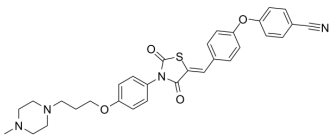
IKKβ-IN-1

Cat. No.:	HY-146723
CAS No.:	2410423-31-1
Molecular Formula:	$C_{31}H_{30}N_4O_4S$
Molecular Weight:	554.66
Target:	IKK
Pathway:	NF-κB
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	IKKβ-IN-1 is a potent and orally active IkappaB (IKK-β) inhibitor with IC_{50} of 0.20 μM. IKKβ-IN-1 can reduce PGE_2 and TNF-α production in mouse macrophage cells. IKKβ-IN-1 has the ability to protect mice against septic shock induced mortality[1].
IC_{50} & Target	IKK-β 0.2 (IC_{50})
In Vitro	IKKβ-IN-1 (compound 7a) (0.1, 1 and 10 μM; 24 hours) does not show significant cytotoxicity at the tested three concentrations[1]. IKKβ-IN-1 (0.1, 1 and 10 μM; 1 hours) significantly reduces PGE_2 production even at the low 0.1 μM concentration, and IC_{50} is 9.83 μM[1]. IKKβ-IN-1 (0.1, 1 and 10 μM; 1 hours) elicits 44% reduction of TNF-α production at 10 μM concentration, and IC_{50} is 6.27 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay

Cell Line:	RAW 264.7 macrophage cells[1]
Concentration:	0.1, 1 and 10 μM
Incubation Time:	24 hours
Result:	Did not show significant cytotoxicity at the tested three concentrations.

In Vivo

IKKβ-IN-1 (5 or 50 mg/kg; PO, single) exhibits an efficient protection of LPS-induced production of the inflammatory mediators at both of the two administered doses[1].

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Animal Model:	C57BL/6 male mice (6 or 10 weeks; LPS-induced production of the inflammatory mediators)[1]
Dosage:	5 or 50 mg/kg
Administration:	PO, single (1 hour before LPS injection; survival was monitored for 36 hours)

Result:	Exhibited an efficient protection of LPS-induced production of the inflammatory mediators at both of the two administered doses.

REFERENCES

[1]. Elkamhawy A, Kim NY, Hassan AHE, et al. Thiazolidine-2,4-dione-based irreversible allosteric IKK-β kinase inhibitors: Optimization into in vivo active anti-inflammatory agents. Eur J Med Chem. 2020;188:111955.

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