

Ground 1: Claims 1, 14, and 15 Are Anticipated By '241 Patent as Characterized by Patent Owner's Admissions

Claims of the '921 Patent	The '241 Patent (Ex. 1004) and Patent Owner's Admissions (Ex. 1001)
<p>1. A compound which is 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine hydrochloride in its crystalline modification, wherein the compound is an anhydrate, hydrate, solvate or dihydrochloride.</p>	<p>“A compound according to claim 1, wherein said compound is...(c) 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine or a physiologically acceptable salt thereof.” Ex. 1004, cl. 2.</p> <p>“Analogously to Example 3, starting from 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine reaction with 2-chloro-1-methylpyridinium methane-sulfonate gives 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine, m.p. 269-272° (hydrochloride).” Ex. 1004, Example 4.</p> <p>“In the following Examples, “working-up in conventional manner” means: Water is added if necessary, extraction is carried out with methylene chloride, the organic phase is separate off, dried over sodium sulfate and filtered, the filtrate is evaporated and the residue is purified by chromatography on silica gel and/or by crystallization.” Ex. 1004 at 9:4-9.</p> <p>“1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine, its physiologically acceptable salts thereof (U.S. Pat. No. 5,532,241, column 7, lines 30-58), a process (U.S. Pat. No. 5,532,241, Example 4) by which it/they can be prepared and their use in treating certain medical disorders are known from U.S. Pat. No. 5,532,241 and WO 00/72832.” Ex. 1001 at 1:35-41.</p> <p>“Former 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine hydrochloride having a melting point of 269-272°C. was a mixture of amorphous 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine hydrochloride, crystallized 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-</p>

	<p>carbamoyl-benzofuran-5yl)-piperazine hydrochloride and the free base 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine.” Ex. 1001 at 1:65-2:5.</p>
<p>14. A method of treating a patient suffering from a depressive disorder, an anxiety disorder, a bipolar disorder, mania, dementia, a substance-related disorder, a sexual dysfunction, an eating disorder, obesity, fibromyalgia, a sleeping disorder, a psychiatric disorder, cerebral infarct, tension, side-effects in the treatment of hypertension, a cerebral disorder, chronic pain, acromegaly, hypogonadism, secondary amenorrhea, premenstrual syndrome and undesired puerperal lactation, or combinations thereof, comprising administering to the patient in need thereof an effective amount of a compound of claim 1.</p>	<p><i>See Claim 1 above.</i></p> <p>“The compounds of the formula I and their physiologically acceptable salts can be used for the therapeutic treatment of the human or animal body and for controlling diseases. They can be used for treating disorders of the central nervous system, such as tension, depressions and/or psychoses, and side-effects in the treatment of hypertension (e.g., with α-methyldopa). The compounds can also be used in endocrinology and gynecology, e.g., for the therapeutic treatment of acromegaly, hypogonadism, secondary amenorrhea, premenstrual syndrome and undesired puerperal lactation, and also for the prophylaxis and therapy of cerebral disorders (e.g., migraine), especially in geriatrics in a manner similar to certain ergot alkaloids and for controlling the sequelae of cerebral infarction (apoplexia cerebri), such as stroke and cerebral ischemia.” Ex. 1004 at 8:24-38.</p>
<p>15. A pharmaceutical composition comprising a compound according to claim 1, and one or more conventional auxiliary substances</p>	<p><i>See Claim 1 above.</i></p> <p>“A pharmaceutical composition comprising a compound according to claim 1 and a pharmaceutically acceptable carrier.” Ex. 1004, cl. 16.</p> <p>“The invention further relates to the use of the</p>

and/or carriers.	compounds of the formula I and their physiologically acceptable salts for the manufacture of pharmaceutical preparations, especially by a non-chemical route. For this purpose, they can be converted into a suitable dosage form together with at least one excipient or adjunct and, if appropriate, in combination with one or more additional active ingredients.” Ex. 1004, 7:59-65.
------------------	---

Ground 2: Claims 1, 14, and 15 Are Obvious over the ‘241 Patent as Characterized by Patent Owner’s Admissions in view of Bartoszyk

Claims the ‘921 Patent	The ‘241 Patent (Ex. 1004) and Patent Owner’s Admissions (Ex. 1001) and Bartoszyk (Ex.1005)
<p>1. A compound which is 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine hydrochloride in its crystalline modification, wherein the compound is an anhydrate, hydrate, solvate or dihydrochloride.</p>	<p>“A compound according to claim 1, wherein said compound is... (c) 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine or a physiologically acceptable salt thereof.” Ex. 1004, cl. 2.</p> <p>“Analogously to Example 3, starting from 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine reaction with 2-chloro-1-methylpyridinium methane-sulfonate gives 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine, m.p. 269-272° (hydrochloride).” Ex. 1004, Example 4.</p> <p>“In the following Examples, “working-up in conventional manner” means: Water is added if necessary, extraction is carried out with methylene chloride, the organic phase is separate off, dried over sodium sulfate and filtered, the filtrate is evaporated and the residue is purified by chromatography on silica gel and/or by crystallization.” Ex. 1004 at 9:4-9.</p> <p>“1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5yl)-piperazine, its physiologically acceptable salts thereof (U.S. Pat. No. 5,532,241, column 7, lines 30-58), a process (U.S. Pat. No. 5,532,241, Example 4) by which it/they can be</p>

prepared and their use in treating certain medical disorders are known from U.S. Pat. No. 5,532,241 and WO 00/72832.” Ex. 1001 at 1:35-41.

“Former 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride having a melting point of 269-272°C. was a mixture of amorphous 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride, **crystallized** 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride and the free base 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine.” Ex. 1001 at 1:65-2:5.

“1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or a physiologically acceptable salt thereof is used for the manufacture of a medicament for the treatment of sub-type anxiety disorders chosen from the sub-types panic disorder with or without agoraphobia, agoraphobia, obsessive-compulsive spectrum disorders, social phobia, posttraumatic stress disorder, acute stress indication or generalized-anxiety disorder, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, anorexia and fibromyalgia. A preferred salt is 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride.” Ex. 1005 at abstract.

“A typical model for Agoraphobia is named Elevated Plus Maze... Normal animals... avoid entering the open arms and stay only a [sic.] for a very brief period on open arms. 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or one of its physiologically acceptable salts, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride, following oral application dose-dependently increased both the number of entries and the time spend on open arms...” Ex. 1005 at 3:20-4:5.

“A typical model for OCSF including OCD is the Marble Burying Test... 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or one of its physiologically acceptable salts, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride... inhibits marble [sic.] burying in mice....” Ex. 1005 at 5:23-6:8.

“A model for social phobia is the Social Interaction Test... 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or one of its physiologically acceptable salts, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride, increase the time spent in social interaction....” Ex. 1005 at 6:19-7:2.

“In a typical model for neophobia, mice deprived from food for 18h are given access to unfamiliar food in a novel environment... 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or one of its physiologically acceptable salts, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride... increased food intake...” Ex. 1005 at 7:29-8:6.

“A typical model for acute stress indication is the Four Plate Test... Normal mice... accept only a few footshocks. 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride... increased the number of punished crossings...” Ex. 1005 at 10:1-15.

“A typical model for generalized anxiety disorders is the Light-Dark Choice Test... Normal mice... spent most time in the dark compartment. 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine or one of its physiologically acceptable salts, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine hydrochloride... increase the number of transitions and time spent in lit compartment....” Ex. 1005 at 10:20-11:9.

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.