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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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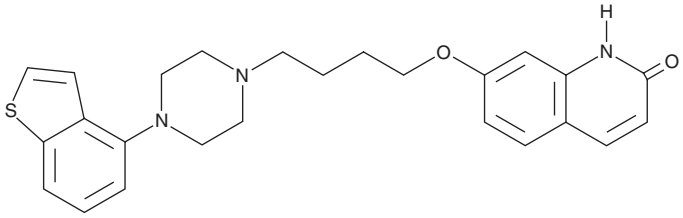
PRODUCT INFORMATION

Brexpiprazole

Item No. 22906

CAS Registry No.:	913611-97-9
Formal Name:	7-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-2(1H)-quinolinone
Synonym:	OPC 34712
MF:	$C_{25}H_{27}N_3O_2S$
FW:	433.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 215 nm
Supplied as:	A crystalline 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

Brexpiprazole is supplied as a crystalline solid. A stock solution may be made by dissolving the brexpiprazole in the solvent of choice, which should be purged with an inert gas. Brexpiprazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of brexpiprazole in these solvents is approximately 1, 25, and 30 mg/ml, respectively.

Brexpiprazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, brexpiprazole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Brexpiprazole has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Brexpiprazole is a serotonin (5-HT) and dopamine receptor modulator that has high affinity (K_i = <1 nM) for 5-HT_{1A} and 5-HT_{2A} serotonin, dopamine D_{2L}, and α_{1B}-, and α_{2C}-adrenergic receptors in CHO cell membranes expressing the human receptors.[1] It acts as a partial agonist of 5-HT_{1A}, D_{2L}, and D_3 receptors (EC_{50}s = 0.49, 4.0, and 2.8 nM, respectively) and an antagonist of 5-HT_{2A}, 5-HT_{2B}, as well as α_{1B}- and α_{2C}-adrenergic receptors (IC_{50}s = 6.5, 14, 0.66, and 63 nM, respectively) *in vitro*. *In vivo*, brexpiprazole dose-dependently reduces conditioned avoidance response (CAR) time, inhibits locomoter hyperactivity induced by apomorphine (Item No. 16094) and amphetamine, and reverses cognitive defects induced by subchronic PCP administration in rats.[2] It also reduces apomorphine-induced eye blinking in cynomolgus monkeys. Formulations containing brexpiprazole have been used in the treatment of schizophrenia and major depressive disorder.

References

1. Maeda, K., Sugino, H., Akazawa, H., *et al.* Brexpiprazole I: *In vitro* and *in vivo* characterization of a novel serotonin-dopamine activity modulator. *J. Pharmacol. Exp. Ther.* **350(3)**, 589-604 (2014).
2. Maeda, K., Lerdrup, L., Sugino, H., *et al.* Brexpiprazole II: Antipsychotic-like and procognitive effects of a novel serotonin-dopamine activity modulator. *J. Pharmacol. Exp. Ther.* **350(3)**, 605-614 (2014).

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