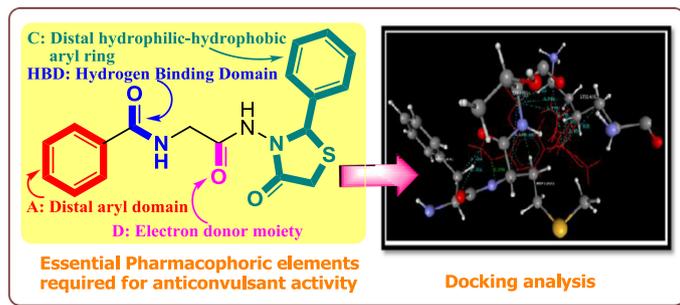
## REGULAR ARTICLES

- Further evaluation of novel structural modifications to scaffolds that engender PLD isoform selective inhibition** pp 5553–5557  
Matthew C. O'Reilly, Sarah A. Scott, H. Alex Brown, Craig W. Lindsley\*

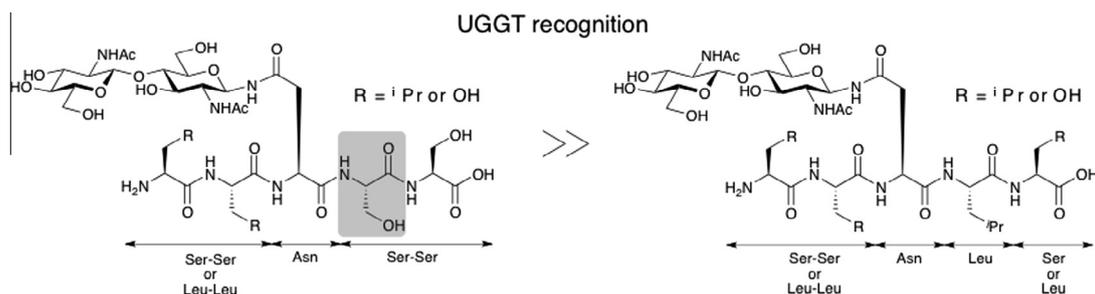


- Microwave assisted synthesis and docking study of *N*-(2-oxo-2-(4-oxo-2-substituted thiazolidin-3-ylamino)ethyl)benzamide derivatives as anticonvulsant agents** pp 5558–5562

Anna Pratima G. Nikalje\*, Arfat N. Shaikh, Sameer I. Shaikh, Firoz A. Kalam Khan, Jaiprakash N. Sangshetti, Devanand B. Shinde



- Glycopeptide probes for understanding peptide specificity of the folding sensor enzyme UGGT** pp 5563–5567  
Takaya Kudo, Makoto Hirano, Toshihiro Ishihara, Shun Shimura, Kiichiro Totani\*

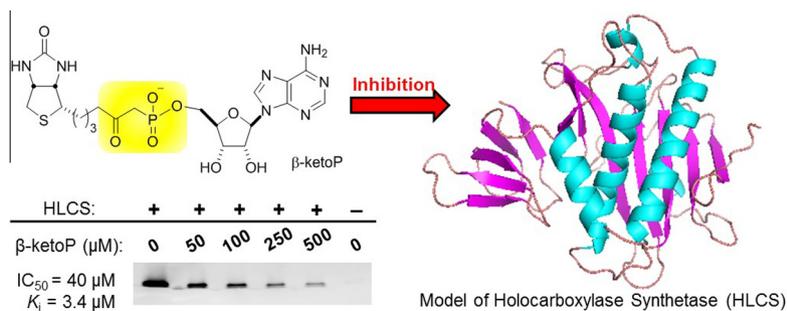


Chitobiose-pentapeptide probes revealed the glycoprotein-folding sensor enzyme UGGT prefers a Ser residue C-terminal to the Asn in its substrate recognition.

**$\beta$ -Keto and  $\beta$ -hydroxyphosphonate analogs of biotin-5'-AMP are inhibitors of holocarboxylase synthetase**

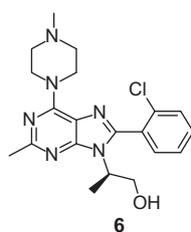
pp 5568–5571

Wantanee Sittiwong, Elizabeth L. Cordonier, Janos Zempleni\*, Patrick H. Dussault\*

**The SAR development of substituted purine derivatives as selective CB2 agonists for the treatment of chronic pain**

pp 5572–5575

Rossella Guidetti\*, Peter C. Astles, Adam J. Sanderson, Sean P. Hollinshead, Michael P. Johnson, Mark G. Chambers

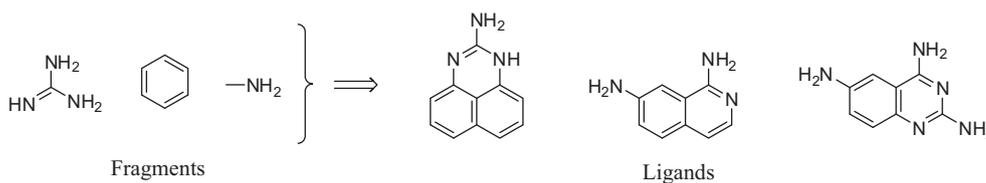


hCB2  $EC_{50} = 22.4$  nM (87%)  
 hCB1  $EC_{50} > 100,000$  nM  
 Rat PK parameters: F = 38%, Clearance = 32 ml/min/kg, Vd = 6 L/Kg  
 Dog PK parameters: F = 23%, Clearance = 38 ml/min/kg, Vd = 4 L/Kg  
 K<sub>pu,u</sub> = 0.2 in rat 0.5 in dog  
 Cl-channel % inhibition at 10  $\mu$ M = 20  
 In vivo MIA dose  $ED_{50} = 0.16$  mg/kg po

**Fragment based search for small molecule inhibitors of HIV-1 Tat-TAR**

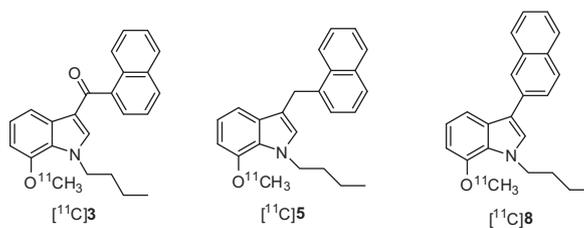
pp 5576–5580

Mirco Zeiger, Sebastian Stark, Elisabeth Kalden, Bettina Ackermann, Jan Ferner, Ute Scheffer, Fatemeh Shoja-Bazargani, Veysel Erdel, Harald Schwalbe, Michael W. Göbel\*

**Synthesis of carbon-11-labeled aminoalkylindole derivatives as new candidates of cannabinoid receptor radioligands for PET imaging of alcohol abuse**

pp 5581–5586

Mingzhang Gao, Andy Chufan Gao, Min Wang, Qi-Huang Zheng\*



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