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Zuschläge

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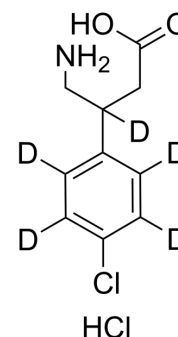
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Baclofen-d₅ hydrochloride

Cat. No.:	HY-B0007S2
CAS No.:	2012598-58-0
Molecular Formula:	C ₁₀ H ₈ D ₅ Cl ₂ NO ₂
Molecular Weight:	255.15
Target:	GABA Receptor; Isotope-Labeled Compounds
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Baclofen-d ₅ hydrochloride is deuterated labeled Baclofen (HY-B0007). Baclofen, a lipophilic derivative of γ -aminobutyric acid (GABA), is an orally active, selective metabotropic GABA _B receptor (GABA _B R) agonist. Baclofen mimics the action of GABA and produces slow presynaptic inhibition through the GABA _B receptor. Baclofen has high blood brain barrier penetrance. Baclofen has the potential for muscle spasticity research ^{[1][2][3]} .
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . Baclofen (1, 10 μ M; 24 h) causes markedly decreased lactate dehydrogenase (LDH) activity, indicating increased cell viability in wild-type or mutant huntingtin-expressing striatal cells (HD19 or HD43). Baclofen significantly increases chymotrypsin-like proteasome activity and cell viability were in the HD43 cells ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Baclofen (i.p.; 10 μ g/g; twice daily for 3 consecutive days) ameliorates motor deficits in YAC128 HD transgenic mice ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Woori Kim, et al. Baclofen, a GABAB receptor agonist, enhances ubiquitin-proteasome system functioning and neuronal survival in Huntington's disease model mice. *Biochem Biophys Res Commun*. 2014 Jan 10;443(2):706-11.
- [2]. Mehdi Farokhnia, et al. A deeper insight into how GABA-B receptor agonism via baclofen may affect alcohol seeking and consumption: lessons learned from a human laboratory investigation. *Mol Psychiatry*. 2018 Oct 31.
- [3]. Bexis, S., et al., Baclofen prevents MDMA-induced rise in core body temperature in rats. *Drug Alcohol Depend*, 2004. 74(1): p. 89-96.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019 Feb;53(2):211-216.

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

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