

Discovery of APD371: Identification of a Highly Potent and Selective CB2 Agonist for the Treatment of Chronic Pain

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ABSTRACT

The discovery of a novel, selective and fully efficacious CB2 agonist with satisfactory pharmacokinetic and pharmaceutical properties is described. Compound 6 was efficacious in a rat model of osteoarthritis pain following oral administration and, in contrast to morphine, maintained its analgesic effect throughout a 5-day subchronic treatment paradigm. These data were consistent with our hypothesis that full agonist efficacy is required for efficient internalization and recycling of the CB2 receptor to avoid tachyphylaxis. Based on its overall favorable preclinical profile, 6 (APD371) was selected for further development for the treatment of pain.

A full copy of the publication can be accessed using the link below.

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