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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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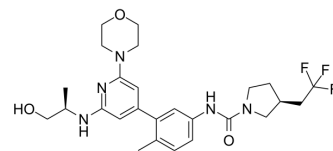
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Exarafenib

Cat. No.:	HY-147268
CAS No.:	2639957-39-2
Molecular Formula:	C ₂₆ H ₃₄ F ₃ N ₅ O ₃
Molecular Weight:	521.58
Target:	Raf; p38 MAPK
Pathway:	MAPK/ERK Pathway
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (191.73 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.9173 mL	9.5863 mL	19.1725 mL
		5 mM	0.3835 mL	1.9173 mL	3.8345 mL
		10 mM	0.1917 mL	0.9586 mL	1.9173 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.79 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (4.79 mM); Suspended solution; Need ultrasonic				

BIOLOGICAL ACTIVITY

Description	Exarafenib (RAF/KIN_2787) is an orally-available, selective pan-RAF inhibitor. Exarafenib is effective in RAF-dependent cancers, including all classes of BRAF alterations. Exarafenib suppresses MAPK signaling in RAF-dependent melanoma cell lines. Exarafenib has anticancer activity ^{[1][2]} .	
IC ₅₀ & Target	RAF	p38 MAPK
In Vitro	Exarafenib (RAF/KIN_2787; 1-10000 nM; 24 h) inhibits RAF-dependent melanoma cell line growth. Exarafenib suppresses MAPK signaling in RAF-dependent melanoma cell lines^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay^[1]	

	Cell Line:	Melanoma cell (A375 BRAF ^{V600E} , HMVII BRAF ^{G469V} , NRAS ^{Q61K} , WM3629 BRAF ^{D594G} ; NRAS ^{G12D} , SKMEL2 NRAS ^{Q61R})
	Concentration:	1-10000 nM
	Incubation Time:	24 h
	Result:	Inhibited RAF-dependent melanoma cell line growth.
In Vivo	Exarafenib (RAF/KIN_2787; 3-30 mg/kg; Orally; twice daily; 29 days) is effective against BRAF ^{mut} & NRAS ^{mut} human melanoma xenografts in vivo ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	BALB/c nude mice xenograft models of BRAF and NRAS-mutant melanoma ^[1]
	Dosage:	3, 5, 10, 20, 30 mg/kg
	Administration:	Orally; twice daily (BID); 29 days
	Result:	Was effective against BRAF ^{mut} & NRAS ^{mut} human melanoma xenografts in vivo.

REFERENCES

[1]. Miller N, et, al. Antitumor activity of KIN-2787, a next-generation pan-RAF inhibitor, in combination with MEK inhibition in preclinical models of human NRAS mutant melanoma. 2022 Jun 2;40(16): e15099.

[2]. WHO Drug Information. International Nonproprietary Names for Pharmaceutical

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

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