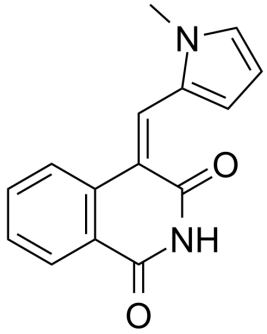
BYK204165

Cat. No.:	HY-108632
CAS No.:	1104546-89-5
Molecular Formula:	$C_{15}H_{12}N_2O_2$
Molecular Weight:	252.27
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (495.50 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.9640 mL	19.8200 mL	39.6401 mL
5 mM	0.7928 mL	3.9640 mL	7.9280 mL
10 mM	0.3964 mL	1.9820 mL	3.9640 mL

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

BIOLOGICAL ACTIVITY

Description

BYK204165 is a potent and selective PARP1 inhibitor. BYK204165 inhibits cell-free recombinant human PARP-1 (hPARP-1) with a pIC_{50} of 7.35 (pK_i=7.05), and murine PARP-2 (mPARP-2) with a pIC_{50} of 5.38, respectively. BYK204165 displays 100-fold selectivity for PARP-1[1].

IC_{50} & Target

hPARP-1	mPARP-2
7.35 (pIC_{50})	5.38 (pIC_{50})

In Vitro

In kinetic experiments with human PARP-1, BYK204165 exhibits potent and competitive inhibition of enzyme activity, yielding a pK_i value of 7.05[1].

BYK204165 exhibits low potency of PARP inhibition in C4I cells (pIC_{50} of 5.75)[1].

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

In Vivo

BYK204165 is not investigated in vivo because of its short half-time ($t_{1/2}$) of 23 min measured at rat microsomes in vitro[1].

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REFERENCES

[1]. Eltze 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 Dec;74(6):1587-98.

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