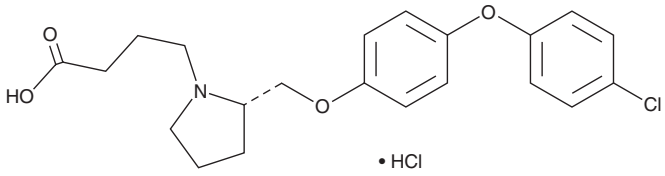
PRODUCT INFORMATION

DG-051

Item No. 21688

CAS Registry No.:	929915-58-2
Formal Name:	(2S)-2-[[4-(4-chlorophenoxy)phenoxy]methyl]-1-pyrrolidinebutanoic acid, monohydrochloride
MF:	$C_{21}H_{24}ClNO_4$ • HCl
FW:	426.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 279 nm
Supplied as:	A solution in ethanol
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

DG-051 is supplied as a solution in ethanol. To change the solvent, simply evaporate the ethanol under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as DMSO and dimethyl formamide purged with an inert gas can be used. The solubility of DG-051 in these solvents is approximately 12.5 and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. If an organic solvent-free solution of DG-051 is needed, it can be prepared by evaporating the ethanol and directly dissolving the neat oil in aqueous buffers. The solubility of DG-051 in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

DG-051 is a potent, orally bioavailable leukotriene A_4 hydrolase (LTA_4H) inhibitor (K_d = 25 nM; IC_{50} = 47 nM), the enzyme that catalyzes the rate-determining step in the synthesis of LTB_4 (Item No. 20110).[1] However, it also inhibits the aminopeptidase activity of LTA_4H with IC_{50} values of 72 and 150 nM when tested using the substrates L-alanine *p*-nitroanaline and prolyl-glycyl-proline peptide (PGP; Item No. 11188), respectively, potentially leading to PGP accumulation *in vivo*.[1,2] DG-051 does not show any inhibitory activity against a panel of more than 50 additional aminopeptidases, ion channels, or HERG.[1]

References

1. Sandanayaka, V., Mamat, B., Mishra, R.K., *et al.* Discovery of 4-[(2S)-2-{[4-(4-chlorophenoxy)phenoxy]methyl}-1-pyrrolidinyl]butanoic acid (DG-051) as a novel leukotriene A_4 hydrolase inhibitor of leukotriene B_4 biosynthesis. *J. Med. Chem.* **53(2)**, 573-585 (2010).
2. Low, C.M., Akthar, S., Patel, D.F., *et al.* The development of novel LTA_4H modulators to selectively target LTB_4 generation. *Sci. Rep.* **7**, 44449 (2017).

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