JZL195 is a selective and efficacious dual FAAH/MAGL inhibitor with IC50 of 13 nM and 19 nM for mouse brain FAAH and MAGL respectively.

IC50 value: 13 nM/19 nM (mouse brain FAAH/MAGL) [1]

Target: dual FAAH/MAGL inhibitor

in vitro: JZL195 shows only modest and incomplete inhibitory activity against NTE (IC50 >5 uM). At higher concentrations, JZL195 inhibited ABHD6 but not any of the other brain serine hydrolases detected in our competitive ABPP assays. JZL195 also inhibited rat and human FAAH and MAGL enzymes with IC50 values in the range of 10–100 nM based on competitive ABPP assays [1].

in vivo: A time course analysis of mice given one administration of JZL195 (20 mg/kg, i.p.) revealed that blockade of FAAH and MAGL lasted at least 10 h as judged by gel-based ABPP or AEA and 2-AG hydrolysis assays [1]. The effect of systemic injections of a range of doses of JZL1...