Product Data Sheet

Product Name: BYK 191023 dihydrochloride
Cat. No.: GC12325

Chemical Properties

Cas. No.	1216722-25-6		
Chemical Name	2-(2-(4-methoxypyridin-2-yl)ethyl)-3H-imidazo[4,5-b]pyridine dihydrochloride		
SMILES	COC1=CC=NC(CCC(N2)=NC3=C2N=CC=C3)=C1.Cl.Cl		
Formula	$C_{14}H_{14}N_4O.2HCl$	M.Wt	327.21
Solubility	Water: 100 mM	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	BYK 191023 dihydrochloride		

Background

IC50: 86 nM for iNOS

NO synthases are enzymes responsible for the generation of nitric oxide from the amino acid L-arginine. Once expressed the inducible NO synthase (iNOS) is active and produces µM concentrations of NO over longer periods. The iNOS expression is stimulated in various cells by proinflammatory signals and is involved in immune defense. BYK191023 is a selective inhibitor of the inducible nitric-oxide synthase (NOS).

In vitro: BYK191023 showed half-maximal inhibition of crudely purified human inducible, neuronal, and endothelial NO synthases at 86 nM, 17 µM, and 162 µM, respectively. The ihibition of inducible NO synthase was competitive with L-arginine, pointing to an interaction of BYK191023 with the catalytic center of the enzyme. BYK191023 did not show any toxicity in various rodent and human cell lines up to high micromolar concentrations [1].

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In vivo: Authors tested the in vivo potency of BYK191023 in rat models of lipopolysaccharide-induced systemic inflammation. Delayed administration of BYK191023 suppressed the LPS-induced increase in plasma nitrate/nitrite (NOx) levels with an ED50 of 14.9 μmol/kg/h dose-dependently. In a systemic hypotension model following high-dose lipopolysaccharide challenge, curative administration of BYK191023 at a dose that inhibited 83% of the Nox increase completely prevented the gradual decrease in mean arterial blood pressure observed in control animals [2].

Clinical trial: Up to now, BYK191023 is still in the preclinical development stage.

Reference:
[1] Andreas Strub, Wolf-Rudiger Ulrich, Christian Hesslinger, Manfrid Eltze, Thomas Fuch?, Jochen Strassner, Susanne Strand, Martin D. Lehner, and Rainer Boer. The Novel Imidazopyridine 2-[2-(4-Methoxy-pyridin-2-yl)-ethyl]-3H-imidazo[4,5-b]pyridine (BYK191023) Is a Highly Selective Inhibitor of the Inducible Nitric-Oxide Synthase. Mol Pharmacol 69:328–337, 2006
[2] Martin D. Lehner, Degenhard Marx, Rainer Boer, Andreas Strub, Christian Hesslinger, Manfrid Eltze, Wolf-Rudiger Ulrich, Frank Schwoebel, Ralph Theo Schermuly, and Johannes Barsig. In Vivo Characterization of the Novel Imidazopyridine BYK191023 [2-[2-(4-Methoxy-pyridin-2-yl)-ethyl]-3Himidazo[4,5-b]pyridine], a Potent and Highly Selective Inhibitor of Inducible Nitric-Oxide Synthase. JPET 317:181–187, 2006

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