

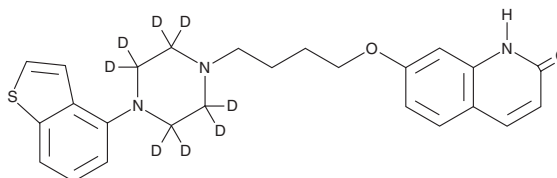
PRODUCT INFORMATION



Brexpiprazole-d₈

Item No. 26450

CAS Registry No.: 1427049-21-5
Formal Name: 7-[4-(4-benzo[b]thien-4-yl-1-piperazinyl-2,2,3,3,5,5,6,6-d₈)butoxy]-2(1H)-quinolinone
MF: C₂₅H₁₉D₈N₃O₂S
FW: 441.6
Chemical Purity: ≥98% (Brexpiprazole)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₈); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Brexpiprazole-d₈ is intended for use as an internal standard for the quantification of brexpiprazole (Item No. 22906) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Brexpiprazole-d₈ is supplied as a solid. A stock solution may be made by dissolving the brexpiprazole-d₈ in the solvent of choice. Brexpiprazole-d₈ is soluble in the organic solvent DMSO, which should be purged with an inert gas.

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 D₃ 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 locomotor 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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