# Product Data Sheet

Product Name: AQ-RA 741
Cat. No.: GC13340

## Chemical Properties

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|---|---|---|---|
| Cas. No. | 123548-16-3 | | |
| Chemical Name | 11-(2-(4-(4-(diethylamino)butyl)piperidin-1-yl)acetyl)-5H-benzo[e]pyrido[3,2-b][1,4]diazepin-6(11H)-one | | |
| SMILES | O=C(CN1CCC(CCCCN(CC)CC)CC1)N2C3=CC=CC=C3C(NC4=CC=CN=C24)=O | | |
| Formula | $C_{27}H_{37}N_5O_2$ | M.Wt | 463.62 |
| Solubility | <46.36mg/ml in 1eq. HCl; <46.36mg/ml in ethanol; <46.36mg/ml in DMSO | Storage | Store at RT |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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 | AQ-RA 741 | | |

## Background

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 [2].

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].


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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].

References:

[1]. Doods H, Entzeroth M and Mayer N. Cardioselectivity of AQ-RA 741, a novel tricyclic antimuscarinic drug. Eur J Pharmacol, 1991, 192(1):147-52.
[2]. Gomeza J, Shannon H, Kostenis E, et al. Pronounced pharmacologic deficits in M2 muscarinic acetylcholine receptor knockout mice. Proc Natl Acad Sci U S A, 1999, 96(4):1692-7.


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