Web: www.taiclone.com
Tel: +886-2-2735-9682
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TAK-632

Catalog No: tcsc1697

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1228591-30-7

Formula:
$C_{27}H_{18}F_4N_4O_3S$

Pathway:
MAPK/ERK Pathway;Cell Cycle/DNA Damage;Epigenetics

Target:
Raf;Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
DMSO : 100 mg/mL (180.34 mM; Need ultrasonic)

Observed Molecular Weight:
554.52

Product Description

TAK-632 is a potent **pan-RAF** inhibitor with **IC_{50}** of 1.4, 2.4 and 8.3 nM for **CRAF**, **$BRAF^{V600E}$**, **$BRAF^{WT}$**

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, respectively.

IC50 & Target: IC50: 1.4 nM (C-RAF), 2.4 nM ($BRAF^{V600E}$), 8.3 nM ($BRAF^{WT}$),66 nM (Aurora B), 160 nM (VEGFR)[1]

In Vitro: TAK-632 inhibits PDGFRβ, FGFR3, GSK3β, CDK2, P38α, PDGFRα, TIE2, and CDK1 with a range of IC_{50} values from 120-790 nM. CHK1, IKKβ, and MEK1 are inhibited over an IC_{50} range of 1400-1700 nM. With 1 h of preincubation time, TAK-632 inhibits BRAF and CRAF in an ATP competitive manner (at low ATP concentrations BRAF IC_{50}: 15 nM; CRAF: 8.1 nM). The respective biochemical activity of TAK-632 against BRAF and CRAF reduces to IC_{50} values of 58 nM and 62 nM at high ATP concentrations.TAK-632 demonstrates strong inhibition of pMEK and pERK in HMVII cells with IC_{50} values of 49 nM and 50 nM, respectively[1]. TAK-632 shows strong antiproliferative effects both in A375 and SK-MEL-2 cells (GI_{50} of 40-190 nM in A375 cells and GI_{50} of 190-250 nM in SK-MEL-2 cells)[2].

In Vivo: TAK-632 demonstrates dramatically improved solubility (740 μg/mL) in pH 6.8 phosphate buffer and exhibits significant oral absorption (at a dose of 25 mg/kg, AUC, 32.47 μg h/mL; F, 51.7%) in rats. In a dog PK study, 10 mg/kg administration of TAK-632 also shows superior oral bioavailability (F: 108%).Oral single administration of TAK-632 inhibits pERK in tumors at 8 h after its administration over a dose range of 1.9-24.1 mg/kg. In particular, 9.7-24.1 mg/kg dosing with TAK-632 strongly inhibits pERK levels to 11% of the control. TAK-632 exhibits dose-dependent antitumor efficacy without severe body weight reduction over a dose range of 3.9-24.1 mg/kg. Significant tumor regression is observed at 9.7 mg/kg and 24.1 mg/kg (T/C=−2.1% and −12.1%, respectively)[1]. TAK-632 exhibits potent antitumor efficacy when orally administered at 60 mg/kg once daily (T/C=37%, P[2].