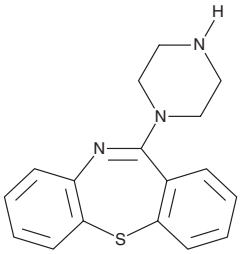
PRODUCT INFORMATION

Norquetiapine

Item No. 36687

CAS Registry No.: 5747-48-8
Formal Name: 11-(1-piperazinyl)-dibenzo[b,f][1,4]thiazepine
Synonym: N-Desalkylquetiapine
MF: $C_{17}H_{17}N_3S$
FW: 295.4
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Norquetiapine is supplied as a solid. A stock solution may be made by dissolving the norquetiapine in the solvent of choice, which should be purged with an inert gas. Norquetiapine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of norquetiapine in these solvents is approximately 5, 3, and 16 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of norquetiapine can be prepared by directly dissolving the solid in aqueous buffers. The solubility of norquetiapine in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Norquetiapine is an active metabolite of the atypical antipsychotic quetiapine (Item No. 14152).[1] It is formed from quetiapine primarily by the cytochrome P450 (CYP) isoform CYP3A4. Norquetiapine selectively inhibits the norepinephrine transporter (NET; IC_{50} = 12 nM) over the serotonin (5-HT) and dopamine transporters (SERT and DAT; IC_{50}s = 988 and >10,000 nM, respectively). It binds to the histamine H_1 receptor (K_i = 3.5 nM), as well as the 5-HT receptor subtypes 5-HT_1, 5-HT_2, and 5-HT_7 (K_is = 45-1,117 nM). It also binds to α_1- and α_2-adrenergic, dopamine D_1-D_5, and M_1-M_5 muscarinic receptors (K_is = 95-736, 196-1,419, and 23-453 nM, respectively). It acts as an antagonist at histamine H_1, α_{1A}- and α_{1D}-adrenergic, as well as M_1, M_3, and M_5 muscarinic receptors, in a concentration-dependent manner and as an agonist at the 5-HT_{1A} receptor (EC_{50} = 4,898 nM). Norquetiapine (0.1, 0.5, and 1 mg/kg) reduces increases in immobility time in mice heterozygous for the gene encoding vesicular monoamine transporter 2 (Vmat2) but not in wild-type mice.

Reference

1. Jensen, N.H., Rodriguiz, R.M., Caron, M.G., *et al.* *N*-desalkylquetiapine, a potent norepinephrine reuptake inhibitor and partial 5-HT_{1A} agonist, as a putative mediator of quetiapine's antidepressant activity. *Neuropsychopharmacology* **33(10)**, 2303-2312 (2008).

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