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Data Sheet

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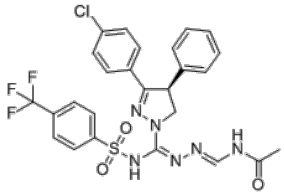
Product Name	:	MRI-1891
Cat. No.	:	PC-20170
CAS No.	:	2712480-46-9
Molecular Formula	:	$C_{26}H_{22}ClF_3N_6O_3S$
Molecular Weight	:	591.01
Target	:	Cannabinoid Receptor
Solubility	:	10 mM in DMSO



Biological Activity

MRI-1891 (Monlunabant, INV-202) is potent, selective, β-Arrestin-2-biased peripheral **cannabinoid-1 receptor (CB1R)** antagonist with Ki of 0.3 nM (hCB1R), >2000-fold CB1R/CB2R selectivity.
MRI-1891 displays high bias toward inhibiting CB1R-agonist-induced β-arrestin-2 (βArr2) recruitment (IC50=21 pM) versus inhibiting CB1R-agonist-induced G protein activation, as monitored by GTPγS binding (IC50=6 nM).
In C2C12 myoblasts, CB1R activation suppresses insulin-induced akt-2 phosphorylation, preventable by MRI-1891
MRI-1891 improves obesity-induced muscle insulin resistance in wild-type but not in βArr2-KO mice.
MRI-1891 augments glucose stimulated insulin secretion in isolated human pancreatic islets and mouse islets, shows comparable insulin secretion enhancing effect to exendin-4.
MRI-1891 treatment protects isolated human islet cells against cytokine-induced apoptosis, similar to exendin-4.

References

Ziyi Liu , et al. ***ACS Pharmacol Transl Sci.*** 2021 Apr 8;4(3):1175-1187.

Ghosh A, et al. ***Eur J Pharmacol.*** 2023 Apr 5;944:175589.

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