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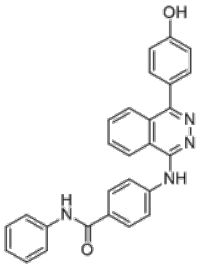
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ARN272
Cat. No.	:	PC-38511
CAS No.	:	488793-85-7
Molecular Formula	:	$C_{27}H_{20}N_4O_2$
Molecular Weight	:	432.47
Target	:	FAAH
Solubility	:	10 mM in DMSO



Biological Activity

ARN272 (ARN-272) is a specific, competitive FAAH-like anandamide transporter (**FLAT**) inhibitor, antagonizes [3H]-anandamide binding to purified FLAT (IC50=1.8 uM) and inhibits [3H]-anandamide accumulation in FLAT-expressing HEK293 cells with IC50 of 3 uM.
ARN272 (1 mg/kg intraperitoneal, i.p.) in mice increased plasma levels of anandamide without changing the levels of 2-AG, OEA or PE.
ARN272 produces CB1-dependent antinociception, attenuates nociceptive and inflammatory pain in mice.
ARN272 tonically activates CB1 receptors and as such produces a type of indirect agonism to regulate toxin-induced nausea and vomiting.
FAAH-like anandamide transporter (FLAT) is a partly cytosolic variant of the intracellular anandamide-degrading enzyme, fatty acid amide hydrolase-1 (FAAH-1).
FLAT was proposed to function as an intracellular AEA carrier and mediate its delivery to FAAH for hydrolysis.
Pharmacological inhibition of FLAT potentiated AEA signaling and produced antinociceptive effects.

References

Fu J, et al. ***Nat Neurosci***. 2011 Nov 20;15(1):64-9.

O'Brien LD, et al. ***Br J Pharmacol***. 2013 Nov;170(5):1130-6.

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