Product Datasheet

UK-78,282 hydrochloride

Catalog No. **BP-02177A** · CAS **136647-02-4** · Form **salt · hydrochloride** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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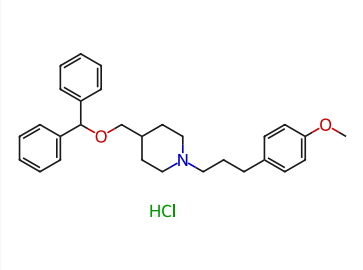
Target	Research area
Potassium Channel	**Inflammation/Immunology**

Molecular formula	$C_{29}H_{36}ClNO_2$
Molecular weight	**466.0550**

STRUCTURE



DESCRIPTION

UK-78282, a novel piperidine, potent and selective Kv1.3 blocker with an IC50 of 200 nM. UK-78,282 effectively suppresses human T-lymphocyte activation in vitro. UK-78,282 binds to residues at the inner surface of the channel overlapping the site of action of verapamil[1].

BIOLOGICAL ACTIVITY

In Vitro

UK-78282 hydrochloride blocks Kv1.3 channel function in various cell models. Under acute administration conditions, this compound blocks native Kv1.3 channels in human peripheral blood T lymphoblasts (IC50 = 202 nM), recombinant Kv1.3 channels in L929 cells (IC50 = 280 nM), and Kv1.3 channels in RBL cells (IC50 = 333 nM); it inhibits Kv1.3-mediated 86Rb efflux in human T cells (IC50 = 0.4 μM); and it inhibits the binding of 125I-ChTX to Kv1.3 expressed in HeLa cells (IC50 = 0.7 μM)[1].

UK-78282 hydrochloride produces use-dependent block of Kv1.3 channels in human peripheral blood T lymphoblasts; the longer the duration of depolarizing pulses, the faster the establishment of steady-state block. Maintaining the holding potential at -50 mV to increase the proportion of C-type inactivated channels enhances the blocking efficacy of this compound[1].

UK-78282 hydrochloride binds to an internal site of the Kv1.3 channel in human peripheral blood T lymphoblasts. This site overlaps with the binding site of verapamil, but does not overlap with the binding sites of extracellular ChTX or intracellular TEA[1].

UK-78282 hydrochloride exhibits selectivity for Kv1.3 and Kv1.4 channels over other Kv family channels, with negligible activity on T cell KCa channels at concentrations up to 30 μM[1].

UK-78282 (100 nM; ≥2 min) hydrochloride selectively inhibits action potential firing only in striatal projection neurons of the nucleus accumbens shell in low-motivation (lowS) rats, and slows the inactivation of their A-type potassium currents; this compound reduces the amplitude of A-type potassium currents in such neurons across three groups of rats, namely low-motivation (lowS), high-motivation (highS), and moderate-motivation (midS) rats[1].

UK-78282 hydrochloride inhibits PHA-induced proliferation of purified human peripheral blood T cells (IC50 = 2.0 μM) by acting on the late G1/early S phase of the cell cycle; it also suppresses PHA-stimulated IL-2 production (IC50 = 2.9 μM).

In Vivo

UK-78282 (1-100 nM, bilateral intracerebroventricular microinfusion, 0.5 μl per side, infusion duration 2 min + diffusion for 1 min) hydrochloride selectively enhances effort-based motivation for sucrose rewards in low-motivation Sprague-Dawley rats, with no significant effects on rats with moderate or high motivation.

SOLUBILITY

soluble in DMSO

REFERENCES

[1]. Hanson DC, et al. UK-78,282, a novel piperidine compound that potently blocks the Kv1.3 voltage-gated potassium channel and inhibits human T cell activation. British journal of pharmacology. 1999 Apr;126(8):1707-16.

[2]. O'Donovan B, et al. Altered gating of K1.4 in the nucleus accumbens suppresses motivation for reward. eLife. 2019 Sep 05;8:e47870.