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L312, a novel PPARγ ligand, with potent anti-diabetic activity by selective regulation

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Insulin resistance plays important roles during the initiation and pathogenesis of the disease. Thus, treatment of T2DM targeted on insulin resistance is one of the major strategies. Unfortunately, current clinical insulin sensitizer agent thiazolidinediones (TZDs), which are validated to be potent agonist of nuclear receptor PPARγ, are beset by adverse side effects evoked by full PPARγ agonism. Aimed to develop the safe and efficient insulin sensitizer, researchers proposed the concept of selective PPARγ modulator (sPPARγM), which is believed to retain potent insulin sensitizing activity yet minimize side effects derived from full PPARγ agonism. However, the sPPARγM developed slowly because of the tardiness of the mechanism on the selective modulation of PPARγ. Recent studies demonstrated that liands activated PPARγ mediated insulin sensitizing effect dependent on the inhibition of CDK5 mediated phosphorylation at serine 273 of PPARγ (pSer273PPARγ) in adipose depots but independent on classical full agonism related transcriptional activity, which provides an explicit avenue to develop novel sPPARγM. In this study, we found that a novel non-TZD compound L312 interacts with PPARγ. Evaluation of activity indicated that L312 showed equal binding affinity with pioglitazone to PPARγ but displayed a very different modulation of PPARγ activity. In db/db mice, L312 considerably improve insulin resistance and lipid variables compared to TZD, yet with reduced side effects such as weight gain and fluid retention. Molecular mechanism revealed that L312 effectively inhibited pSer273PPARγ and exerted a selective gene expression profile in epididymal WAT. In conclusion, we determined that L312 is novel sPPARγM with potent inhibition of pSer273PPARγ and suggested that L312 may represent a novel template for designing sPPARγM with advantages over current TZDs.

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Antihypertensive medications and chronopharmacology: Role of pharmacists in patient education

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Objective: This study aims to evaluate the practice and knowledge of Saudi pharmacists regarding chronopharmacology of antihypertensive drugs.

Method: A descriptive cross – sectional questionnaire based survey of randomly selected community pharmacists from Riyadh city in KSA in March and April 2014. Sample consisted of randomly selected 100 community pharmacists.

Results: 100% of participants agreed that physical activity, stress, environmental, and endocrine alterations affect daily blood pressure. However, 35% did not know that blood pressure has two peaks; around 9:00 am and 7:00 pm, and one drop around 3:00 am. In addition, 37% of pharmacists did not know whether or not evening dose of nifedipine GITS was more successful in decreasing the blood pressure as compared to the early hours in the morning.

Conclusion: Our study shows that knowledge and practice of community pharmacists need further improvement about interaction between time of administration of anti-hypertensive drugs and its efficacy.

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