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Produktinformation

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- Diagnostik & molekulare Diagnostik
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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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L-779450

Cat. No.: HY-12787

CAS No.: 303727-31-3

Molecular Formula: $C_{20}H_{14}ClN_3O$

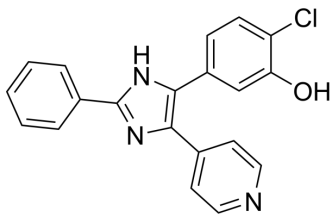
Molecular Weight: 347.8

Target: Raf; Autophagy

Pathway: MAPK/ERK Pathway; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (287.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8752 mL	14.3761 mL	28.7522 mL
	5 mM	0.5750 mL	2.8752 mL	5.7504 mL
	10 mM	0.2875 mL	1.4376 mL	2.8752 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 (7.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-779450 is a potent and selective B-Raf kinase inhibitor with a K_d of 2.4 nM.

IC_{50} & Target

B-Raf
2.4 nM (Kd)

In Vitro

L-779450 (L-779,450) shows a high degree of specificity towards Raf. The only other tested kinase inhibited is p38MAPK, which has a kinase domain structurally related to Raf. L-779450 inhibits anchorage-independent growth of human tumor

lines at doses ranging from 0.3 to 2 μM[2]. The effects of L-779450 (L-779,450) on TRAIL sensitivity are investigated here in melanoma cell lines with high TRAIL sensitivity (A-375 and SK-Mel-147), moderate sensitivity (Mel-HO, SK-Mel-13, and SK-Mel-28), and permanent resistance (MeWo, Mel-2a, and SK-Mel-103), as well as in TRAIL-selected cell lines with acquired resistance (A-375-TS and Mel-HO-TS). Despite only moderate direct effects of L-779450 on apoptosis, it strongly enhances TRAIL-induced apoptosis in sensitive melanoma cells and overrules TRAIL resistance in Mel-2a, SK-Mel-103, A-375-TS, and Mel-HO-TS. At 24 hours, 16-35% apoptosis induction is obtained[3].

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

PROTOCOL

Cell Assay [3]

For induction of apoptosis, TRAIL (20 ng/mL), the pan-RAF inhibitor L-779450 (0.1-50 μM), the MEK inhibitor U0126 (20 μM), and the selective BRAF(V600E) inhibitor Vemurafenib/PLX4032 are used. For continuous monitoring cell growth, the xCELLigence system is applied. Relative cell indices correspond to attached cell numbers. Cell cycle analyses are performed for quantification of apoptosis and cell cycle arrest. Cells harvested by trypsinization are stained for 1 hour with propidium iodide (200 mg/mL), and sub-G1 fractions, corresponding to cells with fragmented DNA, are quantified by flow cytometry[3].

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

CUSTOMER VALIDATION

- Cell Death Discov. 2023 Apr 28;9(1):139.

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REFERENCES

[1]. Takle AK, et al. The identification of potent, selective and CNS penetrant furan-based inhibitors of B-Raf kinase. Bioorg Med Chem Lett. 2008 Aug 1;18(15):4373-6.

[2]. Shelton JG, et al. Differential effects of kinase cascade inhibitors on neoplastic and cytokine-mediated cell proliferation. Leukemia. 2003 Sep;17(9):1765-82.

[3]. Berger A, et al. RAF inhibition overcomes resistance to TRAIL-induced apoptosis in melanoma cells. J Invest Dermatol. 2014 Feb;134(2):430-440.

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