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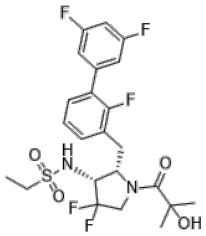
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TAK-861
Cat. No.	:	PC-23032
CAS No.	:	2460722-04-5
Molecular Formula	:	$C_{23}H_{25}F_5N_2O_4S$
Molecular Weight	:	520.52
Target	:	Orexin Receptor
Solubility	:	10 mM in DMSO



CAS: 2460722-04-5

Biological Activity

Oveporexton (TAK-861) is a potent, selective, orally available orexin receptor 2 (**OX2R**) agonist with EC50 of 2.5 nM, weakly activates OX1R (EC50=7.5 uM).
TAK-861 shows no inhibition on various enzymes, receptors, and ion channels (102 targets in total) in in vitro assays.
TAK-861 induces dose-dependent depolarization of the membrane potential in histaminergic neurons in the mouse TMN with EC50 of 31.7 nM.
TAK-861 dose-dependently increases iInositol monophosphate (IP1) contents and increases β-arrestin recruitmentin OX2R-expressing cells with EC50 of 1.2 nM and 30 nM.
TAK-861 induces phosphorylation of ERK1/2 and phosphorylation of CREB with EC50 of 34 nM and 3.6 nM).
TAK-861 produces wake-promoting effects via OX2R activation in both mice and monkeys during the sleep phase, ameliorates narcolepsy-like phenotypes in orexin/ataxin-3 mice.

References

Mitsukawa K, et al. ***Sci Rep***. 2024 Sep 6;14(1):20838.

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