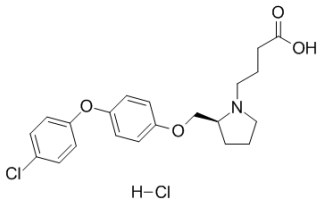
DG051

Cat. No.:	HY-10825
CAS No.:	929915-58-2
Molecular Formula:	$C_{21}H_{25}Cl_2NO_4$
Molecular Weight:	426.33
Target:	Aminopeptidase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 325 mg/mL (762.32 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3456 mL	11.7280 mL	23.4560 mL
	5 mM	0.4691 mL	2.3456 mL	4.6912 mL
	10 mM	0.2346 mL	1.1728 mL	2.3456 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

DG051 is a potent leukotriene A4 hydrolase inhibitor of leukotriene B4 biosynthesis in the enzyme assay with an IC_{50}=47 nM.

IC_{50} & Target

IC50: 47 nM (LTA4H)[1]

In Vitro

DG051 is a potent inhibitor of LTA4H aminopeptidase activity against L-alanine p-nitroanilide (IC_{50}=72 nM). DG051 inhibits human whole blood (HWB) with IC_{50} of 37 nM. As applied within the context of LTA4H inhibitor design, the chemistry team is able to design a potent DG051(K_d=26 nM) with high aqueous solubility (>30 mg/mL) and high oral bioavailability (>80% across species) that is currently undergoing clinical evaluation for the treatment of myocardial infarction and stroke[1] DG-051 is a first-in-class small molecule inhibitor of leukotriene A4 hydrolase (LTA4H), currently in Phase II clinical development for the prevention of heart attack[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sandanayaka V, et al. Discovery of 4-[(2S)-2-{[4-(4-chlorophenoxy)phenoxy]methyl}-1-pyrrolidinyl]butanoic acid (DG-051) as a novel leukotriene A4 hydrolase inhibitor of leukotriene B4 biosynthesis. J Med Chem. 2010 Jan 28;53(2):573-85.

[2]. Enache LA, et al. Synthesis and structural assignment of two major metabolites of the LTA4H inhibitor DG-051. Bioorg Med Chem Lett. 2009 Nov 15;19(22):6275-9.

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