

## Product Data Sheet

Product Name: Azelastine-<sup>13</sup>C-d<sub>3</sub> (hydrochloride)

Cat. No.: GC49057

### Chemical Properties

Cas. No. 2930288-75-6

SMILES O=C1N(C2CCCN([13C])([2H])([2H])[2H])CC2)N=C(CC3=CC=C(Cl)C=C3)C4=CC=CC=C41.Cl

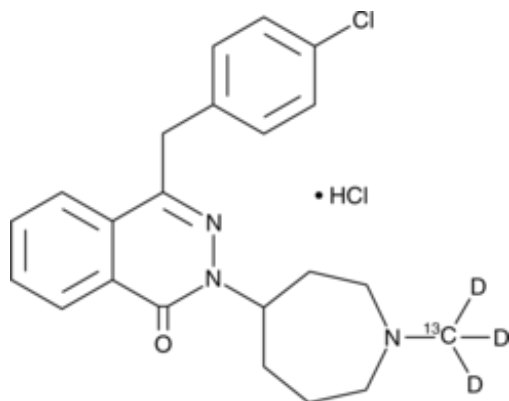
Formula C<sub>21</sub>[<sup>13</sup>C]H<sub>21</sub>ClD<sub>3</sub>N<sub>3</sub>O·HCl M.Wt 422.4

Solubility DMSO: soluble, Methanol: soluble Storage -20°C

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

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

Structure



### Background

Azelastine-<sup>13</sup>C-d<sub>3</sub> is intended for use as an internal standard for the quantification of azelastine by GC- or LC-MS. Azelastine is a histamine H<sub>1</sub> receptor antagonist ( $K_i = 1.26$  nM in bovine cerebral cortex membranes).<sup>1</sup> It is selective for histamine H<sub>1</sub> over histamine H<sub>3</sub> receptors ( $K_i = 158.49$  nM), as well as  $\alpha_1A$ - and  $\alpha_1B$ -adrenergic receptors ( $K_i = 50.12$  nM for both). Azelastine (0.14  $\mu$ g/animal) reduces nose rubbing, sneezing, and nasal mucosa expression of IL-4 in a dust mite-induced mouse model of allergic rhinitis.<sup>2</sup> It also reduces infection by a lentivirus pseudotyped with the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) spike glycoprotein, also known as the surface

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

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glycoprotein, in HEK293 cells expressing angiotensin-converting enzyme 2 (ACE2) when used at a concentration of 7 µg/ml.<sup>3</sup> Formulations containing azelastine have been used in the treatment of seasonal allergic rhinitis and vasomotor rhinitis.

1.Procopiou, P.A., Browning, C., Buckley, J.M., et al.The discovery of phthalazinone-based human H1 and H3 single-ligand antagonists suitable for intranasal administration for the treatment of allergic rhinitisJ. Med. Chem.54(7)2183-2195(2011) 2.Sun, S., Dean, R., Jia, Q., et al.Discovery of XEN445: A potent and selective endothelial lipase inhibitor raises plasma HDL-cholesterol concentration in miceBioorg. Med. Chem.21(24)7724-7734(2013) 3.Reznikov, L.R., Norris, M.H., Vashisht, R., et al.Identification of antiviral antihistamines for COVID-19 repurposingBiochem. Biophys. Res. Commun.538173-179(2021)

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