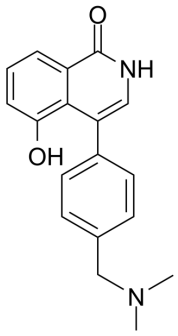
KCL-440

Cat. No.:	HY-15050
CAS No.:	651029-09-3
Molecular Formula:	$C_{18}H_{18}N_2O_2$
Molecular Weight:	294.35
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KCL-440 is a CNS-penetrated PARP inhibitor, with an IC_{50} of 68 nM. KCL-440 has strong inhibition of PARP-1[1][2].
IC_{50} & Target	IC50: 68 nM (PARP)[1].
In Vivo	The brain concentration of KCL-440 is sufficient to inhibit the PARP activity during the intravenous infusion at the rate of 1 mg/kg/h[1]. KCL-440 (over 0.03 mg/kg/h) exhibits neuroprotective effects of KCL-440 in the in vivo cerebral ischemia model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat acute cerebral infarction model induced by photothrombotic MCA occlusion[1].
Dosage:	0.01, 0.03, 0.1, 0.3, 1.0, and 3.0 mg/kg/h.
Administration:	Intravenously administered at a rate of 0.33 ml/kg/h for 24 h immediately aftercerebral ischemia.
Result:	The serum concentration of KCL-440 approached steadystate levels within 1 h in rats that were intravenously infused at the rate of 1 mg/kg/h for 6 h. One hour after the initiation of infusion, the serum concentration of KCL-440 is 0.11 μg/mL, which is equivalent to 0.37 μ M. The brain:serum concentration ratio after a 6-h infusion at 10 mg/kg/h is 0.8. Led to a dose-dependent reduction in the cerebral infarct size at 24 h after the MCA occlusion.

REFERENCES

[1]. Yasuhiko Ikeda, et al. Neuroprotective effects of KCL-440, a new poly(ADP-ribose) polymerase inhibitor, in the rat middle cerebral artery occlusion model. Brain Res. 2005 Oct 26;1060(1-2):73-80.

[2]. Tatsushi Kamiya, et al. The neuroprotective effects of a newly synthesized poly (ADP-ribose) polymerase (PARP) inhibitor (KCL-440) on neuronal cell death following transient focal ischemia in rat. Journal of Cerebral Blood Flow & Metabolism. 2005.

Caution: Product has not been fully validated for medical applications. For research use only.

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