

Product Data Sheet

Product Name: Takeda-6d

Cat. No.: GC49700

Chemical Properties

Cas. No. 1125632-93-0

SMILES N#CC1(CC1)C2=C(Cl)C(C(N([H])C3=CC(OC4=NC5=C(C=C4)N=C(N([H])C(C6CC6)=O)S5)=CC=C3F)=O)=CC=C2

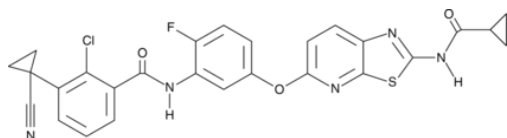
Formula C₂₇H₁₉ClFN₅O₃S M.Wt 548

Solubility DMSO: 20mM Storage -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

Takeda-6d is a dual inhibitor of RAF kinases and VEGFR2.¹ It inhibits wild-type B-RAF, mutant B-RAF^{V600E}, and C-RAF (IC₅₀s = 12, 7, and 1.5 nM, respectively), as well as VEGFR2 (IC₅₀ = 2.8 nM). Takeda-6d is selective for these kinases over a panel of 19 additional kinases (IC₅₀s = >1,000 nM) but does inhibit FGFR3, PDGFRα, and PDGFRβ (IC₅₀s = 22, 12, and 5.5 nM, respectively). It inhibits MEK and ERK1/2 phosphorylation in several colon cancer and melanoma cell lines expressing B-RAF^{V600E} when used at concentrations ranging from 100 to 1,600 nM, as well as inhibits VEGF-A-induced phosphorylation of VEGFR2 in VEGFR2-overexpressing KDR cells (IC₅₀ = 0.53 nM). Takeda-6d (10 mg/kg) reduces tumor volume in an A375 melanoma mouse xenograft model.

1. Okaniwa, M., Hirose, M., Imada, T., 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

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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scaffoldsJ. Med. Chem.55(7)3452-3478(2012)

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