07-09-01 A/Prov

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SUBSTITUTE for Provisional Application for Patent Cover Sheet PTO/SB/16 (8-00)
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JC355 U.S. PTO 07/06/01

J1036 U.S. PTO 60/303474 07/06/01

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

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TITLE OF THE INVENTION (280 characters max)

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

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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

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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

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EXPRESS MAIL CERTIFICATE

DATE OF DEPOSIT July 6, 2001

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MAILED BY _____ DATE July 6, 2001

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CORRESPONDENCE INFORMATION

Correspondence Customer Number:: 000210

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

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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