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LXH254

Catalog No: tcsc0043317

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1800398-38-2

Formula:
$C_{25}H_{25}F_3N_4O_4$

Pathway:
MAPK/ERK Pathway

Target:
Raf

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 83.3 mg/mL (165.77 mM)

Observed Molecular Weight:
502.49

Product Description

LXH254 is a potent **CRAF** inhibitor extracted from patent WO2018051306A1, Compound A. LXH254 also is a potent **BRAF** inhibitor.

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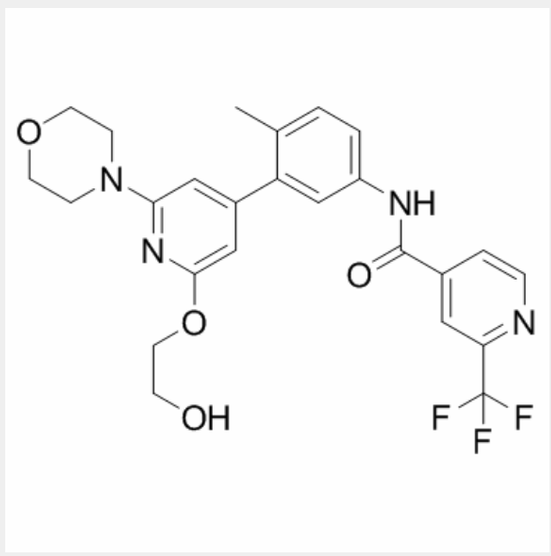
IC50 & Target: CRAF, BRAF[1]

In Vitro: LXH254 (Compound A) is an adenosine triphosphate (ATP)-competitive inhibitor of BRAF (also referred to herein as b-RAF or b-Raf) and CRAF (also referred to herein as c-RAF or c- Raf) protein kinases. Throughout the present disclosure, LXH254 is also referred to as a c-RAF (or CRAF) inhibitor or a C-RAF/c-Raf kinase inhibitor. In cell-based assays, LXH254 has demonstrated anti-proliferative activity in cell lines that contain a variety of mutations that activate MAPK signaling. Moreover, LXH254 is a Type 2 ATP - competitive inhibitor of both B-Raf and C-Raf that keeps the kinase pocket in an inactive conformation, thereby reducing the paradoxical activation seen with many B-Raf inhibitors, and blocking mutant RAS-driven signaling and cell proliferation[1].

In Vivo: Treatment with LXH254 (Compound A) generates tumor regression in several KRAS-mutant models including the NSCLC-derived Calu-6 (KRAS Q61K) and NCI-H358 (KRAS G12C). LXH254 exhibits efficacy in numerous MAPK-driven human cancer cell lines and in xenograft tumors representing model tumors harboring human lesions in KRAS, NRAS and BRAF oncogenes[1].



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