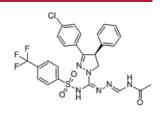


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**Data Sheet** 

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MRI-1891
Cat. No.	:	PC-20170
CAS No.	:	2712480-46-9
Molecular Formula	:	C <sub>26</sub> H <sub>22</sub> CIF <sub>3</sub> N <sub>6</sub> O <sub>3</sub> S
Molecular Weight	:	591.01
Target	:	Cannabinoid Receptor
Solubility	:	10 mM in DMSO



## **Biological Activity**

MRI-1891 (Monlunabant, INV-202) is potent, selective,  $\beta$ -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  $\beta$ -arrestin-2 ( $\beta$ Arr2) recruitment (IC50=21 pM) versus inhibiting CB1R-agonist-induced G protein activation, as monitored by GTP $\gamma$ S 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com