

GDC-0834

## Chemical Properties

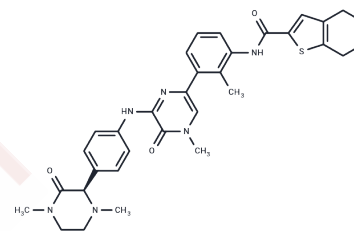
CAS No. : 1133432-49-1

Formula: C<sub>33</sub>H<sub>36</sub>N<sub>6</sub>O<sub>3</sub>S

Molecular Weight: 596.74

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	GDC-0834 is a potent and selective BTK inhibitor that inhibits BTK with an in vitro IC <sub>50</sub> of 5.9 nM (biochemical assays) and 6.4 nM (cellular assays), and with an in vivo IC <sub>50</sub> of 1.1 μM (mouse) and 5.6 μM (rat).
Targets(IC <sub>50</sub> )	BTK
In vitro	GDC-0834 is shown to be a potent reversible inhibitor of six known aldehyde oxidase (AO) substrates with IC <sub>50</sub> values ranging from 0.86 to 1.87 μM. GDC-0834 suppresses BTK kinase activity with an IC <sub>50</sub> value of 5.9±1.1 nM with Hill slope value of 0.84±0.07 (mean±S.E.).
In vivo	In a rat collagen-induced arthritis (CIA) study, GDC-0834 exhibits a dose-dependent inhibition of phosphorylated Bruton's tyrosine kinase (pBTK-Tyr223) in rat blood. The estimated half-maximal inhibitory concentration (IC <sub>50</sub> ) for pBTK-Tyr223 inhibition in rats is 5.6±1.6 μM, demonstrating a moderate potency with a mean (m) value of 0.51±0.087. Furthermore, treatment with GDC-0834 in BALB/c mice demonstrates dose-dependent suppression of pBTK-Tyr223, with dosages of 150 or 100 mg/kg achieving nearly complete inhibition (97% and 96%, respectively) of pBTK-Tyr223 levels in the blood after 2 hours.

## Solubility Information

Solubility	DMSO: 32 mg/mL (53.62 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
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
50 mM	0.0335 mL	0.1676 mL	0.3352 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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

Sodhi JK, et al. A novel reaction mediated by human aldehyde oxidase: amide hydrolysis of GDC-0834. Drug Metab Dispos. 2015 Jun;43(6):908-15.

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