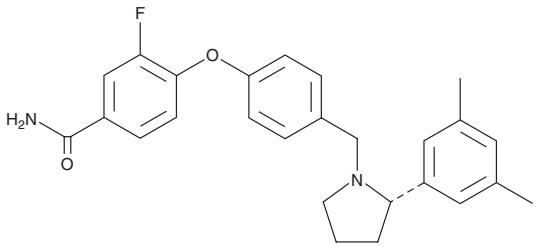
PRODUCT INFORMATION

Aticaprant

Item No. 35464

CAS Registry No.:	1174130-61-0
Formal Name:	4-[4-[[(2S)-2-(3,5-dimethylphenyl)-1-pyrrolidinyl]methyl]phenoxy]-3-fluoro-benzamide
Synonyms:	CERC-501, JNJ-67953964, LY2456302
MF:	$C_{26}H_{27}FN_2O_2$
FW:	418.5
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Aticaprant is supplied as a solid. A stock solution may be made by dissolving the aticaprant in the solvent of choice, which should be purged with an inert gas. Aticaprant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of aticaprant in these solvents is approximately 3, 5, and 1 mg/ml, respectively.

Description

Aticaprant is a κ-opioid receptor (KOR) antagonist (K_i = 0.807 nM).[1] It is selective for KOR over the μ- and δ-opioid receptors (K_is = 24 and 155 nM, respectively). Aticaprant reverses U-69593-induced decreases in nocifensive behavior in the formalin test in rats (ED_{50} = 0.4 mg/kg). It also reverses U-69593-induced disruptions in prepulse inhibition in rats in a dose-dependent manner. Aticaprant (10 mg/kg) reduces immobility time in the forced swim test in mice. It reduces alcohol self-administration and the progressive ratio breakpoint in rats when administered at a dose of 10 mg/kg.

Reference

1. Rorick-Kehn, L.M., Witkin, J.M., Statnick, M.A., *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* **77**, 131-144 (2014).

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