

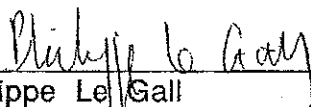
2-Substituted-2-acetamido-N-benzylacetamides. Synthesis, Spectroscopic
and Anticonvulsant Properties

A Thesis
Presented to
the Faculty of the Department of Chemistry
University of Houston-University Park

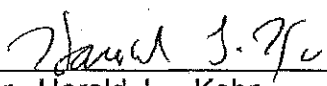
In Partial Fulfillment
of the Requirements for the Degree
Master of Science

By
Philippe Le Gall
December, 1987

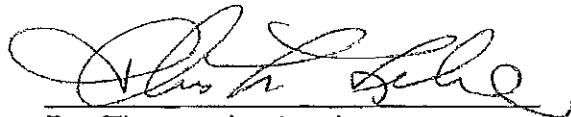
2-Substituted-2-acetamido-N-benzylacetamides.
Synthesis, Spectroscopic and Anticonvulsant Properties

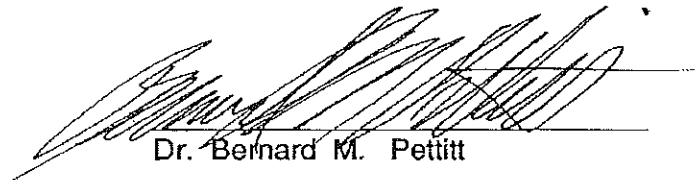

Philippe Le Gall

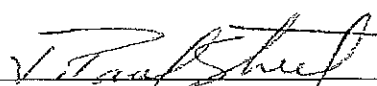
APPROVED:


Dr. Harold L. Kohn


Dr. Douglas F. Dyckes


Dr. Thomas L. Lemke


Dr. Bernard M. Pettitt


Dr. Joseph P. Street


Dean, College of Natural Sciences and Mathematics

ACKNOWLEDGEMENT

I would like to thank Dr. Kohn, whose enthusiasm and knowledge were always on my side when needed. I also want to thank all the past and present members of this research group. I am indebted to their friendship and assistance.

I would like to acknowledge all those who have contributed to the completion of this work. In particular, I would like to thank Dr. Gary E. Martin and the N.M.R. laboratory at the University of Houston, Dr. John Chinn at the University of Texas at Austin, and Dr. J. David Leander and Dr. David Robertson at the Eli Lilly Research Center, Indianapolis, Indiana, for their kind cooperation.

Finally, I would like to thank Dr. Kurt L. Loening, Director of Nomenclature, Chemical Abstracts Services, Columbus, Ohio, for his help in naming the synthesized compounds.

2-Substituted-2-acetamido-N-benzylacetamides. Synthesis, Spectroscopic
and Anticonvulsant Properties

An Abstract of a Thesis

Presented to

the Faculty of the Department of Chemistry

University of Houston-University Park

In Partial Fulfillment

of the Requirements for the Degree

Master of Science

By

Philippe Le Gall

December, 1987

iv

ABSTRACT

Select functionalized amino acid derivatives of the potent anti-convulsant agent α -acetamido-*N*-benzylphenylacetamide (**68b**) and 2-acetamido-*N*-benzylpropionamide (**68a**) have been prepared and evaluated. Attention has been focused on the replacement of the α -phenyl and α -methyl groups in **68a** and **68b** by five-membered ring heteroaromatic moieties, benzo-fused heteroaromatic groups, and simple polar substituents.

The synthetic and pharmacological studies revealed several notable findings. First, the use of amidoalkylation procedures using boron trifluoride etherate provided a straightforward and reliable method to introduce an electron-rich heteroaromatic substituent at the α -carbon in the amino acid derivatives. This technology permitted the incorporation of acid sensitive, unsubstituted heteroaromatic compounds (i.e., pyrrole (**74**), indole (**72**) and benzofuran (**75**)) within the molecule. Second, all the five-membered ring heteroaromatic analogues of α -acetamido-*N*-benzylphenylacetamide proved highly active in the MES seizure test. In particular, α -acetamido-*N*-benzyl-2-furanacetamide (**69a**) and α -acetamido-*N*-benzyl-2-pyrroleacetamide (**69b**) exhibited activities similar to phenytoin and diazepam. Third, the α -alkoxy derivatives, 2-acetamido-*N*-benzyl-2-methoxyacetamide (**86a**) and 2-acetamido-*N*-benzyl-2-ethoxyacetamide, exhibited significant activity in the MES seizure test.

Explore Litigation Insights

Docket Alarm provides insights to develop a more informed litigation strategy and the peace of mind of knowing you're on top of things.

Real-Time Litigation Alerts



Keep your litigation team up-to-date with **real-time alerts** and advanced team management tools built for the enterprise, all while greatly reducing PACER spend.

Our comprehensive service means we can handle Federal, State, and Administrative courts across the country.

Advanced Docket Research



With over 230 million records, Docket Alarm's cloud-native docket research platform finds what other services can't. Coverage includes Federal, State, plus PTAB, TTAB, ITC and NLRB decisions, all in one place.

Identify arguments that have been successful in the past with full text, pinpoint searching. Link to case law cited within any court document via Fastcase.

Analytics At Your Fingertips



Learn what happened the last time a particular judge, opposing counsel or company faced cases similar to yours.

Advanced out-of-the-box PTAB and TTAB analytics are always at your fingertips.

API

Docket Alarm offers a powerful API (application programming interface) to developers that want to integrate case filings into their apps.

LAW FIRMS

Build custom dashboards for your attorneys and clients with live data direct from the court.

Automate many repetitive legal tasks like conflict checks, document management, and marketing.

FINANCIAL INSTITUTIONS

Litigation and bankruptcy checks for companies and debtors.

E-DISCOVERY AND LEGAL VENDORS

Sync your system to PACER to automate legal marketing.