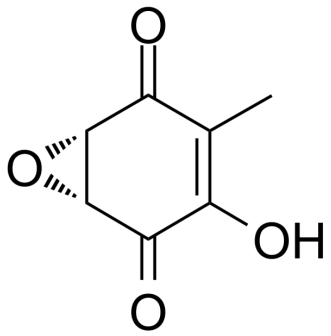
Terreic acid

Cat. No.:	HY-110013
CAS No.:	121-40-4
Molecular Formula:	$C_7H_6O_4$
Molecular Weight:	154.12
Target:	Antibiotic; Btk
Pathway:	Anti-infection; Protein Tyrosine Kinase/RTK
Storage:	-20°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description

Terreic acid, a quinone epoxide antibiotic, acts as an effective Btk inhibitor. Terreic acid blocks the interaction between PKC and the pleckstrin homology domain of Btk. Terreic acid inhibits the binding of GST-BtkPH to PKC in lysates of HMC-1 human mast cells with an IC_{50} of approximately 100 μM[1].

IC_{50} & Target

Btk; Antibiotic[1]

In Vitro

Terreic acid inhibits the association of Btk with PKCβII in mouse mast cells at lower concentrations (IC_{50} of ≈30 μM). Terreic acid inhibits the catalytic activity of Btk but not PKC[1].

Terreic acid (pretreatment for 30 min before stimulation by antigen for 3 min) inhibits both the basal and activation levels of Btk autophosphorylating activity with IC_{50}s of 10 μM and 3 μM, respectively[1].

Terreic acid inhibits the autophosphorylating activity of Btk purified partially from recombinant baculovirus-infected Sf9 insect cells in a dose-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	Mouse bone marrow-derived mast cells
Concentration:	0, 5, 10, 20 μM
Incubation Time:	Pretreated for 30 min
Result:	Inhibited both the basal and activation levels of Btk autophosphorylating activity in a dose-dependent manner.

In Vivo

Terreic acid inhibits the late-phase response of in vivo allergic cutaneous reactions[1].

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Animal Model:	BALB/c mice[1]
Dosage:	0, 0.15, 1.5, and 15 mg/kg
Administration:	Injected i.p. 1 hr before antigen challenge. Ear thicknesses were measured at 1 hr and 24 hr

Result:	The early response, as examined 1 hr after antigen challenge, was not affected by TA. In contrast, TA inhibited the late-phase (24 hr) response in a dose-dependent manner.

REFERENCES

[1]. Y Kawakami, et al. Terreic acid, a quinone epoxide inhibitor of Bruton's tyrosine kinase. Proc Natl Acad Sci U S A. 1999 Mar 2;96(5):2227-32.

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