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Data Sheet

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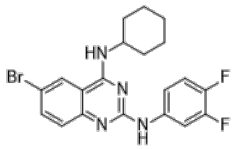
Product Name	:	AP-7-168
Cat. No.	:	PC-27918
CAS No.	:	2816062-15-2
Molecular Formula	:	$C_{20}H_{19}BrF_2N_4$
Molecular Weight	:	433.30
Target	:	Adrenergic Receptor
Solubility	:	10 mM in DMSO



Biological Activity

AP-7-168 is a specific β-arrestin-biased negative allosteric modulator (**NAM**) of β2-adrenergic receptor (**β2AR**), mediates β2AR dimerization with pEC50 of 5.93 in HEK cells, is >1,000-fold effective at inhibiting β-arrestin2 recruitment versus cAMP production.
AP-7-168 shows direct binding to the monomeric β2AR receptor with Kd of 30 uM.
AP-7-168 promotes β2AR dimerization in continuous membranes and in cells.
AP-7-168 (10 uM) caused a slight reduction in the monomer–Gs binding affinity (KD = 1.7 μM) in BLI assays.
AP-7-168 biases TM6 conformational dynamics of β2AR in both dimer and monomer.
AP-7-168-bound β AR dimers inhibits GRK5-mediated phosphorylation of β2AR in both detergent and nanodiscs.

References

Shen J, et al. ***Nature.*** 2026 Aug 19. doi: 10.1038/s41586-026-10892-y.

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