Product Data Sheet

FGFR1 inhibitor-11

 $\begin{tabular}{llll} \textbf{Cat. No.:} & HY-158098 \\ \textbf{CAS No.:} & 2157482-40-9 \\ \textbf{Molecular Formula:} & $C_{23}H_{18}O_4$ \\ \textbf{Molecular Weight:} & 358.39 \\ \textbf{Target:} & FGFR \\ \end{tabular}$

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description

FGFR1 inhibitor-11 (compound 5g) binds to FGFR1, inactivation of its downstream ERK1/2 and IkB α /NF-kB signaling inhibited RANKL-induced osteoclastogenesis. FGFR1 inhibitor-11 has oral bioactivity^[1].

In Vitro

FGFR1 inhibitor-11 (compound 5g) (0-20 μ M, 4 Days) attenuated RANKL-induced osteoclastogenesis in bone marrow-derived macrophages^[1].

FGFR1 inhibitor-11 (0-160 μ M; 48 h) shows no significant toxicity in BMDMs below 20 μ M^[1].

FGFR1 inhibitor-11 (0-10 μ M) inhibits the formation of the F-actin belts [1].

FGFR1 inhibitor-11 (0-10 μ M) suppresses osteoclastogenesis by reducing NFATc1 and c-fos to inhibit the expressions of the genes that are required for osteoclastogenesis^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay^[1]

Cell Line:	BMDMs	
Concentration:	0, 1.25 μΜ, 2.5 μΜ, 5 μΜ, 10 μΜ, 20 μΜ, 40 μΜ, 80 μΜ, 160 μΜ	
Incubation Time:	48 h	
Result:	Had toxicity in BMDMs above 40 μM.	
$Immunofluorescence ^{[1]} \\$		
Cell Line:	Osteoclasts	
Concentration:	0, 2.5μΜ, 5 μΜ, 10 μΜ	
Incubation Time:		
Result:	Showed significantly and dose dependently the protein level of F-actin.	
Real Time qPCR ^[1]		
Cell Line:	BMDMs	
Concentration:	10 μΜ	

	Incubation Time:	1 day, 2 days, 3 days	
	Result:	Showed inhibition of the mRNA expression level (Ctsk, Mmmp9 and so on) of the main osteoclast-specific marker genes.	
In Vivo		FGFR1 inhibitor-11 (15-30 mg/kg; p.o.; 2 times per day) significantly preventes bone loss (BV, T-BMD, etc.) in mice ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Zhihao Chen, et al. Discovery of a novel homoisoflavonoid derivative 5g for anti-osteoclastic bone loss via targeting FGFR1. Eur J Med Chem. 2024 Mar 27:270:116335.

Caution: Product has not been fully validated for medical applications. For research use only.

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