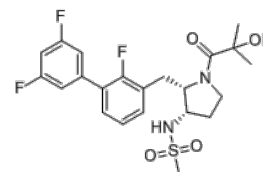


Product Name : Firazorexton
Cat. No. : PC-38360
CAS No. : 2274802-95-6
Molecular Formula : C₂₂H₂₅F₃N₂O₄S
Molecular Weight : 470.507
Target : Orexin Receptor
Solubility : 10 mM in DMSO



1. Takashi Ishikawa, et al. *J Pharmacol Exp Ther.* 2023 Jun;385(3):193-204.

Biological Activity

Firazorexton (TAK-994) is a potent, selective, orally available and brain-penetrant agonist of **orexin 2 receptor (OX2R)** with EC₅₀ of 19 nM against recombinant human OX2R, 700-fold selectivity against OX1R.

TAK-994 binds to hOX2R in a monophasic manner, with pK_D of 7.07 and B_{max} of 4.03 pmol/mg protein.

TAK-994 increased calcium mobilization in hOX2R/CHO-K1 cells in a dose-dependent manner with an EC₅₀ value of 19 nM, with no effect on calcium mobilization using hOX1R/CHO-K1 cells.

TAK-994 dose-dependently increased IP1 contents with EC₅₀ value of 16 nM in hOX2R/CHO-EA cell, increased β-arrestin recruitment with EC₅₀ of 4.5 nM.

TAK-994 induced phosphorylation of ERK1/2 with EC₅₀ of 19 nM and phosphorylation of CREB with EC₅₀ of 2.9 nM nM, respectively, in hOX2R/CHO-EA cells.

TAK-994 (30 mg/kg, by mouth) significantly increased total wakefulness time in C57BL/6J mice, did not affect total wakefulness time in OX2R KO mice.

References

