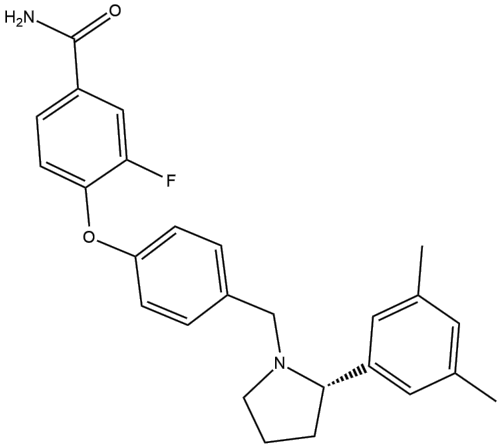
Product Data Sheet

Product Name: CERC-501 (Aticaprant)
Cat. No.: GC19229

Chemical Properties

Cas. No.	1174130-61-0		
SMILES	O=C(N)C1=CC=C(OC2=CC=C(CN3[C@H](C4=CC(C)=CC(C)=C4)CCC3)C=C2)C(F)=C1		
Formula	$C_{26}H_{27}FN_2O_2$	M.Wt	418.5
Solubility	DMSO : ≥ 100 mg/mL (238.95 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Animal experiment [1]:

Animal models male ICR mice

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Preparation Method	Naïve male 8-10 week-old ICR mice were housed five per cage in a 21°C humidity controlled facility with ad libitum access to food and water. To assess the effects of acute CERC-501 administration on spontaneous withdrawal from nicotine, mice were infused with nicotine or saline for 14 days. On day 14, mice were anesthetized under isoflurane anesthesia and minipumps were removed in the evening. No analgesic was given after mini pump removal, as this would interfere with hyperalgesia testing. Mice were habituated to the gavage procedure for oral drug administration for 3 days prior to mini pump removal. On day 15, 18-24h after mini pump removal, these mice were pretreated orally (p.o.) 60min prior to testing with either vehicle or CERC-501 (10mg/kg; p.o.). Immediately following the 60min pre-treatment, mice were observed for physical and affective nicotine withdrawal signs.
Dosage form	10mg/kg; p.o.; 60min
Applications	CERC-501 reversed chronic-nicotine-withdrawal-induced hyperalgesia and anxiety-like behavior in male ICR mice.

References:

[1] Jackson KJ, Jackson A, Carroll FI, Damaj MI. Effects of orally-bioavailable short-acting kappa opioid receptor-selective antagonist LY2456302 on nicotine withdrawal in mice. *Neuropharmacology*. 2015;97:270-274.

Background

CERC-501 (Aticaprant) is a potent and centrally-penetrant kappa opioid(KOR) receptor antagonist with a Ki of 0.807nM[1]. KOR is an inhibitory G protein coupled receptor that mediates stress, negative affect, and negative reinforcement in addiction-related behaviors[2]. CERC-501 is primarily used for research into depression, substance-use disorders, and reward mechanisms[3][4][5].

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In vivo, CERC-501 (10mg/kg; p.o.; 60min) reversed chronic-nicotine-withdrawal-induced hyperalgesia and anxiety-like behavior in male ICR mice[6]. CERC-501 (10mg/kg/day; i.p.; 11 days) reversed unpredictable chronic mild stress-induced anhedonia, restored sucrose preference and increased nest building scores in male C57BL/6J mice[7].

References:

[1] Rorick-Kehn LM, Witkin JM, Statnick MA, et al. LY2456302 is a novel, potent, orally-bioavailable small molecule kappa-selective antagonist with activity in animal models predictive of efficacy in mood and addictive disorders. *Neuropharmacology*. 2014;77:131-144.
[2] Cayir S, Zhornitsky S, Barzegary A, et al. A review of the kappa opioid receptor system in opioid use. *Neurosci Biobehav Rev*. 2024;162:105713.
[3] Serretti A. Anhedonia: Current and future treatments. *PCN Rep*. 2025;4(1):e70088. Published 2025 Mar 23.
[4] Hampsey E, Jelen L, Young AH. Aticaprant: (a κ-opioid receptor antagonist) for major depressive disorder. *Expert Opin Emerg Drugs*. 2024;29(3):193-204.
[5] Krystal AD, Pizzagalli DA, Smoski M, et al. A randomized proof-of-mechanism trial applying the 'fast-fail' approach to evaluating κ-opioid antagonism as a treatment for anhedonia. *Nat Med*. 2020;26(5):760-768.
[6] Jackson KJ, Jackson A, Carroll FI, Damaj MI. Effects of orally-bioavailable short-acting kappa opioid receptor-selective antagonist LY2456302 on nicotine withdrawal in mice. *Neuropharmacology*. 2015;97:270-274.
[7] Jacobson ML, Wulf HA, Browne CA, Lucki I. The kappa opioid receptor antagonist aticaprant reverses behavioral effects from unpredictable chronic mild stress in male mice. *Psychopharmacology (Berl)*. 2020;237(12):3715-3728.

Caution: Product has not been fully validated for medical applications. For research use only.
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