

Product Data Sheet

Product Name: Brexpiprazole-d8

Cat. No.: GC46948

Chemical Properties

Cas. No. 1427049-21-5

SMILES O=C1C=CC2=C(C=C(OCCCCN3C([2H])([2H])C([2H])([2H])N(C4=C(C=CS5)C5=CC=C4)C([2H])([2H])C3([2H])([2H])C=C2)N1

Formula C₂₅H₁₉D₈N₃O₂S

M.Wt 441.6

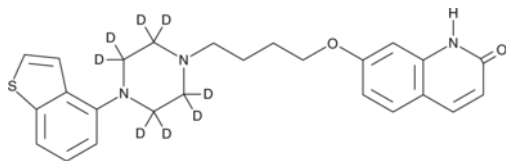
Solubility DMSO: soluble

Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

Brexpiprazole-d₈ is intended for use as an internal standard for the quantification of brexpiprazole by GC- or LC-MS. 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 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.

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

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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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