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Identification and characterization of baicalin as a phosphodiesterase 4 inhibitor

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Asthma is a chronic inflammatory disease of lung airways and pharmacological inhibitors of cAMP-specific phosphodiesterase 4 (PDE4) have been considered as therapeutics for the treatment of asthma. However, development of PDE4 inhibitors in clinical trials has been hampered due to the severe side effects of non-selective PDE4 inhibitors. Here, screening of a plant extract library in conjunction with dereplication technology led to identification of Baicalin as a new type of PDE4-selective inhibitor. We demonstrated that while Rolipram inhibited the enzyme activity of a range of PDE4 subtypes in *in vitro* enzyme assays, Baicalin selectively inhibited enzyme activity of PDE4A and 4B. In addition, baicalin suppressed LPS-induced TNFα expression in macrophage where PDE4B plays a key role in LPS-induced signaling. Furthermore, baicalin treatment in an animal model of allergic asthma reduced inflammatory cell infiltration and TNFα levels in BAL fluids, indicating that the anti-inflammatory effects of Baicalin *in vivo* are attributable, in part, to its ability to inhibit PDE4.

Recent Publications

1. Kim J K, Kim W J Hyun J M, Lee J S, Kwon J G et al. (2017) Salvia plebeia extract inhibits xanthine oxidase activity *in vitro* and reduces serum uric acid in an animal model of hyperuricemia. Planta Medica. 83(17):1335-1341.
2. Ku J M, Park K, Lee H, Cho K J, Nam Y J (2016) Discovery, optimization, and biological evaluation of sulfonamidoacetamide as an inducer of axon regeneration. Journal of Medicinal Chemistry. 59(10):4679-4687.

Biography

Yongmun Choi has completed his PhD from Baylor College of Medicine, USA in 2005. He is a Principal Investigator in Biocentre, Gyeonggido Business and Science Accelerator (GBSA). His research involves identification and characterization of bioactive small molecules and natural products, which can bridge the gap between academic discovery and drug development.

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