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产品名称: **GDC-0834 (Racemate)**
产品别名: **GDC-0834 Racemate**

生物活性:					
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: BTK in 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 μ M 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].				
	In Vitro: DMSO : \geq 49 mg/mL (82.11 mM) * " \geq " means soluble, but saturation unknown.				
Solvent&Solubility	Preparing Stock Solutions	Solvent Mass 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
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。-80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。					
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.				