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Zuschläge

- Mindermengenzuschlag
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- Expressversand

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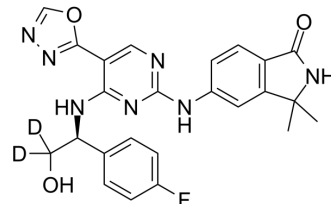
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HPK1-IN-40-d₂

Cat. No.:	HY-149773S
Molecular Formula:	C ₂₄ H ₂₀ D ₂ FN ₇ O ₃
Molecular Weight:	477.49
Target:	MAP4K
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HPK1-IN-40 (compound 49) is a potent and selective HPK1 inhibitor with an IC ₅₀ of 0.9 nM. HPK1-IN-40 reinvigorates T-cell receptor (TCR) signaling, promoting T-cell function and cytokine production in T cells while having anti-cancer activity ^[1] .																									
IC ₅₀ & Target	HPK1 ^[1] IC ₅₀ : 0.9 nM ^[1]																									
In Vitro	HPK1-IN-40 (0.1 μM, 1 μM) improves the IL-2 secretion of Jurkat cells , leads to augmented T cell function^[1]. HPK1-IN-40 (0.03 μM ~ 1 μM)inhibits the HPK1 signaling in T cells^[1]. Pharmacokinetic Parameters of HPK1-IN-40 in Rats^[1] <table> <tr> <th>Route</th><th>Parameter</th><th>Rat (1 mg/kg)</th></tr> <tr> <td rowspan="4">IV</td><td>T_{1/2}(h)</td><td>0.898</td></tr> <tr> <td>AUC_{last}(h*ng/mL)</td><td>355</td></tr> <tr> <td>V_{ss}(L/kg)</td><td>2.45</td></tr> <tr> <td>Cl_{hep} (mL/min/kg)</td><td>46.8</td></tr> <tr> <th>Route</th><th>Parameter</th><th>Rat (3 mg/kg)</th></tr> <tr> <td rowspan="4">PO</td><td>T_{1/2} (h)</td><td>0.499</td></tr> <tr> <td>T_{max} (h)</td><td>0.250</td></tr> <tr> <td>AUC_{last}(h*ng/mL)</td><td>1.91</td></tr> <tr> <td>C_{max} (ng/mL)</td><td>3.62</td></tr> </table>		Route	Parameter	Rat (1 mg/kg)	IV	T _{1/2} (h)	0.898	AUC _{last} (h*ng/mL)	355	V _{ss} (L/kg)	2.45	Cl _{hep} (mL/min/kg)	46.8	Route	Parameter	Rat (3 mg/kg)	PO	T _{1/2} (h)	0.499	T _{max} (h)	0.250	AUC _{last} (h*ng/mL)	1.91	C _{max} (ng/mL)	3.62
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F%

0.179

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

Western Blot Analysis^[1]

Cell Line:	Jurkat, primary mouse T cells
Concentration:	0.03, 0.1, 0.3, 1 (μM)
Incubation Time:	1h
Result:	Inhibited the HPK1 signaling in T cells.

In Vivo

HPK1-IN-40 alone (25 mg/kg; i.p.; bid for 7 days) in CT26 tumor-bearing mice causes T cell activation^[1].

HPK1-IN-40 (25 mg/kg; i.p.; bid for 10 days) combines with anti-PD1 antibody (10 mg/kg; i.p.; bid for 10 days) shows synergistic antitumor effects, the CT26 tumor growth rate of HPK1-IN-40 combined with anti-PD1 antibody is the lowest. No significant body weight loss was observed, indicative of good tolerance of the treatment ^[1].

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

Animal Model:	CT26 Tumor-bearing mice
Dosage:	25 mg/kg
Administration:	Twice a day by intraperitoneal injection (i.p.), bid for 7 days.
Result:	Increased IFN-γ ⁺ CD3 ⁺ T in CD3 ⁺ TIL, IFN-γ ⁺ CD8 ⁺ T in CD8 ⁺ TIL, Th1 in CD4 ⁺ TIL, CD69 ⁺ CD3 ⁺ T in CD3 ⁺ TIL, CD69 ⁺ CD8 ⁺ T in CD8 ⁺ TIL, CD69 ⁺ CD4 ⁺ T in CD4 ⁺ TIL.
Animal Model:	CT26 Tumor-bearing mice
Dosage:	HPK1-IN-40 (25 mg/kg), anti-PD1 antibody (10 mg/kg)
Administration:	HPK1-IN-40 alone / anti-PD1 antibody alone (every 3 days), or the combination treatment, twice a day by intraperitoneal injection (i.p.) for 10 days.
Result:	Showed marginal tumor growth inhibition (TGI = 19.6%). The CT26 tumor growth rate of HPK1-IN-40 combined with anti-PD1 antibody was the lowest, then anti-PD1 alone, then HPK1-IN-40. No significant body weight loss was observed.

REFERENCES

[1]. Jing Ai, et al. Design, Synthesis, and Pharmacological Evaluation of Isoindoline Analogues as New HPK1 Inhibitors. Journal of Medicinal Chemistry. 2023 Article ASAP.

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

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