GDC-0834 Racemate

Cat. No.: HY-15427A

CAS No.: 1133432-46-8

Molecular Formula: $C_{33}H_{36}N_6O_3S$

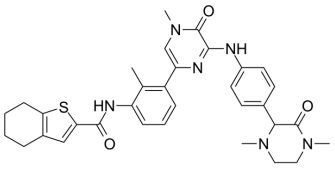
Molecular Weight: 596.74

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (167.58 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6758 mL	8.3789 mL	16.7577 mL
	5 mM	0.3352 mL	1.6758 mL	3.3515 mL
	10 mM	0.1676 mL	0.8379 mL	1.6758 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GDC-0834 Racemate is the racemate form of GDC-0834, which is a potent and selective BTK inhibitor with in vitro IC50s of 5.9 and 6.4 nM in biochemical and cellular assays, respectively.IC50 value: 5.9 nM/6.4 nM(biochemical/cellular assay) [1]Target: BTKin vitro: GDC-0834 inhibited BTK with an in vitro IC(50) of 5.9 and 6.4 nM in biochemical and cellular assays, respectively, and in vivo IC(50) of 1.1 and 5.6 μM in mouse and rat, respectively [1].in vivo: Administration of GDC-0834 (30-100 mg/kg) in a rat collagen-induced arthritis (CIA) model resulted in a dose-dependent decrease of ankle swelling and reduction of morphologic pathology [1]. GDC-0834 exhibited low clearance in PXB chimeric mice with humanized liver. Uncertainty in human pharmacokinetic prediction and high interest in a BTK inhibitor for clinical evaluation prompted an investigational new drug strategy, in which GDC-0834 was rapidly advanced to a single-dose human clinical trial. GDC-0834 plasma concentrations in humans were below the limit of quantitation (<1 ng/ml) in most samples from the cohorts dosed orally at 35 and 105 mg [2].

CUSTOMER VALIDATION

- Mol Pharmacol. 2017 Mar;91(3):208-219.
- Mol Pharmacol. 2017 Mar;91(3):208-219.

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REFERENCES

[1]. Liu L, et al. Antiarthritis effect of a novel Bruton's tyrosine kinase (BTK) inhibitor in rat collagen-induced arthritis and mechanism-based pharmacokinetic/pharmacodynamic modeling: relationships between inhibition of BTK phosphorylation and efficacy. J Pharmacol Exp Ther. 2011 Jul;338(1):154-63.

[2]. Liu L, et al. Significant species difference in amide hydrolysis of GDC-0834, a novel potent and selective Bruton's tyrosine kinase inhibitor. Drug Metab Dispos. 2011 Oct;39(10):1840-9.

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