Encorafenib

Cat. No.: HY-15605

CAS No.: 1269440-17-6

Molecular Formula: $C_{22}H_{27}ClFN_7O_4S$

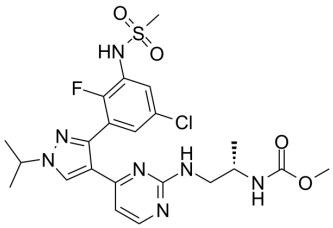
Molecular Weight: 540.01

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)

Preparing Stock Solutions	Solvent / Mass / Concentration	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

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 16.67 mg/mL (30.87 mM); Suspended solution; Need ultrasonic
2. 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
3. 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
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
5. 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
6. 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 $BRAF^{V600E}$ (EC_{50}=4 nM).
IC_{50} & Target	IC50: 0.3 nM ($BRaf^{V600E}$)
In Vitro	Encorafenib (LGX818) is a potent drug that can prevents diseases or disorders associated with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of B-Raf[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 potently 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Sci Adv. 2019 Aug 14;5(8):eaav8463.
- Redox Biol. October 2021, 102110.
- Cancer Res. 2022 May 18;canres.4152.2021.
- Proc Natl Acad Sci U S A. 2020 Dec 8;117(49):31105-31113.

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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.

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