

Tankyrase Inhibitors (TNKS) 22

Chemical Properties

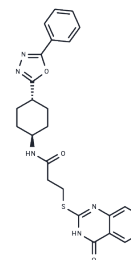
CAS No. :

Formula: C₂₅H₂₅N₅O₃S

Molecular Weight: 475.56

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	Tankyrase Inhibitors 22 is a potent, selective, and orally bioavailable inhibitor of tankyrase (IC ₅₀ : 0.1nM).
Targets(IC ₅₀)	Others
In vitro	Tankyrase inhibitors 22 is an optimization of the previous hit compound inhibitor 8 with improved potency and selectivity. It has excellent effects in both tankyrase assay and cellular assay (IC ₅₀ : 0.1nM and 3.7nM, respectively). In addition, it is found to be a dual binder with both the nicotinamide pocket and the induced pocket of the enzymes [1].
In vivo	In the in vivo studies in rodents, tankyrase inhibitors 22 is found to potently inhibit TNKS2 autoparsylation (IC ₅₀ : 4.1nM). It also causes stabilization and accumulation of axin protein in SW480 cells (EC ₅₀ : 3.9nM). In DLD-1 cells with truncated APC, the inhibitor inhibits the STF reporter transcription (IC ₅₀ : 0.6nM) suggesting its downstream inhibitory activity on Wnt-associated transcription [1].

Solubility Information

Solubility	DMSO: <1 mg/mL, (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1028 mL	10.5139 mL	21.0278 mL
5 mM	0.4206 mL	2.1028 mL	4.2056 mL
10 mM	0.2103 mL	1.0514 mL	2.1028 mL
50 mM	0.0421 mL	0.2103 mL	0.4206 mL

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

Hua Z, Bregman H, Buchanan J L, et al. Development of Novel Dual Binders as Potent, Selective, and Orally Bioavailable Tankyrase Inhibitors. *Journal of medicinal chemistry*, 2013, 56(24): 10003-10015.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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