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siehe unsere Liefer- und Versandbedingungen

Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

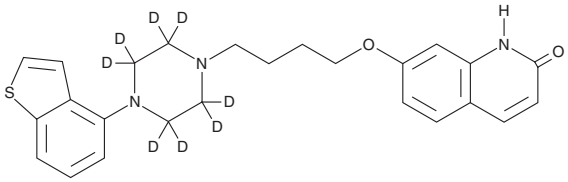
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Brexpiprazole-d_8

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-d_8)butoxy]-2(1H)-quinolinone
MF: $C_{25}H_{19}D_8N_3O_2S$
FW: 441.6
Chemical Purity: ≥98% (Brexpiprazole)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_8); ≤1% d_0
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-d_8 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-d_8 is supplied as a solid. A stock solution may be made by dissolving the brexpiprazole-d_8 in the solvent of choice. Brexpiprazole-d_8 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 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM