

Studies towards the identification of potent, selective and bioavailable thrombin inhibitors

John Ambler, Emma Baker, Dave Bentley, Lyndon Brown, Keith Butler, Paul Butler, Dave Farr, Karen Dunnet, Darren Le Grand, Judy Hayler, Diana Janus, Darryl Jones, Keith Menear¹, Mark Mercer, Garrick Smith, Mark Talbot and Morris Tweed

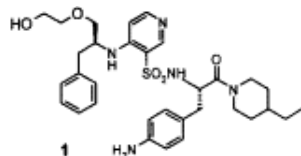
Novartis Horsham Research Center, Wimblehurst Road, Horsham, West Sussex RH12 4AB, UK

„...synthesised by previously described methods see ref 10. 14. **Compudrug**, Budapest, Hungary. 15. Smith, Dennis A.; Jones, Barry C...Design of drugs involving the concepts and theories of drug **metabolism** and pharmacokinetics. *Med Res. Rev.* (1996), 1 (3), 243-266...”

Abstract

The application of selection criteria, based on potency and physicochemical parameters, to a candidate library of thrombin inhibitors is described. The utility of the approach is exemplified by the discovery of a potent, selective and bioavailable thrombin inhibitor **62**.

Graphical Abstract



The application of selection criteria, based on potency and physicochemical parameters, to a candidate library of thrombin inhibitors is described. The utility of the approach is exemplified by the discovery of a potent, selective and bioavailable thrombin inhibitor **1**.

[Bioorganic & Medicinal Chemistry Letters](#)
[Volume 9, Issue 5](#) , March 1999, Pages 737-742