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(54) Title: PHARMACEUTICAL FORMULATION COMPRISING GLUCOKINASE ACTIVATOR AND USE THEREOF

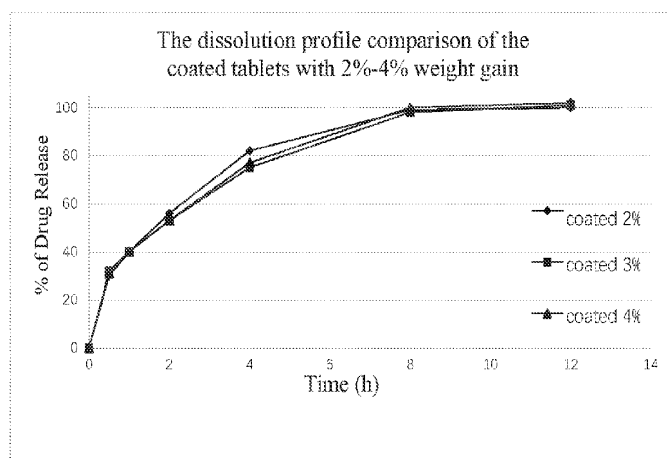


FIG. 1

(57) Abstract: Provided herein are pharmaceutical formulations comprising glucokinase activator, or a prodrug, or a pharmaceutically acceptable salt, an isotope labeled analogue, a crystalline form, a hydrate, a solvate, or a diastereomeric or enantiomeric form thereof and the use thereof for treating diseases; the pharmaceutical formulations are in the form of immediate-release formulations, extended-release formulations, or combination of immediate-release formulation and extended-release formulations. The pharmaceutical formulations achieved once-a-day therapy for some diseases, in particular, type II Diabetes Mellitus with obesity. The pharmaceutical formulations exhibit sustained 24 hours of glucose-lowering effects. The pharmaceutical formulations also achieved lower C_{max}, extended T_{1/2} as well as maintained similar bioavailability and increased MRT compared with commercial Dorzagliatin tablet, enhanced the pharmacology effect of restoring the glucose stimulated GLP-1 secretion in diabetes with obesity.

[Continued on next page]

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