Product Data Sheet

Product Name: BYK 49187
Cat. No.: GC14434

Chemical Properties

Cas. No.	163120-31-8		
Chemical Name	2-(4-(4-methyl-1H-imidazol-5-yl)piperidin-1-yl)-4H-imidazo[4,5,1-ij]quinolin-6(5H)-one		
SMILES	O=C1CCN2C3=C1C=CC=C3N=C2N4CCC(C5=C(C)N=CN5)CC4		
Formula	$C_{19}H_{21}N_5O$	M.Wt	335.4
Solubility	<16.77mg/ml in ethanol	Storage	Store at 4°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 49187		

Background

BYK 49187 is a potent inhibitor of PARP-1 and PARP-2 with pIC50 value pIC50 values of 8.36 and 7.50 for cell-free recombinant PARP-1 and murine PARP-2 respectively [1].
Poly (ADP-ribose) polymerase (PARP) is a family of proteins involved in a number of cellular processes involving mainly DNA repair and programmed cell death [1].
BYK 49187 is a potent inhibitor of human PARP and displays no selectivity for PARP1/2 [1]. PAR formation in A549, C4I, and H9c2 cells was inhibited by BYK49187 with pIC50 values of 7.80, 7.02, and 7.65, respectively. BYK 49187 displays potent inhibitory activity against human PARP-1 in cell-free and cellular assays in vitro [1].
In the test of effect of BYK 49187 on myocardial infarct size in the rat, intravenous administration of the lower dose of BYK 49187 was nearly ineffective (only 6% reduction in infarct size), whereas the higher dose (3 mg/kg followed by 3 mg/kg/h) caused a significant reduction in infarct size of 22% compared with vehicle. Blood samples of rats treated with 3 mg/kg i.v. BYK49187 significantly inhibited PARP-1 by 80% compared with sham operation [1].

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References:
[1]. Eltze T, Boer R, Wagner T, et al. Imidazoquinolinone, Imidazopyridine, and Isoquinolindione Derivatives as Novel and Potent Inhibitors of the Poly(ADP-ribose) Polymerase (PARP): A Comparison with Standard PARP Inhibitors. Mol Pharmacol, 2008, 74 (6):1587–1598.

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