MRL-650

Cat. No.: HY-135280

CAS No.: 852315-00-5

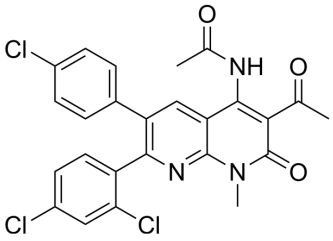
Molecular Formula: $C_{25}H_{18}Cl_3N_3O_3$

Molecular Weight: 514.79

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	MRL-650 (CB1 inverse agonist 1) is a highly potent, orally active, and specific inverse agonist of CB1 receptor with IC_{50}s of 7.5 nM and 4100 nM for CB1 and CB2 receptors, respectively. Anorexigenic effects[1].
IC_{50} & Target	CB1 7.5 nM (IC_{50}) CB2 4100 nM (IC_{50})
In Vivo	MRL-650 (Compound 14; 0.3, 1, or 3 mg/kg) inhibits feeding in a dose-dependent manner[1]. The pharmacokinetic profile of CB1 inverse agonist 1 is evaluated in Sprague-Dawley rats, C57BL/6 mice, beagles, and rhesus macaques with $t_{1/2}$ of >8, >8, >24, and 22 h, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat[1]
Dosage:	0.3, 1, or 3 mg/kg
Administration:	PO
Result:	Inhibited feeding in a dose-dependent manner. 3 mg/kg decreased cumulative food intake from 2 h post-dosing. Decreased overnight body weight gain compared to vehicle treatment at all dosing levels.

REFERENCES

[1]. Debenham JS, et al. Synthesis of functionalized 1,8-naphthyridinones and their evaluation as novel, orally active CB1 receptor inverse agonists.Bioorg Med Chem Lett. 2006 Feb;16(3):681-5.

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