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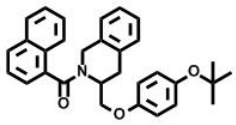
REV-ERB Agonist SR10067

Chemical Name: (3-((4-(tert-butoxy)phenoxy)methyl)-3,4-dihydroisoquinolin-2(1H)-yl)(naphthalen-1-yl)methanone



Molecular Weight:	465.58
Formula:	$C_{31}H_{31}NO_3$
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 50 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

SR10067 is a potent and specific synthetic REV-ERB agonist that binds to REV-ERB-α with an EC_{50} ~170 nM and REV-ERB-β with EC_{50} ~160 nM. Potency of SR10067 was also considerably better in a cotransfection assay using full-length REV-ERBa along with the BMAL1 promoter luciferase reporter (EC_{50} ~140 nM). It also has good in vivo plasma/brain exposure. Comparing to SR9011 and SR9009, SR10067 has 4-5 folds improvement in potency both in vitro and in vivo. IP administration of SR10067 regulates sleep architecture and emotional behaviour in mice. It induces wakefulness and reduces REM and slow-wave sleep. It can also reduce anxiety-like behaviour. These results indicate that pharmacological targeting of REV-ERB may lead to the development of novel therapeutics to treat sleep disorders and anxiety.

How to Use:

In vitro: SR10067 was used at 5-10 μM concentration in vitro and in cellular assays.

In vivo: IP administration of SR10067 was used at 30 mg/kg to mice.

Reference:

1. Banerjee S, et al. Pharmacological targeting of the mammalian clock regulates sleep architecture and emotional behaviour. (2014) Nat Commun. 5:5759.