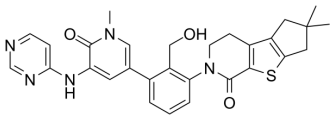
G-744

Cat. No.:	HY-102036
CAS No.:	1346669-54-2
Molecular Formula:	$C_{29}H_{29}N_5O_3S$
Molecular Weight:	527.64
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	G-744 is a highly potent, selective and orally active Btk inhibitor with an IC_{50} of 2 nM. G-744 is metabolically stable, well tolerated and efficacious to treat arthritis[1].
IC_{50} & Target	IC50: 2 nM (Btk), 64 nM (CD86)[1].
In Vivo	G-744 (6.25/12.25/25 mg/kg, p.o., b.i.d., daily) protects Lewis rats from collagen-induced arthritis dose-dependently[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Lewis rat based CIA models[1].
Dosage:	6.25, 12.25, 25 mg/kg.
Administration:	Orally, b.i.d., daily for 17 days.
Result:	All three doses resulted in a significant dose-dependent inhibition of ankle thickness between day 10 and day 17 (onset of increase in ankle diameter on day 9).

REFERENCES

[1]. Wang X, et al. Discovery of Potent and Selective Tricyclic Inhibitors of Bruton's Tyrosine Kinase with Improved Druglike Properties. ACS Med Chem Lett. 2017 May 3;8(6):608-613.

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