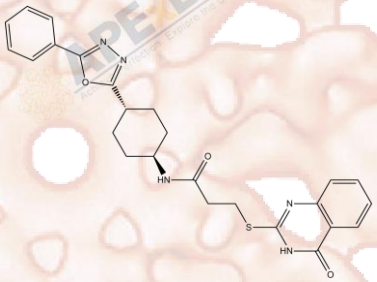
Product Data Sheet

Tankyrase Inhibitors (TNKS) 22



Cat. No.:	A8600
CAS No.:	
Formula:	C25H25N5O3S
M.Wt:	475.56
Synonyms:	TNKS 22;TNKS22;TNKS-22
Target:	Chromatin/Epigenetics
Pathway:	PARP
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in DMSO; ⩾3.07 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	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

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

Biological Activity

Shortsummary	Tankyrase inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	SW480-TBC cell lines
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	24 h; IC50=3.7nM

	Applications:	Tankyrase Inhibitors (TNKS) 22, lead-optimized phenyloxadiazole compounds, has a good enzymatic potency and cellular potency with IC50 value of 3.7 nM in the SW480-TBC cellular assay. The compound demonstrated excellent potencies in TNKS2 autoparsylation assay and the two additional functional cellular assays.
In Vivo	**Animal experiment**	
	Animal models:	Athymic nude mice.
	Dosage form:	10 and 50 mg/kg; q.d.; oral taken
	Applications:	Tankyrase Inhibitors (TNKS) 22 was evaluated for Wnt-pathway specific pharmacological activity in mouse tumor pharmacodynamic (PD) models. Upon once daily oral administration (at 10 and 50 mg/kg) to mice (n=4) bearing human DLD-1 tumors for 3 days, both compounds exhibited statistically significant, dose-dependent axin2 accumulation (2.7-to 3.5-fold) and inhibition of STF (51−58%) at day 3 (24 h after the last dose) .
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

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

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com