Product Data Sheet

Chemical Properties

Product Name: AQ-RA 741
Cas No.: 123548-16-3
M.Wt: 463.62
Formula: C27H37N5O2

Chemical Name: 11-(2-(4-(diethylamino)butyl)piperidin-1-yl)acetyl)-5H-benzo[e]pyrido[3,2-b][1,4]diazepin-6(11H)-one

Canonical SMILES: O=C(CN1CCC(CCCCN(CC)CC1)N2C3=CC=CC=C3C(NC4=CC=CN=C24)=O

Solubility: Soluble in DMSO > 10 mM

Storage: Store at RT

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.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Neuroscience
Pathways: Muscarinic Receptor

Description:
AQ-RA 741 is a potent and selective M2 antagonist, with high affinity for cardiac M2 sites (pKi = 8.30) [1].
The M2 muscarinic receptor subtype is involved in the regulation of heart rate, mediating muscarinic receptor-dependent movement, antinociceptive responses and temperature control.
In radioligand binding studies, the affinity of AQ-RA 741 for cardiac M2 sites, cortical M1 sites and grandular M3 sites are of pKi values of 8.30, 7.70 and 6.82, respectively. That means AQ-RA 741 showed high affinity for cardiac M2 sites, compared to that for cortical M1 sites and grandular M3 sites. Functional studies showed that AQ-RA 741 is a competitive antagonist. It has a 60 to 87-fold higher affinity to bind cardiac muscarinic receptors than to bind muscarinic receptors in tracheal, intestinal or bladder smooth muscle [1].

M2 selectivity of AQ-RA 741 was also confirmed by in vivo experiments. In rats, guinea-pigs and cats, vagally or agonist-induced bradycardia (log ID50 = 7.24–7.53 i.v.) were preferentially inhibited by AQ-RA 741. The ratio range of observed potencies between effects mediated by cardiac and other muscarinic receptor was between 9- and greater than 100-fold. These results concluded that AQ-RA 741 is of remarkable in vivo selectivity as a potent and selective M2 antagonist [1].

Reference: