

Product Data Sheet

Product Name: Rapacuronium bromide (Org 9487)

Cat. No.: GC30971

Chemical Properties

Cas. No. 156137-99-4

SMILES C[C@@]12[C@](CC[C@]3([H])[C@]2([H])CC[C@@]4(C)[C@@]3([H])C[C@H]([N+]5(C=C)CCCC5)[C@@H]4OC(CC)=O)([H])C[C@H](OC(C)=O)[C@@H](N6CCCCC6)C1.[Br-]

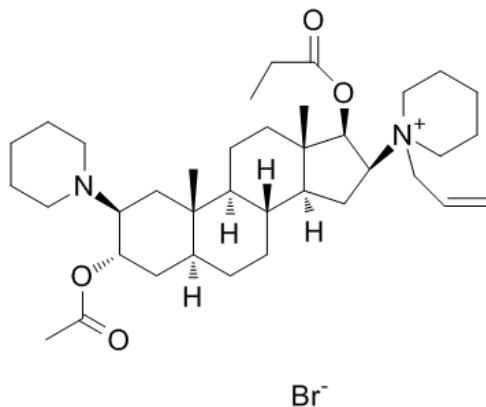
Formula $C_{37}H_{61}BrN_2O_4$ M.Wt 677.8

Solubility DMSO : ≥ 125 mg/mL (184.42 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

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

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**Kinase
experiment:**

For determination of [35S]GTPγS binding to G-proteins in membranes a final concentration of 200 pM (M1, M3 and M5 receptors) or 500 pM (M2 and M4 receptors) of [35S]GTPγS is used. Incubation medium is supplemented with 5 μM (M1, M3 and M5 receptors) or 50 μM (M2 and M4 receptors) GDP. Nonspecific binding is determined in the presence of 1 μM unlabeled GTPγS. When effects of Rapacuronium on ACh-stimulated [35S]GTPγS binding is measured Rapacuronium is added to membranes 60 min prior to ACh and [35S]GTPγS. Incubation with [35S]GTPγS is carried out for 20 min and free ligand is removed by filtration as described above. Filtration and washing with ice-cold water lasted for 9 s (wash-aspirate button time). After filtration filters are dried in vacuum for 1 h while heated at 80°C and then solid scintillator Meltilex A is melted on filters (105°C, 90 s) using a hot plate. After cooling the filters are counted using a Wallac Microbeta scintillation counter[1].

References:

- [1]. Jakubík J, et al. Divergence of allosteric effects of Rapacuronium on binding and function of muscarinic receptors. BMC Pharmacol. 2009 Dec 28;9:15.
[2]. Vizi ES, et al. A new short-acting non-depolarizing muscle relaxant (SZ1677) without cardiovascular side-effects. Acta Anaesthesiol Scand. 2003 Mar;47(3):291-300.

Background

Rapacuronium bromide is an allosteric modulator of muscarinic acetylcholine receptor (mAChR).

Rapacuronium binds to all muscarinic receptor subtypes at physiologically relevant concentrations and displays micromolar affinity and slight selectivity towards M2 receptor. Rapacuronium exhibits complex effects on the kinetics of ACh binding and subsequent receptor activation estimated from stimulation of [35S]GTPγS binding. Rapacuronium alone concentration dependently lowers [35S]GTPγS binding to membranes with a maximal effect of approximately 25% at odd-numbered subtypes and 15% at even-numbered subtypes, with EC₅₀ ranging from 28 μM at M2 receptors to 76 μM at M3 receptors. While the EC₅₀ values of Rapacuronium in inhibiting [35S]GTPγS binding at individual subtypes correlated with affinities measured in binding experiments with [3H]ACh (R² =

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0.76) they are lower (4- to 12-fold) at all subtypes. Measurements of ACh-stimulated [35S]GTPγS binding in the presence of 0.1, 1 and 10 μM Rapacuronium shows differential effects of Rapacuronium on receptor activation by an orthosteric agonist at individual receptor subtypes. At even-numbered subtypes 1 μM and 10 μM Rapacuronium significantly increases ACh EC₅₀, with lowering of EMAX at 10 μM Rapacuronium. At this subtype 0.1 and 1 μM Rapacuronium causes a significant 2-fold decrease in ACh EC₅₀ and approximately 60% and 35% increase in EMAX, respectively. Rapacuronium at 10 μM increases ACh EC₅₀ by about 3-fold without a significant change in EMAX. Rapacuronium (0.1 - 10 μM) has no effect on ACh efficacy at the M1 and M5 subtypes but decreases the EC₅₀ of ACh in stimulating [35S]GTPγS binding by 1.5- and 4-fold, respectively, at concentrations of 0.1 and 1 μM. However, this effect is not evident at 10 μM Rapacuronium[1].

Time course of the neuromuscular effects of Rapacuronium following the administration of the 2×ED₉₀ doses to rats and guinea-pigs with ED₉₀ of 5953±199 and 187±16 μg/kg in rat and guinea pig, respectively[2].

[1]. Jakubík J, et al. Divergence of allosteric effects of Rapacuronium on binding and function of muscarinic receptors. BMC Pharmacol. 2009 Dec 28;9:15. [2]. Vizi ES, et al. A new short-acting non-depolarizing muscle relaxant (SZ1677) without cardiovascular side-effects. Acta Anaesthesiol Scand. 2003 Mar;47(3):291-300.

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