Web: www.taiclone.com
Tel: +886-2-2735-9682
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KRCA-0008

Catalog No: tcsc3341

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1472795-20-2

Formula:
$C_{30}H_{37}ClN_8O_4$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
Ack1;ALK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
609.12

Product Description

KRCA-0008 is a potent and selective ALK/Ack1 inhibitor with IC50 of 12 nM/4 nM for ALK and Ack1 respectively; displays drug-like

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properties without hERG liability.

IC50 value: 12 nM/4 nM(ALK/Ack1) [1]

Target: ALK/Ack1 inhibitor

KRCA-0008 retains good drug-like properties: good water-solubility (54 μM in 5% DMSO–water, 150 μM in 5% DMSO–PBS buffer) with moderate plasma protein binding (93% in rat) and low brain exposure (Cbrain/Cplasma = □0.02). It has good liver microsomal stability (% remaining after 30 min: 52% in mouse, 89% in rat, 72% in human) and little to no CYP inhibition (1A2, 2C9, 2D6, 3A4 @ 10 μM). It does not appear to cause hERG blockade (patch clamp IC50 = 30 μM) and is negative on Ames test (1000 μg/plate), chromosomal aberration assay and micronucleus assay.

KRCA-0008 also shows promising pharmacokinetic parameters in both mice and rat (oral bioavailability = 66–94.5%). KRCA-0008 shows a modest tumor growth inhibition in vivo activity in H3122 human lung cancer bearing mice model comparable to Crizotinib without significant body weight change. It is important to mention the KRCA-0008 25 mpk and 50 mpk groups did not show dose-dependent tumor growth inhibition.