

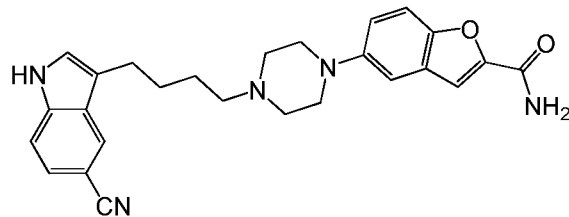
Polymorphic form of 5-(4-[4-(5-cyano-1H-indol-3-yl) butyl] piperazin-1-yl) benzofuran-2-carboxamide and process for preparing thereof

FIELD OF THE INVENTION

5 The present invention relates to polymorphic form of 5-(4-[4-(5-cyano-1H-indol-3-yl) butyl]piperazin-1-yl)benzofuran-2-carboxamide. The present invention relates to a process for preparing polymorphic form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide. In particular present invention relates to use of polymorphic form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide in the preparation of its
10 pharmaceutically acceptable salts thereof.

BACKGROUND OF THE INVENTION

U.S. Patent No. 5,532,241 discloses 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzo- furan-2-carboxamide i.e. vilazodone of Formula
15 (1)



(1)

or its pharmaceutically acceptable salt. Patent provides process for preparing
20 vilazodone or its pharmaceutically acceptable salt thereof.

U.S. Patent No. 5,977,112 relates to process for preparing intermediate involved in the preparation of vilazodone or its pharmaceutically acceptable salt thereof.

25 U.S. Patent No. 6,509,475 B1 relates to process for preparing intermediate involved in the preparation of vilazodone or its pharmaceutically acceptable salt thereof.

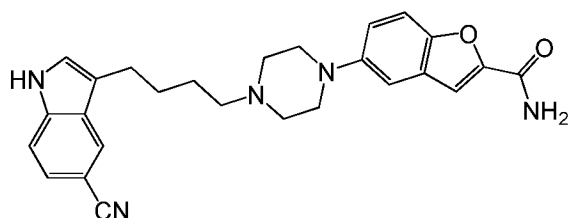
U.S. Patent No. 7,834,020 B2 claims vilazodone hydrochloride anhydrate in
30 crystalline modification IV (Form IV) characterized by XRD. Patent discloses

total 15 crystalline forms of vilazodone hydrochloride designated as crystalline Form-I to Form-XI and Form-XIII to Form-XVI.

U.S. Patent No. 7,981,894 B2 claims vilazodone hydrochloride monohydrate in crystalline modification V (Form-V) having characteristic peaks in XRD.

SUMMARY OF THE INVENTION

In one general aspect, there is provided a solid state form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1)



(1)

The solid state form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) has been designated as Form-Z.

In another aspect, there is provided a solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) characterized by XRD, DSC and TGA.

In another aspect, there is provided the use of solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) in the preparation of vilazodone hydrochloride.

25

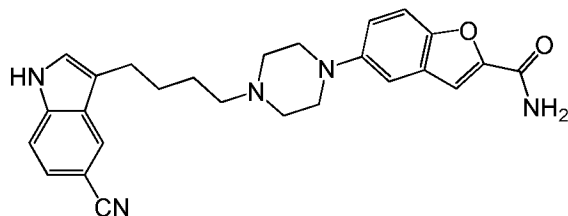
In another aspect, there is provided a solid state form of hydrate or solvate of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1).

In another aspect, there is provided a solid state form of solvate of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1).

5 In another aspect, there is provided a solid state Form-Z of vilazodone of Formula (1) having a total purity of greater than about 99%, specifically greater than about 99.5%, more specifically greater than about 99.9%, and most specifically greater than about 99.98% as measured by HPLC.

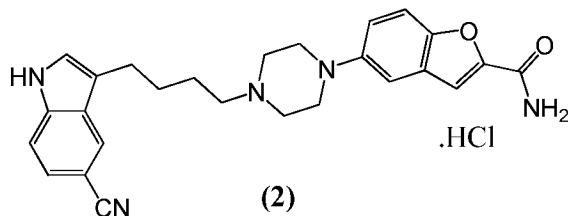
10 In another aspect, there is provided a process for preparing a Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1).

In another aspect, there is provided the use of solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1)



in the preparation of vilazodone hydrochloride of Formula (2)

20



BRIEF DESCRIPTION OF THE ACCOMPANYING DRAWINGS

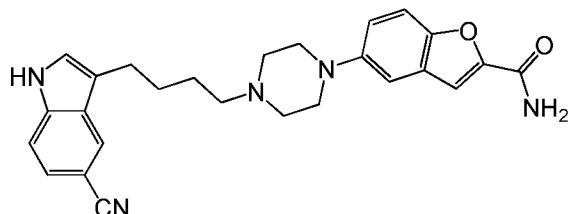
FIG. 1: Shows X-ray diffractogram (XRD) of Form-Z of vilazodone of Formula

25 (1).

FIG. 2: Shows differential scanning calorimetry (DSC) of Form-Z of vilazodone of Formula (1).

DETAILED DESCRIPTION OF THE INVENTION

- 5 An embodiment of the present invention provides a solid state form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1)



- 10 The present invention has designated the solid state form of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) as Form-Z and the term Form-Z will be used for describing the said form of vilazodone of Formula (1).

- 15 A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is characterized by X-ray powder diffraction having characteristic peaks at about 5.5, 11.0, 15.9, 18.7, 20.7, 22.5, 25.0, 26.1, and 27.0 \pm 0.2 degree 2 θ .

- A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is further characterized by X-ray powder diffraction having characteristic peaks at about 7.5, 14.2, 15.2, 16.7, 17.7, 28.6, 29.8, 30.8 and 32.2 \pm 0.2 degree 2 θ .

- 25 A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is characterized by X-ray powder diffraction as depicted in FIG.1.

A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is characterized by

Differential Scanning Calorimetry (DSC) having two endotherms at about 88⁰C and 210⁰C respectively.

5 A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is characterized by Differential Scanning Calorimetry as depicted in FIG.2.

10 A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is having water content of about 4%.

15 A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is a monohydrate form.

A solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl)benzofuran-2-carboxamide i.e. vilazodone of Formula (1) is substantially free from residual organic solvents.

20 In another aspect, there is provided use of solid state Form-Z of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1) in the preparation of vilazodone hydrochloride.

25 In another aspect, there is provided solid state form of hydrate or solvate of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1).

30 In another aspect, there is provided solid state form of solvate of 5-(4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl) benzofuran-2-carboxamide i.e. vilazodone of Formula (1).

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.