Vol 5 | Issue 1 | Pages 55-56

Regenerative Medicine and Therapeutics

Letter to the Editor

DOI: 10.36959/654/394

Could "Celastrol" Be Suitable for the Treatment of Obesity?

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On the 9th of June in 2021, the World Health Organization (WHO) announced "obesity" has tripled increasing since 1975. More than 1.9 billion adults and 39 million children overweight that containing 13% were obese in 2020. Nowadays, overweight and obesity are more serious than the underweight [1]. Generally, overweight and obesity are assumed to have excess caloric and fat intake with a significant impact on both physical and psychological health's, such as development in diabetes and cardiovascular diseases [2].

Acacia arabica, Acacia catechu, Achyranthus aspera, and Aconitum heterophyllum are the common herbals used in Ayurveda for obesity. These herbals are mainly focused on the diminished lipid assimilation and have no clinical preliminaries, or the level of proof is restricted [3]. In western medications, notably lorcaserin, phentermine/topiramate, naltrexone/bupropion, and liraglutide are more effective and have some side effects. Lorcaserin has detected cancer signals for animal studies in early 2010 [4], phentermine/topiramate are changed the psychiatric status during therapy [5], and naltrexone/bupropion with central nervous system adverse effects [6]. However, Chinese herbal medicine (e.g., Celastrol) has similar efficacy with few side effects, and it is a leptin sensitizer and therapeutic agent for obesity [7,8].

According to the traditional Chinese medicine (TCM) theory, Celastrol belongs to *"Celastraceae"* family. It is extracted from the root of *Tripterygium wilfordii* by using an ultrasonic method with ethyl acetate before the drying processes [9]. The odor is faint but distinctive. Bitter and slight acrid in taste. Its clinical functions are to eliminate wind and dampness; promote blood circulation for removing obstruction in collaterals; reduce swelling and pain; also, insecticide and detoxification [10].

Growing evidence has shown that "Celastrol" is a candidate for the treatment of obesity. Liu J, et al. reported Celastrol suppresses food intake, blocks reduction of energy expenditure and leads up to 45% weight loss in hyperleptinemic diet-induced obese (DIO) mice by increasing sensitivity of leptin [8]. Saito K, et al. identified the Celastrol reduces obesity in MC4R deficiency and stimulates sympathetic nerve activity affecting metabolic and cardiovascular functions. It also reduces endoplasmic reticulum (ER) stress and improves leptin sensitivity which regulates the homeostasis including metabolic rate and arterials pressure by the action mechanism of Celastrol [11]. Kyriakou E, et al. indicated celastrol-induced weight loss is largely mediated by the inhibition of leptin negative regulators protein tyrosine phosphatase (PTP) 1B (PTP1B) and T-cell PTP (TCPTP) in the arcuate nucleus (ARC) of the hypothalamus [12]. Zhou B, et al. discovered celastrol suppresses 68% of food intake in diet-induced obesity mice and led to 26.4% weight loss in 2 weeks. The bioactive component, "glycyrrhetinic acid" in celastrol is re-activating leptin signaling, reducing systemic and preventing hypothalamic inflammation [13]. Feng X also demonstrated that interleukin-1 receptor 1 (IL1R1) deficient mice are completely resistant to the effects of celastrol in leptin sensitization and treatment of obesity, diabetes, and nonalcoholic steatohepatitis [14].

De Angelis M, et al. reported the dosage of celastrol in mice brain injected intraperitoneally with 100 µg/kg and confirm the central nervous system (CNS) as a possible site of action for the weight-lowering [15]. Zhang Y, et al. also found that mice decrease in hepatic steatosis with increasing sirtuin 1 (Sirt1) expression after celastrol administration for the dose of 200 µg/kg injection every two days [16].

All of the above information demonstrates that celastrol is suitable as a candidate for the treatment of obesity. However, much more work needs to be done such as its dosage and safety assessments in the human body.

Conflict of Interest Statement

The authors have no conflicts of interest to disclose.

Funding Sources

The authors received no funding source/grants or other materials support for this work.

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Accepted: February 10, 2022

Published online: February 12, 2022

Citation: Cheung CHY, Law SK (2022) Could "Celastrol" Be Suitable for the Treatment of Obesity?. Regen Med Ther 5(1):55-56

Author Contributions

All authors contributed to the concept, acquisition, and analysis of data, drafting of the manuscript, and critical revision of the manuscript for important intellectual content which was approved as a final version for publication.

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DOI: 10.36959/654/394