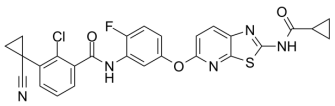
Takeda-6D

Cat. No.:	HY-18318
CAS No.:	1125632-93-0
Molecular Formula:	$C_{27}H_{19}ClFN_5O_3S$
Molecular Weight:	547.99
Target:	Raf; VEGFR; PERK
Pathway:	MAPK/ERK Pathway; Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Takeda-6D (compound 6d) is an orally active and potent BRAF/VEGFR2 inhibitor, with IC_{50} values of 7.0 and 2.2 nM, respectively. Takeda-6D shows antiangiogenesis by suppressing the VEGFR2 pathway in 293/KDR and VEGF-stimulated HUVEC cells.Takeda-6D shows significant suppression of ERK1/2 phosphorylation. Takeda-6D shows antitumor activity[1].	
IC_{50} & Target	VEGFR2 2.2 nM (IC_{50})	Braf 7.0 nM (IC_{50})
In Vivo	Takeda-6D (compound 6d) (10 mg/kg, Orally, once) shows sufficient oral bioavailability (F = 70.5%) in rats[1]. Takeda-6D (0-10 mg/kg, Orally, once) significantly decreases phosphorylation levels of ERK1/24 h after oral administration in an A375 (BRAFV600E mutant) human melanoma xenograft model in rats[1]. Takeda-6D (10 mg/kg, Orally, twice daily for 2 weeks) shows tumor regression with T/Cof −7.0% without severe toxicity, and this tumor regression should include the efficacy based on the antiangiogenesis potency and BRAF inhibitory activity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Okaniwa M, et al. Design and synthesis of novel DFG-out RAF/vascular endothelial growth factor receptor 2 (VEGFR2) inhibitors. 1. Exploration of [5,6]-fused bicyclic scaffolds. J Med Chem. 2012 Apr 12;55(7):3452-78.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA