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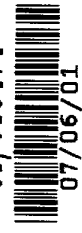
SUBSTITUTE for Provisional Application for Patent Cover Sheet PTO/SA-16 (8-00)  
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# PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53 (c).

DOCKET NUMBER 20907PV

J1036 U.S. PTO  
60/303474



JC355 U.S. PTO  
01/10/01

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Additional inventors are being named on the separately numbered sheets attached hereto

### TITLE OF THE INVENTION (280 characters max)

BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTIDASE INHIBITORS FOR THE TREATMENT OR PREVENTION OF DIABETES

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Customer Number 000210

STATE	New Jersey	ZIP CODE	07065	COUNTRY	U.S.A
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### ENCLOSED APPLICATION PARTS (check all that apply)

- Specification *Number of Pages* 66
- Drawing(s) *Number of Sheets*  Other (specify)
- Application Data Sheet. See 37 CFR 1.76

### METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT (check one)

- A check or money order is enclosed to cover the filing fees
  - The Commissioner is hereby authorized to charge filing fees or credit any overpayment to Deposit Account Number: 13-2755
- FILING FEE AMOUNT (\$)** \$150.00

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

- No.
- Yes, the name of the U.S. Government agency and the Government contract number are:

Respectfully submitted,

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Date 07/06/2001

REGISTRATION NO. 35,382  
(if appropriate)

**EXPRESS MAIL CERTIFICATE**

DATE OF DEPOSIT July 6, 2001  
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MAILED BY *A. H. ...* DATE July 6, 2001

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APPLICATION INFORMATION

Title Line One:: BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTI  
Title Line Two:: DASE INHIBITORS FOR THE TREATMENT OR PRE  
Title Line Three:: VENTION OF DIABETES  
Formal Drawings?:: No  
Application Type:: Utility  
Docket Number:: 20907PV  
Secrecy Order in Parent Appl.?:: No

REPRESENTATIVE INFORMATION

Registration Number One:: 35382  
Registration Number Two:: 26332

Source:: PrintEFS Version 1.0.1

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TITLE OF THE INVENTION  
 BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTIDASE INHIBITORS FOR  
 THE TREATMENT OR PREVENTION OF DIABETES

5 BACKGROUND OF THE INVENTION

Diabetes refers to a disease process derived from multiple causative factors and characterized by elevated levels of plasma glucose or hyperglycemia in the fasting state or after administration of glucose during an oral glucose tolerance test. Persistent or uncontrolled hyperglycemia is associated with increased and premature morbidity and mortality. Often abnormal glucose homeostasis is associated both directly and indirectly with alterations of the lipid, lipoprotein and apolipoprotein metabolism and other metabolic and hemodynamic disease. Therefore patients with Type 2 diabetes mellitus are at especially increased risk of macrovascular and microvascular complications, including coronary heart disease, stroke, peripheral vascular disease, hypertension, nephropathy, neuropathy, and retinopathy. Therefore, therapeutical control of glucose homeostasis, lipid metabolism and hypertension are critically important in the clinical management and treatment of diabetes mellitus.

There are two generally recognized forms of diabetes. In type 1 diabetes, or insulin-dependent diabetes mellitus (IDDM), patients produce little or no insulin, the hormone which regulates glucose utilization. In type 2 diabetes, or noninsulin dependent diabetes mellitus (NIDDM), patients often have plasma insulin levels that are the same or even elevated compared to nondiabetic subjects; however, these patients have developed a resistance to the insulin stimulating effect on glucose and lipid metabolism in the main insulin-sensitive tissues, which are muscle, liver and adipose tissues, and the plasma insulin levels, while elevated, are insufficient to overcome the pronounced insulin resistance.

Insulin resistance is not primarily due to a diminished number of insulin receptors but to a post-insulin receptor binding defect that is not yet understood. This resistance to insulin responsiveness results in insufficient insulin activation of glucose uptake, oxidation and storage in muscle and inadequate insulin repression of lipolysis in adipose tissue and of glucose production and secretion in the liver.

The available treatments for type 2 diabetes, which have not changed substantially in many years, have recognized limitations. While physical exercise and reductions in dietary intake of calories will dramatically improve the diabetic

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