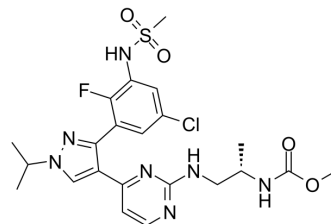


Encorafenib

Cat. No.:	HY-15605		
CAS No.:	1269440-17-6		
Molecular Formula:	C ₂₂ H ₂₇ ClFN ₇ O ₄ S		
Molecular Weight:	540.01		
Target:	Raf		
Pathway:	MAPK/ERK Pathway		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (92.59 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.8518 mL	9.2591 mL	18.5182 mL
5 mM	0.3704 mL	1.8518 mL	3.7036 mL
10 mM	0.1852 mL	0.9259 mL	1.8518 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: 2.5 mg/mL (4.63 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Encorafenib (LGX818) is a highly potent BRAF inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF^{V600E} (EC₅₀=4 nM).

IC ₅₀ & Target	IC50: 0.3 nM (BRAF ^{V600E})
In Vitro	<p>Encorafenib (LGX818) is a potent drug that can prevent diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of B-Raf^{V600E}[1]. Encorafenib (LGX818) (10 nM) suppresses the ERK/MAPK pathway and displays marked inhibition of pERK in A375, G361 and SK-MEL-24 cells. 10 nM Encorafenib (LGX818) treatment for 12 days potentially inhibits colony formation in A375, G361 and SK-MEL-24 cells, but not in RPMI7951 and C8161 cells. Encorafenib (LGX818) treatment induces a steady increase in the β-catenin level in G361 cells over time[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Sci Adv. 2019 Aug 14;5(8):eaav8463.
- Proc Natl Acad Sci U S A. 2020 Nov 23;202012150.
- Oncotargets Ther. 2021 Feb 25;14:1261-1273.
- Molecules. 2021 May 5;26(9):2717.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Compounds and compositions as protein kinase inhibitors. Patent WO 2011025927 A1

[2]. Li Z, et al. Encorafenib (LGX818), a potent BRAF inhibitor, induces senescence accompanied by autophagy in BRAFV600E melanoma cells. Cancer Lett. 2016 Jan 28;370(2):332-44.

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

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