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Data Sheet

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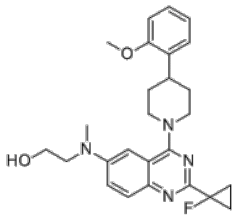
Product Name	:	SBI-553
Cat. No.	:	PC-38142
CAS No.	:	1849603-72-0
Molecular Formula	:	$C_{26}H_{31}FN_4O_2$
Molecular Weight	:	450.558
Target	:	Neurotensin Receptor
Solubility	:	10 mM in DMSO



Biological Activity

SBI-553 (SBI553) is a potent, selective, β-arrestin-biased, brain penetrant **neurotensin receptor 1** (NTR1, **NTSR1**) allosteric modulator with EC50 of 0.34 uM.
SBI-553 had no effect on the potency of NT in the NTR1 high-content (β-arrestin2-GFP) assay.
SBI-553 not only acts as a β-arrestin-biased agonist but also extends profound β-arrestin bias to the endogenous ligand by selectively antagonizing G protein signaling.
SBI-553 only showed moderate affinity against a range of related and unrelated GPCRs using the same high-content assay format (NTR2, GPR35, GPR55, K-opioid).
SBI-553 (12 mg/kg, i.p.) attenuated basal hyperlocomotion in dopamine transporter knockout (DAT−/−) mice.
SBI-553 showed efficacy in animal models of psychostimulant abuse, including cocaine self-administration, without the side effects characteristic of balanced NTSR1 agonism.

References

Pinkerton AB, et al. ***J Med Chem.*** 2019 Sep 12;62(17):8357-8363.

Slosky LM, et al. ***Cell.*** 2020 Jun 11;181(6):1364-1379.e14.

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